Page 1

Welcome to STN International! Enter x:x

LOGINID: ssspta1611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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Web Page URLs for STN Seminar Schedule - N. America
NEWS
NEWS
                 "Ask CAS" for self-help around the clock
NEWS
         Feb 24
                 PCTGEN now available on STN
NEWS
         Feb 24
                 TEMA now available on STN
NEWS
         Feb 26 NTIS now allows simultaneous left and right truncation
        Feb 26
NEWS
      6
                 PCTFULL now contains images
NEWS
     7
        Mar 04
                 SDI PACKAGE for monthly delivery of multifile SDI results
NEWS
     8
        Mar 24
                 PATDPAFULL now available on STN
NEWS
      9
        Mar 24
                 Additional information for trade-named substances without
                 structures available in REGISTRY
NEWS 10
         Apr 11
                 Display formats in DGENE enhanced
NEWS 11
         Apr 14
                 MEDLINE Reload
NEWS 12
         Apr 17
                 Polymer searching in REGISTRY enhanced
         AUG 22
NEWS 13
                 Indexing from 1927 to 1936 added to records in CA/CAPLUS
NEWS 14
         Apr 21
                 New current-awareness alert (SDI) frequency in
                 WPIDS/WPINDEX/WPIX
NEWS 15
         Apr 28
                 RDISCLOSURE now available on STN
NEWS 16
         May 05
                 Pharmacokinetic information and systematic chemical names
                 added to PHAR
NEWS 17
         May 15
                 MEDLINE file segment of TOXCENTER reloaded
NEWS 18
        May 15
                 Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 19
         May 19
                 Simultaneous left and right truncation added to WSCA
NEWS 20
         May 19
                 RAPRA enhanced with new search field, simultaneous left and
                 right truncation
NEWS 21
         Jun 06
                 Simultaneous left and right truncation added to CBNB
NEWS 22
         Jun 06
                 PASCAL enhanced with additional data
                 2003 edition of the FSTA Thesaurus is now available
NEWS 23
         Jun 20
NEWS 24
         Jun 25
                 HSDB has been reloaded
NEWS 25
         Jul 16
                 Data from 1960-1976 added to RDISCLOSURE
NEWS 26
                 Identification of STN records implemented
         Jul 21
         Jul 21
NEWS 27
                 Polymer class term count added to REGISTRY
NEWS 28
                 INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
         Jul 22
                 Right Truncation available
NEWS 29
         AUG 05
                 New pricing for EUROPATFULL and PCTFULL effective
                 August 1, 2003
NEWS 30
         AUG 13
                 Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 31
         AUG 15
                 PATDPAFULL: one FREE connect hour, per account, in
                 September 2003
NEWS 32
         AUG 15
                 PCTGEN: one FREE connect hour, per account, in
                 September 2003
NEWS 33
        AUG 15
                 RDISCLOSURE: one FREE connect hour, per account, in
                 September 2003
NEWS 34
        AUG 15
                 TEMA: one FREE connect hour, per account, in
                 September 2003
NEWS 35
        AUG 18 Data available for download as a PDF in RDISCLOSURE
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NEWS 36 AUG 18 Simultaneous left and right truncation added to PASCAL NEWS 37 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right

Truncation

NEWS 38 AUG 18 Simultaneous left and right truncation added to ANABSTR

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),

AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003

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NEWS PHONE Direct Dial and Telecommunication Network Access to STN

NEWS WWW CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 10:22:45 ON 29 AUG 2003

=> file reg

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 10:22:55 ON 29 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 27 AUG 2003 HIGHEST RN 574700-05-3 DICTIONARY FILE UPDATES: 27 AUG 2003 HIGHEST RN 574700-05-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> Uploading 10009276.3

10009276.3

Page 3

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

OH 
$$G_3$$
  $CH_2$   $G_1$   $G_1$   $G_1$ 

G1 N,CH

G2 O, S, N, SO2, NH

G3 Cb, Cy, Hy, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 10:23:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 133 TO ITERATE

100.0% PROCESSED 133 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L2 0 SEA SSS FUL L1

=> file marpat

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST 148.15 148.36

FILE 'MARPAT' ENTERED AT 10:23:40 ON 29 AUG 2003

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FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 139 ISS08) (20030822ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6596259 22 JUL 2003

DE 20300703 31 JUL 2003

EP 1331259 30 JUL 2003

JP 2003207510 25 JUL 2003

WO 2003061387 31 JUL 2003

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s l1 sss full

FULL SEARCH INITIATED 10:23:48 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 15150 TO ITERATE

7.6% PROCESSED 1147 ITERATIONS

1 ANSWERS

## SEARCH INTERRUPTED

## L3 QUERY CREATED

If this message appears repeatedly, please notify the Help Desk. Enter "HELP STN" for information on contacting the nearest STN Help Desk by telephone or via SEND in the STNMAIL file.

=> file marpat

COST IN U.S. DOLLARS

SINCE FILE ENTRY

TOTAL

FULL ESTIMATED COST

ENTRY SESSION 3.60 151.96

FILE 'MARPAT' ENTERED AT 10:28:45 ON 29 AUG 2003
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FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 139 ISS08) (20030822ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6596259 22 JUL 2003

DE 20300703 31 JUL 2003

EP 1331259 30 JUL 2003

JP 2003207510 25 JUL 2003

WO 2003061387 31 JUL 2003

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

## => s l1 sss full

FULL SEARCH INITIATED 10:28:57 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 15150 TO ITERATE

6.4%	PROCESSED	976	ITERATIONS				1	ANSWERS
13.0%	PROCESSED	1964	ITERATIONS	(	3	INCOMPLETE)	6	ANSWERS
19.6%	PROCESSED	2970	ITERATIONS	(	8	INCOMPLETE)	16	ANSWERS
29.6%	PROCESSED	4491	ITERATIONS	(	23	INCOMPLETE)	38	ANSWERS
37.4%	PROCESSED	5669	ITERATIONS	(	29	INCOMPLETE)	48	ANSWERS
50.7%	PROCESSED	7687	ITERATIONS	(	40	INCOMPLETE)	65	ANSWERS

10009276.3					Page 5				
56.2%	PROCESSED	8517	ITERATIONS	(	47	INCOMPLETE)	72	ANSWERS	
62.2%	PROCESSED	9427	ITERATIONS	(	56	INCOMPLETE)	83	ANSWERS	
68.9%	PROCESSED	10440	ITERATIONS	(	69	INCOMPLETE)	99	ANSWERS	
75.7%	PROCESSED	11468	ITERATIONS	(	82	INCOMPLETE)	112	ANSWERS	
80.3%	PROCESSED	12160	ITERATIONS	(	89	INCOMPLETE)	122	ANSWERS	
83.7%	PROCESSED	12684	ITERATIONS	(	93	INCOMPLETE)	127	ANSWERS	
87.1%	PROCESSED	13189	ITERATIONS	(	104	INCOMPLETE)	139	ANSWERS	
87.9%	PROCESSED	13313	ITERATIONS	(	106	INCOMPLETE)	141	ANSWERS	
90.2%	PROCESSED	13669	ITERATIONS	(	112	INCOMPLETE)	147	ANSWERS	
91.7%	PROCESSED	13897	ITERATIONS	(	117	INCOMPLETE)	152	ANSWERS	
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99.8%	PROCESSED	15125	ITERATIONS	(	142	INCOMPLETE)	181	ANSWERS	
	PROCESSED TIME: 00.11		ITERATIONS	(	143	INCOMPLETE)	182	ANSWERS	

Patel 8/29/2003>

182 SEA SSS FUL L1

L4

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=> s 14 and modulators of cell regulation
             0 L4 AND MODULATORS OF CELL REGULATION
=> d 14 1-182
     ANSWER 1 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     138:387140 MARPAT
TI
     Heterogeneous Diels-Alder reaction zeolitic catalysts
IN
     Caplan, Neil Aubrey; Hancock, Frederick Ernest
PA
     Johnson Matthey PLC, UK
     PCT Int. Appl., 23 pp.
SO
     CODEN: PIXXD2
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AN
     138:338160 MARPAT
     Preparation of diaminopyrimidines as inhibitors of .beta. amyloid
ΤI
     formation or its release
     Himmelsbach, Frank; Fuchs, Klaus; Briem, Hans; Fechteler, Katja; Kostka,
IN
     Markus; Dorner-Ciossek, Cornelia; Bornemann, Klaus; Klinder, Klaus
PA
     Boehringer Ingelheim Pharma K.-G., Germany
     PCT Int. Appl., 88 pp.
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AN
     138:321580 MARPAT
TI
     Preparation of cross-linked glycopeptide-cephalosporin derivatives as
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IN
     Fatheree, Paul; Linsell, Martin S.; Long, Daniel D.; Marquess, Daniel;
     Moran, Edmund J.; Nodwell, Matthew B.; Turner, S. Derek; Aggen, James
     Theravance, Inc., USA
PΑ
     PCT Int. Appl., 75 pp.
SO
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ΑN
     138:321292 MARPAT
ΤI
     Preparation of 2,4,5-trisubstituted pyrimidines as cyclin dependent kinase
     inhibitors
IN
     Dahmann, Georg; Himmelsbach, Frank; Wittneben, Helmut; Pautsch, Alexander;
     Prokopowicz, Anthony S.; Krist, Bernd; Schnapp, Gisela; Steegmaier,
     Martin; Lenter, Martin; Schoop, Andreas; Steurer, Steffen; Spevak, Walter
PA
     Boehringer Ingelheim Pharma K.-G., Germany; Boehringer Ingelheim
     Pharmaceuticals, Inc.; Boehringer Ingelheim International G.m.b.H.
     PCT Int. Appl., 278 pp.
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Page 8

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AN
     138:271682 MARPAT
TI
     Preparation of cyclic hydroxamic acids as inhibitors of matrix
     metalloproteinases and/or TNF-.alpha. converting enzyme for treatment of
     inflammatory disorders
IN
     Ott, Gregory; Chen, Xiao-Tao; Duan, Jingwu; Lu, Zhonghui
PA
     Bristol-Myers Squibb Company, USA
SO
     PCT Int. Appl., 344 pp.
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     US 2003139388
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     ANSWER 6 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     138:238028 MARPAT
TI
     Preparation of substituted indeno[1,2-c]isoquinoline derivatives for the
     treatment of inflammatory disease or reperfusion disease
IN
     Jagtap, Prakash G.; Baloglu, Erkan; Van Duzer, John H.; Szabo, Csaba;
     Salzman, Andrew L.
PA
     Inotek Pharmaceuticals Corporation, USA
     PCT Int. Appl., 52 pp.
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(ALL HITS ARE ITERATION INCOMPLETES)
ΑN
     138:222968 MARPAT
TI
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     using them
ΙN
     Nishimoto, Taizo; Inoue, Shinobu; Misawa, Tsutayoshi
PΑ
     Mitsui Chemicals Inc., Japan; Yamamoto Chemicals Inc.
SO
     Jpn. Kokai Tokkyo Koho, 26 pp.
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     ANSWER 8 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
L4
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     138:204941 MARPAT
TΙ
     Preparation of indol-5-ylureas and relate compounds for the treatment of
     obesity and type II diabetes
IN
     Schwink, Lothar; Stengelin, Siegfried; Gossel, Matthias
PA
     Aventis Pharma Deutschland G.m.b.H., Germany
SO
     PCT Int. Appl., 77 pp.
     CODEN: PIXXD2
DT
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     German
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     WO 2003015769
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                                            WO 2002-EP8686 20020803
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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              PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
     DE 10139416
                        A1
                              20030306
                                              DE 2001-10139416 20010817
PRAI DE 2001-10139416 20010817
RE.CNT 5
              THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
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ANSWER 9 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     138:170464 MARPAT
ΤI
     Preparation of conformationally constrained 1,3-bicyclic L-nucleosides
IN
     Ramasamy, Kanda S.
PΑ
     USA
     U.S., 18 pp.
ŞO
     CODEN: USXXAM
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO. KIND DATE
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     US 6525191
                      B1 20030225
                                            US 2000-569183 20000511
     US 2003144501
                       A1 20030731
                                              US 2003-367284 20030214
PRAI US 1999-133551P 19990511
     US 2000-569183 20000511
RE.CNT 16
              THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 10 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
     138:82466 MARPAT
AN
TI
     Preparation of new chiral transition metal salen catalysts and methods for
     the preparation of chiral compounds from racemic epoxides by using the new
     catalysts
     Kim, Geon-Joong; Lee, Ho-Seong; Kim, Ho-Cheol; Yun, Jin-Won; Kim,
IN
     Seong-Jin
PA
     RS Tech Corp., S. Korea
SO
     PCT Int. Appl., 63 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
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     WO 2003002582 A1 20030109 WO 2002-KR1219 20020626
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         PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     EP 1292602
                       A1 20030319 EP 2002-743918 20020626
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRAI KR 2001-37081 20010627
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                       20020624
                       20020626
     WO 2002-KR1219
OS
     CASREACT 138:82466
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
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L4 ANSWER 11 OF 182 MARPAT COPYRIGHT 2003 ACS on STN (ALL HITS ARE ITERATION INCOMPLETES)

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ΑN
    138:40709 MARPAT
ΤI
    Amorphous dipyrromethene-metal chelate compounds with good solubility and
    their manufacture
    Nishimoto, Taizo; Misawa, Tsutayoshi; Kato, Kenichi; Kumagaya, Yojiro
ΙN
    Mitsui Chemicals Inc., Japan; Yamamoto Chemicals Inc.
PA
    Jpn. Kokai Tokkyo Koho, 24 pp.
SO
    CODEN: JKXXAF
DT
    Patent
LΑ
    Japanese
FAN.CNT 1
    PATENT NO.
                KIND DATE
                                       APPLICATION NO. DATE
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    JP 2002363437
PΙ
                    A2 20021218
                                       JP 2001-174319 20010608
PRAI JP 2001-174319 20010608
    CASREACT 138:40709
OS
    ANSWER 12 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    137:384751 MARPAT
AN
ΤI
    7,8-Fused 4(H)-chromenes as activators of caspases and inducers of
    apoptosis
    Cai, Sui Xiong; Xu, Lifen; Storer, Richard; Attardo, Giorgio
IN
    Cytovia, Inc., USA
PA
    PCT Int. Appl., 56 pp.
SO
    CODEN: PIXXD2
{\tt DT}
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LΑ
    English
FAN.CNT 1
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                                 APPLICATION NO. DATE
    WO 2002092083 A1 20021121 WO 2002-US15398 20020516
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PRAI US 2001-290976P 20010516
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             THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
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    ANSWER 13 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
ΑN
    137:358134 MARPAT
TI
    Preparation of azo compound conjugates with bombesin for type I
    phototherapy
IN
    Rajagopalan, Raghavan; Cantrell, Gary L.; Bugaj, Joseph E.; Achilefu,
    Samuel I.; Dorshow, Richard B.
PA
    Mallinckrodt Inc., USA
SO
    U.S. Pat. Appl. Publ., 12 pp.
    CODEN: USXXCO
    Patent
ĎΤ
LΑ
    English
FAN.CNT 2
    PATENT NO. KIND DATE APPLICATION NO. DATE
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     US 2002164287 A1 20021107
US 6485704 B1 20021126
                                         US 2001-849163 20010504
ΡI
     WO 2002089858
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                                           WO 2002-US12217 20020418
                             20021114
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
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             TJ, TM
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                                           US 2002-272123 20021015
     US 2003072763
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                           20030417
PRAI US 2001-849163
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     ANSWER 14 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
ΑN
     137:339159 MARPAT
     Ink-jet ink sets and printing method
ΤI
     Evans, Steven; Grady, Barbara L.; Romano, Charles E., Jr.
IN
PA
     Eastman Kodak Company, USA
     Eur. Pat. Appl., 12 pp.
SO
     CODEN: EPXXDW
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LΑ
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FAN.CNT 1
     PATENT NO. KIND DATE APPLICATION NO. DATE
     EP 1254933 A2 20021106 EP 2002-76578 20020422
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         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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     US 6508549
                     B1 20030121
                                            US 2001-848081
                                                              20010503
     US 6513923
                       B1 20030204
                                            US 2001-848082
                                                              20010503
     JP 2003034765
                       A2 20030207
                                            JP 2002-130733
                                                             20020502
PRAI US 2001-848081
                       20010503
     US 2001-848082
                      20010503
     ANSWER 15 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
L4
ΑN
     137:326554 MARPAT
TI
     Pyrazole azo dyes, their production and coupling agents therefor
ΤN
     Fujiwara, Toshiki; Hanaki, Naoyuki; Tanaka, Shiqeaki; Omatsu, Tadashi;
     Yabuki, Yoshiharu
PA
     Fuji Photo Film Co., Ltd., Japan
SO
     PCT Int. Appl., 137 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO. KIND DATE
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     WO 2002083662 A2 20021024
WO 2002083662 A3 20030306
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                                            WO 2002-JP3491 20020408
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             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
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                                       JP 2001-126239 20010424
     JP 2002322151
                      A2 20021108
     JP 2002371079
                       A2
                             20021226
                                            JP 2002-12108
                                                            20020121
PRAI JP 2001-110458
                       20010409
     JP 2001-126239
                       20010424
     JP 2002-12108
                       20020121
     ANSWER 16 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
     137:310927 MARPAT
AN
     Preparation of pyrimidinyl-amido-aryl(thio)oxy carboxylic acids as
TΤ
     hypolipidemic agents
     Iqbal, Javed; Gurram, Ranga Madhavan; Das, Saibal Kumar; Bhuniya, Debnath;
IN
     Chakrabarti, Ranjan; Ramanujam, Rajagopalan
PA
     Reddy's Laboratories Ltd., India
     PCT Int. Appl., 147 pp.
SO
     CODEN: PIXXD2
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DT
LΑ
     English
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     US 2003013729
                      A1
                            20030116
                                           US 2002-119300 20020408
PRAI IN 2001-MA301
                      20010409
RE.CNT 16
              THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 17 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     137:279419 MARPAT
TI
     Preparation of neuraminic acids and analogs useful for inhibiting
     paramyxovirus neuraminidase
     Chand, Pooran; Babu, Yarlagadda S.; Rowland, Scott R.; Lin, Tsu-Hsing
IN
PA
     Biocryst Pharmaceuticals, Inc., USA
     PCT Int. Appl., 92 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
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PΙ
     WO 2002076971
                      A1 20021003
                                           WO 2002-US7052
                                                              20020308
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              YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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PRAI US 2001-273952P 20010308
RE.CNT 4
              THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
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     ANSWER 18 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     137:262960 MARPAT
ΤI
     Preparation of spiro-cyclic .beta.-amino acid derivatives as inhibitors of
     matrix metalloproteinases and TNF-.alpha. converting enzyme (TACE)
ΙN
     Ott, Gregory R.; Chen, Xiaotao; Duan, Jingwu; Voss, Matthew E.
PΑ
     Bristol-Myers Squibb Company, USA
SO
     PCT Int. Appl., 187 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
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                                             APPLICATION NO. DATE
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PΙ
     WO 2002074738 A2
WO 2002074738 A3
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                                             WO 2002-US7652
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             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2003087882
                       A1
                             20030508
                                           US 2002-96804 20020312
PRAI US 2001-275898P 20010315
     ANSWER 19 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     137:232544 MARPAT
ΤI
     Tricycloalkatrienes as non-nucleoside reverse transcriptase inhibitors
IN
     Lindstroem, Stefan; Sahlberg, Christer; Wallberg, Hans; Kalyanov, Genaidy;
     Oden, Lourdes; Naeslund, Lotta
PΑ
     Medivir AB, Swed.
     PCT Int. Appl., 106 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO. KIND DATE
                                           APPLICATION NO. DATE
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     WO 2002070516 A2
ΡI
                           20020912
                                            WO 2002-EP2328 20020304
     WO 2002070516
                      A3 20030206
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2003069224
                       A1 20030410
                                           US 2002-92752
                                                             20020305
PRAI SE 2001-733
                       20010305
     ANSWER 20 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     137:202818 MARPAT
     Ink-jet printing method using metal complex colorant and antikogating
ΤI
     agent in ink-jet ink composition
IN
     Erdtmann, David; Evans, Steven; Lopez, Edgardo; Van Hanehem, Richard C.
PA
     Eastman Kodak Company, USA
SO
     Eur. Pat. Appl., 11 pp.
     CODEN: EPXXDW
DT
     Patent
     English
FAN.CNT 1
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     EP 1239012
                      A2 20020911
                                            EP 2002-75601 20020214
PΙ
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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     US 2002157566
                       A1
                             20021031
                                             US 2001-794604
                                                               20010227
     US 6524378
                       B2
                             20030225
     JP 2002348511
                       A2
                             20021204
                                             JP 2002-47848
                                                               20020225
PRAI US 2001-794604
                      20010227
     ANSWER 21 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     137:201318 MARPAT
ΤI
     Preparation of tricyclic quinolinone androgen receptor modulator compounds
IN
     Higuchi, Robert I.; Zhi, Lin; Karanewsky, Donald S.; Thompson, Anthony W.;
     Caferro, Thomas R.; Mani, Neelakandha S.; Chen, Jyun-Hung; Cummings,
     Marquis L.; Edwards, James P.; Adams, Mark E.; Deckhut, Charlotte L. F.
PA
     Ligand Pharmaceuticals Incorporated, USA
SO
     PCT Int. Appl., 142 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO.
                   KIND DATE
                                           APPLICATION NO. DATE
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ΡI
     WO 2002068427
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                                           WO 2002-IB538 20020223
                             20020906
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
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             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
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     US 2002183314
                       A1
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PRAI US 2001-271115P 20010223
RE.CNT 16
               THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
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     ANSWER 22 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
     137:187172 MARPAT
AN
TI
     Ink-jet ink composition comprising metal complex of 8-heterocyclylazo-5-
     hydroxy-quinoline and anti-kogation materials
IN
     Erdtmann, David; Lopez, Edgardo; Van Hanehem, Richard C.; Evans, Steven
PΑ
     Eastman Kodak Company, USA
     Eur. Pat. Appl., 14 pp.
SO
     CODEN: EPXXDW
     Patent
DT
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LΑ
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     EP 1234860 A1 20020828
                                             EP 2002-75634 20020215
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     US 2002157567
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                       A1
                                              US 2001-794608
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     US 6527844
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     JP 2002294125
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                        A2
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PRAI US 2001-794608 20010227
RE.CNT 4
               THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 23 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
L4
AN
     137:154856 MARPAT
TI
     Preparation of N-indanyl sulfonamides as potassium channel inhibitors
IN
     Beaudoin, Serge; Reed, Aimee D.; Gross, Michael
PA
     Icagen Incorporated, USA
SO
     PCT Int. Appl., 72 pp.
     CODEN: PIXXD2
DT
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LΑ
     English
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     PATENT NO.
                    KIND DATE
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PΙ
     WO 2002060874 A1 20020808
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              CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2002161011
                        A1
                             20021031 US 2001-4867 20011207
PRAI US 2000-256926P 20001221
     US 2001-4867
                       20011207
               THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 6
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
L4
     ANSWER 24 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
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(ALL HITS ARE ITERATION INCOMPLETES)
     137:119703 MARPAT
TI
     Use of noncompetitive and selective GluR5 antagonists as glutamate
     receptor-modulating compounds, and therapeutic use
IN
     Peters, Dan; Nielsen, Elsebet Ostergaard; Gouliaev, Alex Haahr
     Neurosearch A/S, Den.
PΑ
     PCT Int. Appl., 30 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                                              APPLICATION NO. DATE
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PΙ
     WO 2002058691
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              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
              PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
              UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
              TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
              CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
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PRAI DK 2001-117
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RE.CNT 7
               THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
L4
     ANSWER 25 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
AN
     137:93690 MARPAT
     Preparation of nicotinanilide-N-oxides as G-protein-coupled receptor
ΤI
     antagonist for the treatment of inflammation due to neutrophil chemotaxis
IN
     Cutshall, Neil S.; Yager, Kraig M.
PA
     Darwin Discovery Ltd., UK
SO
     PCT Int. Appl., 73 pp.
     CODEN: PIXXD2
DT
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LΑ
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FAN.CNT 1
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PΙ
     WO 2002053544
                       A1 20020711
                                             WO 2001-US47543 20011212
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              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
         PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2003004189
                        A1
                              20030102
                                            US 2001-15861
                                                                 20011212
PRAI US 2000-258730P 20001229
RE.CNT 13
               THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
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L4 ANSWER 26 OF 182 MARPAT COPYRIGHT 2003 ACS on STN (ALL HITS ARE ITERATION INCOMPLETES)

8/29/2003>

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ΑN
     137:51985 MARPAT
ΤI
     Oxidative hair dyes containing oxidative enzymes
     Rozzell, David; Sauter, Guido; Braun, Hans-Juergen
IN
     Wella Aktiengesellschaft, Germany
PA
     PCT Int. Appl., 36 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     German
FAN.CNT 1
     PATENT NO.
                   KIND DATE
                                       APPLICATION NO. DATE
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    WO 2002047633 A2 20020620
PΙ
                                         WO 2001-EP11493 20011005
                    A3 20030313
     WO 2002047633
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            PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
            US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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     DE 10062086
                    A1
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    AU 2002023590
                                         AU 2002-23590
                     A5
                           20020624
                                                         20011005
    BR 2001008212
                     Α
                           20030305
                                         BR 2001-8212
                                                         20011005
    US 2003041391
                     A1
                           20030306
                                         US 2002-181572
                                                        20020718
PRAI DE 2000-10062086 20001213
    WO 2001-EP11493 20011005
    ANSWER 27 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     136:401769 MARPAT
TI
     Preparation of 4-heterocyclylphenylacetohydrazide derivatives having blood
     lipid-lowering activity
ΙN
    Suga, Akira; Imanishi, Naoki; Kubota, Hideki; Miura, Toshinori; Moritani,
    Hiroshi; Matsuda, Kouyou
PΑ
    Yamanouchi Pharmaceutical Co., Ltd., Japan
    Jpn. Kokai Tokkyo Koho, 21 pp.
SO
    CODEN: JKXXAF
DT
     Patent
LA
    Japanese
FAN.CNT 1
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PΤ
    JP 2002155080
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PRAI JP 2000-355446
                    20001122
L4
    ANSWER 28 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
AN
    136:355236 MARPAT
ΤI
    Preparation of imidazopyridine derivatives as antitumor agents
IN
    Hayakawa, Ichiro; Sugano, Yuichi; Agatsuma, Toshinori; Furukawa, Hidehiko;
    Kurakata, Shinichi; Naruto, Shunji
PΑ
    Sankyo Company, Limited, Japan
SO
    PCT Int. Appl., 371 pp.
    CODEN: PIXXD2
DT
    Patent
    Japanese
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                                      APPLICATION NO. DATE
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    WO 2002034748
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                                  AU 2001-95992
JP 2001-325843
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    AU 2001095992
                                                       20011022
                                       JP 2001-325843
    JP 2002255964
                    A2
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PRAI JP 2000-324043 20001024
    JP 2000-392331 20001225
    WO 2001-JP9258
                  20011022
            THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
            ALL CITATIONS AVAILABLE IN THE RE FORMAT
L4
    ANSWER 29 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN 136:340696 MARPAT
TI
    Preparation of substituted quinazoline derivatives
IN
    Gletsos, Constantine
PΑ
    American Home Products Corporation, USA
SO
    U.S., 9 pp., Cont. of U.S. Ser. No. 363,521, abandoned.
    CODEN: USXXAM
DT
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    English
LΑ
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    US 6384223 B1 20020507 US 2000-564491 20000504
PΙ
PRAI US 1998-112023P 19980730
    US 1999-363521 19990729
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RE.CNT 7
            THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
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L4
    ANSWER 30 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
ΑN
    136:325565 MARPAT
    Preparation of 3,4-dihydropyrimido[1,2-a]pyrimidines and
ΤI
    3,4-dihydropyrazino[1,2-a]pyrimidines as analgesics
ΤN
    Gerlach, Matthias; Maul, Corinna; Jagusch, Utz-Peter
PΑ
    Gruenenthal Gmbh, Germany
SO
    PCT Int. Appl., 60 pp.
    CODEN: PIXXD2
DT
    Patent
T,A
    German
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    PATENT NO. KIND DATE
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                   A1 20020418
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    WO 2002030934
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           HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
           LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT,
           RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
           UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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     DE 10050661 A1 20020418
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                       A5
                             20020422
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                                            EP 2001-982417
                       A1
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              THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 31 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     136:309934 MARPAT
TI
     Preparation of 3,4-dihydropyrido[1,2-a]pyrimidines as analgesics
IN
     Gerlach, Matthias; Maul, Corinna; Jaqusch, Utz-Peter
PΑ
     Gruenenthal Gmbh, Germany
SO
     PCT Int. Appl., 139 pp.
     CODEN: PIXXD2
DT
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LΑ
     German
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     WO 2002030933 A1 20020418 WO 2001-EP11700 20011010
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         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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PRAI DE 2000-10050662 20001013
     WO 2001-EP11700 20011010
RE.CNT 3
              THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 32 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
ΑN
     136:279340 MARPAT
TI
     Preparation of cannabichromenes as antivirals
ΙN
     Travis, Craiq R.
PA
     Immugen Pharmaceuticals, Inc., USA
SO
     PCT Int. Appl., 39 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
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PATENT NO.
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PΙ
     WO 2002026728
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                              20020906
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              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
              PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
              DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
              BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2002013429
                       A5 20020408
                                             AU 2002-13429
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     US 2002068738
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                              20020606
                                              US 2001-967341
                                                                20010928
     US 6541510
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                              20030401
PRAI US 2000-236425P 20000928
     WO 2001-US42368 20010928
     ANSWER 33 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
ΑN
     136:263165 MARPAT
     Preparation of 1,2,3,4-tetrahydronaphthalenecarboxamide,
ΤI
     1,2,3,4-tetrahydroquinolinecarboxamide, indanecarboxamides,
     thiochromancarboxamide, and chromancarboxamide derivatives as C5a receptor
     antagonists and medicinal use thereof
IN
     Nakamura, Mitsuharu; Kamahori, Takao; Ishibuchi, Seigo; Naka, Yoichi;
     Sumichika, Hiroshi; Itoh, Katsuhiko
     Mitsubishi Pharma Corporation, Japan
PA
SO
     PCT Int. Appl., 415 pp.
     CODEN: PIXXD2
DT
     Patent
     Japanese
LΑ
FAN.CNT 1
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                                          WO 2001-JP7977 20010914
PΙ
     WO 2002022556
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              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
              LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT
         RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                             20020326
                      A5
     AU 2001088045
                                        AU 2001-88045 20010914
EP 2001-967682 20010914
     EP 1318140
                        A1
                             20030611
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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PRAI JP 2000-280540
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     JP 2000-386813
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     WO 2001-JP7977
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RE.CNT 10
               THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 34 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
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ΑN
     136:183832 MARPAT
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ΤI

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IN
     Alig, Bernd; Marhold, Albrecht; Mueller, Peter; Wolfrum, Peter; Drewes,
    Mark Wilhelm; Dahmen, Peter; Feucht, Dieter; Pontzen, Rolf; Erdelen,
     Christoph; Loesel, Peter; Andersch, Wolfram
PΑ
     Bayer Aktiengesellschaft, Germany
SO
     PCT Int. Appl., 166 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
    German
FAN.CNT 1
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PΙ
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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PRAI DE 2000-10038019 20000804
RE.CNT 3
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     ANSWER 35 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
AN
     136:118577 MARPAT
ΤI
     Preparation of 1,3,2-oxazaphosphacycloalkane derivatives as matrix
     metalloproteinase inhibitors
     Sorensen, Morten Dahl; Blaehr, Lars Kristian Albert; Christensen, Mette
IN
     Knak
PA
     Leo Pharmaceutical Products Ltd. A/S (Lovens Kemiske Fabrik
     Produktionsaktieselskab), Den.
SO
     PCT Int. Appl., 92 pp.
     CODEN: PIXXD2
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                                           BR 2001-12558
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    US 2002103166
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                                           US 2001-899017
                                                             20010706
    US 6521606
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                            20030218
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Preparation of triazolopyrid(az)ines as herbicides and pesticides

10009276.3

Page 23

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PRAI US 2000-219031P 20000718
     WO 2001-DK464
                      20010703
               THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 3
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 36 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
ΑN
     136:53771 MARPAT
TΙ
     Preparation of cyclic urea compounds
IN
     Rodriguez, Marc; Guichard, Gilles; Plaue, Serge; Semetey, Vincent;
     Schaffner, Arnaud-Pierre; Briand, Jean-Paul
PΑ
     Centre National de la Recherche Scientifique, Fr.; Neosystem;
     Galas-Rodriguez, Marie-Christine; Rodriguez, Pierre; Rodriguez, Elisa;
     Rodriguez, Romain
SO
     PCT Int. Appl., 103 pp.
     CODEN: PIXXD2
DT
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LΑ
     French
FAN.CNT 1
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     WO 2001096318 A1 20011220
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                                              WO 2001-FR1837
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     FR 2810039
                      A1 20011214 FR 2000-7507 20000613
A1 20030312 EP 2001-945420 20010613
     EP 1289968
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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PRAI FR 2000-7507
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     WO 2001-FR1837
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RE.CNT 9
              THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
L4
     ANSWER 37 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
AN
     135:371756 MARPAT
ΤI
     Preparation of prodrugs of HIV replication inhibiting pyrimidines
IN
     Kukla, Michael Joseph; Ludovici, Donald William; Kavash, Robert W.; De
     Corte, Bart Lieven Daniel; Heeres, Jan; Janssen, Paul Adriaan Jan;
     Koymans, Lucien Maria Henricus; De Jonge, Marc Rene; Van Aken Koen, Jeanne
     Alfons; Krief, Alain
PA
     Janssen Pharmaceutica N.V., Belg.
SO
     PCT Int. Appl., 55 pp.
     CODEN: PIXXD2
DΤ
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LΑ
     English
FAN.CNT 1
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     WO 2001085699 A2 20011115
WO 2001085699 A3 20020228
PΤ
                                              WO 2001-EP4990 20010503
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                        A2 20030212
                                         EP 2001-933925 20010503
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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PRAI US 2000-202471P 20000508
     WO 2001-EP4990
                        20010503
     ANSWER 38 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
L4
(ALL HITS ARE ITERATION INCOMPLETES)
ΑN
     135:344472 MARPAT
ΤI
     Preparation of 6-(5-oxazolyl)-4(1H)-quinolinones as inhibitors of IMPDH
     Iwanowicz, Edwin J.; Watterson, Scott H.; Dhar, T. G. Murali; Pitts,
IN
     William J.; Gu, Henry H.
PΑ
     Bristol-Myers Squibb Company, USA
     PCT Int. Appl., 263 pp.
SO
     CODEN: PIXXD2
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LΑ
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ΡI
     WO 2001081340
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              HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
              LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
              RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
              VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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     EP 1276739
                                            EP 2001-928708 20010419
                       A2 20030122
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                        A1 20020404
     US 2002040022
                                              US 2001-840503
                                                                 20010423
PRAI US 2000-199420P
                        20000424
     WO 2001-US12900 20010419
     ANSWER 39 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
ΑN
     135:289060 MARPAT
ΤI
     Preparation of peptides as inhibitors of serine proteases, particularly
     hepatitis C virus NS3 protease
IN
     Perni, Robert; Court, John; O'malley, Ethan; Bhisetti, Govinda Rao
PA
     Vertex Pharmaceuticals Incorporated, USA
SO
     PCT Int. Appl., 47 pp.
     CODEN: PIXXD2
DT
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PΙ
     WO 2001074768
                       A2
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                       A2 20030102
                                           EP 2001-924516 20010329
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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PRAI US 2000-194563P 20000403
     US 2000-198330P 20000418
     WO 2001-US10367 20010329
     ANSWER 40 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
L4
AN
     135:272960 MARPAT
ΤI
     Preparation of N-heterocyclic derivatives as NOS inhibitors
     Davey, David D.; Pham, Eric; Phillips, Gary B.; Xu, Wei
IN
PΑ
     Schering Aktiengesellschaft, Germany
SO
     PCT Int. Appl., 62 pp.
     CODEN: PIXXD2
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LΑ
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                     A1 20011004 WO 2001-US9481 20010326
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             HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
         RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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                        B2
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     EP 1268471
                        A1
                             20030102
                                             EP 2001-918958
                                                               20010326
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                             20021126 NO 2002-4614
     NO 2002004614
                       Α
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PRAI US 2000-192168P 20000327
     US 2001-814787
                       20010322
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                       20010326
RE.CNT 2
              THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
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L4 ANSWER 41 OF 182 MARPAT COPYRIGHT 2003 ACS on STN (ALL HITS ARE ITERATION INCOMPLETES)

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AN
     135:258549 MARPAT
TI
     Black trisazo metal complex dyes, their production and their use
IN
     Geisenberger, Josef; Wuzik, Andreas
     Clariant GmbH, Germany
PA
     Ger. Offen., 12 pp.
SO
     CODEN: GWXXBX
DT
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LΑ
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                      KIND DATE
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                                                WO 2001-EP2487 20010306
     WO 2001072906
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     EP 1268674
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     BR 2001009552
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                                                BR 2001-9552
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     US 2001027734
                                                US 2001-816180
                         A1
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PRAI DE 2000-10015004 20000325
     WO 2001-EP2487 20010306
     ANSWER 42 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
L4
AN
     135:226878 MARPAT
ΤI
     Synthesis of N-benzyl-indolyl (benzyloxy) amido derivatives as PDE-IV
     inhibitors
IN
     Labelle, Marc; Sturino, Claudio; Lachance, Nicolas; MacDonald, Dwight
PA
     Merck Frosst Canada + Co., Can.
SO
     PCT Int. Appl., 75 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO. KIND DATE
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     WO 2001064639 A2 20010907
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                                               WO 2001-CA270 20010302
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          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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     US 2002068756
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                        A1
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     EP 1263728
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                                                EP 2001-913422 20010302
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     JP 2003525273
                         T2 20030826
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PRAI US 2000-186571P 20000302
     WO 2001-CA270 20010302
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ANSWER 43 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     135:226826 MARPAT
ΤI
     Synthesis of epothilones, intermediates and analogs for use in treatment
     of cancers with multidrug resistant phenotype
IN
     Danishefsky, Samuel J.; Lee, Chul Bom; Chappell, Mark; Stachel, Shawn;
     Chou, Ting-chao
PΑ
     Sloan-Kettering Institute for Cancer Research, USA
SO
     PCT Int. Appl., 234 pp.
     CODEN: PIXXD2
DT
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LΑ
     English
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                                         APPLICATION NO. DATE
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    WO 2001064650 A2 20010907
WO 2001064650 A3 20020510
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                                           WO 2001-US6643 20010301
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             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                     A1 20020516
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EP 2001-916335 20010301
     US 2002058817
     EP 1259490
                           20021127
                      A2
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRAI US 2000-185968P 20000301
     US 2000-250447P 20001130
     WO 2001-US6643 20010301
OS
     CASREACT 135:226826
    ANSWER 44 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
L4
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    135:218779 MARPAT
     Dipyrromethene-metal chelate compound and optical recording medium using
ΤI
IN
    Nishimoto, Taizo; Tsukahara, Hisashi; Inoue, Shinobu; Oqiso, Akira;
    Misawa, Tsutami; Koike, Tadashi
    Mitsui Chemicals, Inc., Japan; Yamamoto Chemicals, Inc.
PA
SO
     Eur. Pat. Appl., 49 pp.
     CODEN: EPXXDW
DT
     Patent
LΑ
     English
FAN.CNT 1
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                                           EP 2001-104471 20010228
ΡI
     EP 1130584 A2 20010905
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                     A3 20020508
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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     JP 2002212456
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     CN 1317789
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PRAI JP 2000-51242 20000228
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JP 2000-351399 20001117

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L4
     ANSWER 45 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
AN
     135:152963 MARPAT
TI
     Scalable process for making geminal bisphosphonates from aminocarboxylic
     acids, phosphorous acid and phosphorus trihalide or oxytrihalide in
     presence of base
IN
     Cazer, Fredrick Dana; Perry, Gregory Eugene; Billings, Dennis Michael;
     Cramer, William Douglas
PΑ
     Procter & Gamble Company, USA
SO
     PCT Int. Appl., 17 pp.
     CODEN: PIXXD2
     Patent
DT
     English
LΑ
FAN.CNT 1
     PATENT NO.
                  KIND DATE
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     WO 2001057052 A1 20010809 WO 2001-US3309 20010201
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             GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR,
             KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ,
             NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
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                      A1 20011115
     US 2001041690
                                        US 2001-771899 20010129
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                       T2 20030722
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                                            NO 2002-3646
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PRAI US 2000-179506P 20000201
     WO 2001-US3309
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RE.CNT 6
              THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 46 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
T<sub>1</sub>4
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     135:122505 MARPAT
     Preparation of imidazopyridines and related azacyclic compounds as
ΤI
     selective modulators of bradykinin B2 receptors
     Peterson, John M.; Hutchison, Alan; Shaw, Kenneth; Hodgetts, Kevin J.;
IN
     Maynard, George D.; Lew, Richard
PA
     Neurogen Corporation, USA
SO
     PCT Int. Appl., 94 pp.
     CODEN: PIXXD2
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LΑ
     English
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ΡI
     WO 2001053298 A1 20010726
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WO 2001053298
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             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 6420365
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PRAI US 2000-176701P 20000118
RE.CNT 3
              THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 47 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
L4
AN
     135:46002 MARPAT
ΤI
     Synthesis and use of amidino/guanidino-arylamino salicylamides as serine
     protease inhibitors for treatment of cancer related disorders
IN
     Allen, Darin Arthur; McGee, Danny Peter Claude; Spencer, Jeffrey R.
     Axys Pharmaceuticals, Inc., USA
PΑ
SO
     PCT Int. Appl., 79 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO. KIND DATE
                                     APPLICATION NO. DATE
     WO 2001044172 A1 20010621
                                        WO 2000-US34211 20001214
PΙ
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             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
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                                        US 2000-737687 20001214
EP 2000-984472 20001214
     US 2002052343
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                       A1
                           20020925
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PRAI US 1999-170916P 19991215
     WO 2000-US34211 20001214
RE.CNT 6
              THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
L4
     ANSWER 48 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
     135:19441 MARPAT
AN
TI
     Preparation and use of .beta.-amino acid-, aspartic acid- and
     diaminopropionic-based benzamides as inhibitors of factor Xa
ΙN
     Zhu, Bing-yan; Wang, Lingyan; Huang, Wenrong; Wu, Yanhong; Fan, Jingmei;
     Su, Ting; Scarborough, Robert
     Cor Therapeutics, Inc., USA
PA
SO
     PCT Int. Appl., 127 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
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FAN.CNT 1
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                                        APPLICATION NO. DATE
     WO 2001038309 A1 20010531 WO 2000-US31520 20001117
ΡI
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            LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
            SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
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                     A1 20020904
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            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                    JP 2001-540072 20001117
     JP 2003514897
                     T2 20030422
PRAI US 1999-167240P 19991124
     WO 2000-US31520 20001117
RE.CNT 9
             THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 49 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
T<sub>1</sub>4
AN
    134:359319 MARPAT
TI
     Organic electroluminescent device
ΙN
     Kitazawa, Daisuke; Makiyama, Akira; Kohama, Toru
     Toray Industries, Inc., Japan
PΑ
SO
     Jpn. Kokai Tokkyo Koho, 7 pp.
     CODEN: JKXXAF
DΤ
     Patent
LΑ
    Japanese
FAN.CNT 1
     PATENT NO. KIND DATE APPLICATION NO. DATE
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                                         -----
    JP 2001135480 A2 20010518
PΤ
                                        JP 1999-312188 19991102
PRAI JP 1999-312188 19991102
    ANSWER 50 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     134:353297 MARPAT
TI
     Preparation of thienopyridines and thienopyrimidines as cell
     adhesion-inhibiting antiinflammatory compounds
     Stewart, Andrew O.; Boyd, Steven A.; Arendsen, David L.; Bhatia, Pramila;
ΙN
     Condroski, Kevin R.; Freeman, Jennifer C.; Gunawardana, Indrani W.; Zhu,
     Gui-dong; Lartey, Kraig; Mccarty, Catherine M.; Mort, Nicholas A.; Patel,
    Meena V.; Staeger, Michael A.; Stout, David M.
PΑ
    Abbott Laboratories, USA
    U.S., 117 pp.
SO
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DT
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LΑ
    English
FAN.CNT 1
    PATENT NO. KIND DATE
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    US 6232320 B1 20010515
PΤ
                                         US 1999-325336 19990603
                    A1 20010906
    US 2001020030
                                         US 2001-799729 20010306
    US 6579882
                     B2 20030617
PRAI US 1998-87907P 19980604
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US 1999-325336 19990603 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 51 OF 182 MARPAT COPYRIGHT 2003 ACS on STN (ALL HITS ARE ITERATION INCOMPLETES) AN 134:265905 MARPAT TICatalytic asymmetric cycloaddition reactions of dienes and aldehydes Jacobsen, Eric N.; Schaus, Scott E.; Dossetter, Alexander G.; Jamison, TN Timothy F. PA Harvard University, USA SO U.S., 39 pp., Cont.-in-part of U.S. 6,130,340. CODEN: USXXAM DT Patent LΑ English FAN.CNT 3 PATENT NO. KIND DATE APPLICATION NO. DATE -----US 6211370 B1 20010403 PΤ US 1999-255480 19990223 US 6130340 A 20001010 US 1998-6104 19980113 WO 2000050365 A1 20000831 WO 2000-US4742 20000223 W: AU, CA, JP RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE US 2002004602 20020110 US 2001-755612 A1 20010104 US 6369223 B2 20020409 PRAI US 1998-6104 19980113 US 1999-255480 19990223 RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 52 OF 182 MARPAT COPYRIGHT 2003 ACS on STN (ALL HITS ARE ITERATION INCOMPLETES) AN 134:209741 MARPAT ΤI Bleaching laundry detergent formulation with organic catalyst IN Dykstra, Robert Richard; Gustwiller, Marc Eric; Howard, Tonya Ann PA The Procter & Gamble Company, USA SO PCT Int. Appl., 119 pp. CODEN: PIXXD2 DTPatent English LAFAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE -----------PΙ WO 2001016276 A1 20010308 WO 2000-US23319 20000825 W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG BR 2000013616 A 20020507 BR 2000-13616 20000825 EP 2000-957787 20000825 EP 1206516 A1 20020522 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

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IE, SI, LT, LV, FI, RO, MK, CY, AL

      JP 2003508587
      T2
      20030304
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      20000825

      US 2002123445
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      20020905
      US 2002-83948
      20020227

PRAI US 1999-151172P 19990827
     US 1999-151216P 19990827
     WO 2000-US23319 20000825
RE.CNT 7
               THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 53 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
     134:209740 MARPAT
     Bleaching laundry detergent formulation with controlled available
     components
IN
     Dykstra, Robert Richard; Miracle, Gregory Scot
PA
     Procter & Gamble Company, USA
SO
     PCT Int. Appl., 123 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO. KIND DATE APPLICATION NO. DATE
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     WO 2001016263 A2 20010308
WO 2001016263 A3 20010607
                                               WO 2000-US23323 20000825
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              GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR,
              KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX,
              MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
              MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
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     BR 2000013608 A 20020521 BR 2000-13608 20000825
EP 1206513 A2 20020522 EP 2000-957790 20000825
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     JP 2003508581 T2 20030304 JP 2001-520812 20000825
PRAI US 1999-151002P 19990827
     US 1999-151004P 19990827
     WO 2000-US23323 20000825
     ANSWER 54 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
     134:209699 MARPAT
ΑN
ΤI
     Preparation of organic compounds containing nitrogen and the use as
     detergent booster-catalyst thereof
ΙN
     Dykstra, Robert Richard
PA
     The Procter & Gamble Company, USA
SO
     PCT Int. Appl., 111 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO. KIND DATE
                                             APPLICATION NO. DATE
                       ALAD DATE
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PRAI US 1999-151180P 19990827
     WO 2000-US23318 20000825
RE.CNT 13
               THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 55 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
     134:209698 MARPAT
ΑN
ΤI
     Preparation of organic compounds containing nitrogen and the use as
     detergent booster-catalyst thereof
ΙN
     Dykstra, Robert Richard; Weed, Penny S.
PA
     Procter & Gamble Company, USA
SO
     PCT Int. Appl., 123 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO. KIND DATE
                                             APPLICATION NO. DATE
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                                          WO 2000-US23317 20000825
     WO 2001016274 A1 20010308
ΡI
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              MD, RU, TJ, TM
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EP 2000-959387 20000825
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     JP 2003508585
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PRAI US 1999-151176P 19990827
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RE.CNT 7
              THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 56 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
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Patel 8/29/2003>

(ALL HITS ARE ITERATION INCOMPLETES)

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ΑN
     134:194911 MARPAT
ΤI
     Color-safe laundry methods employing zwitterionic formulation components
IN
     Dykstra, Robert Richard; Kellett, Patti Jean
PA
     Procter & Gamble Company, USA
     PCT Int. Appl., 83 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
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FAN.CNT 1
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            MD, RU, TJ, TM
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            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL
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    WO 2000-US23321 20000825
             THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
L4
    ANSWER 57 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
ΑN
     134:194910 MARPAT
TI
     Color-safe laundry methods employing cationic formulation components
IN
    Dykstra, Robert Richard
PA
     Procter & Gamble Company, USA
SO
     PCT Int. Appl., 80 pp.
     CODEN: PIXXD2
DT
     Patent
T.A
    English
FAN.CNT 1
     PATENT NO.
                   KIND DATE
                                         APPLICATION NO. DATE
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                                         WO 2000-US23320 20000825
PΙ
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            GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR,
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            TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
            MD, RU, TJ, TM
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            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
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                    T2 20030304
    JP 2003508588
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PRAI US 1999-151110P 19990827
    WO 2000-US23320 20000825
RE.CNT 3
            THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 58 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    134:193349 MARPAT
    Preparation and antimicrobial activities of combinatorial libraries of
TI
    4-unsubstituted dihydroisoquinolinone derivatives
TN
    Motesharei, Kianoush; Lebl, Michal; Krchnak, Viktor; Ni, Yidong
    Trega Biosciences, Inc., USA
PA
SO
    PCT Int. Appl., 162 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO. KIND DATE
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    WO 2001014879 A1 20010301 WO 2000-US20774 20000728
PΤ
        RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
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                                   US 1999-378569 1999-017
EP 2000-955287 20000728
    US 6452009
                        20020917
                    B1
    EP 1210598
                    A1 20020605
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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    US 1999-378569 19990819
WO 2000-US20774 20000728
PRAI US 1999-378569
             THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 6
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L4
    ANSWER 59 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    134:149097 MARPAT
TT
    Ink jet ink set
IN
    Erdtmann, David; Evans, Steven; Weber, Helmut
    Eastman Kodak Company, USA
PA
SO
    U.S., 7 pp.
    CODEN: USXXAM
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
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                                      APPLICATION NO. DATE
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                        20010206
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PΙ
    US 6183548
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    EP 1081198
                    A3 20011031
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    JP 2001115075
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                                        JP 2000-261379
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PRAI US 1999-387585
                    19990831
RE.CNT 5
            THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
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L4 ANSWER 60 OF 182 MARPAT COPYRIGHT 2003 ACS on STN

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(ALL HITS ARE ITERATION INCOMPLETES)
    134:100887 MARPAT
    Preparation of tricyclic compounds having spiro-piperidine as inhibitors
ΤI
    of blood coagulation factor X (FXa) and anticoagulants
    Nishida, Hidemitsu; Saitoh, Fumihiko; Harada, Kousuke; Shiromizu, Ikuya
IN
    Mochida Pharmaceutical Co., Ltd., Japan
PA
    PCT Int. Appl., 305 pp.
SO
    CODEN: PIXXD2
DT
    Patent
LΑ
    Japanese
FAN.CNT 2
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                                         WO 2001002397 A1 20010111
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            MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
            SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
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                                         BR 2000-12093
                                                          20000630
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                                         US 2001-26606
                                                          20011227
    NO 2001006402
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                                         NO 2001-6402
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PRAI JP 1999-222883
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    JP 2000-399998
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RE.CNT 5
             THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
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L4
    ANSWER 61 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    134:80806 MARPAT
TI
    Methods of treating fungal infections with inhibitors of NAD synthetase
    Brouillette, Wayne J.; Brouillette, Christie G.; Delucas, Lawrence J.
IN
PΑ
    The UAB Research Foundation, USA
SO
    PCT Int. Appl., 149 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 4
                   KIND DATE
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PΙ
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                           20010907
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            LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
            SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
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                     A2 20020410 EP 2000-943322 20000629
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    BR 2000012135
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                                          BR 2000-12135
                                                           20000629
                                          US 2002-80279
    US 2003083269
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PRAI US 1999-141436P 19990629
    US 1998-71399P
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    US 1998-97880P
                     19980825
    WO 1999-US810
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    WO 1999-US14839 19990630
    US 2000-606256
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    WO 2000-US18029 20000629
    US 2000-218405P 20000714
    US 2000-617258
                     20000714
    WO 2001-US22203 20010713
L4
    ANSWER 62 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
AN
    134:42141 MARPAT
TΙ
    Preparation of novel heterocyclic carboxamide derivatives as spleen
    tyrosine kinase inhibitors
    Hisamichi, Hiroyuki; Kawazoe, Souichirou; Tanabe, Kazuhito; Ichikawa,
ΙN
    Atsushi; Orita, Akiko; Suzuki, Takayuki; Onda, Kenichi; Takeuchi, Makoto
PΑ
    Yamanouchi Pharmaceutical Co., Ltd., Japan
SO
    PCT Int. Appl., 36 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    Japanese
FAN.CNT 1
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    PATENT NO.
                                          APPLICATION NO. DATE
    WO 2000075113 A1 20001214 WO 2000-JP3767 20000609
ΡI
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            ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
            LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
            SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
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                     A2 20010227
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                      A1 20020306
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            IE, SI, LT, LV, FI, RO
PRAI JP 1999-162692
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    WO 2000-JP3767
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RE.CNT 10
             THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
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    ANSWER 63 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    134:42120 MARPAT
TI
    Preparation of thienopyridines and thienopyrimidines as cell
    adhesion-inhibiting antiinflammatory compounds
    Arendsen, David L.; Bhatia, Pramila; Boyd, Steven A.; Condroski, Kevin R.;
IN
    Freeman, Jennifer C.; Gunawardana, Indrani W.; Lartey, Kraig; McCarty,
    Catherine M.; Mort, Nicholas A.; Patel, Meena V.; Staeger, Michael A.;
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Stewart, Andrew O.; Stout, David M.; Zhu, Gui-Dong
     Abbott Laboratories, USA
PA
     PCT Int. Appl., 320 pp.
SO
     CODEN: PIXXD2
DT
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     English
LΑ
FAN.CNT 1
                                         APPLICATION NO. DATE
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     WO 2000075145
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            MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
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            RU, TJ, TM
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     AU 9948388
                                        AU 1999-48388
                     A1
                           20001228
                                                          19990628
     EP 1181296
                                        EP 1999-931986
                           20020227
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                                                          19990628
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PRAI US 1999-306199
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RE.CNT 23
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     ANSWER 64 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
L4
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     134:29403 MARPAT
ΤI
     Preparation of heterocycle-contg. phenylacetodrazide derivatives as
    hypolipidemics
ΙN
     Suga, Akira; Imanishi, Naoki; Kubota, Hideki; Miura, Masanori; Umemoto,
     Kenji; Moritani, Hiroshi; Matsuda, Koyo
PA
     Yamanouchi Pharmaceutical Co., Ltd., Japan
SO
     PCT Int. Appl., 42 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     Japanese
FAN.CNT 1
     PATENT NO.
                   KIND DATE
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PΙ
    WO 2000071502
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            LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
            SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
            ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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PRAI JP 1999-144617
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RE.CNT 34
             THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
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L4 ANSWER 65 OF 182 MARPAT COPYRIGHT 2003 ACS on STN (ALL HITS ARE ITERATION INCOMPLETES)

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ΑN
     133:359255 MARPAT
TI
    Nitrosated and nitrosylated potassium channel activators, compositions,
     and methods of use
IN
     Garvey, David S.; Saenz De Tejada, Inigo
     Nitromed, Inc., USA
PA
     PCT Int. Appl., 112 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                   KIND DATE
                                         APPLICATION NO. DATE
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    WO 2000067754
PΙ
                     A1 20001116
                                        WO 2000-US12957 20000512
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            CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
            ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
            LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
            SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,
            ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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            DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
            CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                        US 2000-570727
     US 6417207
                      В1
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                                          US 2002-154916
     US 2002143188
                      Α1
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PRAI US 1999-133888P 19990512
     US 2000-570727
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RE.CNT 5
             THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
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L4
     ANSWER 66 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     133:350058 MARPAT
     Preparation of 6-[[(aryl and heteroaryl)oxy]methyl]naphthalene-2-
ΤI
     carboximidamide derivatives and their antithrombotic activity
IN
     Alcouffe, Chantal; Bellevergue, Patrice; Dellac, Genevieve; Latham,
     Christopher; Lassalle, Gilbert; Mallart, Sergio; Martin, Valerie; Masson,
     Christine; Mccort, Gary
PA
     Sanofi-Synthelabo, Fr.
     PCT Int. Appl., 85 pp.
SO
     CODEN: PIXXD2
DT
     Patent
T.A
    French
FAN.CNT 1
     PATENT NO.
                   KIND DATE
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                                        WO 2000-FR1087 20000425
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     WO 2000066545
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            LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
            SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,
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     FR 2793247
                      A1
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                                         FR 1999-5632
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                      В1
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     EP 1177169
                      A1
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    BR 2000010230 A
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    JP 2002543176
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    EE 200100579
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                    Α
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                    Α
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                                       BG 2001-106048
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                                       NO 2001-5387
                                                        20011102
PRAI FR 1999-5632
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    WO 2000-FR1087 20000425
            THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
            ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 67 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
   133:310879 MARPAT
TI
    Rigidized trimethine cyanine dyes
IN
    Waggoner, Alan S.; Mujumdar, Ratnakar B.
PA
    Carnegie Mellon University, USA
SO
    U.S., 27 pp.
    CODEN: USXXAM
DT
  Patent
LΑ
   English
FAN.CNT 1
                         DATE
    PATENT NO. KIND DATE
                                        APPLICATION NO. DATE
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    US 6133445
                    A 20001017
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PRAI US 1998-212564 19981216
RE.CNT 15
            THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
            ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 68 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
T.4
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    133:266596 MARPAT
ΤI
    Preparation of amino acids and derivatives as LTA4 hydrolase inhibitors
IN
    Danvy, Denis; Monteil, Thierry; Plaquevent, Jean-Christophe; Duhamel,
    Pierre; Duhamel, Lucette; Noel, Nadine; Gros, Claude; Chamard, Olivier;
    Schwartz, Jean-Charles; Lecomte, Jeanne-Marie; Piettre, Serge
    Institut National de la Sante et de la Recherche Medicale (Inserm), Fr.;
PA
    Bioprojet; et al.
    PCT Int. Appl., 108 pp.
SO
    CODEN: PIXXD2
DT
    Patent
LΑ
    French
FAN.CNT 1
    PATENT NO.
                  KIND DATE
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PΙ
    WO 2000059864
                    A1 20001012
                                       WO 2000-FR876 20000406
        W: CA, JP, KR, MX, US
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    FR 2791982
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                     A1
                                       FR 1999-4271
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    FR 2791982
                    В1
                          20021227
    EP 1165491
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                        20020102
                                       EP 2000-917145 20000406
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
    JP 2003506317 T2 200
1000-4271 19990406
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                    T2 20030218 JP 2000-609377 20000406
PRAI FR 1999-4271
    WO 2000-FR876 20000406
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RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 69 OF 182 MARPAT COPYRIGHT 2003 ACS on STN (ALL HITS ARE ITERATION INCOMPLETES) AN 133:237998 MARPAT Preparation of tricyclic benzoylpyrazoles as herbicides. ΤI IN Witschel, Matthias; Kudis, Steffen; Langemann, Klaus; Baumann, Ernst; Von Deyn, Wolfgang; Mayer, Guido; Misslitz, Ulf; Neidlein, Ulf; Otten, Martina; Westphalen, Karl-Otto; Walter, Helmut PΑ BASF Aktiengesellschaft, Germany SO PCT Int. Appl., 168 pp. CODEN: PIXXD2 Patent DT German LΑ FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE ----------WO 2000-EP2010 20000308 WO 2000055158 A1 20000921 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG EP 1163240 A1 20011219 EP 2000-915171 20000308 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO JP 2002539211 T2 20021119 JP 2000-605587 20000308 PRAI DE 1999-19911219 19990312 WO 2000-EP2010 20000308 RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 70 OF 182 MARPAT COPYRIGHT 2003 ACS on STN (ALL HITS ARE ITERATION INCOMPLETES) 133:207886 MARPAT AN TI Preparation of alkyliminoindanothiazoles and analogs as anorectic agents Jaehne, Gerhard; Geisen, Karl; Lang, Hans-jochen; Bickel, Martin INAventis Pharma Deutschland Gmbh, Germany PΑ SO Ger. Offen., 16 pp. CODEN: GWXXBX DT Patent LΑ German FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE ----------PΙ DE 19908536 A1 20000831 DE 1999-19908536 19990226 WO 2000051996 A1 20000908 WO 2000-EP926 20000205 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

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                   A1 20011128 EP 2000-906286
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    BR 2000008559
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    JP 2002538149
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                        20021112
                                       JP 2000-602223
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    US 6207689
                    B1 20010327
                                      US 2000-500464
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    US 6288093
                    B1 20010911
                                      US 2000-697151
                                                      20001027
    US 2001011096
                   A1 20010802
                                       US 2001-774053
                                                     20010131
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    US 6288094
PRAI DE 1999-19908536 19990226
    WO 2000-EP926 20000205
    US 2000-500464 20000209
    ANSWER 71 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    133:207808 MARPAT
TI
    Asymmetric cycloaddition reactions using transition metal chiral Schiff
    base complexes
IN
    Jacobsen, Eric N.; Schaus, Scott E.; Dossetter, Alexander G.; Jamison,
    Timothy F.
PA
    President and Fellows of Harvard College, USA
    PCT Int. Appl., 100 pp.
SO
    CODEN: PIXXD2
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    Patent
LA
    English
FAN.CNT 3
    PATENT NO. KIND DATE
                                       APPLICATION NO. DATE
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    WO 2000050365 A1 20000831
PΙ
                                       WO 2000-US4742 20000223
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        RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
           PT, SE
    US 6211370
                   B1 20010403 US 1999-255480
                                                     19990223
PRAI US 1999-255480
                  19990223
    US 1998-6104
                   19980113
            THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
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    ANSWER 72 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    133:114204 MARPAT
    Cryptate compounds and methods for diagnosis and therapy
TI
IN
    Smith, Suzanne Virginia; Harrowfield, John M.; Di Bartolo, Nadine Marie;
    Sargeson, Alan McLeod
    Australian Nuclear Science & Technology Organisation, Australia; The
PΑ
    Australian National University
SO
    PCT Int. Appl., 58 pp.
    CODEN: PIXXD2
DT
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LΑ
    English
FAN.CNT 1
    PATENT NO. KIND DATE
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                                  WO 2000-AU3 20000105
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     EP 1147111
                       A1 20011024 EP 2000-902480 20000105
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PRAI AU 1999-8038
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RE.CNT 7
              THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
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L4
     ANSWER 73 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
AN
     132:347578 MARPAT
ΤI
     Preparation of arylaminopyrimidines as inhibitors of HIV replication.
     De Corte, Bart; De Jonge, Marc Rene; Heeres, Jan; Ho, Chih Yung; Janssen,
IN
     Paul Adriaan Jan; Kavash, Robert W.; Koymans, Lucien Maria Henricus;
     Kukla, Michael Joseph; Ludovici, Donald William; Van Aken, Koen Jeanne
     Alfons
     Janssen Pharmaceutica N.V., Belg.; et al.
PA
     PCT Int. Appl., 49 pp.
SO
     CODEN: PIXXD2
DT
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LΑ
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FAN.CNT 1
     PATENT NO. KIND DATE
                                           APPLICATION NO. DATE
                     A1 20000518 WO 1999-EP7417 19990924
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                       B2
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                       Α
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     HR 2001000161
                       A1
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     NO 2001001696
                       Α
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PRAI US 1998-107792P 19981110
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US 1999-143962P 19990715
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     ANSWER 74 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     132:330878 MARPAT
TI
     Combinations of herbicides and safeners.
IN
     Ziemer, Frank; Willms, Lothar; Bieringer, Hermann; Hacker, Erwin
PA
     Aventis Cropscience G.m.b.H., Germany
SO
     Ger. Offen., 28 pp.
     CODEN: GWXXBX
DT
     Patent
LΑ
     German
FAN.CNT 1
     APPLICATION NO. DATE
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     DE 19853827 A1 20000525 DE 1998-19853827 19981121 WO 2000030447 A1 20000602 WO 1999-EP8470 19991105
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A1 20010912 EP 1999-972493 19991105
     EP 1130965
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PRAI DE 1998-19853827 19981121
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                     19991105
L4
     ANSWER 75 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     132:313703 MARPAT
ΤI
     Heterocyclic condensed ring compounds in treatment and/or prevention of
     conditions mediated by peroxisome proliferator-activated receptors.
IN
     Jeppesen, Lone; Bury, Paul Stanley; Sauerberg, Per
PΑ
     Novo Nordisk A/S, Den.; Reddy's Research Foundation
SO
     PCT Int. Appl., 59 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     WO 2000023451
     PATENT NO. KIND DATE
                     A1 20000427 WO 1999-DK573 19991019
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     WO 2000023451
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PRAI DK 1998-1354
                      19981021
     US 1998-105913P 19981021
     US 1999-420347
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     WO 1999-DK573
                      19991019
              THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 76 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     132:237105 MARPAT
     Preparation of 2-[(alpha-substituted)alkylthio(and alkoxy)]pyrimidines as
TI
     inhibitors of viral reverse transcriptase
IN
     Nugent, Richard A.; Schlachter, Stephen T.; Murphy, Michael J.; Morris,
     Joel; Thomas, Richard C.; Wishka, Donn G.; Cleek, Gary J.; Graber, David
PΑ
     Pharmacia & Upjohn Company, USA
SO
     U.S., 97 pp., Cont.-in-part of U.S. Ser. No. 436,708, abandoned.
     CODEN: USXXAM
DΤ
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LΑ
     English
FAN.CNT 2
     PATENT NO.
                    KIND DATE
                                           APPLICATION NO. DATE
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     US 6043248
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     WO 9635678
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             SI, SK
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
             IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR
PRAI US 1995-436708
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              THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 44
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 77 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     132:222437 MARPAT
TI
     Method for the radical alkylation of arenes
ΙN
     Murphy, John; Graham, Stephen
PΑ
     Merck Patent G.m.b.H., Germany
     Eur. Pat. Appl., 27 pp.
SO
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CODEN: EPXXDW
DТ
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LΑ
    English
FAN.CNT 1
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    EP 987235 A1 20000322
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                                        EP 1999-116091 19990817
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                    B1 20030312
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PRAI EP 1998-115971
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OS CASREACT 132:222437
RE.CNT 4
           THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 78 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    132:194294 MARPAT
TΙ
    Preparation of hydroxamic acid derivatives as proteinase inhibitors
IN
    Martin, Fionna Mitchell
    British Biotech Pharmaceuticals Limited, UK
PA
SO
    PCT Int. Appl., 41 pp.
    CODEN: PIXXD2
DT
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    English
LΑ
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    PATENT NO. KIND DATE
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    WO 2000012477 A1 20000309 WO 1999-GB2826 19990827
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    US 6479502
                    B1 20021112
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    US 2003050310
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                    A1 20030313
PRAI GB 1998-18830
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    GB 1998-28525
                    19981223
    WO 1999-GB2826
                    19990827
    US 2001-763424 20010221
RE.CNT 3
             THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 79 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    132:180173 MARPAT
ΤI
    Stereoselective ring opening reactions
ΙN
    Jacobsen, Eric N.; Tokunaga, Makoto; Larrow, Jay F.
PA
    President and Fellows of Harvard College, USA
SO
    PCT Int. Appl., 152 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 4
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PATENT NO.
                 KIND DATE
                                        APPLICATION NO. DATE
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    WO 2000009463 A1 20000224
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     CA 2339618
                      AΑ
                           20000224
                                          CA 1999-2339618 19990813
    AU 9956732
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                                          AU 1999-56732
    EP 1104395
                     A1 20010606
                                         EP 1999-943685 19990813
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, FI
     JP 2002522515
                     T2 20020723 JP 2000-564918
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PRAI US 1998-134393 19980814
    US 1995-403374 19950314
    US 1996-622549 19960325
    WO 1999-US18305 19990813
    CASREACT 132:180173
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 80 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    132:122631 MARPAT
ΑN
TI
    Preparation of substituted quinazoline derivatives
    Gletsos, Constantine
ΙN
PA
    American Home Products Corporation, USA
SO
    PCT Int. Appl., 23 pp.
     CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 1
     PATENT NO. KIND DATE
                                   APPLICATION NO. DATE
    WO 2000006555 A1 20000210 WO 1999-US17035 19990728
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            MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
            TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,
            RU, TJ, TM
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            ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                AA 20000210 CA 1999-2336802 19990728
A1 20000221 AU 1999-53910 19990728
A 20010502 BR 1999-12575 19990728
A1 20010523 EP 1999-939658 19990728
    CA 2336802
    AU 9953910
    BR 9912575
    EP 1100788
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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    JP 2002521476
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PRAI US 1998-126292
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    WO 1999-US17035 19990728
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RE.CNT 1
             THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
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L4 ANSWER 81 OF 182 MARPAT COPYRIGHT 2003 ACS on STN

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(ALL HITS ARE ITERATION INCOMPLETES)
     132:107948 MARPAT
     Preparation of fused thiazolidinimines as appetite suppressants and
TT
     antidiabetics.
IN
     Jaehne, Gerhard; Geisen, Karl; Lang, Hans Jochen
PA
     Hoechst Marion Roussel Deutschland G.m.b.H, Germany
SO
     Ger. Offen., 44 pp.
     CODEN: GWXXBX
DT
     Patent
LΑ
     German
FAN.CNT 1
     PATENT NO.
     DE 19931070
                 KIND DATE
                                           APPLICATION NO. DATE
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     DE 19831878 A1 20000127
ΡI
                                           DE 1998-19831878 19980717
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     CA 2337838
                      AA 20000127
                                           CA 1999-2337838 19990703
     WO 2000004006 A1 20000127 WO 1999-EP4644 19990703
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             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,
             RU, TJ, TM
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                                      AU 1999-50308
                     A1 20000207
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     BR 9912151
                     A 20010410 BR 1999-12151 19990703
A1 20010516 EP 1999-934568 19990703
     EP 1098891
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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                   12 20020709 JP 2000-560113 19990703
A 20001212 US 1999-351621 19990712
A 20010315 NO 2001-219
     JP 2002520404 T2 20020709
     US 6159996
     NO 2001000219
PRAI DE 1998-19831878 19980717
     WO 1999-EP4644 19990703
RE.CNT 2
              THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 82 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
     132:64182 MARPAT
AN
TI
     Preparation of di- and tetrahydroquinolinylindoles and related compounds
     as antibacterials.
ΙN
     Cuny, Gregory D.; Hauske, James R.; Hoemann, Michael Z.; Rossi, Richard
     F.; Xie, Roger Leijie
PA
     Sepracor, Inc., USA
SO
     PCT Int. Appl., 130 pp.
     CODEN: PIXXD2
     Patent
DT
LΑ
     English
FAN.CNT 1
     PATENT NO. KIND DATE
                                           APPLICATION NO. DATE
                     A2 19991229
A3 20030417
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     WO 9967238
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     WO 9967238
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                 A1 20000110 AU 1999-45835
B1 20010130 US 1999-344619
     AU 9945835
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     US 6180640
                                                              19990625
PRAI US 1998-90624P 19980625
     WO 1999-US14277 19990625
     ANSWER 83 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    132:51265 MARPAT
AΝ
ΤI
     Metal complex for ink jet ink
     Evans, Steven; Weber, Helmut
PA
    Eastman Kodak Co., USA
SO
    U.S., 9 pp.
     CODEN: USXXAM
DT
    Patent
LΑ
    English
FAN.CNT 1
     PATENT NO. KIND DATE
                                            APPLICATION NO. DATE
     US 6001161 A 19991214 US 1998-203254 19981201 EP 1006157 A1 20000607 EP 1999-203891 19991119
PΙ
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RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
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     ANSWER 84 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
     132:23854 MARPAT
TI
     Ink jet printing with azo dye metal complex
IN
     Weber, Helmut; Evans, Steven
PΑ
     Eastman Kodak Company, USA
     U.S., 9 pp.
SO
     CODEN: USXXAM
DT
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    US 5997622 A 19991207
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(ALL HITS ARE ITERATION INCOMPLETES)

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AN
     132:22956 MARPAT
ΤI
     Preparation of thienopyrimidinecarboxamides and analogs as cell
     adhesion-inhibiting antiinflammatory compounds
IN
     Stewart, Andrew O.; Boyd, Steven A.; Arendsen, David L.; Bhatia, Pramila;
     Condroski, Kevin R.; Freeman, Jennifer C.; Gunawardana, Indrani W.; Zhu,
     Gui-Dong; Lartey, Kraig; McCarty, Catherine M.; Mort, Nicholas A.; Patel,
     Meena V.; Staeger, Michael A.; Stout, David M.
PA
     Abbott Laboratories, USA
     PCT Int. Appl., 282 pp.
SO
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    ANSWER 86 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    131:358314 MARPAT
     Dipyrromethene metal chelate compound and optical recording using same
ΤI
ΙN
    Kato, Kenichi; Sasaki, Nobuaki; Kumagaya, Yojiro; Misawa, Nobuyoshi;
    Nishimoto, Taizo; Tsukahara, Hiroshi; Takuma, Keisuke
PA
    Mitsui Chemicals Inc., Japan; Yamamoto Chemicals Inc.
SO
    Jpn. Kokai Tokkyo Koho, 21 pp.
     CODEN: JKXXAF
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    JP 11302551
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(ALL HITS ARE ITERATION INCOMPLETES)
AN 131:350871 MARPAT
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Chiral non-racemic catalysts containing Main-group metals and tridentate
    or tetradentate ligands for asymmetric nucleophilic addition reactions to
    .pi. bonds
    Jacobsen, Eric N.; Sigman, Matthew S.
ΙN
    President and Fellows of Harvard College, USA
PΑ
SO
    PCT Int. Appl., 90 pp.
    CODEN: PIXXD2
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(ALL HITS ARE ITERATION INCOMPLETES)
    131:344291 MARPAT
AN
    Preparation of dipyrromethene metal chelate compound as optical recording
ΤI
    media
    Sasaki, Hiroyuki; Sawano, Bunji; Kumagaya, Yojiro; Misawa, Tsutayoshi;
IN
    Nishimoto, Taizo; Tsukahara, Hiroshi; Takuma, Keisuke
PA
    Mitsui Chemicals Inc., Japan; Yamamoto Chemicals Inc.
SO
    Jpn. Kokai Tokkyo Koho, 37 pp.
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    ANSWER 89 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
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AN
    131:307106 MARPAT
ΤI
    Use of vitamin PP compounds as cytoprotective agents in chemotherapy
    Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter,
ΙN
    Friedemann; Schein, Barbara; Schemainda, Isabel; Seibel, Klaus; Vogt,
    Klaus; Wosikowski, Katja
PA
    Klinge Pharma GmbH, Germany
SO
    PCT Int. Appl., 145 pp.
    CODEN: PIXXD2
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RE.CNT 3
             THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 90 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
ΑN
     131:257572 MARPAT
ΤI
     Preparation of benzoxazinones and -thiazinones as serine protease
     inhibitors
     Berryman, Kent Alan; Downing, Dennis Michael; Dudley, Danette Andrea;
IN
     Edmunds, Jeremy John; Narasimhan, Lakshmi Sourirajan; Rapundalo, Stephen
     Taras
PA
    Warner-Lambert Company, USA
     PCT Int. Appl., 175 pp.
SO
     CODEN: PIXXD2
DT
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FAN.CNT 1
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    WO 9950257
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    AU 9919183
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             THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
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    ANSWER 91 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    131:250478 MARPAT
AN
TI
    Benzopyrromethene metal complex for optical recording medium
    Masaoka, Toshihiro; Terao, Hiroshi; Kumagaya, Yojiro; Misawa, Tsutayoshi;
IN
    Nishimoto, Taizo; Tsukahara, Hiroshi; Takuma, Keisuke
PA
    Mitsui Chemicals Inc., Japan; Yamamoto Chemicals Inc.
SO
    Jpn. Kokai Tokkyo Koho, 14 pp.
    CODEN: JKXXAF
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FAN.CNT 1
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    JP 11256056 A2 19990921
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PRAI JP 1998-55390 19980306
    ANSWER 92 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    131:223495 MARPAT
ΑN
TI
    Condensed heterocyclic compounds as antiinflammatory and immunomodulatory
    agents
IN
    Shannon, Patrick Vivian Richard; Eichholtz, Thomas; Linstead, David;
    Masdin, Philip; Skinner, Richard
    University College Cardiff Consultants Limited, UK
PA
SO
    PCT Int. Appl., 56 pp.
    CODEN: PIXXD2
DT
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LΑ
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                    A1 19990916 WO 1999-GB580 19990225
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     ANSWER 93 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     131:129576 MARPAT
ΤI
     Stereoselective epoxy ring opening reactions using chiral transition
     metal-salen complexes
IN
     Jacobsen, Eric N.; Leighton, James L.; Martinez, Luis E.
     President and Fellows of Harvard College, USA
PA
SO
     U.S., 45 pp.
     CODEN: USXXAM
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RE.CNT 38
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     ANSWER 94 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     131:116229 MARPAT
ΤI
     Preparation of thiazolecarboxamides as vitronectin receptor antagonists
ΙN
     Alig, Leo; Edenhofer, Albrecht; Hilpert, Kurt; Weller, Thomas
     F. Hoffmann-La Roche AG, Switz.
PA
SO
     Eur. Pat. Appl., 87 pp.
     CODEN: EPXXDW
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                      A1 19990714
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ZA 9811925 A 20000629 ZA 1998-11925
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RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
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       ANSWER 95 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
       131:60019 MARPAT
TI
        Preparation of rigidized trimethine cyanine dyes and their use as
        fluorescent markers
IN
       Waggoner, Alan S.; Mujumdar, Ratnakar B.
PA
       Carnegie Mellon University, USA
SO
       PCT Int. Appl., 79 pp.
       CODEN: PIXXD2
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(ALL HITS ARE ITERATION INCOMPLETES)
AN
     131:45047 MARPAT
ΤI
     Preparation of sialyl Lewisx and sialyl Lewisa glyco-mimetics as selectin
     inhibitors
ΙÑ
     Anderson, Mark B.; Kobayashi, Yoshiyuki; Itoh, Kazuhiro; Holme, Kevin R.;
     Cui, Jingrong; Fugedi, Peter; Peto, Csaba F.; Wang, Li; Vazir, Harish
PA
     Glycomed Incorporated, USA; Sankyo Co., Ltd.
     PCT Int. Appl., 184 pp.
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L4
     ANSWER 97 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     131:18932 MARPAT
ΤI
     Preparation and formulation of heterocyclic compounds as cyclic GMP
     phosphodiesterase inhibitors
IN
     Ohashi, Masayuki; Nishida, Hidemitsu; Shudo, Toshiyuki
PA
     Mochida Pharmaceutical Co., Ltd., Japan
SO
     PCT Int. Appl., 253 pp.
     CODEN: PIXXD2
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RE.CNT 8
             THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
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L4
    ANSWER 98 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    130:282082 MARPAT
TI
    Preparation of alkylthiopyrimidines as viral reverse transcriptase
    inhibitors
    Morris, Joel; Wishka, Donn G.; Adams, Wade J.; Friis, Janice M.
IN
PA
    Pharmacia & Upjohn Company, USA
SO
    PCT Int. Appl., 100 pp.
    CODEN: PIXXD2
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LΑ
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    WO 1998-US18507 19980921
    ANSWER 99 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    130:252609 MARPAT
TI
    Preparation of locked nucleoside analogs-containing
    oligodeoxyribonucleotide duplexes as substrates for nucleic acid
    polymerases
IN
    Wengel, Jesper; Nielsen, Poul
PA
    Exigon A/S, Den.
SO
    PCT Int. Appl., 269 pp.
    CODEN: PIXXD2
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LA
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    PATENT NO.
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                    A1 20030731
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    DK 1997-1492
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    WO 1998-DK393
                    19980914
    ANSWER 100 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    130:223600 MARPAT
    Imidazolidine derivatives, their preparation and use, and pharmaceutical
TI
    compositions containing them
IN
    Wehner, Volkmar; Stilz, Hans Ulrich; Schmidt, Wolfgang; Seiffge, Dirk
PA
    Hoechst Marion Roussel Deutschland GmbH, Germany
SO
    Eur. Pat. Appl., 66 pp.
    CODEN: EPXXDW
DT
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LΑ
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FAN.CNT 1
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                    Al 19990324 EP 1998-117231 19980911
PΙ
    EP 903353
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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NZ 331924 A 20000228 NZ 1998-331924 19980916
ZA 9808496 A 19990318 ZA 1998-8496 19980917
NO 9804309 A 19990319 NO 1998-4309 19980917
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PRAI DE 1997-19741235 19970918
       US 1998-157241 19980918
                 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 10
                    ALL CITATIONS AVAILABLE IN THE RE FORMAT
       ANSWER 101 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
       130:209714 MARPAT
TI
       Tetracyclic heteroaromatic compounds as poly(ADP-ribose) polymerase (PARP)
       inhibitors for treating neural or cardiovascular tissue damage
IN
       Li, Jia-He; Zhang, Jie; Jackson, Paul F.; Maclin, Keith M.
       Guilford Pharmaceuticals Inc., USA
PΑ
SO
       PCT Int. Appl., 122 pp.
       CODEN: PIXXD2
DT
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LΑ
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FAN.CNT 16
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       WO 9911645 A1 19990311 WO 1998-US18189 19980902
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6346536 B1 20020212 US 1997-922548 19970903
6306889 B1 20011023 US 1998-47502 19980325
6514983 B1 20030204 US 1998-145181 19980901
9892982 A1 19990322 AU 1998-92982 19980902
9812185 A 20000718 BR 1998-12185 19980902
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       BR 9812185
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      JP 1999-516974
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      A 20021025
      NZ 1998-503043
      19980902

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      A 20000405
      NO 2000-1001
      20000228

PRAI US 1997-922548 19970903
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       WO 1998-US18189 19980902
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
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ANSWER 102 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     130:178758 MARPAT
ΤI
     Use of benzo[c]quinolizine derivatives as plant growth regulators
IN
     Guarna, Antonio; Serio, Mario
PΑ
     Applied Research Systems ARS Holding N.V., Neth. Antilles
     PCT Int. Appl., 14 pp.
SO
     CODEN: PIXXD2
DT
     Patent
T.A
    English
FAN.CNT 1
     WO 9905913 APPLICATION NO. DATE
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    WO 9905913 A1 19990211 WO 1998-EP4737 19980729
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            KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
            NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
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    AU 9891570
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                                   AU 1998-91570 19980729
    AU 750092
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    US 6514912
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PRAI IT 1997-FI193 19970801
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RE.CNT 5
             THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
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    ANSWER 103 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
L4
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    130:163203 MARPAT
     5-HT-2 antagonists, and preparation thereof, for treating or ameliorating
ΤI
     the symptoms of common cold or allergic rhinitis
IN
     Johnson, Kirk Willis; Nelson, David Lloyd Garver; Phebus, Lee Alan
PA
    Eli Lilly and Company, USA
SO
    U.S., 16 pp.
    CODEN: USXXAM
DT
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LΑ
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FAN.CNT 1
    PATENT NO. KIND DATE
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    US 5869497
                    A 19990209
                                       US 1997-813472 19970307
PRAI US 1997-813472 19970307
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             THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
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T.4
    ANSWER 104 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN 130:139335 MARPAT
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TI
    Preparation of tricyclically substituted oxazolidinones as bactericides
ΙN
    Bartel, Stephan; Guarnieri, Walter; Riedl, Bernd; Habich, Dieter; Stolle,
    Andreas; Ruppelt, Martin; Raddatz, Siegfried; Rosentreter, Ulrich; Wild,
    Hanno; Endermann, Rainer; Kroll, Hein-peter
    Bayer Aktiengesellschaft, Germany; et al.
PΑ
    PCT Int. Appl., 98 pp.
SO
    CODEN: PIXXD2
DT
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T,A
    German
FAN.CNT 1
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    WO 9903846
                                       WO 1998-EP4252 19980708
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             THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
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    ANSWER 105 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
ΑN
    130:95479 MARPAT
ΤI
    Preparation of piperidine derivatives as cell adhesion inhibitors for
    inflammation inhibitors, metastasis inhibitors, etc.
ΤN
    Sasaki, Shinichi; Fujiwara, Shigeki; Hagiwara, Koji; Takai, Haruki;
    Suzuki, Koji; Miki, Ichiro; Hisano, Yukako; Kase, Hiroshi
PA
    Kyowa Hakko Kogyo Co., Ltd., Japan
SO
    Jpn. Kokai Tokkyo Koho, 37 pp.
    CODEN: JKXXAF
DT
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    Japanese
FAN.CNT 1
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                   KIND DATE
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PRAI JP 1997-144105 19970602
    ANSWER 106 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
ΑN
    130:38390 MARPAT
    Preparation of azolidinediones as antidiabetics
ΤI
    Lohray, Braj Bhushan; Lohray, Vidya Bhushau; Bajji, Ashok Channaveerappa;
IN
    Kalchar, Shivaramayya; Alla, Sekar Reddy; Ramanujam, Rajagopalan;
    Vikramadithyan, Reeba K.
PA
    Reddy's Research Foundation, India; Reddy-Cheminor Inc.
SO
    PCT Int. Appl., 65 pp.
    CODEN: PIXXD2
DT
    Patent
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English
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      WO 9852946 A1 19981126 WO 1998-US10612 19980526
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      US 6159966
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      IN 1997-MA1153
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      WO 1998-US10612 19980526
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      ANSWER 107 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
      129:343502 MARPAT
AN
ΤI
      Preparation of 3-amino-1,4-benzoxazines and analogs as nitric oxide
      synthase inhibitors
ΙN
      Holscher, Peter; Rehwinkel, Hartmut; Suelzle, Detlev; Burton, Gerardine;
      Hillmann, Margrit; Pribilla, Iris; Davey, David Daniel
PA
      Schering A.-G., Germany
SO
      PCT Int. Appl., 28 pp.
      CODEN: PIXXD2
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LĄ
      German
FAN.CNT 1
      PATENT NO. KIND DATE
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      WO 9850372 A1 19981112 WO 1998-DE1241 19980430
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           RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

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                            A1 19981127
                                                 AU 1998-83308 19980430
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      AU 9883308
      EP 980362
                             A1 20000223
            R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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PRAI DE 1997-19720155 19970502
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THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 3 ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 108 OF 182 MARPAT COPYRIGHT 2003 ACS on STN (ALL HITS ARE ITERATION INCOMPLETES) 129:290150 MARPAT Preparation of 2-(cycloalkane or heterocycle-fused indole-2-ΤI carbonyl)guanidines as inhibitors of Na+/H+ exchange transport system INKitano, Masashi; Oohashi, Naohito PΑ Sumitomo Pharmaceuticals Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 55 pp. SO CODEN: JKXXAF DT Patent LΑ Japanese FAN.CNT 2 PATENT NO. KIND DATE APPLICATION NO. DATE -----JP 10237073 A2 19980908 JP 1997-32894 19970130 PΙ CN 1161334 A 19971008 B 20001129 CN 1997-102191 19970131 CN 1058969 A 19991102 B1 20010807 US 5977100 US 1998-74462 US 6271251 19980508 US 1999-342101 19990629 PRAI JP 1996-40611 19960202 JP 1996-131370 19960425 JP 1996-219322 19960731 JP 1996-356301 19961224 US 1997-790024 19970128 US 1998-74462 19980508 L4 ANSWER 109 OF 182 MARPAT COPYRIGHT 2003 ACS on STN (ALL HITS ARE ITERATION INCOMPLETES) 129:239901 MARPAT ANTIAnti-epileptogenic agents, and preparation thereof INWeaver, Donald F.; Milne, Paul H.; Tan, Christopher Y. K.; Carran, John R. PAQueen's University At Kingston, Can. SO PCT Int. Appl., 91 pp. CODEN: PIXXD2 DTPatent LΑ English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE ----------WO 9840055 A2 19980917 WO 9840055 A3 19990218 ΡI WO 1998-CA244 19980312 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG US 6306909 B1 20011023 US 1998-41371 AU 9864923 A1 19980929 AU 1998-64923 19980312 EP 969823 A2 20000112 EP 1998-910555 19980312 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

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A 20000128

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NZ 1998-337849

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PRAI US 1997-41140P 19970312
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    US 1998-41371
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     WO 1998-CA244
                     19980312
    ANSWER 110 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     129:202864 MARPAT
TI
     Preparation of benzocycloheptanesulfonamides,
     tetrahydrobenzoxepinsulfonamides, and related compounds as potassium
     channel blockers.
    Brendel, Joachim; Lang, Hans Jochen; Gerlach, Uwe
ΤN
PA
    Hoechst A.-G., Germany
    Ger. Offen., 24 pp.
SO
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A1 19980902 EP 1998-102952 19980220
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                    A 19990518 BR 1998-207
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A 19980826 ZA 1998-1562
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                                        JP 1998-43652 19980225
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    US 2002072514
                     A1 20020613
                                         US 2001-983670 20011025
PRAI DE 1997-19707656 19970226
    US 1998-28452 19980224
    US 1999-342597 19990629
    ANSWER 111 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    129:144857 MARPAT
AN
     Phalloidin derivatives and analogs to treat congestive heart failure or
ΤI
    other cardiomyopathies
     Boukatina, Anna E.; Campbell, Kenneth B.; Kunz, Lawrence L.; Kasina,
IN
     Sudhakar; Theodore, Louis J.; Fritzberg, Alan R.
PA
    Washington State University Research Foundation, USA; Neorx Corp.
    PCT Int. Appl., 98 pp.
SO
    CODEN: PIXXD2
DT
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LΑ
    English
FAN.CNT 1
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PI
    WO 9831380
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AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
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      AU 9860300
                        A1 19980807
                                              AU 1998-60300
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                THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 14
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T.4
     ANSWER 112 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
AN
      129:142535 MARPAT
      Method for processing silver halide photographic material using a mercapto
TI
IN
      Yoshida, Tetsuo; Watanabe, Harumi
PA
      Fuji Photo Film Co., Ltd., Japan
      Jpn. Kokai Tokkyo Koho, 41 pp.
SO
      CODEN: JKXXAF
DT
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LΑ
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FAN.CNT 1
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                   KIND DATE
                                               APPLICATION NO. DATE
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      JP 10186598
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PRAI JP 1996-350838
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     ANSWER 113 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
L4
AN
      129:142534 MARPAT
ΤI
     Method for processing silver halide photographic material using a
      developer containing a mercaptopyrimidine
ΙN
      Fukui, Kota; Sasaoka, Senzo; Yamada, Kosaburo
PΑ
     Fuji Photo Film Co., Ltd., Japan
SO
     Jpn. Kokai Tokkyo Koho, 44 pp.
      CODEN: JKXXAF
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FAN.CNT 1
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                       KIND DATE
                                               APPLICATION NO. DATE
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                                                US 1997-995146 19971219
PRAI JP 1996-340246 19961219
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     ANSWER 114 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
ΑN
     129:128919 MARPAT
     Processing of silver halide photographic material for printing platemaking
TI
IN
     Yoshida, Tetsuo; Watanabe, Harumi
PA
     Fuji Photo Film Co., Ltd., Japan
SO
     Jpn. Kokai Tokkyo Koho, 28 pp.
     CODEN: JKXXAF
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     JP 10171079 A2 19980626 JP 1996-336133 19961216
PRAI JP 1996-336133 19961216
     ANSWER 115 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     129:100033 MARPAT
ΤI
     Pharmaceutical composition for oral administration
IN
     Takahashi, Masayuki; Morita, Hiromi; Kikuchi, Hiroshi
PΑ
     Daiichi Pharmaceutical Co., Ltd., Japan; Takahashi, Masayuki; Morita,
     Hiromi; Kikuchi, Hiroshi
SO
     PCT Int. Appl., 37 pp.
     CODEN: PIXXD2
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     WO 9826803 A1 19980625 WO 1997-JP4650 19971217
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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA GN, MI, MP, NE, SN, TD, TC
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     AU 9877357
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                                          JP 1997-349161 19971218
                      A 19990818
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PRAI JP 1996-339638 19961219
     WO 1997-JP4650 19971217
             THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 116 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    129:74000 MARPAT
TI
     Photochromic electrostatic toner composition
     Martin, Trevor I.; Jennings, Carol A.; Johnson, Eric G.; Oliver, John F.
ΙN
PA
     Xerox Corp., USA
SO
     U.S., 39 pp., Cont. of U.S. Ser. No. 567,589, abandoned.
     CODEN: USXXAM
DT
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LΑ
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                     A 19980602
   US 5759729
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PRAI US 1995-567589 19951205
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
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ANSWER 117 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     129:41380 MARPAT
TI
     Processes for the diastereoselective synthesis of nucleoside analogs
     Mansour, Tarek; Tse, Allan H. L.
IN
     Biochem Pharma Inc., Can.
PA
SO
     U.S., 13 pp., Cont.-in-part of U.S. Ser. No. 703,379, abandoned.
     CODEN: USXXAM
DT
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LΑ
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FAN.CNT 4
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                   KIND DATE
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     US 5756706 A 19980526 US 1994-142389 19940513
WO 9220696 A1 19921126 WO 1992-CA209 19920520
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     AU 9216913
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B1 19960531 PL 1992-301339 19920520
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C1 19980220 RU 1993-58554 19920520
B6 19981104 SK 1993-1293 19920520
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A2 20011225 JP 2001-136217 19920521
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     PL 168910
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PRAI US 1991-703379 19910521
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RE.CNT 38
              THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
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     ANSWER 118 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
L4
ΑN
     128:294698 MARPAT
TΤ
     Thio acid-derived monocyclic N-heterocyclics as anticoagulants
IN
     Kochanny, Monica J.; Morrissey, Michael M.; Ng, Howard P.
PΑ
     Schering Aktiengesellschaft, Germany
SO
     PCT Int. Appl., 83 pp.
     CODEN: PIXXD2
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     WO 9815547 A1 19980416
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              LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,
              PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ,
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    US 6004985
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    AU 9746240
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    EP 934310
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                           19990811
                                         EP 1997-944891 19970924
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             THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
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T.4
    ANSWER 119 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    128:283084 MARPAT
ΤI
    Preparation of piperidine-keto-carboxylic acid derivatives and their use
    as inhibitors of cysteine proteases
IN
    Lubisch, Wilfried; Moeller, Achim; Delzer, Juergen
PA
    BASF A.-G., Germany
SO
    Ger. Offen., 16 pp.
    CODEN: GWXXBX
DT
    Patent
LΑ
    German
FAN.CNT 1
    PATENT NO. KIND DATE
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    DE 19642591 A1 19980416 DE 1996-19642591 19961015
WO 9816512 A1 19980423 WO 1997-EP5202 19970923
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        W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HU, ID, IL, JP, KR, KZ, LT,
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    AU 9747770
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    AU 736754
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    EP 934273
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                    C2 20020927
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      NO 9901761
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                                                                      19990415
PRAI DE 1996-19642591 19961015
     WO 1997-EP5202
                         19970923
      ANSWER 120 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
     128:257333 MARPAT
ΤI
      Preparation of heterocyclic compounds as new antidotes in herbicidal
      compositions
      Tobler, Hans; Szczepanski, Henry; Fory, Werner
IN
PA
     Novartis A.-G., Switz.; Tobler, Hans; Szczepanski, Henry; Fory, Werner
      PCT Int. Appl., 82 pp.
SO
      CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
      PATENT NO.
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     WO 9813361 A1 19980402 WO 1997-EP5252 19970924
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RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MP, NF, SN, TD, TG
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     EP 929543
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          R: DE, FR, GB
      ZA 9708579
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                         В1
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                                                                    19990624
PRAI CH 1996-2359
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     WO 1997-EP5252 19970924
                THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 10
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     ANSWER 121 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
     128:204878 MARPAT
AN
     Preparation of pyrazinobenzothiazine derivatives and analogs for the
ΤI
     treatment of inflammation and autoimmune diseases
IN
     Kaneko, Toshihiko; Clark, Richard; Ohi, Norihito; Ozaki, Fumihiro;
     Kawahara, Tetsuya; Kamada, Atsushi; Okano, Kazuo; Yokohama, Hiromitsu;
     Muramoto, Kenzo; Arai, Tohru; Ohkuro, Masayoshi; Takenaka, Osamu; Sonoda,
     Jiro
     Eisai Co., Ltd., Japan; Kaneko, Toshihiko; Clark, Richard; Ohi, Norihito;
PA
     Ozaki, Fumihiro; Kawahara, Tetsuya; Kamada, Atsushi; Okano, Kazuo;
     Yokohama, Hiromitsu; et al.
SO
     PCT Int. Appl., 1344 pp.
     CODEN: PIXXD2
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PATENT NO.
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      WO 9806720 A1 19980219 WO 1997-JP2787 19970808
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RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                      A1 19980306 AU 1997-37849 19970808
A 19990208 ZA 1997-7103 19970808
A1 19990811 EP 1997-934750 19970808
      AU 9737849
      ZA 9707103
      EP 934941
           R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
      US 6518423 B1 20030211 US 1999-230852 19990405
PRAI JP 1996-210344 19960809
      WO 1997-JP2787 19970808
RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD
                  ALL CITATIONS AVAILABLE IN THE RE FORMAT
      ANSWER 122 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
      128:192940 MARPAT
      Preparation of amidino-substituted peptides as thrombin inhibitors
TI
IN
      Baucke, Dorit; Lange, Udo; Mack, Helmut; Seitz, Werner; Zierke, Thomas;
      Hoffken, Hans Wolfgang; Hornberger, Wilfried
      BASF Aktiengesellschaft, Germany; Baucke, Dorit; Lange, Udo; Mack, Helmut;
PA
      Seitz, Werner; Zierke, Thomas; Hoffken, Hans Wolfgang; Hornberger,
      Wilfried
      PCT Int. Appl., 69 pp.
SO
      CODEN: PIXXD2
DT
      Patent
LΑ
      German
FAN.CNT 1
      PATENT NO. KIND DATE APPLICATION NO. DATE
      WO 9806741 Al 19980219 WO 1997-EP4104 19970729
W: AL, AU, BG, BR, CA, CN, CZ, GE, HU, IL, JP, KR, LT, LV, MX, NO,
PΙ
                 NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD,
                 RU, TJ, TM
            RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
      DE 19632773 A1 19980219 DE 1996-19632773 19960814
AU 9739417 A1 19980306 AU 1997-39417 19970729
      AU 9739417
      AU 735364
                             B2 20010705

      BR 9711191
      A 19990817
      BR 1997-11191
      19970729

      CN 1228783
      A 19990915
      CN 1997-197391
      19970729

      EP 956294
      A1 19991117
      EP 1997-936672
      19970729

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                 SI, FI, RO
      JP 2000516598 T2

      JP 2000516598
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      JP 1998-509340
      19970729

      RU 2175328
      C2 20011027
      RU 1999-104925
      19970729

      ZA 9707239
      A 19990215
      ZA 1997-7239
      19970813

      US 6114358
      A 20000905
      US 1999-242289
      19990210

      NO 9900662
      A 19990212
      NO 1999-662
      19990212

      KR 2000030002
      A 20000525
      KR 1999-701279
      19990213

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                                                         JP 1998-509340
                                                                               19970729
PRAI DE 1996-19632773 19960814
      WO 1997-EP4104 19970729
                  THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
                  ALL CITATIONS AVAILABLE IN THE RE FORMAT
L4
      ANSWER 123 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
ΑN
      128:180278 MARPAT
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Patel 8/29/2003>

Preparation of cephalosporins as bactericides against methicillin-

TΙ

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resistant Staphylococcus aureus
IN
    Takagi, Hiroyasu; Yotsuji, Minako; Jinna, Hiroshi; Matsukura, Hiroko;
    Murakami, Makoto; Minami, Shinsaburo; Watanabe, Yasuo
PΑ
    Toyama Chemical Co., Ltd., Japan
    Jpn. Kokai Tokkyo Koho, 26 pp.
SO
    CODEN: JKXXAF
DT
    Patent
LΑ
    Japanese
FAN.CNT 1
    PATENT NO.
                  KIND DATE
                                        APPLICATION NO. DATE
    -----
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    JP 10036375
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                    A2 19980210
                                      JP 1996-213083 19960724
PRAI JP 1996-213083 19960724
    ANSWER 124 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
L4
AN
    128:48245 MARPAT
TI
    Preparation of benzamidine derivatives as anticoagulants
    Buckman, Brad O.; Davey, David D.; Guilford, William J.; Morrissey,
IN
    Michael M.; Ng, Howard P.; Phillips, Gary B.; Wu, Shung C.; Xu, Wei
PA
    Berlex Laboratories, Inc., USA
SO
    U.S., 46 pp., Cont.-in-part of U.S. Ser. No. 401,829, abandoned.
    CODEN: USXXAM
DT
    Patent
LΑ
    English
FAN.CNT 2
                    KIND DATE
    PATENT NO.
                                        APPLICATION NO. DATE
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                    A 19971125 US 1995-473385 19950607
AA 19960919 CA 1996-2214685 19960308
A1 19960919 WO 1996-US2641 19960308
    US 5691364
PΙ
    CA 2214685
    WO 9628427
        W: AU, CA, JP, US
        RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
    AU 9652994
                   A1 19961002 AU 1996-52994 19960308
    AU 707323
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                          19990708
    EP 813525
                    A1 19971229
                                        EP 1996-909536 19960308
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, FI
    US 5877181
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                          19990302
                                        US 1997-910774
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                    A 19990330
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                         20000307
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                                        US 1999-436399
    US 6306884
                    B1 20011023
                                                        19991108
    US 6350746
                     B1 20020226
                                        US 1999-457457
                                                       19991208
PRAI US 1995-401829
                    19950310
    US 1995-473385
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    WO 1996-US2641
                    19960308
    US 1997-910609
                    19970813
    US 1997-913241 19971208
L4
    ANSWER 125 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
AN
    128:28562 MARPAT
    Developer and method for processing of silver halide photographic material
ΤI
IN
    Watanabe, Harumi; Sasaki, Hirotomo
PΑ
    Fuji Photo Film Co., Ltd., Japan
SO
    Jpn. Kokai Tokkyo Koho, 40 pp.
    CODEN: JKXXAF
DT
    Patent
LΑ
    Japanese
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FAN.CNT 1
     PATENT NO.
                   KIND DATE
                                        APPLICATION NO. DATE
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     JP 09274290
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                                        JP 1996-325522 19961205
PRAI JP 1996-21280 19960207
     ANSWER 126 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     128:19713 MARPAT
TI
     Synergistic antimicrobial enzymic peroxidase compositions
IN
     Johansen, Charlotte
     Novo Nordisk A/s, Den.; Johansen, Charlotte
PΑ
     PCT Int. Appl., 75 pp.
SO
     CODEN: PIXXD2
DT
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LΑ
FAN.CNT 1
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     WO 9742825 A1 19971120 WO 1997-DK205 19970506
PΙ
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            ML, MR, NE, SN, TD, TG
    AU 9726933
                    A1 19971205
                                       AU 1997-26933 19970506
    EP 912097
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                    A1 19990506
                                       EP 1997-920611 19970506
                    B1 20020807
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI
    JP 2000512267 T2 20000919 JP 1997-540399 19970506
    AT 221729
                     E 20020815
                                        AT 1997-920611 19970506
    US 2002119136
                     A1 20020829
                                        US 2001-815848 20010323
PRAI DK 1996-559
                    19960509
    DK 1996-785
                    19960715
    WO 1997-DK205
                    19970506
    US 1998-174956 19981019
    ANSWER 127 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    128:13253 MARPAT
ΤI
    Fused pyridine N-hydroxy carboxamide derivatives and analogs as inhibitors
    of metalloproteases, process for their preparation, and pharmaceutical
    compositions containing them
    De Nanteuil, Guillaume; Paladino, Joseph; Remond, Georges; Atassi, Ghanem;
IN
    Pierre, Alain; Tucker, Gordon; Bonnet, Jacqueline; Sabatini, Massimo
    Adir Et Compagnie, Fr.
PA
SO
    Eur. Pat. Appl., 31 pp.
    CODEN: EPXXDW
DT
    Patent
LΑ
    French
FAN.CNT 1
    PATENT NO. KIND DATE
                                 APPLICATION NO. DATE
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                                        -----
                    A1 19971029 EP 1997-400913 19970423
PΙ
    EP 803505
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
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FR 2748026
                          19971031
                                        FR 1996-5321
                    A1
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    NO 9701862
                                        NO 1997-1862
                     A
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                     AA
    CA 2203618
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                                        CA 1997-2203618 19970424
    CA 2203618
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    AU 9719121
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                                        AU 1997-19121
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    ZA 9703647
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    CN 1165817
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                         19971126
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    JP 10059936
                    A2 19980303
                                        JP 1997-108954
                                                        19970425
    US 5866587
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                                        US 1997-842982
                                                        19970425
PRAI FR 1996-5321
                    19960426
OS
    CASREACT 128:13253
    ANSWER 128 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    127:162011 MARPAT
    Preparation of heterocycle-condensed morphinoid derivatives for use as
ΤI
    analgesics
    Dondio, Giulio; Ronzoni, Silvano; Gatti, Pier Andrea; Graziani, Davide
IN
PΑ
    Smithkline Beecham S.P.A., Italy; Dondio, Giulio; Ronzoni, Silvano; Gatti,
    Pier Andrea; Graziani, Davide
SO
    PCT Int. Appl., 49 pp.
    CODEN: PIXXD2
DT
    Patent
    English
LΑ
FAN.CNT 1
    PATENT NO. KIND DATE
                                        APPLICATION NO. DATE
    WO 9725331 A1 19970717 WO 1997-EP120 19970108
ΡI
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
            DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
            RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN,
            AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
            IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
            MR, NE, SN, TD, TG
    CA 2242609
                    AA 19970717
                                        CA 1997-2242609 19970108
    AU 9714410
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    AU 706370
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                     A1
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                          19990407
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    CN 1090190
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                          20020904
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    BR 9707136
                          19990831
                                        BR 1997-7136
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    NZ 326331
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                          20000128
                                        NZ 1997-326331
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                  T2 20000314
    JP 2000503019
                                        JP 1997-524871
                                                        19970108
                    E
    AT 229958
                         20030115
                                        AT 1997-901009
                                                        19970108
    ES 2188888
                    T3 20030701
                                        ES 1997-901009
                                                       19970108
    ZA 9700172
                    A 19980709
                                        ZA 1997-172
                                                        19970109
    NO 9803169
                    A 19980909
B1 20020402
                                        NO 1998-3169
    US 6365594
                                        US 1999-101213
                                                       19990222
                  19960110
PRAI IT 1996-MI29
    IT 1996-MI2291 19961105
    WO 1997-EP120
                   19970108
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ANSWER 129 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
     127:161844 MARPAT
TΙ
     Preparation of pyrido-1,2,4-thiadiazines and pyrido-1,4-thiazines as
     openers of the KATP-regulated potassium channels
     Pirotte, Bernard; Lebrun, Philippe; De Tullio, Pascal; Somers, Fabian;
IN
     Delarge, Jacques Elie; Hansen, Holger Claus; Nielsen, Flemming Elmelund;
     Hansen, John Bondo
     Novo Nordisk A/S, Den.
PA
SO
     PCT Int. Appl., 46 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                 KIND DATE
                                       APPLICATION NO. DATE
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     WO 9726264 A1 19970724 WO 1997-DK18 19970116
PΙ
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
            DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
            RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
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     CA 2241565
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                          19970724
                                        CA 1997-2241565 19970116
     AU 9714370
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                          19970811
                                         AU 1997-14370 19970116
    AU 727905
                     B2
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                    A 19980218 ZA 1997-353 19970116
A1 19981118 EP 1997-900933 19970116
     ZA 9700353
     EP 877748
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            IE, SI, LT, LV, FI, RO
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                                         CN 1997-191748
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     BR 9707004
                     Α
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     JP 2000503651
                    T2 20000328
                                        JP 1997-525608
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    RU 2193564
                     C2 20021127
                                       RU 1998-115386
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    US 5792764
                    A 19980811
                                       US 1997-785435
                                                         19970117
    NO 9803285
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                          19980916
                                       NO 1998-3285
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PRAI DK 1996-42
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    DK 1996-246
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    DK 1996-247
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    DK 1996-249
                     19960305
    WO 1997-DK18
                    19970116
    ANSWER 130 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    127:81360 MARPAT
    Preparation of dibenz[de,h]isoquinoline-1,3-diones antitumor agents
TI
    Alberts, David S.; Dorr, Robert T.; Remers, William A.; Sami, Salah M.
IN
    Research Corporation Technologies, Inc., USA
PA
SO
    U.S., 39 pp., Cont.-in-part of U.S. Ser. No. 943,634, abandoned.
    CODEN: USXXAM
DT
    Patent
LΑ
    English
FAN.CNT 3
    PATENT NO. KIND DATE
                                 APPLICATION NO. DATE
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     US 5635506 A 19970603
WO 9406771 A1 19940331
PΙ
                                        US 1993-142283 19931118
                                         WO 1993-US8640 19930913
        W: AU, CA, JP, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
PRAI US 1990-543596
                     19900626
     US 1991-803314
                     19911204
     US 1992-943634
                     19920911
     WO 1993-US8640
                     19930913
    ANSWER 131 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     127:17703 MARPAT
ΤI
     Preparation of (hetero)aromatic compounds for treating bone deficit
     conditions.
     Petrie, Charles; Orme, Mark W.; Baindur, Nand; Robbins, Kirk G.; Harris,
IN
     Scott M.; Kontoyianni, Maria; Hurley, Laurence H.; Kerwin, Sean M.; Mundy,
PA
     Zymogenetics, Inc., USA; Osteoscreen, Inc.; University of Texas At Austin
     PCT Int. Appl., 99 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO. KIND DATE
                                  APPLICATION NO. DATE
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    WO 9715308 A1 19970501 WO 1996-US17019 19961023
PΙ
        W: AL, AM, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, FI, GE, HU, IL,
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            MD, RU, TJ, TM
        RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
            IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
            MR, NE, SN, TD, TG
     CA 2235481
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    AU 706262
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     EP 866710
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           AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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    US 6008208
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                      В1
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                                         US 1999-453828 19991202
PRAI US 1995-5830P
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     US 1996-735875
                     19961023
    WO 1996-US17019 19961023
    US 1997-878868
                     19970619
    ANSWER 132 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    126:251151 MARPAT
ΤI
    Preparation and formulation of benzodioxoleacetic acid and phenylacetic
    acid derivatives as endothelin antagonists
ΙN
    Hayashi, Kunio; Yamamori, Teruo; Kanda, Yasuhiko
    Shionogi and Co., Ltd., Japan; Hayashi, Kunio; Yamamori, Teruo; Kanda,
PA
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Yasuhiko
SO
    PCT Int. Appl., 104 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    Japanese
FAN.CNT 1
                                      APPLICATION NO. DATE
                  KIND DATE
    PATENT NO.
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                    A1 19970320 WO 1996-JP2607 19960912
    WO 9710214
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
            DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO,
            RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
            IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI
    AU 9669446
                    A1
                         19970401
                                      AU 1996-69446
PRAI JP 1995-262337
                    19950914
    WO 1996-JP2607
                   19960912
L4
    ANSWER 133 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
ΑN
    126:157762 MARPAT
ΤI
    Preparation of indolopyrrolocarbazole nucleoside analogs as antitumors
IN
    Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda,
    Hiroyuki
PΑ
    Banyu Pharmaceutical Co., Ltd., Japan
SO
    U.S., 40 pp., Cont.-in-part of U.S. Ser. No. 5,437,996.
    CODEN: USXXAM
DT
    Patent
LΑ
    English
FAN.CNT 6
    PATENT NO. KIND DATE
                                        APPLICATION NO. DATE
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    PL 172316
                    B1 19970930
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    US 5668271
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                          19970916 US 1995-474659 19950607
    US 5804564
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PRAI JP 1991-341916
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    ANSWER 134 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
     126:112509 MARPAT
     Electrochemiluminescent metal chelate labels and means for detection
TI
     Yang, Hongjun; Gudibande, Satyanarayana R.
IN
PA
     Igen, Inc., USA
     PCT Int. Appl., 50 pp.
SO
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    ANSWER 135 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
L4
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    126:103115 MARPAT
TI
     Peptide analogs and their use as haptens to elicit catalytic antibodies
ΙN
    Hansen, David E.
PΑ
     Igen, Inc., USA
     PCT Int. Appl., 62 pp.
SO
     CODEN: PIXXD2
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PRAI US 1995-471140
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    ANSWER 136 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
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ΑN
     126:88342 MARPAT
TI
     Preparation of hydroxy compounds by bioconversion with dioxygenase
IN
     Blacker, Andrew John; Boyd, Derek Raymond; Dalton, Howard; Bowers, Nigel
     Zeneca Limited, UK; Blacker, Andrew John; Boyd, Derek Raymond; Dalton,
PA
     Howard; Bowers, Nigel
     PCT Int. Appl., 18 pp.
SO
     CODEN: PIXXD2
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     English
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            SG, SI
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OS
     CASREACT 126:88342
L4
    ANSWER 137 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     126:59967 MARPAT
     Preparation of 2-pyrimidino alkyl ethers and thioethers as inhibitors of
TI
    viral reverse transcriptase
IN
     Nugent, Richard A.; Wishka, Donn G.; Cleek, Gary J.; Graber, David R.;
     Schlachter, Stephen Thomas; Murphy, Michael J.; Morris, Joel; Thomas,
     Richard C.
PA
    Upjohn Co., USA; Nugent, Richard A.; Wishka, Donn G.; Cleek, Gary J.;
    Graber, David R.; Schlachter, Stephen Thomas; Murphy, Michael J.; Morris,
     Joel; Thomas, Richard C.
SO
     PCT Int. Appl., 252 pp.
     CODEN: PIXXD2
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                      A 19990202 BR 1996-8265 19960503
T2 19990622 JP 1996-534120 19960503
C2 20010520 RU 1997-120116 19960503
B 20010821 TW 1996-85105432 19960507
A 20000328 US 1997-945153 19971017
A 19980107 NO 1997-5129 19971107
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     CN 1183773
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     BR 9608265
     JP 11507017
     RU 2167155
     TW 450962
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     US 6043248
PRAI US 1995-436708 19950508
     WO 1996-US6119 19960503
     ANSWER 138 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
     126:8707 MARPAT
ΤI
     Preparation of beta-sheet mimetics of peptides or proteins as inhibitors
     of biologically active peptides or proteins
IN
     Kahn, Michael
     Molecumetics Ltd., USA
PA
SO
     PCT Int. Appl., 158 pp.
     CODEN: PIXXD2
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LΑ
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FAN.CNT 3
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     WO 9630035 Al 19961003 WO 1996-US4044 19960325
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             SG, SI
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     AU 9653714
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     US 6020331
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     US 6586426
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PRAI US 1995-410518
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    US 1995-549006 19951027
     JP 1996-529594 19960325
    US 1996-624690
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    US 1996-624695
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- Indoanilines and their metal complexes, their preparation, and recording mediums comprising them
- Ohashi, Reiji; Ryu, Yukiko; Nagai, Tomoaki; Yoshioka, Hidetoshi IN
- PANippon Paper Industries Co., Ltd., Japan
- Eur. Pat. Appl., 102 pp. SO CODEN: EPXXDW
- DTPatent
- LΑ English

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	PATENT NO.	KIND	DATE	APPLICATION NO. DATE							
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	EP 737722	A3	19961023								
	R: DE, FR,	GB									
	JP 08337586	A2	19961224	JP 1996-94672 19960326							
	JP 3271893	B2	20020408								
	US 5792863	A	19980811	US 1996-631947 19960415							
	US 5892042	Α	19990406	US 1997-933609 19970918							
	US 5919928	Α	19990706	US 1997-933604 19970918							
PRAI	PRAI JP 1995-113580		414								
	US 1996-631947	19960	19960415								
OS	CASREACT 125:331558										

- L4ANSWER 140 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
- 125:328514 MARPAT AN
- Preparation of benzamidine derivatives as anticoagulants TI
- IN Buckman, Brad O.; Davey, David D.; Guilford, William J.; Morrissey, Michael M.; Ng, Howard P.; Phillips, Gary B.; Wu, Shung C.; Xu, Wei
- PA Berlex Laboratories, Inc., USA
- SO PCT Int. Appl., 123 pp. CODEN: PIXXD2
- DT Patent
- English LΑ

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PI	WO	9628427 W: AU, CA, JE					1996	0919		WO	199	96-US	5264	1	1996	0308			
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			23				1999												
	EΡ	8135	25		A.	1	1997	1229		EP	199	96-90	953	6	1996	0308			
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	ΝL,	SE,	MC,	PT,	
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			5158				2000	1128		JP	199	96-52	2764	0	1996	0308			
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		6306884 2002028820 2002035109 6479485				2001	1023		US	199	99-43	3639	9	1999	1108				
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						2002	0321		US	200	01-92	2441	3	2001	0807				
	US			B:		2002													
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    ANSWER 141 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    125:328144 MARPAT
ΤI
     Stereoselective ring opening reactions
IN
     Jacobsen, Eric N.; Leighton, James L.; Martinez, Luis E.
PΑ
     President and Fellows of Harvard College, USA
     PCT Int. Appl., 100 pp.
SO
     CODEN: PIXXD2
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LΑ
FAN.CNT 4
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     WO 9628402 A1 19960919 WO 1996-US3493 19960314
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             LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
             SG, SI
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                 A 19970909 US 1995-403374 19950314
AA 19960919 CA 1996-2213007 19960314
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EP 817765 A1 19980114 EP 1996-910448 19960314
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, FI
                      T2 19990223 JP 1996-527817 19960314
B1 20030131 PL 1996-327632 19960314
A 19971113 NO 1997-4234 19970912
     JP 11502198
    PL 184857
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PRAI US 1995-403374 19950314
     WO 1996-US3493 19960314
OS
     CASREACT 125:328144
    ANSWER 142 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    125:208295 MARPAT
ΤI
     Photographic bleaching compositions and processing method using ternary
     iron carboxylate complexes as bleaching agents
IN
     Buchanan, John M.; Brown, Eric R.; Gordon, Stuart
PA
    Eastman Kodak Company, USA
SO
     Eur. Pat. Appl., 25 pp.
     CODEN: EPXXDW
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    English
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                                            EP 1996-200028 19960105
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JP 08240893
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    JP 2801575
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    ANSWER 143 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    125:114628 MARPAT
AN
ΤI
    2-Oxopyrrolo[1,2-a]benzimidazole-3-carboxyl derivatives useful in treating
    central nervous system disorders
ΙN
    Ho, Winston; Maryanoff, Bruce E.; McComsey, David F.; Nortey, Samuel O.
PA
SO
    U.S., 9 pp., Cont. of U.S. Ser. No. 175, 705, abandoned.
    CODEN: USXXAM
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LΑ
FAN.CNT 1
    PATENT NO. KIND DATE
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                   A 19960528 US 1994-332687 19941101
PRAI US 1993-175705 19931230
    ANSWER 144 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    125:99954 MARPAT
AN
ΤT
    Photographic peracid bleaching composition and processing method using
    ternary iron carboxylate complex as catalyst in peracid bleaching solution
    Buchanan, John M.; Brown, Eric R.; Gordon, Stuart T.
ΙN
PΑ
    Eastman Kodak Company, USA
    U.S., 15 pp.
CODEN: USXXAM
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    PATENT NO. KIND DATE APPLICATION NO. DATE
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PΤ
    US 5521056
                 A 19960528
                                     US 1995-370743 19950110.
PRAI US 1995-370743 19950110
    ANSWER 145 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    125:49344 MARPAT
ΤI
    Natriuretic cyclic compounds
IN
    Wechter, William J.; Murray, David E.; Kantoci, Darko; Levine, Barry H.;
    Benaksas, Elaine J.
PA
    Loma Linda University Medical Center, USA
    PCT Int. Appl., 74 pp.
SO
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                   A1 19960222 WO 1995-US10411 19950815
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    WO 9605191
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                                      EP 1995-929559
                    A1
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    EP 792270
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                    В1
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    AT 239465
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    US 6083982
                    A
                         20000704
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PRAI US 1994-290430
                   19940815
    WO 1995-US10411 19950815
    ANSWER 146 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    125:10631 MARPAT
AN
ТΤ
    Preparation of 2,9-diamino- and 2-amino-8-carbamoyl-4-hydroxyalkanoic acid
    amides as renin inhibitors
IN
    Rasetti, Vittorio; Rueeger, Heinrich; Maibaum, Juergen Klaus; Mah, Robert;
    Gruetter, Markus; Cohen, Nissim Claude
    Ciba-Geigy A.-G., Switz.
PA
SO
    Eur. Pat. Appl., 115 pp.
    CODEN: EPXXDW
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FAN.CNT 1
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    EP 702004 A2 19960320 EP 1995-113964 19950906
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    AU 9530534 A1 19960328 AU 1995-30534 19950908
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    HU 74453
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    CN 1169986
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    JP 08176087
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PRAI CH 1994-2816
                  19940915
    ANSWER 147 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    125:10625 MARPAT
ΤI
    Preparation of subunit-selective NMDA receptor-antagonist haloperidol
    analogs
    Cai, Sui Xiong; Woodward, Richard M.; Lan, Nancy C.; Weber, Eckard
IN
PΑ
    Acea Pharmaceuticals Inc., USA; Cocensys, Inc.
SO
    PCT Int. Appl., 107 pp.
    CODEN: PIXXD2
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FAN.CNT 1
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    WO 9602250 A1 19960201
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           SN, TD, TG
    AU 9531385
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                                     AU 1995-31385 19950720
                  19940720
PRAI US 1994-277871
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    US 1995-475990
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    ANSWER 148 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
ΑN
   125:10614 MARPAT
ΤI
    Preparation of benzannelated five-membered heterocyclecarboxamides as 5-HT
    receptor antagonists
    Forbes, Ian Thomson; Jones, Graham Elgin; King, Francis David; Ham, Peter;
    Davies, David Thomas; Moghe, Angela
    Smithkline Beecham Plc, UK
PA
SO
    PCT Int. Appl., 28 pp.
    CODEN: PIXXD2
DT
    Patent
   English
LA
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    WO 9602537
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                                      WO 1995-EP2637 19950706
        W: JP, US
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                  A1 19970502 EP 1995-943540 19950706
       R: BE, CH, DE, FR, GB, IT, LI, NL
    JP 10502653 T2 19980310 JP 1995-504647 19950706
    US 5922733
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PRAI GB 1994-14139
                  19940713
    WO 1995-EP2637 19950706
    ANSWER 149 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
   124:316867 MARPAT
ΤI
    Carbapenem derivatives containing a bicyclic substituent
IN
    Arnould, Jean-Claude
PΑ
    Zeneca Limited, UK; Zeneca-Pharma
SO
    Eur. Pat. Appl., 27 pp.
    CODEN: EPXXDW
DT
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LΑ
    English
FAN.CNT 1
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       R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
    US 5607928 A 19970304 US 1995-508698 19950728
    JP 08059664
                   A2 19960305
                                     JP 1995-201126 19950807
PRAI EP 1994-401814 19940805
   ANSWER 150 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN 124:261017 MARPAT
TI 1,3-Benzodioxole-2,2-dicarboxylate derivatives and analogs as selective
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.beta.3-adrenergic agents
    Epstein, Joseph W.; Birnberg, Gary H.; Qing, Feng L.
IN
PA
    American Cyanamid Co., USA
SO U.S., 20 pp.
    CODEN: USXXAM
DT
    Patent
LΑ
    English
FAN.CNT 1
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                    A 19960109
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    US 5482971
                                      US 1993-130601 19931001
PRAI US 1993-130601 19931001
    ANSWER 151 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    124:135707 MARPAT
    Pharmaceutical use of transition metal complexes as peroxynitrite
TI
    decomposition catalysts
IN
    Stern, Michael Keith; Salvemini, Daniela
    Monsanto Co., USA
PA
SO
    PCT Int. Appl., 68 pp.
    CODEN: PIXXD2
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    WO 9531197 A1 19951123 WO 1995-US5886 19950509
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            SN, TD, TG
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    AU 9525120
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                        19951205
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    AU 709553
                    B2 19990902
    EP 758892
                    A1 19970226
                                       EP 1995-919143 19950509
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
    CN 1152871 A 19970625 CN 1995-194075 19950509
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                    A2 19970828
                                        HU 1996-3140
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                                        BR 1995-7643
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                                       JP 1995-529755 19950509
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NO 1996-4793 19961112
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                        19970106
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    US 1995-431593
    WO 1995-US5886 19950509
    ANSWER 152 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    123:286106 MARPAT
TI
    Preparation of substituted cyclic carbonyl derivatives as retroviral
    rotease inhibitors
    Lam, Patrick Yuk-Sun; Jadhav, Prabhakar Kondaji; Eyermann, Charles Joseph;
IN
    Hodge, Carl Nicholas; De, Lucca George Vincent; Rodgers, James David
PΑ
    Du Pont Merck Pharmaceutical Co., USA
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SO
    PCT Int. Appl., 525 pp.
    CODEN: PIXXD2
DT
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LΑ
    English
FAN.CNT 5
                   KIND DATE
    PATENT NO.
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    WO 9419329
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                                      WO 1994-US1609
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                   A 19970311 US 1994-197630 19940216
                     A1
    AU 9465493
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                                        AU 1994-65493
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    EP 686151
                     A1 19951213
                                        EP 1994-913262 19940223
    EP 686151
                    B1 20000705
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    AT 194333
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                                        AT 1994-913262 19940223
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    US 1993-47330
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    US 1994-197630
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    US 1991-776491
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    US 1992-883944
                   19920515
    US 1992-953272
                   19920930
    WO 1994-US1609
                   19940223
    ANSWER 153 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
ΑN
    123:286084 MARPAT
ΤI
    Dibenzocycloheptenylidenepiperidine, dibenzocycloheptenylpiperazine, and
    heterocyclic analogs as PAF antagonists and antihistaminics
IN
    Wong, Jesse K.; Piwinski, John J.; Green, Michael J.
PA
    USA
SO
    U.S., 29 pp. Cont.-in-part of U.S. Ser. No. 595,329,abandoned.
    CODEN: USXXAM
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    English
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                                   US 1993-39072
WO 1991-US7170
    US 5416087 A 19950516
WO 9206970 A1 19920430
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            GR, IT, LU, ML, MR, NL, SE, SN, TD, TG
PRAI US 1990-595329
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    WO 1991-US7170
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    ANSWER 154 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
ΑN
    123:285816 MARPAT
ΤI
    Preparation of heteronaphthoquinones and glycosides thereof as antitumor
    drugs.
    Attardo, Giorgio; Wang, Wuyi; Breining, Tibor; Li, Tiechao; St.-Denis,
IN
    Yves; Kraus, Jean-Louis
    Biochem Pharma Inc., Can.
PA
SO
    PCT Int. Appl., 159 pp.
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CODEN: PIXXD2
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WO 9512588 A1 19950511 WO 1994-CA210 19940506
    WO 9512588
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    AU 9466727
                     A1 19950523 AU 1994-66727 19940506
PRAI US 1993-148251 19931105
     WO 1994-CA210 19940506
    ANSWER 155 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     123:198824 MARPAT
TI
     Preparation of tricyclic sulfonamide inhibitors of farnesyl protein
     transferase for the treatment of cell proliferative diseases
    Bishop, W. Robert; Doll, Ronald J.; Mallams, Alan K.; Njoroge, F. George;
IN
     Petrin, Joanne M.; Piwinski, John J.
PA
     Schering Corp., USA
    PCT Int. Appl., 82 pp.
SO
     CODEN: PIXXD2
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    WO 9510514 A1 19950420 WO 1994-US11390 19941012
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    AU 698960
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                           19960712
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                     T3 20020301 ES 1994-930649
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                                          ES 1994-930649
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PRAI US 1993-137856 19931015
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    ANSWER 156 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
AN
    123:55860 MARPAT
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ΤI
    Process for the preparation of 1-(heterocyclylthio)-4,4-difluoro-3-butene-
    derivative nematicides
IN
    Turnbull, Michael Drysdale; Willetts, Nigel James; Fitzjohn, Steven;
    Kholia, Prafula Govind; Smith, Alison Mary; Salmon, Roger; Bansal,
    Harjinder Singh; Williams, Alfred Glyn
    Zeneca Ltd., UK
PΑ
    PCT Int. Appl., 33 pp.
SO
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    AU 9471930
                   A1 19950228
    EP 712395
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                                      JP 1994-506270
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                   T3 20021216
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                   A 19950328
                                     ZA 1994-5561
                                                      19940727
    US 5728833
                   A 19980317
                                     US 1994-286142 19940804
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                                     US 1997-976559 19971124
PRAI GB 1993-16219 19930805
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    GB 1993-25453
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    WO 1994-GB1570
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    US 1994-286142
                   19940804
OS
    CASREACT 123:55860
    ANSWER 157 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
L4
AN
    122:265397 MARPAT
    Preparation of (2-fluoroethyl)thio-substituted pyrimidine agrochemical
TI
    nematicides
IN
    Fitzjohn, Steven; Robinson, Michael Peter
PA
    Zeneca Ltd., UK
SO
    Brit. UK Pat. Appl., 21 pp.
    CODEN: BAXXDU
DT
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    English
LΑ
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ANSWER 158 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
\mathbf{A}\mathbf{N}
    122:265017 MARPAT
TI
     Bridged biphenyl carbapenem antibacterial compounds
     Dininno, Frank P.
IN
PΑ
     Merck and Co., Inc., USA
     PCT Int. Appl., 113 pp.
SO
     CODEN: PIXXD2
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     English
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                       A 19950328 US 1993-101141 19930802
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AU 9474093
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     WO 1994-US8632
                      19940727
     ANSWER 159 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
     122:187249 MARPAT
AN
TI
     Preparation of 2-phenanthridinylcarbapenems as antibacterial agents
IN
     Dininno, Frank P.; Greenlee, Mark L.; Rano, Thomas A.; Lee, Wendy
PΑ
     Merck and Co., Inc., USA
     PCT Int. Appl., 115 pp.
SO
     CODEN: PIXXD2
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                      A 19940809 US 1993-9626 19930127
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                       AA 19940804 CA 1994-2154276 19940103
A1 19940815 AU 1994-59902 19940103
A1 19951122 EP 1994-906014 19940103
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     EP 682666
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     WO 1994-US85
                      19940103
     ANSWER 160 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     121:289312 MARPAT
TI
     Photochromic articles and method for their preparation
IN
     Daniele, Girelli; Luciana, Crisci; Pietro, Allegrini
PA
     Enichem Synthesis S.p.A., Italy
SO
     Belg., 45 pp.
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CODEN: BEXXAL
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     BE 1006104
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PRAI IT 1992-MI2379 19921016
    ANSWER 161 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
   121:280369 MARPAT
TI
     Bicyclooctane- and bicycloheptane-derivative gastrin and/or
     cholecystokinin receptor antagonists
ΙN
     Kalindjian, Sarkis Barret; Low, Caroline Minli Rachel; Pether, Michael
     John; Davies, Jonathan Michael Richar; Dunstone, David John; McDonald.
     Iain Mair
PΑ
     James Black Foundation Ltd., UK
SO
    PCT Int. Appl., 80 pp.
     CODEN: PIXXD2
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    WO 9400421 Al 19940106 WO 1993-GB1301 19930618
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    AU 9343489
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                                     US 1994-351320 19941219
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    WO 1993-GB1301 19930618
    ANSWER 162 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
L4
AN
    121:255510 MARPAT
TI
    Preparation of [(pyrimidinyl)thiomethyl]cephalosporin inner salt
    antibiotics
    Kim, Won Sub; Lim, Jong Chan; Bang, Chan Sik; Yeo, Jae Hong; Kim, Yong Zu;
IN
    Oh, Hun Seung; Son, Heui Sung; Kim, Mi Rry; Seo, Mie Kyeong; et al.
PΑ
    Lucky Ltd., S. Korea
    Eur. Pat. Appl., 46 pp.
SO
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    EP 584797
                    A2 19940302
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                    A3 19940608
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
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PRAI KR 1992-15176 19920824
    ANSWER 163 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    121:230759 MARPAT
ΤI
    Thienopyridine derivatives and analogs useful as fibrinogen receptor
     antagonists
IN
    Hartman, George D.; Halczenko, Wasyl; Prugh, John D.
PA
    Merck and Co., Inc., USA
SO
    U.S., 21 pp.
    CODEN: USXXAM
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                    A1 19941124
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    ANSWER 164 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    121:191489 MARPAT
ΤI
    Thin-film organic electroluminescent element for flat display, etc.
ΙN
    Nishizaki, Koji; Takeuchi, Shigeki; Kinoshita, Akira; Shibata, Toyoko;
    Tamaki, Kyoshi
PA
    Konishiroku Photo Ind, Japan
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PRAI JP 1992-20031 19920205
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ΑN
    121:145201 MARPAT
TI
    Photographic processing composition and processing method
    Inaba, Tadashi; Okada, Hisashi; Suzuki, Ryo Hisashi; Katsuoka, Yasuhiro;
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    Seki, Hiroyuki
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    120:323604 MARPAT
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ТT
    preparation of condensed heterocyclic derivatives as weedkillers
    Yokota, Sumio; Matsuzawa, Masafumi; Ohba, Nobuyuki; Nagata, Toshihiro;
ΙN
    Tachikawa, Shiqehiko
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    Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co.,
    Ltd.
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    PCT Int. Appl., 134 pp.
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PRAI JP 1992-199054
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    ANSWER 167 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    120:271074 MARPAT
AΝ
ΤI
    Nuclease-stable and binding-competent oligomers and methods for their use
ΤN
    Swaminathan, Sundaramoorthi; Jones, Robert J.; Matteucci, Mark; Munger,
    John; Pudlo, Jeff
    Gilead Sciences, Inc., USA
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SO
    PCT Int. Appl., 138 pp.
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    ANSWER 168 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
   120:217719 MARPAT
ΤI
    Preparation of nitrogen-containing heterocyclic compounds
    Watabe, Yoshihisa; Kondo, Teruyuki; Akazome, Motohiro
ΤN
    Nissan Chemical Ind Ltd, Japan
PA
    Jpn. Kokai Tokkyo Koho, 12 pp.
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    CODEN: JKXXAF
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FAN.CNT 1
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PRAI JP 1992-41028 19920227
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    2-Substituted saccharin derivative proteolytic enzyme inhibitors
IN
    Hlasta, Dennis John; Desai, Ranjit Chimanlal; Subramanyam, Chakrapani;
    Lodge, Eric Piatt; Dunlap, Richard Paul; Boaz, Neil Warren; Mura, Albert
    Joseph; Latimer, Lee Hamilton
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    Eur. Pat. Appl., 77 pp.
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PRAI US 1991-793033
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AN
    120:134530 MARPAT
TI
    Preparation of (imidazolyl- and imidazolylalkyl) indole derivatives as
    inhibitors of thromboxane A2 synthesis and histamine
IN
    Matsui, Hiroshi; Kamiya, Shoji; Shirahase, Hiroaki; Nakamura, Shohei
    Kyoto Pharmaceutical Industries, Ltd., Japan
PA
SO
    PCT Int. Appl., 73 pp.
    CODEN: PIXXD2
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(ALL HITS ARE ITERATION INCOMPLETES)
AN 120:107001 MARPAT
TI
    Heterocyclic and aromatic amidine derivatives and salts thereof
IN Nagahara, Takayasu; Kanaya, Naoaki; Inamura, Kazue; Yokoyama, Yukio
PΑ
    Daiichi Pharmaceutical Co., Ltd., Japan
SO
    Eur. Pat. Appl., 94 pp.
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    ANSWER 172 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
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    119:273400 MARPAT
    Continuous reaction of halopyrimidines with amines
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IN
    Arnold, Siegbert; Frosch, Hans Georg; Hoppe, Manfred; Muellers, Wolfgang;
    Sommer, Richard
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    Bayer A.-G., Germany
    Eur. Pat. Appl., 26 pp.
SO
    CODEN: EPXXDW
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L4
     119:271017 MARPAT
AN
     Preparation of pyridylaminocyclopentanecarboxamide having antihypertensive
TI
     properties
IN
     Fink, Cynthia A.; Spada, Alfred P.
     Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
PA
     U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 587,884.
SO
     CODEN: USXXAM
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     ANSWER 174 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
     119:152116 MARPAT
AN
TI
     Use of renin inhibitors for the treatment of glaucoma
IN
     Tanaka, Yoko; Kagayama, Akira; Hata, Takehisa
PA
     Fujisawa Pharmaceutical Co., Ltd., Japan
SO
     PCT Int. Appl., 25 pp.
     CODEN: PIXXD2
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FAN.CNT 1
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     ANSWER 175 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     119:95543 MARPAT
TΙ
     Preparation of annelated quinazoline derivatives as acetylcholinesterase
     inhibitors for treatment of cognitive deficiency
     Gregor, Vlad Edward
IN
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     Warner-Lambert Co., USA
SO
     PCT Int. Appl., 137 pp.
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    ANSWER 176 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    119:82775 MARPAT
ΑN
TΙ
    Color photographic material for color proofing
IN
    Inoe, Akyuki; Hirano, Shigeo; Hanaki, Koichi
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    Fuji Photo Film Co., Ltd., Japan
SO
    Jpn. Kokai Tokkyo Koho, 40 pp.
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    118:233888 MARPAT
    Substituted bicyclic bisaryl compounds exhibiting selective leukotriene B4
ΤI
    antagonist activity, their preparation and use in pharmaceutical
    compositions
IN
    Dereu, Norbert; Hendel, Wolfram; Labaudiniere, Richard
PA
    Rhone-Poulenc Rorer S. A., Fr.
SO
    PCT Int. Appl., 95 pp.
    CODEN: PIXXD2
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(ALL HITS ARE ITERATION INCOMPLETES)
AN 116:128686 MARPAT
ΤI
     Benzoheterocyclic compounds
IN
     Ogawa, Hidenori; Miyamoto, Hisashi; Kondo, Kazumi; Yamashita, Hiroshi;
     Nakaya, Kenji; Komatsu, Hajime; Tanaka, Michinori
PΑ
     Otsuka Pharmaceutical Co., Ltd., Japan
SO
     PCT Int. Appl., 909 pp.
     CODEN: PIXXD2
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    115:183340 MARPAT
TI
    Preparation of (sulfonylcarbamoyl)pyrimidines as herbicides and plant
    growth regulators
    Ort, Oswald; Willms, Lothar; Bauer, Klaus; Bieringer, Hermann; Schulz,
IN
    Arno; Sachse, Burkhard; Braun, Peter
PΑ
    Hoechst A.-G., Germany
SO
    Ger. Offen., 94 pp.
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    ANSWER 180 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
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    113:40711 MARPAT
ТŦ
    Preparation of pyrimidopyrimidine derivatives useful as bronchodilators,
    vasodilators, antiallergic agents, and phosphodiesterase inhibitors
ΙN
    Coates, William John
PΑ
    Smith Kline and French Laboratories Ltd., UK
SO
    Eur. Pat. Appl., 32 pp.
    CODEN: EPXXDW
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
                KIND DATE
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                A1 19900117
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    EP 351058
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    AU 8936358 A1 19900104 AU 1989-36358
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                                                US 1991-669691 19910313
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PRAI GB 1988-14352 19880616
      EP 1989-305910
                         19890612
      US 1989-365341 19890613
L4
     ANSWER 181 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
AN
     109:165722 MARPAT
TI
     Preparation of triazolinone herbicides
     Theodoridis, George
IN
PA
     FMC Corp., USA
SO
      PCT Int. Appl., 37 pp.
      CODEN: PIXXD2
DT
      Patent
     English
LΑ
FAN.CNT 2
      PATENT NO. KIND DATE APPLICATION NO. DATE
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      WO 8801133 A1 19880225 WO 1987-US1928
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     HU 48799
A2 19890728
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T2 19900201
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A 19890329
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PRAI US 1986-898453 19860820
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L4
     ANSWER 182 OF 182 MARPAT COPYRIGHT 2003 ACS on STN
AN
     109:6320 MARPAT
TI
     Preparation of 2-[(pyridinioamino)alkyl]penemcarboxylates as antibacterial
     agents
IN
      Schneider, Peter
PA
      Ciba-Geigy A.-G., Switz.
SO
      Eur. Pat. Appl., 23 pp.
      CODEN: EPXXDW
DТ
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LΑ
     German
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                        Al 19880224 EP 1987-810462 19870814
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A 19880221 FI 1987-3556 19870817
DK 8704321 A 19880221 DK 1987-4321 19870819
NO 8703500 A 19880222 NO 1987-3500 19870819
AU 8777217 A1 19880225 AU 1987-77217 19870819
JP 63051387 A2 19880304 JP 1987-204285 19870819
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FILE 'REGISTRY' ENTERED AT 10:22:55 ON 29 AUG 2003

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FILE 'MARPAT' ENTERED AT 10:23:40 ON 29 AUG 2003

L3 OUE L1

FILE 'MARPAT' ENTERED AT 10:28:45 ON 29 AUG 2003

L4 182 S L1 SSS FULL

L5 0 S L4 AND MODULATORS OF CELL REGULATION

=> s l4 and Syk inhibitor

L6 0 L4 AND SYK INHIBITOR

=> file caplus

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SINCE FILE TOTAL ENTRY SESSION

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297.07

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 10:43:44 ON 29 AUG 2003
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FILE COVERS 1907 - 29 Aug 2003 VOL 139 ISS 10 FILE LAST UPDATED: 28 Aug 2003 (20030828/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 14

L7 182 L4

=> s 17 and Syk

L8 1 L7 AND SYK

=> s 17 and modulators of cell regulation L9 0 L7 AND MODULATORS OF CELL REGULATION

=> d 18 fbib hitstr abs total

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L8
    ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
ΑN
    2000:881124 CAPLUS
DN
    134:42141
    Preparation of novel heterocyclic carboxamide derivatives as spleen
ΤI
    tyrosine kinase inhibitors
    Hisamichi, Hiroyuki; Kawazoe, Souichirou; Tanabe, Kazuhito; Ichikawa,
IN
    Atsushi; Orita, Akiko; Suzuki, Takayuki; Onda, Kenichi; Takeuchi, Makoto
PΑ
    Yamanouchi Pharmaceutical Co., Ltd., Japan
SO
    PCT Int. Appl., 36 pp.
    CODEN: PIXXD2
DT
     Patent
LΑ
    Japanese
FAN.CNT 1
    PATENT NO.
                     KIND DATE
                                         APPLICATION NO. DATE
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    WO 2000075113
                     A1 20001214
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            SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
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                                          JP 1999-162692 A 19990609
    JP 2001055378
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                                          JP 1999-162692 A 19990609
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                      A1
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

JP 1999-162692 A 19990609 WO 2000-JP3767 W 20000609

OS MARPAT 134:42141 GI

$$R^3-A-X$$
 $Y=Z$ 
 $R^1$ 
 $R^2$ 
 $R^2$ 
 $R^2$ 
 $R^3-A-X$ 

IE, SI, LT, LV, FI, RO

Nitrogenous six-membered heterocycle compds. bearing as the substituents -X-A-R3, -N-(R1)-(R2-substituted Ph) and -CONH2 [I; wherein A = (substituted) lower alkylene, (substituted) (hetero)arylene, cycloalkylene; X = NR4, CONR4, NR4CO, O, S; the dotted line between Y and Z represents the presence of a bond (Y:Z) or the absence of a bond (Y-Z); Y-Z = NR5-CO, CO-NR5, NR5-NR5, CO-CO; Y:Z = N:CR1, CR7:N, N:N, CR7:CR7; R4 = each H, lower alkyl, -CO-lower alkyl, or -SO2-lower alkyl; R2 = H, (halo-substituted) lower alkyl, -O-lower alkyl, -S-lower alkyl, -O-aryl, nitro, cyano, or the like; R3 = -CO2H, -CO2-lower alkyl, -lower alkylene-CO2H, -NH2, -alkylene-NH2, or the like; R5 = H, lower alkyl; R6 = lower alkyl, OH, -O-lower alkyl, -O-(substituted) aryl, -O-lower

Ι

L1

L2

L3

L4

L5

L6

L7

L8

L9

L7AN

DN

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DТ

LΑ

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alkylene-(substituted) aryl, -NR1-(substituted) aryl, -CO-lower
    alkyl-(substituted) aryl; R7 = H, R6] salts or prodrugs thereof are prepd.
    Also claimed are spleen tyrosine kinase (\mathbf{Syk}) inhibitors contg.
    the compds. I or the salts or the prodrugs thereof as the active
     ingredient. The compds. I are useful for the prevention or treatment of
    allergies, inflammations, autoimmune diseases, cancers, transplant
    rejection, graft-vs.-host diseases, and thrombosis. Thus, 2.76 mL
    cis-1,2-cyclohexanediamine was added to a mixt. of 605 mg
    6-chloro-2-(3-methylanilino)pyridine-3-carboxamide and 10 mL MeCN and
    refluxed for 5 days to give 230 mg 6-(cis-2-aminohexylamino)-2-(3-
    methylanilino)pyrazine-3-carboxamide (II). II showed IC50 of .ltoreg.0.05
     .mu.M against \mathbf{Syk}, good inhibition against passive cutaneous
    anaphylaxis (PCA) in mice sensitized by anti-dinitrophenyl-IgE (DNP-IgE),
    and IC50 of .ltoreq.0.1 .mu.M against serotonin release according to the
    assay described by Collado-Escobar (J. Immunol. 144, 1990).
             THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 10
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
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             0 S L4 AND MODULATORS OF CELL REGULATION
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             0 S L7 AND MODULATORS OF CELL REGULATION
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    ANSWER 1 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
    2003:376725 CAPLUS
    138:387140
    Heterogeneous Diels-Alder reaction zeolitic catalysts
    Caplan, Neil Aubrey; Hancock, Frederick Ernest
    Johnson Matthey PLC, UK
    PCT Int. Appl., 23 pp.
    CODEN: PIXXD2
    Patent
    English
FAN.CNT 1
    PATENT NO.
                   KIND DATE
                                         APPLICATION NO. DATE
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    WO 2003039746
                    A1 20030515
                                         WO 2002-GB4928 20021031
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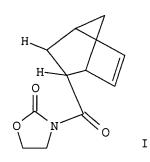
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

GB 2001-26935 A 20011109

OS MARPAT 138:387140

GΙ



AB A process for performing a catalytic Diels-Alder reaction by reacting a diene with a dienophile in the presence of a heterogeneous catalyst comprising a zeolitic material exchanged or impregnated with ions of a Lewis acidic metal is described. The catalyst, for example, copper-exchanged zeolite Y, may be treated with chiral bis(imine) compds. to direct the chirality of the reaction products. The catalyst can be sepd. from the reaction mixt. and re-used in further Diels Alder reactions. Thus, 0.025 g acrylimide(3-(2-propenoyl)-2-oxazolidinone) in 4.0 mL DCM and 0.90 g freshly distd. cyclopentadiene were agitated at -78.degree. for 3 h in the presence of copper-exchanged zeolite Y and 2,2'-isopropyldiene bis[4(S)-4-tert-butyl-2-oxazoline] to give the desired product (I).

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:319721 CAPLUS

DN 138:321292

TI Preparation of 2,4,5-trisubstituted pyrimidines as cyclin dependent kinase inhibitors

IN Dahmann, Georg; Himmelsbach, Frank; Wittneben, Helmut; Pautsch, Alexander;
Prokopowicz, Anthony S.; Krist, Bernd; Schnapp, Gisela; Steegmaier,
Martin; Lenter, Martin; Schoop, Andreas; Steurer, Steffen; Spevak, Walter

PA Boehringer Ingelheim Pharma K.-G., Germany; Boehringer Ingelheim Pharmaceuticals, Inc.; Boehringer Ingelheim International G.m.b.H.

SO PCT Int. Appl., 278 pp. CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

PATENT NO. KIND DATE

APPLICATION NO. DATE

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PI WO 2003032997 Al 20030424 WO 2002-EP11453 20021014

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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US 2001-330145PP 20011017

OS MARPAT 138:321292

GΙ

III

AB Title compds. I [R1 = H, alkyl; R2 = (un)substituted alkyl; R3 = H, alkyl; R4 = (un)substituted alkyl; R5 = halo] and their pharmaceutically acceptable salts were prepd. For example, condensation of thiocyanatopyrimide II, e.g., prepd. from 3,4-dichloroaniline and 2-chloro-4-thiocyanato-5-nitropyrimidine in one step, and acetylaminoethylamine provided trisubstituted pyrimidine III in 88% yield. In CDK1/CyclinB1 kinase inhibition studies, 88-examples of compds. I exhibited IC50 values more than 100 nM. Compds. I are claimed useful for the treatment of diseases characterized by abnormal cell proliferation.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:319718 CAPLUS

DN 138:338160

TI Preparation of diaminopyrimidines as inhibitors of .beta. amyloid formation or its release

```
ΙN
     Himmelsbach, Frank; Fuchs, Klaus; Briem, Hans; Fechteler, Katja; Kostka,
     Markus; Dorner-Ciossek, Cornelia; Bornemann, Klaus; Klinder, Klaus
PΑ
     Boehringer Ingelheim Pharma K.-G., Germany
SO
     PCT Int. Appl., 88 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     German
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                           APPLICATION NO. DATE
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     WO 2003032994
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                                           WO 2002-EP11345 20021010
     WO 2003032994
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                            20030612
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                                           US 2001-330128PP 20011017
     US 2003134838
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                                           US 2002-272160
                                                            20021016
                                           US 2001-330128PP 20011017
OS
     MARPAT 138:338160
GΙ
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AB The title compds. [I; R1 = H, alkyl; R2 = (substituted) Ph; R3 = (substituted) alkyl, cycloalkyl, cycloalkylalkyl, arylalkyl, alkenyl, alkynyl; R4 = H, alkyl; or NR3R4 = (substituted) 3-7 membered alkylenimino; R5 = NO2, amino, alkylamino, dialkylamino, etc.], were prepd. Thus, 2-chloro-4-methylamino-5-nitropyrimidine and 3,4-dichloroaniline were heated in the presence of sulfolane for 45 min at 160.degree. in an oil bath to give 90% 2-(3,4-dichlorophenylamino)-4-methylamino-5-nitropyrimidine. Several I inhibited formation of .beta. amyloid with IC50 = 4-1100 .mu.M.

- L7 ANSWER 4 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2003:301088 CAPLUS
- DN 138:321580
- TI Preparation of cross-linked glycopeptide-cephalosporin derivatives as antibiotics
- IN Fatheree, Paul; Linsell, Martin S.; Long, Daniel D.; Marquess, Daniel; Moran, Edmund J.; Nodwell, Matthew B.; Turner, S. Derek; Aggen, James
- PA Theravance, Inc., USA
- SO PCT Int. Appl., 75 pp. CODEN: PIXXD2

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DT
     Patent
LΑ
     English
FAN.CNT 1
                      KIND DATE
     PATENT NO.
                                             APPLICATION NO. DATE
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                                             WO 2002-US32534 20021011
     WO 2003031449
                        A2
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                                               US 2001-328889PP 20011012
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     MARPAT 138:321580
OS
GΙ
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- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- This invention provides cross-linked glycopeptide cephalosporin compds. I, wherein X1 and X2 are independently H, C1; R1 is hetero-atom-contg. linker; R2 is H, alkyl; R3 is independently alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclic; one of R4 and R5 is H the other is OH; R6 and R7 are independently H, Me; R8 is H, heterocycle; m is 0-3; and pharmaceutically acceptable salts thereof which are useful as antibiotics. This invention also provides pharmaceutical compns. contg. such compds.; methods for treating bacterial infections in a mammal using such compds.; and processes and intermediates useful for prepg. such compds. Thus, I (X1 = X2 = C1, R1 = (CH2)3, R2 = R5 = R6 = R8 = H, R4 = OH, R7 = Me, m = 0) was prepd. and tested in mice for its antibacterial activity (ED50 < 0.20 mg/Kg) compared to (ED50 = 9 mg/Kg) for vancomycin.

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L7 ANSWER 5 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2003:242278 CAPLUS

DN 138:271682

TI Preparation of cyclic hydroxamic acids as inhibitors of matrix metalloproteinases and/or TNF-.alpha. converting enzyme for treatment of inflammatory disorders

IN Ott, Gregory; Chen, Xiao-Tao; Duan, Jingwu; Lu, Zhonghui

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 344 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2003024899 A2 20030327 WO 2002-US29685 20020916

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2001-322630PP 20010917

US 2003139388 A1 20030724

US 2002-244626 20020916 US 2001-322630PP 20010917

OS MARPAT 138:271682

GI

AB Title compds. I [wherein ring B = (un)substituted 4-7 membered (hetero)cyclic ring contg. 0-2 O, N, NR1, or SOp atoms and 0-3 carbonyl groups; R1 and R2 = independently Q, alk(en/yn)ylene-Q, or (un)substituted alkylene-Q interrupted by O, NRa, CO, CO2, CONRa, NRaCO, NRaCO2, NRaCONRa, SOp, NRaSO2, or SO2NRa; or R1 = (un)substituted alkylene-Q interrupted by OCO, OCO2, or OCONRa; Q = H or (un)substituted (hetero)cyclyl; R3 = Q1, Cl, F, alk(en/yn)ylene-Q1, or (un)substituted alkylene-Q1 interrupted by O, NR1, NRaCO, CONRa, CO, CO2, SOp, or SO2NRa; Q1 = H or (un)substituted Ph, naphthyl, or heterocyclyl; Za = (un)substituted benzimidazolyl, indolyl, imidazopyridinyl, pyrazolylpyridinyl, benzofuranyl, benzothiazinyl, quinolinyl, etc.; Ra = independently H, alkyl, Ph, or benzyl; p = 0-2; or stereoisomers or pharmaceutically acceptable salts thereof] were prepd. as inhibitors of matrix metalloproteinases (MMP), TNF-a converting enzyme (TACE), aggrecanase, or a combination thereof. For example, reaction of benzyl Me maleate with paraformaldehyde and glycine gave benzyl Me (cis)-3,4-pyrrolidinedicarboxlyate (100%). BOC-protection (64%), debenzylation (96%), resoln. of the (3S,4S)-isomer with (S)-.alpha.-methylbenzylamine, conversion to the carbamate with DPPA and PhCH2OH (76%), and Pd catalyzed hydrogenation (100%) provided Me (3S,4S)-4-amino-1-(tert-butoxycarbonyl)-3-pyrrolidinecarboxylate.

ΙΙ

> Coupling of the amine with 4-[(2-methylthio-1H-benzimidazol-1yl) methyl] benzoic acid (prepn. given) afforded the amide (99%), which was treated with NH2OH.bul.HCl/MeONa to give the hydroxamic acid (3S,4S)-II (33%). A no. of the compds. of the invention inhibited MMP-1, 2, 3, 7, 8, 9, 10, 12, 13, 14, 15, and/or 16 with Ki values of .ltoreq. 10 .mu.M. Thus, I are useful for the treatment of a wide variety of inflammatory disorders (no data).

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L7
    ANSWER 6 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN
    2003:202622 CAPLUS
DN
    138:238028
ΤI
    Preparation of substituted indeno[1,2-c]isoquinoline derivatives for the
    treatment of inflammatory disease or reperfusion disease
IN
    Jagtap, Prakash G.; Baloglu, Erkan; Van Duzer, John H.; Szabo, Csaba;
    Salzman, Andrew L.
PΑ
    Inotek Pharmaceuticals Corporation, USA
SO
    PCT Int. Appl., 52 pp.
    CODEN: PIXXD2
DT
    Patent
    English
LΑ
FAN.CNT 1
    PATENT NO.
                     KIND DATE
                                         APPLICATION NO. DATE
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                                         _____
                                    WO 2002-US27585 20020830
    WO 2003020700
                    A2 20030313
PI
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
            UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
            CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
            PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
            NE, SN, TD, TG
                                         US 2001-944524 A 20010831
    US 2003096833
                           20030522
                      Α1
                                         US 2001-944524 20010831
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8/29/2003>

OS MARPAT 138:238028

GI

AB Novel indeno[1,2-c]isoquinoline derivs. of formula I [X = CO, CH2, CH(halo), O, NH, S, etc.; R1-R4, R7-R10 = H, halo, OH, alkoxy, aryl, NH2, etc.; R5 = O, NH, S; R6 = H, alkyl] are prepd. for treating or preventing inflammatory disease or reperfusion disease. Thus, II was prepd. and inhibited poly(ADP-ribose) synthase 84% at 300nM.

L7 ANSWER 7 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:194659 CAPLUS

DN 138:222968

TI Dipyrromethene metal complex mixture for dyes and optical recording media using them

IN Nishimoto, Taizo; Inoue, Shinobu; Misawa, Tsutayoshi

PA Mitsui Chemicals Inc., Japan; Yamamoto Chemicals Inc.

SO Jpn. Kokai Tokkyo Koho, 26 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	C111 1						
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
ΡI	JP 2003073574	A2	20030312	JP 2001-263306	20010831		
				JP 2001-263306	20010831		
OS	MARPAT 138:22296	8					

GI

AB The mixt. contains I (R1-5 = H, halogen, nitro, cyano groups, etc.; X = halogen, other groups; M = transition metals) and is useful for laser recording such as DVD with high sensitivity and recording d.

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L7 ANSWER 8 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2003:154238 CAPLUS

DN 138:204941

TI Preparation of indol-5-ylureas and relate compounds for the treatment of obesity and type II diabetes

IN Schwink, Lothar; Stengelin, Siegfried; Gossel, Matthias

PA Aventis Pharma Deutschland G.m.b.H., Germany

SO PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

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PATENT NO.
                               KIND DATE
                                                              APPLICATION NO. DATE
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                                ----
PΙ
       WO 2003015769
                                A1
                                         20030227
                                                              WO 2002-EP8686
                                                                                       20020803
                  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
                   CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
                   GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
                  LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
                  NE, SN, TD, TG
                                                              DE 2001-10139416A 20010817
       DE 10139416
                                 Α1
                                        20030306
                                                              DE 2001-10139416 20010817
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OS MARPAT 138:204941

GΙ

$$A-X \xrightarrow{E-D} B-CO-N \xrightarrow{R^5} R^1$$

$$Q=L \xrightarrow{R^5} W \xrightarrow{R^7} R^6$$

$$Ph-O$$
 $NH-CO-NH$ 
 $CH_2-CH_2-NMe_2$ 

ΙI

Ι

Title compds. I [A = alkyl, alkylen-aryl (sic), mono or bicyclic ring; X = CR8R9, C(OR10)R11, O, etc.; R8, R9, R10, R11 = H, alkyl; D = N, CR41; E = N, CR42; G = N, CR43; L = N, CR44; R1, R2, R3, R41, R42, R43, R44 = H, halo, OH, etc.; B = O, NR24; R24 = H, alkyl; R5 = H, alkyl; W = N, CR25; R25 = H, alkyl aryl, bond to Y; T = N, CR26; R26 = H, alkyl, aryl, etc.; U = O, S, NR27; R27 = H, alkyl, bond to Y; Y = substituted alkylene, e.g, O, S, SO, etc.; R6, R7 = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts were prepd. For example, three component coupling of 1-dimethylaminoethyl-5-aminoindole, carbonyldimidazol and 4-aminodiphenylether provided indolylurea II. In human melanin-concg. hormone receptor assays, 41-specific examples of compds. I exhibited IC50 values ranging from 4.25-0.10 .mu.M, e.g., indolylurea II IC50 = 0.15 .mu.M. Compds. I are said useful as anorexic agents.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:150553 CAPLUS

DN 138:170464

TI Preparation of conformationally constrained 1,3-bicyclic L-nucleosides

IN Ramasamy, Kanda S.

PA USA

SO U.S., 18 pp.

CODEN: USXXAM

DT Patent

LA English

FAN CNT 1

LAIN.	CIVI			
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡI	US 6525191	B1	20030225	US 2000-569183 20000511
				US 1999-133551PP 19990511
	US 2003144501	A1	20030731	US 2003-367284 20030214
				US 1999-133551PP 19990511
				US 2000-569183 A320000511

OS MARPAT 138:170464

GΙ

AB 1,3-Bicyclic L-nucleosides I, wherein Base is a nucleobase covalently bound to the C1-atom via a nitrogen or carbon atom in the nucleobase; X is O, S, CHOH, CH2 or NCOCH3; A is O, S, (CH2)n, NR, or nothing, and when both B and Z are independently O, S or NR then A is (CH2)n, wherein R is H, OH, CO, OPO32-, lower alkyl or COCH3, and n is 1-5; B and Z are independently O, S, (CH2)n, or NR, and when both A and B are independently O, S or NR then Z is (CH2)n, wherein R is H, OH, CO, OPO32-, lower alkyl or COCH3, and n is 1-5; wherein no more than two of A, B, and Z are an atom other than a carbon atom; and R1 and R2 are independently H, OH, OPO32-, CN, halogen, N3, CH2OH, methylidene, lower alkyl or lower alkyl amine, and R4 is H, OH, OPO32-, are conformationally constrained by at least one addnl. ring formed by a bridge connecting at least two atoms within a sugar moiety of the nucleoside. The conformationally constrained nucleosides may be incorporated into oligonucleotides and dinucleotides, and it is contemplated that compns. including the conformationally constrained nucleosides may have superior viral inhibitory or antineoplastic properties (no data). Thus, bicyclic sugar II was prepd. in synthesis of conformationally constrained bicyclic L-nucleosides.

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7 ANSWER 10 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2003:22891 CAPLUS

DN 138:82466

TI Preparation of new chiral transition metal salen catalysts and methods for the preparation of chiral compounds from racemic epoxides by using the new catalysts

IN Kim, Geon-Joong; Lee, Ho-Seong; Kim, Ho-Cheol; Yun, Jin-Won; Kim, Seong-Jin

PA RS Tech Corp., S. Korea

SO PCT Int. Appl., 63 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE ----\_\_\_\_\_ PΙ WO 2003002582 A1 20030109 WO 2002-KR1219 20020626 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

KR 2001-37081 A 20010627

KR 2002-35467 A 20020624

EP 1292602 A1 20030319

EP 2002-743918 20020626

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

KR 2001-37081 A 20010627 KR 2002-35467 A 20020624

WO 2002-KR1219 W 20020626

OS CASREACT 138:82466; MARPAT 138:82466

GI

t-Bu

$$CH=N$$
 $N=CH$ 
 $DH=N$ 
 $DH=N$ 

AΒ The prepn. of new chiral salen catalysts and their use in the prepn. of chiral epoxides and 1,2-diols from racemic epoxides is described. The new chiral salen catalysts have a new mol. structure and are formulated as (ML)2.cntdot.Q where L is a salen type ligand and Q is BX3 or AlCl3. The catalysts have a sandwich type structure with the Q adduct in between two transition metal salen units. The catalysts can be recycled without any addnl. regeneration process because the catalyst retains its catalytic activity even after the repeated use. They cause no or little racemization resulting from the reverse reaction of the produced chiral compd. This offers potential for the mass prodn. of chiral compds., useful as intermediates for the manuf. of drugs and food additives, from racemic epoxides by using the catalyst in an economic manner and with high optical purity. Thus, (CoL)2.cntdot.BF3 (H2L = RR-I and SS-I) was prepd. and used to prep. (R) - and (S) -epichlorohydrin from racemic epichlorohydrin.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:958760 CAPLUS

DN 138:40709

- TI Amorphous dipyrromethene-metal chelate compounds with good solubility and their manufacture
- IN Nishimoto, Taizo; Misawa, Tsutayoshi; Kato, Kenichi; Kumagaya, Yojiro
- PA Mitsui Chemicals Inc., Japan; Yamamoto Chemicals Inc.

SO Jpn. Kokai Tokkyo Koho, 24 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI JP 2002363437 A2 20021218 JP 2001-174319 20010608 JP 2001-174319 20010608 OS CASREACT 138:40709; MARPAT 138:40709 GI

R7 R6 R5 R4 R3 R2 R2 R1 R1 R9 R8 R8 R8 R6 I

The compds. useful as dyes for LCD devices, optical filters, DVD-R, etc., are obtained by dissolving their cryst. precursors having structure I (R1-8 = H, halogen, NO2, cyano, OH, amino, COOH, SO3H, C<20 alkyl, alkoxy, alkylthio, aryloxy, arylthio, alkenyl, acyl, alkoxycarbonyl, carbamoyl, acylamino, aralkyl, aryl, heteroaryl group; R9 = halogen, C<20 aryl, heteroaryl, alkoxy, alkylthio, arylthio groups; provided R1 and R2 together can form a ring) in an org. solvent and freeze drying. Thus, dropping a 47% HBr 10.1 to a dissoln. of 1-(2,4-diisopropylphenyl)-4-bromoisoindole 18.9 and 2,4-diphenyl-5-formylpyrrole 13.1 g in 600 mL EtOH and mixing at room temp. for 2 h gave a product which formed a chelate compd. (II) with Cu when mixing with Cu acetate. Dissolving 20.0 g the II in 500 mL p-xylene at 25.degree. for 1 h and freeze drying gave an amorphous compd. with good soly. in ethylcyclohexane.

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L7 ANSWER 12 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2002:888554 CAPLUS

DN 137:384751

TI 7,8-Fused 4(H)-chromenes as activators of caspases and inducers of apoptosis

IN Cai, Sui Xiong; Xu, Lifen; Storer, Richard; Attardo, Giorgio

PA Cytovia, Inc., USA

SO PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2002092083 Al 20021121 WO 2002-US15398 20020516

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH.

PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2001-290976PP 20010516

OS MARPAT 137:384751 GI

Ι

Title compds. I [X = 0, S, (un)] substituted NH; Y = CN, (un) substituted CHO, CO2H, CONH2; Z = (un) substituted NH2; R1, R2 = H, halo, haloalkyl, ΑB aryl, carbocyclic, heterocyclic, heteroaryl, (un) substituted alkyl, alkenyl, alkynyl, NH2, NO2, CN, OH, SH, acyloxy, N3, alkoxy, CO2H, OCH2O, carbamoyl, alkylthio; R3R4 = atoms required to complete a thiazole, oxazole, 2-iminoimidazole, 2-oxo-2,1,3-thiadiazole, 2-oxothiazole, 2-oxooxazole, 2-thioxooxazole, 2-thioxoimidazole, 2-thioxothazole, imidazoline, oxazoline, thiazoline, triazole, oxazine, 2,3-dioxooxazine, or piperazine ring; R5 = H, alkyl; A = (un)substituted aryl, heteroaryl, carbocyclic, heterocyclic, aralkyl] were prepd. for use as activators of caspases and inducers of apoptosis. Therefore, they can be used to induce cell death in a variety of clin. conditions in which uncontrolled growth and spread of abnormal cells occurs. Thus, 2-amino-3-cyano-4-(3-bromo-4,5dimethoxyphenyl)-7-hydroxy-8-amino-4H-chromene was treated with carbonyldiimidazole to give I [X = 0, Y = CN, Z = NH2, A =3,4,5-Br(MeO)2C6H2, R1, R2, R5 = H, R3R4 = OC(O)NH] which had EC50 against T-47D and ZR-75-1 cell lines of 566.6 and 365.6 nM resp.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:850130 CAPLUS

DN 137:358134

TI Preparation of azo compound conjugates with bombesin for type I phototherapy

IN Rajagopalan, Raghavan; Cantrell, Gary L.; Bugaj, Joseph E.; Achilefu, Samuel I.; Dorshow, Richard B.

PA Mallinckrodt Inc., USA

SO U.S. Pat. Appl. Publ., 12 pp.

CODEN: USXXCO
DT Patent

LA English

FAN.CNT 2

PATENT NO. KIND DATE APPLICATION NO. DATE

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PΙ
     US 2002164287
                        A1
                               20021107
                                               US 2001-849163
                                                                  20010504
     US 6485704
                        В1
                               20021126
     WO 2002089858
                        A1
                                              WO 2002-US12217 20020418
                               20021114
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
              TJ. TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
              CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
              BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                               US 2001-849163 A 20010504
     US 2003072763
                               20030417
                         Α1
                                               US 2002-272123 20021015
                                               US 2001-849163 A220010504
PATENT FAMILY INFORMATION:
FAN 2003:300437
     PATENT NO.
                        KIND DATE
                                               APPLICATION NO. DATE
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                                                                  -----
PΙ
     US 2003072763 A1
                               20030417
                                               US 2002-272123 20021015
                                               US 2001-849163 A220010504
                  37 A1
B1
     US 2002164287
                                               US 2001-849163 20010504
                               20021107
     US 6485704
                               20021126
OS
     MARPAT 137:358134
     Novel azo compds. and their bioconjugates for phototherapy and/or
AB
     photodiagnosis of tumors and other lesions are disclosed. The azo derivs.
     are designed to absorb at the low-energy UV, visible, or the NIR region of
     the electromagnetic spectrum. The phototherapeutic effect is caused by
     direct interaction of free radicals, the reactive intermediate produced
     upon photoexcitation of the azo compd., with the tissue of interest. An
     azocoumarin-bombesin conjugate was prepd. treatment of the peptide
     (obtained by solid-phase synthesis) with the azo compd.
L7
     ANSWER 14 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     2002:847542 CAPLUS
DN
     137:339159
TI
     Ink-jet ink sets and printing method
IN
     Evans, Steven; Grady, Barbara L.; Romano, Charles E., Jr.
PΑ
     Eastman Kodak Company, USA
     Eur. Pat. Appl., 12 pp.
SO
     CODEN: EPXXDW
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                              APPLICATION NO. DATE
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PΙ
     EP 1254933
                       A2 20021106
                                               EP 2002-76578 20020422
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                               US 2001-848081 A 20010503
                                               US 2001-848082 A 20010503
     US 6508549
                         В1
                               20030121
                                               US 2001-848081
                                                                  20010503
     US 6513923
                         В1
                               20030204
                                               US 2001-848082
                                                                  20010503
     JP 2003034765
                        A2
                               20030207
                                               JP 2002-130733
                                                                 20020502
                                               US 2001-848081 A 20010503
                                               US 2001-848082 A 20010503
OS
     MARPAT 137:339159
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An ink-jet ink set and printing method providing images with improved color gamut comprise the steps of (A) providing an ink jet printer that is responsive to digital data signals; (B) loading the printer with an ink jet recording element; (C) loading the printer with a color ink jet ink set comprising (i) a magenta ink contg. a carrier and a water-sol., transition metal complex of an 8-heterocyclylazo-5-hydroxyquinoline dye; (ii) a yellow ink contg. a carrier and a water-sol. yellow dye; (iii) a cyan ink contg. a carrier and a water-sol. cyan dye; and (iv) an orange and/or green and/or violet ink contg. a carrier and a water-sol. orange and/or green and/or violet dye; and (D) printing on the image-receiving layer using the ink-jet ink set in response to the digital data signals.

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L7
    ANSWER 15 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     2002:814122 CAPLUS
DN
     137:326554
TI
     Pyrazole azo dyes, their production and coupling agents therefor
ΙN
     Fujiwara, Toshiki; Hanaki, Naoyuki; Tanaka, Shigeaki; Omatsu, Tadashi;
     Yabuki, Yoshiharu
PA
     Fuji Photo Film Co., Ltd., Japan
SO
     PCT Int. Appl., 137 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO.
                      KIND
                            DATE
                                           APPLICATION NO.
                                                            DATE
                      - - - -
                            -----
PΙ
     WO 2002083662
                       A2
                            20021024
                                           WO 2002-JP3491
                                                            20020408
     WO 2002083662
                      A3
                            20030306
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
             UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                           JP 2001-110458 A 20010409
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JP 2001-126239 A 20010424 JP 2002-12108 A 20020121

JP 2001-110458 A 20010409

20010424

20020121

JP 2001-126239

JP 2002-12108

OS MARPAT 137:326554

JP 2002322151

JP 2002371079

GI

$$\begin{array}{c|c}
R1 & R2 \\
N & N = N \\
N & NR4R5
\end{array}$$

$$\begin{array}{c|c}
R3 & NR4R5
\end{array}$$

$$\begin{array}{c|c}
NR4R5
\end{array}$$

$$\begin{array}{c|c}
NR6R7
\end{array}$$

Patel 8/29/2003>

A2

A2

20021108

20021226

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AB
     Aminopyrazole diazo component-based azo dyes (I; A1, A2 = N, optionally
     substituted -CH=; R1 = H, org. group; R2 = H, halogen, CN; R3 = H, org.
     group; R4, R5, R6, R7 = H, org. group, carboxy, sulfo, carbamoyl) are
     obtained from novel diamino heterocyclic coupling components. I are
     suitable for image formation and recording and have excellent ozone
     resistance. In an example, 5-amino-3-tert-butyl-4-cyanopyrazole was
     diazotized and coupled with 3-cyano-4-methyl-2,6-bis(p-
     octylanilino) pyridine and the product was condensed with
     2-chlorobenzothiazole to give a dye (.lambda.max 545 nm in DMF).
L7
     ANSWER 16 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
     2002:793609 CAPLUS
ΑN
DN
     137:310927
ΤI
     Preparation of pyrimidinyl-amido-aryl(thio)oxy carboxylic acids as
     hypolipidemic agents
IN
     Iqbal, Javed; Gurram, Ranga Madhavan; Das, Saibal Kumar; Bhuniya, Debnath;
     Chakrabarti, Ranjan; Ramanujam, Rajagopalan
PΑ
     Reddy's Laboratories Ltd., India
SO
     PCT Int. Appl., 147 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
                      _ _ _ _
                           _____
                                          -----
PΙ
     WO 2002081454
                     A1
                           20021017
                                         WO 2002-IB1104 20020408
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
            UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                          IN 2001-MA301 A 20010409
     US 2003013729
                      A1
                           20030116
                                          US 2002-119300 20020408
                                           IN 2001-MA301 A 20010409
OS
    MARPAT 137:310927
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Patel

GΙ

AB Title compds. I [X = O, S; R1-3= H, halo, OH, NO2, CN, CHO, etc.; R3 when attached to nitrogen atom = H, OH, CHO, etc.; W = O, S, amino, C(O), OCO, etc.; m, n = 0-4; Ar= divalent single or fused arom. or heterocyclic group; R4-5 = H, OH, alkoxy, halo, etc.; R6 = H, alkyl, cycloalkyl, etc.; Y = O, NR8; R8 = H, alkyl, aryl, etc.; R6,R8 together may form a (un)substituted 5-6-membered (hetero)cycle; Q= O, S, SO, SO2, etc.; p = 0-1] were prepd. For instance, 2-(2-ethyl-6-oxo-4-phenyl-1,6-dihydro-1-pyrimidinyl)acetic acid and Et 3-methyl-2-(4-heptylaminophenylthio)butanoa te (prepn. of starting materials given) were coupled (CH2Cl2, DIC, HOBt) to afford II. Selected example compds. at 3 mg/kg (mice) orally reduced triglycerides in mice by 36-44%. I are useful for the treatment of, e.g., obesity.

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7 ANSWER 17 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2002:754376 CAPLUS

DN 137:279419

- TI Preparation of neuraminic acids and analogs useful for inhibiting paramyxovirus neuraminidase
- IN Chand, Pooran; Babu, Yarlagadda S.; Rowland, Scott R.; Lin, Tsu-Hsing
- PA Biocryst Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 92 pp. CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE -----\_\_\_\_\_ 20021003 PΙ WO 2002076971 A1 WO 2002-US7052 20020308 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2001-273952PP 20010308

OS MARPAT 137:279419

GI

AΒ Neuraminic acids and analogs, e.g. I, wherein X is CHR, O, NR, N-OR, NR(O), S, S(O) and SO2; R is H, alkyl, alkene, alkyne, CN, NO2, N3, halo, substituted amine; R1 is H, (CH2)n-CO2R6, (CH2)n-tetrazol, (CH2)nSO3H, (CH2) nSO2H, (CH2) nPO3H2, (CH2) nCO-NHR6, (CH2) nNO2, and (CH2) nCHO; R2 is H, halo, CN, (CH2)n-CO2R6, (CH2)n-amine, (CH2)n-OR6; each of R3 and R3' are independently H, NHSO2R6, N(O)-SO2R6, NR6SO2R7, (CH2)mYR6; at least one of R3 and R3' should be other than H; Y is O, NH, NHC(O), C(O)NH, S, S(O), S(0)0, NHS(0)0, S(0)0NH, NHC(0)NH and heterocycle; R3 and R3' together may be O, CHR6, NR6 and N-OR6; R4 and R4' is independently selected from the group consisting of: H, (CH2)mYR6 and (CH2)mYR6; R4 and R4' together may be O, CHR6, NR6 and N-OR6; R5 and R5' are independently alkyl, ether, alkylamine, amide; R6 and R7 are individually H, alkyl, substituted alkyl, aryl, arylalkyl, heterocycle, alkenyl, alkynyl; m and n are individually 0-4, were prepd. useful for inhibiting paramyxovirus neuraminidase (no data). Thus, (2R, 3R, 4S) -3-(acetylamino) -4-[(thien-2-ylsulfonyl)amino] -2-((1R,2R)-1,2,3-trihydroxypropyl)-3,4-dihydro-2H-pyran-6-carboxylic acid was prepd. as paramyxovirus neuraminidase inhibitor (no data).

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:736225 CAPLUS

DN 137:262960

TI Preparation of spiro-cyclic .beta.-amino acid derivatives as inhibitors of matrix metalloproteinases and TNF-.alpha. converting enzyme (TACE)

IN Ott, Gregory R.; Chen, Xiaotao; Duan, Jingwu; Voss, Matthew E.

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 187 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

LEM.	CIAI	т																		
	PATENT NO.					ND	DATE			A.	PPLI	CATI	ON N	Ο.	DATE					
										-	<del>-</del>	<del>-</del>								
ΡI	WO 2002074738						20020926			WO 2002-US7652						20020312				
	WO 2002074738			A	A3 20030403															
		W:	ΑE,	AG,	ΑL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,		
			CO,	CR,	CU,	CZ,	DΕ,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,		
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,		
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,		

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PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                               US 2001-275898PP 20010315
                                               US 2002-96804
     US 2003087882
                               20030508
                                                                  20020312
                                               US 2001-275898PP 20010315
OS
     MARPAT 137:262960
AB
     Novel spiro-cyclic .beta.-amino acid derivs. C-B-NR1CO-Z-Ua-Xa-Ya-Za [C-B
     represents a spiro-cyclic ring system, where rings B and C are 3-13
     membered carbocycles or heterocycles; ring B is bonded to NR1 via
     ACR2aCR2b-; A = alkanoyl, CO2H or ester, CH2CO2H, CONHOH, SH, CH2SH, etc.;
     R2a = H, alkyl, OH, alkoxy, an amino group, S(0)p (p = 0-2), etc.; R2b =
     H, alkyl; R1 = H, alkyl, Ph, PhCH2; Z is absent or is a carbocycle or
     heterocycle; Ua is absent or is O, NH, alkylimino, CO, CO2, O2C, CONH,
     S(0)p, etc.; Xa is absent or is alkylene, alkenylene, or alkynylene; Ya is
     absent or is O, NH, alkylimino, S(O)p, CO; Za = H, carbocycle, or
     heterocycle] or their pharmaceutically-acceptable salts were prepd. as
     matrix metalloproteinases (MMP), TNF-.alpha. converting enzyme (TACE),
     and/or aggrecanase inhibitors. Thus, (7S,8R)-N-hydroxy-8-[[4-[(2-methyl-4-
     quinolinyl) methoxy] benzoyl] amino] -1, 4-dioxaspiro[4.4] nonane-7-carboxamide
     was prepd. by a multistep synthesis starting from (1S,2R)-1-Me
     cis-1,2,3,6-tetrahydrophthalate. The latter underwent sequential
     esterification with benzyl alc., oxidative ring opening with KMnO4, and
     recyclization with Ac2O/NaOAc to yield intermediate benzyl Me
     (1S, 2R) -4-oxo-1, 2-cyclopentanedicarboxylate.
L7
     ANSWER 19 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     2002:695980 CAPLUS
DN
     137:232544
ΤI
     Tricycloalkatrienes as non-nucleoside reverse transcriptase inhibitors
IN
     Lindstroem, Stefan; Sahlberg, Christer; Wallberg, Hans; Kalyanov, Genaidy;
     Oden, Lourdes; Naeslund, Lotta
PΑ
     Medivir AB, Swed.
SO
     PCT Int. Appl., 106 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                        KIND DATE
                                               APPLICATION NO. DATE
                              -----
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ΡI
     WO 2002070516
                        A2
                               20020912
                                               WO 2002-EP2328
                                                                  20020304
     WO 2002070516
                        A3
                              20030206
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
              PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
              UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
              CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
              BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                               SE 2001-733
                                                               A 20010305
     US 2003069224
                              20030410
                         A1
                                               US 2002-92752
                                                                  20020305
                                               SE 2001-733
                                                               A 20010305
OS
     MARPAT 137:232544
GΙ
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Ι

$$\begin{array}{c|c}
S & H & O \\
H & N & \\
H & N & \\
\end{array}$$

$$CN$$

AB Title compds. I [R1 = O, S; R2 = (un) substituted nitrogen-contg. heterocycle, wherein the nitrogen is located at the 2 position relative to the (thio) urea bond; R3 = H, alkyl; R4-R7 = H, alkyl, alkenyl, alkynyl, haloalkyl, alkanoyl, haloalkanoyl, alkoxy, haloalkoxy, alkyloxyalkyl, haloalkyloxylkyl, hydroxyalkyl, aminoalkyl, carboxyalkyl, cyanoalkyl, amino, carboxy, carbamoyl, cyano, halo, hydroxy, keto; X = (CHR8)nD(CHR8)m; D = NR9, O, S, S(=O), SO2; R8 = H, alkyl, haloalkyl; R9 = H, alkyl; n, m = 0, 1, 2] and prodrugs and pharmaceutically acceptable salts thereof, have utility as inhibitors of HIV-1 reverse transcriptase, particularly drug escape mutants. Thus, benzothiophene was treated with N2CHCO2Et to give Et cis-la,6b-dihydro-1H-benzo[b]cyclopropa[d]thiophene-1-carboxylate which was hydrolyzed to the acid and treated with (PhO)2PN3 and 2-amino-6-cyanopyridine to give the urea II. II had ED50 in the XTT assay with wild-type HIV-1IIIB of 2 nM.

II

L7 ANSWER 20 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:693185 CAPLUS

DN 137:202818

TI Ink-jet printing method using metal complex colorant and antikogating agent in ink-jet ink composition

IN Erdtmann, David; Evans, Steven; Lopez, Edgardo; Van Hanehem, Richard C.

PA Eastman Kodak Company, USA

SO Eur. Pat. Appl., 11 pp. CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND DATE	APPLICATION NO. DATE	
ΡI	EP 1239012	A2 20020911	EP 2002-75601 20020214	
	R: AT, BE,	CH, DE, DK, ES, FR	, GB, GR, IT, LI, LU, NL, SE, MC, PT,	
	IE, SI,	LT, LV, FI, RO, MK	, CY, AL, TR	
			US 2001-794604 A 20010227	
	US 2002157566	A1 20021031	US 2001-794604 20010227	
	US 6524378	B2 20030225		

JP 2002348511 A2 20021204 JP 2002-47848 20020225 US 2001-794604 A 20010227

OS MARPAT 137:202818

AB The method comprises (A) providing an ink-jet printer responsive to digital data signals; (B) loading the printer with an ink-jet recording element comprising a support having an image-receiving layer; (C) loading the printer with an ink-jet ink compn. comprising water, a humectant (e.g., diethylene glycol, glycerol and 2-pyrrolidinone), a polyvalent transition metal complex of an 8-heterocyclylazo-5-hydroxy-quinoline and an antikogating agent contg. an alkali metal salt of a monobasic org. or inorg. acid (e.g., sodium hexanoate); and (D) printing on the image-receiving layer using the ink jet ink compn. in response to the digital data signals.

L7 ANSWER 21 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:676021 CAPLUS

DN 137:201318

TI Preparation of tricyclic quinolinone androgen receptor modulator compounds

IN Higuchi, Robert I.; Zhi, Lin; Karanewsky, Donald S.; Thompson, Anthony W.; Caferro, Thomas R.; Mani, Neelakandha S.; Chen, Jyun-Hung; Cummings, Marquis L.; Edwards, James P.; Adams, Mark E.; Deckhut, Charlotte L. F.

PA Ligand Pharmaceuticals Incorporated, USA

SO PCT Int. Appl., 142 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.				KIND DATE			APPLICATION NO.						DATE					
								_											
ΡI	WO 2002068427 A1				1	20020906			WO 2002-IB538					20020223					
	W: AE, AG, AL			AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
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	GM, HR,			HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,		
	LS, LT,																		
	PL, PT,																		
		UA,	UG,	US,	US, UZ, VN, YU,				ZM,	ZW,	AM,	ΑZ,	BY,	KG, KZ, MD, RU,					
		ТJ,																	
	RW:													ZW,					
														NL,					
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG		
									U:	5 20	01-2	7111	5PP	2001	0223				
	US 2002	1833:	14	A1 20021205				US 2002-80503 20020222											
							U.	5 20	01-2	7111	5PP	2001	0223						

OS MARPAT 137:201318

GI

$$R^{2}$$
 $R^{3}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{6}$ 
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 $R^{1}$ 

AB Title compds. I [R1 = H, F, C1, Br, I, NO2, etc.; R2 = H, F, C1, Br, I, CF3, CF2Cl, CF2H, etc.; R3-4 = H, alkoxy, S00-2, amino, alkyl, haloalkyl, heteroalkyl, cycloalkyl, aryl, arylalkyl, heteroaryl, alkynyl, etc., or R3-4 taken together form a 3-8 membered (un)satd. (hetero)cyclic ring or R3, R5 taken together form a 3-8 membered (un)satd. ring or R3, R6 taken together form a 3-8 membered (un)satd. ring; R5-6 = H, CF3, CF2Cl, CF2H, CFH2, alkyl, haloalkyl, heteroalkyl, cycloalkyl, aryl, arylalkyl, heteroaryl, alkynyl, alkenyl, etc.; R7 = H, F, Cl, Br, I, alkyl, haloalkyl, heteroalkyl, aryl, heteroaryl, alkoxy, etc.; R8 = H, F, Cl, Br, I, alkyl, haloalkyl, heteroalkyl, aryl, heteroaryl, alkoxy, etc.; m = 0-2; W = O, SOO-2, N(H, alkyl, etc.,); X, Z = O, SOO-2, NH, etc.; Y = O, S,N(H, alkyl, etc.,), etc.] were prepd. Over 50 synthetic examples were provided. For instance, 5-chloro-1,3-phenylenediamine was reacted with 4,4,4-trifluoroacetoacetate in EtOH at reflux for 18 h to give 5-Amino-7-chloro-3,4-dihydro-4-hydroxy-4-(trifluoromethyl)-1H-quinolin-2one (37%). This was reduced (EtOH, KOAc, 10% Pd/C-H2, 2 h) to give 5-Amino-3,4-dihydro-4-hydroxy-4-(trifluoromethyl)-1H-quinolin-2-one (100%). This substrate was then subjected to the following reaction sequence: i. NaNO2/H2SO4; ii. EtOAc, i-PrNH2, NBS; iii. DMF, BnBr, CsF; iv. MsOH, HOAc; v. THF, NMM, Ph3P, DIAD, (R)-Boc-alinol; vi. CH2Cl2, TFA; vii. PhMe, Pd(O)Ligand, NaOBu-t; viii. HOAc, HCl, 90.degree., 4 h to give II. I are agonists, partial agonists and/or antagonists for androgen receptors (AR).

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7 ANSWER 22 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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- AN 2002:656053 CAPLUS
- DN 137:187172
- TI Ink-jet ink composition comprising metal complex of 8-heterocyclylazo-5-hydroxy-quinoline and anti-kogation materials
- IN Erdtmann, David; Lopez, Edgardo; Van Hanehem, Richard C.; Evans, Steven
- PA Eastman Kodak Company, USA
- SO Eur. Pat. Appl., 14 pp. CODEN: EPXXDW
- DT Patent
- LA English

FAN. CNT 1

		_																		
	PA:	rent :	NO.		KII	ND	DATE		APPLICATION NO.						DATE					
								- <b></b>												
ΡI	ΕP	1234	860		A.	1	2002	0828		EP	200	02-75	5634		2002	0215				
		R:	ΑT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,		
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR								
										US	200	01-79	94608	3 A	2001	0227				
	US	2002	1575	67	A.	A1 20021031				US 2001-794608						20010227				
	US 6527844			B	2	2003	0304													
	JΡ	2002	2941	25	A:	2	2002	1009		JP	200	02-47	7856		2002	0225				
										US	200	01-79	94608	8 A	2001	0227				

OS MARPAT 137:187172

AB An ink-jet ink compn. comprises water, a humectant, a polyvalent transition metal complex of an 8-heterocyclylazo-5-hydroxy-quinoline and an anti-kogation material comprising an alkali metal salt of a monobasic org. or inorg. acid. The ink jet ink compn. has both good light stability and bright hue, and is able to provide consistent d. when printed in a thermal ink jet printer.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7
    ANSWER 23 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN
    2002:594821 CAPLUS
DN
    137:154856
    Preparation of N-indanyl sulfonamides as potassium channel inhibitors
TI
    Beaudoin, Serge; Reed, Aimee D.; Gross, Michael
IN
    Icagen Incorporated, USA
PΑ
SO
    PCT Int. Appl., 72 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO. KIND DATE
                                        APPLICATION NO. DATE
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                                         -----
PΙ
    WO 2002060874 A1 20020808
                                         WO 2001-US48601 20011219
    WO 2002060874
                    C1 20030220
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
            UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                         US 2000-256926PP 20001221
                                         US 2001-4867 A 20011207
    US 2002161011
                     A1
                           20021031
                                         US 2001-4867
                                                          20011207
                                         US 2000-256926PP 20001221
OS
    MARPAT 137:154856
GΙ
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- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- The title compds. [I; A, B, D = C, N, N(O) (wherein at least one of A, B, and D is a substituted C atom and at most only one of A, B, and D is N(O)); E = H, alkyl; G = H, alkyl; or E and G taken together form a bond (site of unsatn.); R1 = H, alkyl, aryl, etc.; R2 = alkyl, aryl, heterocyclyl; R3 = H, alkyl, aryl, etc.; R4 = alkyl, aryl, heteroaryl, etc.; R5, R6 = H, F, alkyl; or R5 and R6 taken together, along with the carbom atom to which they are both attached, form a 3-7 membered carbocyclic or heterocyclic ring; R7 = H, alkyl, OH, etc.; n = 1-3], useful as potassium channel inhibitors and esp. useful for the treatment of cardiac arrhythmias and cell proliferative disorders, were prepd. Thus, amidation of the amine II (prepn. given) with hydrocinnamoyl chloride in the presence of Et3N in THF afforded 21% III which showed 46% inhibition of Kv1.5 at 0.1 .mu.M.
- RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L7 ANSWER 24 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2002:574921 CAPLUS
- DN 137:119703
- TI Use of noncompetitive and selective GluR5 antagonists as glutamate receptor-modulating compounds, and therapeutic use

```
IN
     Peters, Dan; Nielsen, Elsebet Ostergaard; Gouliaev, Alex Haahr
PΑ
     Neurosearch A/S, Den.
SO
     PCT Int. Appl., 30 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
                     ----
PΙ
     WO 2002058691
                      Α1
                            20020801
                                           WO 2002-DK46
                                                            20020123
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                           DK 2001-117
                                                        A 20010123
OS
     MARPAT 137:119703
GΙ
```

AB The invention discloses the use of chem. compds. showing noncompetitive and selective GluR5 antagonist or partial agonist activity for treating diseases that are responsive to modulation of an aspartate or a glutamate receptor. Moreover the invention provides chem. compds. for use according to the invention, as well as pharmaceutical compns. comprising the chem. compds., and methods of treating diseases or disorders or conditions responsive to modulation of an aspartate or a glutamate receptor. A preferred example compd. of the invention is I.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 25 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:521710 CAPLUS

DN 137:93690

TI Preparation of nicotinanilide-N-oxides as G-protein-coupled receptor antagonist for the treatment of inflammation due to neutrophil chemotaxis

IN Cutshall, Neil S.; Yager, Kraig M.

PA Darwin Discovery Ltd., UK

SO PCT Int. Appl., 73 pp.

CODEN: PIXXD2

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DT Patent
LA English
FAN.CNT 1
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PATENT NO.
                      KIND
                            DATE
                                           APPLICATION NO.
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                            -----
ΡI
    WO 2002053544
                      A1
                            20020711
                                           WO 2001-US47543 20011212
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,
             UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG
                                           US 2000-258730PP 20001229
    US 2003004189
                            20030102
                       Α1
                                           US 2001-15861
                                                            20011212
                                           US 2000-258730PP 20001229
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OS MARPAT 137:93690

GI

AΒ Title compds. I, their optical isomers, diastereomers, enantiomers and pharmaceutically acceptable salts [wherein: R1 = R5, R5-heteroalkylene; R5 = H, halo, alkyl, heteroalkyl, etc.; R2, R3 = H, alkyl, heteroalkyl, aryl, etc.; R4 = H, halo, alkyl, heteroalkyl, etc.] were claimed. For example, hydrogen peroxide mediated N-oxidn. of 2-chloro-N-(4-fluorophenyl)-6methylnicotinamide provided claimed oxynicotinamide II in 10% yield. Nicotinanilide N-oxides I are disclosed to inhibit chemokine-mediated cellular and inflammation events. Specific binding of 95 claimed examples to human interleukin 8 and human growth-regulatory oncogene-.alpha. (GRO-.alpha.) chemokine were reported as < or > 40% at 20 .mu.M ligand concn., e.g., compd. II > 40% for GRO-.alpha., were disclosed. Also, the specific binding of 9 claimed examples to human chemokine CCR5, human interleukin-CXCR1, human interleukin-CXCR2, human neuropeptide Y1 and somatostatin, e.g., compd. II: < 40% for CCR5, somatostatin; > 40% for CXCR1, CXCR2; no data for NYP1, were disclosed. A method for the identification of nicotinanilide-N-oxides. I receptors from cell or cellular components and the isolation of compds. I which bind to TNF-.alpha. signaling proteins via affinity bead chromatog. and surface plasmon resonance (SPR) are claimed (no data).

ΙI

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 26 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

```
AN
     2002:465767 CAPLUS
DN
     137:51985
     Oxidative hair dyes containing oxidative enzymes
ΤI
     Rozzell, David; Sauter, Guido; Braun, Hans-Juergen
IN
     Wella Aktiengesellschaft, Germany
PA
     PCT Int. Appl., 36 pp.
SO
     CODEN: PIXXD2
DT
     Patent
T.A
     German
FAN.CNT 1
     PATENT NO.
                    KIND DATE
                                          APPLICATION NO. DATE
     ---- ----
                           -----
                                          _____
     WO 2002047633 A2
PΙ
                            20020620
                                          WO 2001-EP11493 20011005
     WO 2002047633
                      A3
                            20030313
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                          DE 2000-10062086A 20001213
     DE 10062086
                                           DE 2000-10062086 20001213
                      A1
                            20020704
    AU 2002023590
                      A5
                            20020624
                                          AU 2002-23590
                                                           20011005
                                          DE 2000-10062086A 20001213
                                          WO 2001-EP11493W 20011005
     BR 2001008212
                      Α
                            20030305
                                          BR 2001-8212
                                                           20011005
                                           DE 2000-10062086A 20001213
                                          WO 2001-EP11493W 20011005
    US 2003041391
                      Α1
                            20030306
                                          US 2002-181572
                                                           20020718
                                           DE 2000-10062086A 20001213
                                          WO 2001-EP11493W 20011005
OS
    MARPAT 137:51985
    The invention relates to an agent for dyeing keratin fibers. Said agent
AΒ
     contains at least one compd. having a nucleophilic reaction center, at
     least one alc. from the group consisting of aryl alc. derivs. and benzyl
     alc. derivs., and at least one appropriate oxidn. enzyme. The invention
     also relates to a method for dyeing keratin fibers using the inventive
     agent. Thus the following ingredients were mixed to receive a hair dye:
    vanillyl alc. 1.2 mL (final conc. 10 mmol/L); galactose oxidase 30 mg (200
    Units); 1,2,3,3-tetramethyl-3-H-indolium hydrogen sulfate 80 mg (final
     conc. 100 mmol/L); potassium hydrogen phosphate buffer 6 mL (final conc.
     100 mmol/L); water 22.8 mL.
L7
    ANSWER 27 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
     2002:400330 CAPLUS
AN
DN
    136:401769
TΙ
     Preparation of 4-heterocyclylphenylacetohydrazide derivatives having blood
     lipid-lowering activity
ΙN
     Suga, Akira; Imanishi, Naoki; Kubota, Hideki; Miura, Toshinori; Moritani,
    Hiroshi; Matsuda, Kouyou
PA
    Yamanouchi Pharmaceutical Co., Ltd., Japan
    Jpn. Kokai Tokkyo Koho, 21 pp.
SO
    CODEN: JKXXAF
DT
     Patent
LΑ
    Japanese
```

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI JP 2002155080 A2 20020528 JP 2000-355446 20001122

JP 2000-355446 20001122

OS MARPAT 136:401769

GΙ

AΒ The title compds. [I; R1-R6 = H,halo, (un) substituted hydrocarbyl or heterocyclyl, CO2H, lower alkoxycarbonyl, CHO, lower alkylcarbonyl, lower alkylthio; R7, R8, R9 = H, (un)substituted hydrocarbyl, Z2-Q; or NR8R9 = N-contg. heterocyclyl; ring A = (un) substituted benzene, pyridine, or cyclohexene; Q = (un) substituted hydrocarbyl or heterocyclyl; Z1 = lower alkylene, O, (un) substituted NH, SO2, (un) substituted CONH; Z2 = bond, O, N, S, CO; X, Y = N, C, CH] or pharmacol. acceptable salts thereof, which possess apoprotein B (apo B)-related lipoprotein secretion-inhibitory activity, prepd. These compds. possess blood cholesterol-lowering and triglyceride-lowering activity and are useful for the treatment of hyperlipidemia, arteriosclerosis, obesity, and pancreatitis. 2-cyclopentyl-2-[4-[(2,4-dimethyl-9H-pyrido[2,3-b]indol-9yl)methyl]phenyl]acetic acid was condensed with phenylhydrazine using 1-hydroxybenzotriazole, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, and Et3N in CHCl3 at room temp. overnight to give N-[2-cyclopentyl-2-[4-[(2,4-dimethyl-9H-pyrido[2,3-b]indol-9yl)methyl]phenyl]acetyl]-N'-phenylhydrazine (II). (S)-II showed ED50 of 0.15 mg/kg for lowering non-HDL cholesterol in rats.

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L7 ANSWER 28 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2002:345978 CAPLUS

DN 136:340696

TI Preparation of substituted quinazoline derivatives

IN Gletsos, Constantine

PA American Home Products Corporation, USA

SO U.S., 9 pp., Cont. of U.S. Ser. No. 363,521, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

US 1999-363521 B119990729

OS CASREACT 136:340696; MARPAT 136:340696

GI

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- AB The title compds. [I; X = substituted Ph; R, R1 = H, halo, alkyl, etc.; R2 = H, alkyl, alkoxy, etc.; Y = II, III (wherein R3 = H, alkyl, CO2H, etc.; n = 2-4)], useful as antineoplastic agents (no data), were prepd. by acylating aniline IV with an acid halide or mixed anhydride V or VI (wherein Z = OR4, SR4, halo, etc.; R4 = alkyl, cycloalkyl, Ph; L = Cl, Br, OCOR6; R6 = alkyl, cycloalkyl, Ph) followed by reacting the acetylated compd. with H2NX, and treating the resulting intermediate with a mild base or Lewis acid.
- RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
  ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L7 ANSWER 29 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2002:332191 CAPLUS
- DN 136:355236
- TI Preparation of imidazopyridine derivatives as antitumor agents
- IN Hayakawa, Ichiro; Sugano, Yuichi; Agatsuma, Toshinori; Furukawa, Hidehiko; Kurakata, Shinichi; Naruto, Shunji
- PA Sankyo Company, Limited, Japan
- SO PCT Int. Appl., 371 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND DATE	APPLICATION NO. DATE
ΡI	W: AU, BR,		WO 2001-JP9258 20011022 U, ID, IL, IN, KR, MX, NO, NZ, PH, PL,
	• •	CH, CY, DE, DK, ES	S, FI, FR, GB, GR, IE, IT, LU, MC, NL,
	AU 2001095992	NE 20020506	JP 2000-324043 A 20001024 JP 2000-392331 A 20001225
	AU 2001095992	A5 20020506	AU 2001-95992 20011022 JP 2000-324043 A 20001024 JP 2000-392331 A 20001225
	JP 2002255964	A2 20020911	WO 2001-JP9258 W 20011022 JP 2001-325843 20011024
			JP 2000-324043 A 20001024 JP 2000-392331 A 20001225

OS MARPAT 136:355236

GI

$$R^{3}$$
 $R^{8}$ 
 $R^{7}$ 
 $R^{8}$ 
 $R^{8}$ 
 $R^{7}$ 
 $R^{8}$ 
 $R^{1}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 

AΒ The title compds. I [R1 represents substituted Ph, a substituted heterocycle, etc.; R2 represents hydrogen, aliph. acyl, etc.; R3, R4, R5, R6, R7 and R8 represent each hydrogen, alkyl, halogeno, etc.; and X1 represents O, S, etc.] are prepd. (4-Methoxyphenyl)-[4-(2methylimidazo[1,2-.alpha.]pyridin-3-yl)thiazol-2-yl]amine showed ED50 of 1.8 ng/mL against Hela cells. Formulations are given.

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7
    ANSWER 30 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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ΑN 2002:293656 CAPLUS

DN 136:325565

TIPreparation of 3,4-dihydropyrimido[1,2-a]pyrimidines and 3,4-dihydropyrazino[1,2-a]pyrimidines as analgesics

IN Gerlach, Matthias; Maul, Corinna; Jagusch, Utz-Peter

PΑ Gruenenthal Gmbh, Germany

SO PCT Int. Appl., 60 pp.

CODEN: PIXXD2

DTPatent

LΑ German

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FAN.CNT 1
       PATENT NO.
                                  KIND DATE
                                                                   APPLICATION NO. DATE
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                                  ____
                                            _____
                                                                    _____
PΙ
       WO 2002030934
                                   A1
                                            20020418
                                                                   WO 2001-EP11702 20011010
                   AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
                    CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
             RN, HO, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CE, CG, CL, CM, GA, GN, GO, GW, ML, MP, NE, SN, TD, TG
                    BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                                   DE 2000-10050661A 20001013
       DE 10050661
                                                                   DE 2000-10050661 20001013
                                            20020418
                                    A1
       AU 2002014007
                                    A5
                                            20020422
                                                                   AU 2002-14007
                                                                                              20011010
                                                                   DE 2000-10050661A 20001013
                                                                   WO 2001-EP11702W 20011010
       EP 1325010
                                    A1
                                            20030709
                                                                    EP 2001-982417
                                                                                            20011010
             R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                    IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
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DE 2000-10050661A 20001013 WO 2001-EP11702W 20011010 NO 2003-1588 A 20030408 DE 2000-10050661A 20001013 WO 2001-EP11702W 20011010

OS MARPAT 136:325565 GI

AΒ Title compds. [I; Y = CR8; Z = N; or Y = N; Z = CR9; R1, R2 = H, (branched) (unsatd.) (substituted) alkyl, (unsatd.) (substituted) cycloalkyl, (unsatd.) (substituted) heterocyclyl, (substituted) (hetero)aryl, (substituted) alkylaryl, etc.; R3, R4 = H, H, (branched) (unsatd.) (substituted) alkyl, (unsatd.) (substituted) cycloalkyl, (substituted) (hetero)aryl, (substituted) alkylaryl, etc.; R5 = (branched) (unsatd.) (substituted) alkyl, (unsatd.) (substituted) cycloalkyl, (unsatd.) (substituted) heterocyclyl, (substituted) (hetero)aryl, (substituted) alkylaryl, etc.; R6-R9 = H, F, Cl, Br, iodo, cyano, amino, aminoalkyl, aminodialkyl, etc.] and salts thereof were prepd. Several I showed .mu.-opiate receptor binding with Ki = 1.4-2.5 .mu.M and inhibited at 10 .mu.M NMDA/MK801 binding position with 40-47%. The invention relates also to a method for the prodn. of the title compds., substance libraries contg. said compds., medicaments which contain said compds., the use of said compds. in the prodn. of medicaments for treating pain, urinary incontinence, pruritus, tinnitus aurium and/or diarrhea and pharmaceutical prepns. contg. said compds.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L7
    ANSWER 31 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
    2002:293655 CAPLUS
AN
DN
    136:309934
ΤI
    Preparation of 3,4-dihydropyrido[1,2-a]pyrimidines as analgesics
    Gerlach, Matthias; Maul, Corinna; Jagusch, Utz-Peter
ΙN
PA
    Gruenenthal Gmbh, Germany
    PCT Int. Appl., 139 pp.
SO
    CODEN: PIXXD2
DT
    Patent
LΑ
    German
FAN.CNT 1
    PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
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                          -----
                                         -----
PΙ
    WO 2002030933
                         20020418
                     A1
                                        WO 2001-EP11700 20011010
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM,
            HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
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LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,

UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
        DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
        BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                      DE 2000-10050662A 20001013
DE 10050662
                  A1
                       20020418
                                       DE 2000-10050662 20001013
AU 2002010526
                  A5
                       20020422
                                      AU 2002-10526
                                                        20011010
                                       DE 2000-10050662A 20001013
                                       WO 2001-EP11700W 20011010
BR 2001014734
                  Α
                       20030701
                                       BR 2001-14734
                                                        20011010
                                      DE 2000-10050662A 20001013
                                      WO 2001-EP11700W 20011010
EP 1326866
                  Α1
                       20030716
                                       EP 2001-978402
                                                        20011010
    R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                      DE 2000-10050662A 20001013
                                      WO 2001-EP11700W 20011010
NO 2003001412
                  Α
                       20030422
                                      NO 2003-1412
                                                        20030327
                                      DE 2000-10050662A 20001013
                                      WO 2001-EP11700W 20011010
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OS MARPAT 136:309934

GΙ

Ι

AB Title compds. [I; R1, R2 = H, OR10, SH, SR10, (branched) (unsatd.) (substituted) alkyl, (unsatd.) (substituted) cycloalkyl, (unsatd.), (substituted) (hetero)aryl, (substituted) alkylaryl, etc.; R3, R4 = H, H, (branched) (unsatd.) (substituted) alkyl, (unsatd.) (substituted) cycloalkyl, (substituted) (hetero)aryl, (substituted) alkylaryl, etc.; R5 = (branched) (unsatd.) (substituted) alkyl, (unsatd.) (substituted) cycloalkyl, (substituted) (hetero)aryl, (substituted) alkylaryl, etc.; R6-R9 = H, F, Cl, Br, I, cyano, amino, aminoalkyl, aminodialkyl, etc.; R10 = (branched) (unsatd.) (substituted) alkyl, (unsatd.) (substituted) cycloalkyl, (substituted) (hetero)aryl, (substituted) alkylaryl, etc.] and salts thereof were prepd. as analgesics (no data). The invention relates also to a method for the prodn. of the title compds., substance libraries contg. said compds., medicaments which contain said compds., the use of said compds. in the prodn. of medicaments for treating pain, urinary incontinence, pruritus, tinnitus aurium and/or diarrhea and pharmaceutical prepns. contg. said compds.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L7 ANSWER 32 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2002:256250 CAPLUS
- DN 136:279340
- TI Preparation of cannabichromenes as antivirals
- IN Travis, Craig R.

PA

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Immugen Pharmaceuticals, Inc., USA
SO
     PCT Int. Appl., 39 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO.
                      KIND
                            DATE
                                           APPLICATION NO. DATE
                                           -----
PΙ
     WO 2002026728
                            20020404
                      A 2
                                           WO 2001-US42368 20010928
     WO 2002026728
                      A3
                            20020906
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                           US 2000-236425PP 20000928
     AU 2002013429
                       A5
                            20020408
                                           AU 2002-13429
                                                            20010928
                                           US 2000-236425PP 20000928
                                           WO 2001-US42368W 20010928
     US 2002068738
                       A1
                            20020606
                                           US 2001-967341
     US 6541510
                       B2
                            20030401
                                           US 2000-236425PP 20000928
OS
     MARPAT 136:279340
GΙ
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AB Title compds. [I; R1 = H, alkyl, CO2H, OH, (substituted) alkoxy, alkanoyl, morpholinoalkylcarbonyloxy, etc.; R2 = H, OH, CO2H, halo, alkoxy, etc.; R3 = (substituted) alkyl, haloalkyl, CO2H, alkenyl, alkynyl, etc.; R6 = H, OH, halo, alkoxy, alkylthio, alkyl, haloalkyl, cyano, N3, CO2H, etc.; R7 = H, OH, halo, alkoxy, alkylthio, alkyl, haloalkyl, cyano, N3, CO2H, alkoxycarbonyl, O, S, etc.; R12, R121 = H, OH, halo, alkoxy, alkylthio, alkyl, haloalkyl, cyano, N3, CO2H, alkoxycarbonyl, etc.; R12R121 = O, S; Q = O, S, NW; W = H, alkoxycarbonyl, alkyl, haloalkyl, alkoxy, haloalkyl, etc.], were prepd. Thus, 1-(1,1,5-trimethylhexyl)-3,4,5-trimethoxybenzene (prepn. given), geraniol, and TsOH were refluxed 2 h in PhMe to give 20% 3.4-dihydro-2-methyl-2-(4-methyl-3-pentenyl)-7-(1,1,5-trimethylhexyl)-2H-1benzopyran-5-ol (IG-08). IG-08 inhibited HIV-1 attachment and fusion to HeLa CD4 cells with suppression of .mu.-qalactosidase activity.

L7 ANSWER 33 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

Ι

- AN2002:220534 CAPLUS
- DN136:263165
- ΤI Preparation of 1,2,3,4-tetrahydronaphthalenecarboxamide,

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1,2,3,4-tetrahydroquinolinecarboxamide, indanecarboxamides,
     thiochromancarboxamide, and chromancarboxamide derivatives as C5a receptor
     antagonists and medicinal use thereof
IN
     Nakamura, Mitsuharu; Kamahori, Takao; Ishibuchi, Seigo; Naka, Yoichi;
     Sumichika, Hiroshi; Itoh, Katsuhiko
PΑ
     Mitsubishi Pharma Corporation, Japan
SO
     PCT Int. Appl., 415 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     Japanese
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                          APPLICATION NO. DATE
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                                           -----
PΙ
     WO 2002022556
                     A1
                           20020321
                                          WO 2001-JP7977 20010914
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            LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT,
            RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
            UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                           JP 2000-280540 A 20000914
                                          JP 2000-386813 A 20001220
    AU 2001088045
                      A5
                            20020326
                                          AU 2001-88045
                                                            20010914
                                           JP 2000-280540 A 20000914
                                          JP 2000-386813 A 20001220
                                          WO 2001-JP7977 W 20010914
     EP 1318140
                      A 1
                           20030611
                                          EP 2001-967682
                                                          20010914
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                          JP 2000-280540 A 20000914
                                          JP 2000-386813 A 20001220
                                          WO 2001-JP7977 W 20010914
OS
    MARPAT 136:263165
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GΙ

AB Amide derivs. represented by the following general formula [I; R1, R2, R3, R4 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, arylalkyl, heteroarylalkyl, or alkoxy, aryloxy, arylalkyloxy, (un)substituted acyloxy, halo, NO2, cyano, acyl SH, alkylthio, alkylsulfinyl, NH2, alkylamino, dialkylamino, cyclic amino, (un)substituted CONH2, alkoxycarbonyl, CO2h, acylamino, (un)substituted SO2NH2, haloalkyl; or any two of R1, R2, and R3 together with adjacent carbon atom form a ring; all a, b, c, d, and e is a carbon atom; or one or

Patel 8/29/2003>

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two of a, b, c, d, and e represent one or two nitrogen atom and the other represent C atoms; R4, R5, R6 = haloalkyloxy, groups listed in R1 - R4; A = H, (un) substituted cycloalkyl, aryl, heteroaryl, or cyclic amino; W1, W2 = a bond, (un)substituted C1-3 alkylene; Y = a single bond, O, CO, NR7, S, SO, SO2, CONR8, NR9CO (wherein R7, R8, R9 = H, (un) substituted alkyl); Z = a single bond, (un) substituted alkylene] or optically active isomers thereof or pharmaceutically acceptable salts thereof are prepd. These compds. are useful as preventives and remedies for diseases or syndromes caused by inflammation induced by C5a, e.g. immunol. diseases such as rheumatism and systemic lupus erythematosus, allergic diseases such as sepsis, adult respiratory distress syndrome, chronic obstructive pulmonary disease and asthma, atherosclerosis, heart infarction, brain infarction, psoriasis, Alzheimer's disease and important organistic breakdown (e.g. pneumonia, nephritis, hepatitis, pancreatitis) induced by leukocyte activation caused by ischemic reperfusion, burn or surgical invasion. Moreover, they are useful as preventives and remedies for infection with bacteria and viruses mediated by C5a receptor. Thus, to a soln. of 3.3 q 1,2,3,4-tetrahydronaphthalene-1-carboxylic acid in 20 mL CH2Cl2 was added 2.1 mL SO2Cl2 and the resulting mixt. was refluxed for 3 h, concd. under reduced pressure, dissolved in 10 mL CH2Cl2, treated with a soln. of 5.1 g N-[(4-dimethylaminophenyl)methyl](4-isopropylphenyl)amine in 10 mL CH2Cl2 under ice-cooling, warmed to room temp., and stirred overnight to give N-[(4-dimethylaminophenyl)methyl]-N-(4-isopropylphenyl)-1,2,3,4tetrahydronaphthalene-1-carboxamide (II). II inhibited the binding of [1251] -human C5a receptor to human histiocystic lymphoma cell line (U-937) with IC50 of 104 nm/mL. A tablet, a capsule, an injection soln., and an eyedrop formulation contg. II were prepd.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7
     ANSWER 34 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     2002:123001 CAPLUS
DN
     136:183832
ΤI
     Preparation of triazolopyrid(az)ines as herbicides and pesticides
ΤN
     Alig, Bernd; Marhold, Albrecht; Mueller, Peter; Wolfrum, Peter; Drewes,
     Mark Wilhelm; Dahmen, Peter; Feucht, Dieter; Pontzen, Rolf; Erdelen,
     Christoph; Loesel, Peter; Andersch, Wolfram
PΑ
     Bayer Aktiengesellschaft, Germany
     PCT Int. Appl., 166 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     German
T.A
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                            APPLICATION NO. DATE
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                            ------
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     WO 2002012236
                                           WO 2001-EP8480 20010723
PΤ
                      A1 20020214
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             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
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BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10038019 OS MARPAT 136:183832 Α1

20020214

DE 2000-10038019A 20000804 DE 2000-10038019 20000804 GΙ

AB Title compds. [I; Al = N, CR4; A2 = bond, O, S, SO, SO2, NH, alkylene, alkyleneoxy, alkyleneimino, alkenylene, alkynylene, phenylene, etc.; Q = bond, O, S, NH; Ar = (substituted) Ph, pyridyl; R1-R4 = H, OH, amino, hydrazino, NO2, cyano, CO2H, carbamoyl, thiocarbamoyl, halo, (substituted) (O-interrupted) alkyl, alkoxy, alkoxycarbonyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylamino, alkylaminocarbonyl, dialkylamino, dialkylaminocarbonyl, dialkylaminosulfonyl; with provisos], were prepd. Thus, 2-hydrazino-3-chloro-5-trifluoromethylpyridine and 2-methylthiopyridine-3-carbonyl chloride were heated 60 min at 140.degree. to give 77% N'-(3-chloro-5-trifluoromethyl-2-pyridyl)-2-methylthiopyridin-3-carboxylic acid hydrazide. The latter was refluxed with POCl3 for 18 h to give 49% 8-chloro-3-(2-methylthio-3-pyridyl)-6-trifluoromethyl-1,2,4-triazolo[4,3-a]pyridine. Tested I at 250-1000 ppm preemergent gave 90-100% control of Chenopodium, Galinsorga, matricaria, etc.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7 ANSWER 35 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2002:72108 CAPLUS

DN 136:118577

TI Preparation of 1,3,2-oxazaphosphacycloalkane derivatives as matrix metalloproteinase inhibitors

IN Sorensen, Morten Dahl; Blaehr, Lars Kristian Albert; Christensen, Mette
Knak

PA Leo Pharmaceutical Products Ltd. A/S (Lovens Kemiske Fabrik Produktionsaktieselskab), Den.

SO PCT Int. Appl., 92 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
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                           -----
PΙ
     WO 2002006293
                      A1
                           20020124
                                          WO 2001-DK464
                                                         20010703
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            HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
            LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
            RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
            VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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            BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          US 2000-219031PP 20000718
     EP 1303527
                      Α1
                           20030423
                                          EP 2001-949275
                                                           20010703
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2000-219031PP 20000718

US 2000-219031PP 20000718 WO 2001-DK464 W 20010703 BR 2001012558 Α 20030722 BR 2001-12558 20010703 US 2000-219031PP 20000718 WO 2001-DK464 W 20010703 US 2002103166 20020801 US 2001-899017 **A1** 20010706 US 6521606 B2 20030218

OS MARPAT 136:118577

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AB The prepn. of 1,3,2-oxazaphosphacycloalkane derivs. [I; wherein Y = 0 or S; n = 1, 2, 3 or 4; X = hydroxamic acid, carboxylic acid, phosphonicacid, acetylthiomethyl group or a mercaptomethyl group; R2 = H, (C1-8) alkyl, (C2-6) alkenyl, (C3-8) cycloalkyl, aryl (C0-6) alkyl or heteroaryl(C0-6)alkyl; R1 = optionally substituted alkoxyphenyl, phenoxyphenyl, phenylalkyl, naphthylalkyl, biphenyl, etc.] or a salt, hydrate or solvate thereof is described. Thus, 4-chlorophenylphosphoryl dichloride and N-(3-hydroxypropyl)glycine Et ester underwent a cyclization reaction to give Et 2-(4-chlorophenoxy)-2-oxo-1,3,2-oxazaphosphorinane-3acetate (I; Y = 0; X = CO2Et; R1 = 4-chlorophenoxy; R2 = H; n = 1). The compds. are useful in the treatment of arthritis, rheumatoid arthritis, osteoarthritis, osteopenias, osteoporosis, periodontitis, gingivitis, corneal epidermal or gastric ulceration, skin ageing, tumor metastasis, invasion or growth, multiple sclerosis, psoriasis, proliferative retinopathies, neovascular glaucoma, ocular tumors angiofibroma and hemangioma.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 36 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:923779 CAPLUS

DN 136:53771

TI Preparation of cyclic urea compounds

- IN Rodriguez, Marc; Guichard, Gilles; Plaue, Serge; Semetey, Vincent; Schaffner, Arnaud-Pierre; Briand, Jean-Paul
- PA Centre National de la Recherche Scientifique, Fr.; Neosystem; Galas-Rodriguez, Marie-Christine; Rodriguez, Pierre; Rodriguez, Elisa; Rodriguez, Romain

SO PCT Int. Appl., 103 pp. CODEN: PIXXD2

DT Patent

LA French

FAN.CNT 1

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PATENT NO.
                      KIND DATE
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PΙ
                             20011220
     WO 2001096318
                       A1
                                             WO 2001-FR1837
                                                              20010613
     WO 2001096318
                       C1
                             20030501
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
             RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
             VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                           A 20000613
                                            FR 2000-7507
     FR 2810039
                       A1
                             20011214
                                             FR 2000-7507
     EP 1289968
                       A1
                             20030312
                                            EP 2001-945420 20010613
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                             FR 2000-7507 A 20000613
                                             WO 2001-FR1837 W 20010613
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OS MARPAT 136:53771

GΙ

AB The invention concerns a method for prepg. cyclic urea compds. from an activated carbamic acid deriv. contg. an unprotected primary or secondary amine function, by reaction between the primary or secondary amine function and the carbamic acid function of the carbamic acid deriv. Thus, the protected amine I was de-tert.-butoxycarbonylated and cyclized with EtN(CHMe2)2 to give the cyclic urea II.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 37 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:833289 CAPLUS

DN 135:371756

TI Preparation of prodrugs of HIV replication inhibiting pyrimidines

IN Kukla, Michael Joseph; Ludovici, Donald William; Kavash, Robert W.; De

Patel

8/29/2003>

Corte, Bart Lieven Daniel; Heeres, Jan; Janssen, Paul Adriaan Jan; Koymans, Lucien Maria Henricus; De Jonge, Marc Rene; Van Aken Koen, Jeanne Alfons; Krief, Alain

PA Janssen Pharmaceutica N.V., Belg.

SO PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.			KI	ND	DATE			APPLICATION NO.						DATE					
PI		2001085699 2001085699							WO 2001-EP4990 20010503											
	1	W: AE, AG, AL, AM, AT, AU, CO, CR, CU, CZ, DE, DK, HR, HU, ID, IL, IN, IS, LT, LU, LV, MA, MD, MG, RU, SD, SE, SG, SI, SK, VN, YU, ZA, ZW, AM, AZ, RW: GH, GM, KE, LS, MW, MZ, DE, DK, ES, FI, FR, GB,		AU, DK, IS, MG, SK, AZ, MZ, GB,	DM, JP, MK, SL, BY, SD, GR,	DZ, KE, MN, TJ, KG, SL, IE,	EE, KG, MW, TM, KZ, SZ, IT,	ES, KP, MX, TR, MD, TZ, LU,	FI, KR, MZ, TT, RU, UG, MC,	GB, KZ, NO, TZ, TJ, ZW, NL,	GD, LC, NZ, UA, TM AT, PT,	GE, LK, PL, UG, BE, SE,	GH, LR, PT, US,	GM, LS, RO, UZ,						
		P 1282607 R: AT, BE,		A: CH,	2 DE,	20030212			US GB, CY, US	S 200 P 200 GR, AL, S 200	00-20 01-90 IT, TR	0247: 3392: LI, 0247:	1PP 5 LU, 1PP	20000508						

OS MARPAT 135:371756 GI

The title compds. AlA2NR1 [I; R1 = alkyl, SOR8, SO2R8, etc.; R8 = alkyl, (un) substituted Ph, (un) satd. heterocyclyl; AlA2N- is the covalently bonded form of the corresponding intermediate of the formula AlA2NH, which is a HIV replication inhibiting pyrimidine II (wherein al:a2a3:a4 = CH:CHCH:CH, N:CHCH:CH, N:CHN:CH, N:CHCH:N, N:NCH:CH; n = 0-5; R2 = OH, halo, alkyl, etc.; L = alkyl, alkenyl, cycloalkyl, etc.; Q = H, alkyl, halo, etc.; Y = H, OH, halo, etc.)], were prepd. Thus, reacting 4-{[5-bromo-4-(4-cyano-2,6-dimethylphenoxy)-2-pyrimidinyl]amino}benzonitrile (prepn. given) with (chloromethoxy)ethane in the presence of NaH in THF afforded 19% III. Anti-HIV activity of compds. I was tested and results were given.

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L7
     ANSWER 38 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     2001:798220 CAPLUS
DN
     135:344472
ΤI
     Preparation of 6-(5-oxazolyl)-4(1H)-quinolinones as inhibitors of IMPDH
     enzyme
IN
     Iwanowicz, Edwin J.; Watterson, Scott H.; Dhar, T. G. Murali; Pitts,
     William J.; Gu, Henry H.
PΑ
     Bristol-Myers Squibb Company, USA
SO
     PCT Int. Appl., 263 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                              APPLICATION NO. DATE
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                       - - - -
PΙ
     WO 2001081340
                       A2
                              20011101
                                              WO 2001-US12900 20010419
     WO 2001081340
                       A3
                              20020523
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              HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
              LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
              RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
              VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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     EP 1276739
                        A2
                            20030122
                                              EP 2001-928708 20010419
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                              US 2000-199420PP 20000424
                                              WO 2001-US12900W 20010419
     US 2002040022
                        A1
                              20020404
                                              US 2001-840503
                                                               20010423
                                              US 2000-199420PP 20000424
OS
     MARPAT 135:344472
GΙ
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AB Title compds. I [wherein X1 = CO, SO, or SO2; X2 = CR3 or N; X3 = NH, O, or S; X4 = CR4 or N; X5 = CR5 or N; X6 = CR6 or N] were prepd. were prepd. as inosine monophosphate dehydrogenase (IMPDH) enzyme inhibitors. For example, acetalization of 4-nitro-2-methoxytoluene with AcOH (51%), redn. to the aldehyde (91%), and cycloaddn. with (p-tolylsulfonyl)methyl isocyanate gave 5-(4-nitro-2-methoxyphenyl)oxazole (84%), which was reduced to the amine (95%). Alkylation with Et benzoylacetate and cyclization afforded the 6-(5-oxazolyl)-4(1H)-quinolinone II. Thus, I are useful as therapeutic agents for IMPDH-assocd. disorders, such as

Patel

ΙI

allograft rejection (no data).

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L7
     ANSWER 39 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     2001:747745 CAPLUS
DN
     135:289060
TI
     Preparation of peptides as inhibitors of serine proteases, particularly
     hepatitis C virus NS3 protease
IN
     Perni, Robert; Court, John; O'malley, Ethan; Bhisetti, Govinda Rao
PΑ
     Vertex Pharmaceuticals Incorporated, USA
SO
     PCT Int. Appl., 47 pp.
     CODEN: PIXXD2
     Patent
DT
     English
LΑ
FAN.CNT 1
     PATENT NO.
                        KIND DATE
                                               APPLICATION NO. DATE
                        _ _ _ _
                               _____
PI
     WO 2001074768
                         A2
                               20011011
                                               WO 2001-US10367 20010329
     WO 2001074768
                        A3
                               20020606
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
              HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
              LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
              SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
              YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                               US 2000-194563PP 20000403
                                               US 2000-198330PP 20000418
     EP 1268519
                               20030102
                                               EP 2001-924516 20010329
                         A2
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                               US 2000-194563PP 20000403
                                               US 2000-198330PP 20000418
                                               WO 2001-US10367W 20010329
OS
     MARPAT 135:289060
GΙ
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AB Peptides Q-CO-A1-NHCHR1COCOR3 [R1 is C1-6 alkyl or C2-6 alkenyl or alkynyl, optionally substituted by 1-4 halogen atoms and SH or OH at the terminal position; R3 is (un)substituted 1-aziridinyl or 1-azetidinyl; A1

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is a proline residue which may be substituted, e.g., by Z-X- at the 4-position, where X is O, imino, CO, CO2, etc. and Z is H, alkyl, a cyclic ring system, etc.; Q is OH, alkoxy, an amino group, etc.] were prepd. as serine protease inhibitors, particularly as hepatitis C NS3 protease inhibitors. Thus, peptide I was prepd. by solid-phase coupling using a THP resin and showed Ki < 1 .mu.M for inhibition of hepatitis C NS3 protease.

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L7
     ANSWER 40 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     2001:730737 CAPLUS
DN
     135:272960
ΤI
     Preparation of N-heterocyclic derivatives as NOS inhibitors
IN
     Davey, David D.; Pham, Eric; Phillips, Gary B.; Xu, Wei
PA
     Schering Aktiengesellschaft, Germany
SO
     PCT Int. Appl., 62 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                    KIND DATE
                                                 APPLICATION NO. DATE
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                               -----
                                                 -----
     WO 2001072744 A1 20011004
                                               WO 2001-US9481 20010326
PΙ
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
               DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
               BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                 US 2000-192168PP 20000327
                                                 US 2001-814787 A 20010322
     US 2002010190
                          A1
                                20020124
                                                 US 2001-814787
                                                                     20010322
     US 6525051
                          B2
                                20030225
                                                 US 2000-192168PP 20000327
     EP 1268471
                          A1
                                20030102
                                                  EP 2001-918958 20010326
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
               IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                                 US 2000-192168PP 20000327
                                                 US 2001-814787 A 20010322
                                                 WO 2001-US9481 W 20010326
     NO 2002004614
                          Α
                                20021126
                                                 NO 2002-4614
                                                                     20020926
                                                 US 2000-192168PP 20000327
                                                 US 2001-814787 A 20010322
                                                 WO 2001-US9481 W 20010326
OS
     MARPAT 135:272960
GI
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AB N-Heterocyclic derivs. of formula I [X1-X3 = (substituted) CH, N; Z1 = (CH2)mO, (CH2)mS, (CH2)mNH; m = 0-2; Z2 = O(CH2)m, S(CH2)m, NH(CH2)m; R1 = H, alkyl, halo, morpholino, (substituted) amino, etc.; R2 = H, alkyl; R3 = H, halo, alkyl, nitro, etc.] are prepd. and are useful as inhibitors of nitric oxide synthase. Pharmaceutical compns. contg. these compds., methods of using these compds. as inhibitors of nitric oxide synthase and processes for synthesizing these compds. are also described herein. Thus, II was prepd. from 2-(1,3-benzodioxol-5-yloxy)-6-fluoropyridine (prepn. given) and 1-(4-hydroxyphenyl)imidazole. The title compds. were shown to treat arthritis in rats.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 41 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:712792 CAPLUS

DN 135:258549

TI Black trisazo metal complex dyes, their production and their use

IN Geisenberger, Josef; Wuzik, Andreas

PA Clariant GmbH, Germany

SO Ger. Offen., 12 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND DATE	APPLICATION NO. DATE
ΡΙ		A2 20011004 A3 20020314	
	W: BR, CA, RW: AT, BE, PT, SE,	CH, CY, DE, DK, E	CS, FI, FR, GB, GR, IE, IT, LU, MC, NL, DE 2000-10015004A 20000325
	R: AT, BE,	A2 20030102 CH, DE, DK, ES, F CY, TR	
	BR 2001009552	A 20030610	DE 2000-10015004A 20000325 WO 2001-EP2487 W 20010306 BR 2001-9552 20010306 DE 2000-10015004A 20000325 WO 2001-EP2487 W 20010306

10009276.3

Page 146

US 2001027734 20011011 US 2001-816180 Α1 20010323 DE 2000-10015004A 20000325

MARPAT 135:258549 OS

GI

$$R^{1-N=N}$$
 $R^{2}$ 
 $N=N$ 
 $N=N-R^{6}$ 
 $R^{4}$ 
 $R^{5}$ 
 $N=N-R^{6}$ 

AB The black dyes (I; R1 = org. group; R2 = OH, C1-6-alkoxy, CO2M, SO3M, where M = H, metal cation; R3, R4, R5 = H or a substituent; R6 = optionally substituted arom. group) are obtained as black dyes esp. suitable for water-thinned jet-printing inks. Thus, 1-hydroxy-7-amino-3naphthalenesulfonic acid.fwdarw.3-carboxy-5-hydroxy-1-(4-sulfophenyl)-4pyrazole was prepd. and was coupled with diazotized 2-[(4-amino-3methoxyphenyl)azo]naphthalene-6,8-disulfonic acid to give a trisazo compd. which was complexed with copper to give a black dye (.lambda.max 412, 582 nm). The dye was used in a water-thinned jet-printing ink with good optical and application properties.

ANSWER 42 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN L7

AN 2001:661399 CAPLUS

DN 135:226826

ΤI Synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug resistant phenotype

Danishefsky, Samuel J.; Lee, Chul Bom; Chappell, Mark; Stachel, Shawn; INChou, Ting-chao

PΑ Sloan-Kettering Institute for Cancer Research, USA

SO PCT Int. Appl., 234 pp.

CODEN: PIXXD2

DTPatent

LΑ English

FAN. CNT 1

PAIN.																		
	PAT	CENT I	NO.		KII	ND	DATE			A.	PPLI	CATI	ON NO	Ο.	DATE			
							<b>-</b>			-		<b>-</b> -						
ΡI	WO	2001	0646	50	A:	2	2001	0907		W	20	01-U	S664	3	2001	0301		
	WO	2001	0646	50	A.	3	2002	0510										
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,
			HU,	ID,	ΙL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,
			LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,
			SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,
			YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM				
		RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙĖ,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
										U:	5 20	00-1	8596	8PP	20000	0301		
										U	5 20	00-2	5044	7PP	20003	1130		
	US	2002	0588	17	A.	1	2002	0516		US	5 20	01-7	9695	9 .	2001	0301		

US 2000-185968PP 20000301
EP 1259490 A2 20021127 EP 2001-916335 20010301
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2000-185968PP 20000301
US 2000-250447PP 20001130
WO 2001-US6643 W 20010301

OS CASREACT 135:226826; MARPAT 135:226826 GI

AΒ The present invention provides convergent processes for prepq. epothilones, desoxyepothilones, and analogs, e.g., I [M = NH, O; CY = aryl, heteroaryl; q = 1-5; W = absent, NH, CO, CS, O, S, C(V)2; V = H, halogen, OH, SH, amino, (un) substituted alkyl, heteroalkyl, aryl, heteroaryl; m = 1-5; bond W.cntdot..cntdot.R1 = single bond, double bond; R1 = OR, SR, NR2; CO2R, COR, CONHR, N3, N2, N2R; halogen, un(substituted) cyclic or acyclic aliph., heteroaliph., aryl or heteroaryl, polymer, carbohydrate; R = H, un(substituted) cyclic or acyclic aliph., heteroaliph., aryl or heteroaryl, protecting group; R2, R3 = H, un(substituted) aliph., heteroaliph., aryl, heteroaryl, acyl, aroyl, benzoyl; R4, R5 = H, un(substituted) cyclic or acyclic aliph., heteroaliph., aryl or heteroaryl, optionally substituted by one or more of OH, alkoxy, carboxy, carboxaldehyde, N-alkoxyimino, N-alkoxyimino; R6 = H, OR, SR, NR2; CO2R, COR, CONHR, N3, N2, N2R, cyclic acetal, halogen, un(substituted) cyclic or acyclic aliph., aryl, heteroaryl; Z = O, N(ORE), NNRFRG; RE, RF, RG = un(substituted) cyclic or acyclic aliph.; n = 0-3], for the treatment of cancer. Biol. activities of novel compds. based on I and methods for the treatment of cancer and cancer which has developed a multi-drug phenotype are presented. Thus, 21-oxo-12,13-desoxyepothilone B and 15-azaepothilone B were active vs leukemia CCRF-CEM cells (IC50 =  $0.027 \, .mu.M; \, IC50 = 0.021 \, .mu.M, \, resp.).$ 

Ι

- L7 ANSWER 43 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2001:661388 CAPLUS
- DN 135:226878
- TI Synthesis of N-benzyl-indolyl(benzyloxy)amido derivatives as PDE-IV inhibitors
- IN Labelle, Marc; Sturino, Claudio; Lachance, Nicolas; MacDonald, Dwight
- PA Merck Frosst Canada + Co., Can.
- SO PCT Int. Appl., 75 pp. CODEN: PIXXD2

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DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                           APPLICATION NO. DATE
                      ----
ΡI
     WO 2001064639
                      A2
                            20010907
                                           WO 2001-CA270
                                                            20010302
     WO 2001064639
                            20020228
                      A3
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
             HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT,
            LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                           US 2000-186571PP 20000302
                                           US 2001-797083 20010301
     US 2002068756
                            20020606
                      A1
     US 6436965
                      B2
                            20020820
                                           US 2000-186571PP 20000302
     EP 1263728
                            20021211
                                           EP 2001-913422 20010302
                      Α2
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                           US 2000-186571PP 20000302
                                           WO 2001-CA270 W 20010302
     JP 2003525273
                      T2
                            20030826
                                           JP 2001-563482 20010302
                                           US 2000-186571PP 20000302
                                           WO 2001-CA270 W 20010302
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$$\begin{array}{c|c}
B & X & O - (CH_2)_{\overline{p}} X \\
\hline
D & C(O) - N(R^1) - (CH_2)_{\overline{q}} Ar
\end{array}$$
Ar

AB Title compds. I [A, B, D, E = N or CR2 and the others = CR2; q = 0 - 1; p,

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OS

GI

MARPAT 135:226878

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m = 0 - 2; R1 = H, (hydroxy)alkyl; R2 = H, halo, (halo)alkyl,
hydroxyalkyl, CN, arom. or nonarom. ring system contg. 1 - 4 heteroatoms
selected from O, S, N, alkoxy, oxyamide, etc.; X = cycloalkyl or Ar; Ar =
(un)substituted (Ph, thienyl, thiazolyl, pyridyl, oxazolyl, tetrazolyl,
pyrimidinyl, pyrazinyl and pyridazinyl)]were prepd. Over 150 compds. were
disclosed. For instance, Me 2-aminobenzoate was alkylated with
4-fluorobenzyl bromide (K2CO3, MEK, reflux, 8 h.). The resulting ester
was sapond. (NaOH, MeOHaq reflux, 2 h.), N-alkylated with Me bromoacetate
(K2CO3, MeOHaq, reflux, 18 h.) and treated with CH2N2 to afford II.
Diester II was cyclized (NaOMe, MeOH, reflux, 30 min.), O-alkylated with
benzyl bromide (K2CO3, MEK, reflux, 2 h.), sapond. (NaOH, EtOHaq,
90.degree.C, 40 min.) and finally coupled to 3-aminopyridine (SOCl2,
i-Pr2NEt, room temp., 3 h.) to yield III. I are PDE-IV inhibitors (no
data) useful for treating, e.g., inflammation, muscle spasm, chronic
bronchitis, etc.

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L7 ANSWER 44 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2001:654785 CAPLUS

DN 135:218779

TI Dipyrromethene-metal chelate compound and optical recording medium using thereof

IN Nishimoto, Taizo; Tsukahara, Hisashi; Inoue, Shinobu; Ogiso, Akira; Misawa, Tsutami; Koike, Tadashi

PA Mitsui Chemicals, Inc., Japan; Yamamoto Chemicals, Inc.

SO Eur. Pat. Appl., 49 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

FAN.	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
PI	EP 1130584 EP 1130584	A2 A3	20010905	EP 2001-104471 20010228
			C, DK, ES,	FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
				JP 2000-51242 A 20000228
				JP 2000-351399 A 20001117
	US 2002048645	A1	20020425	US 2001-793083 20010227
				JP 2000-51242 A 20000228
				JP 2000-351399 A 20001117
	JP 2002212456	A2	20020731	JP 2001-52523 20010227
				JP 2000-51242 A 20000228
				JP 2000-351399 A 20001117
	CN 1317789	Α	20011017	CN 2001-116852 20010228
				JP 2000-51242 A 20000228
				JP 2000-351399 A 20001117

OS MARPAT 135:218779

GΙ

AB An optical recording medium comprises at least a recording layer and a reflecting layer on a substrate wherein the recording layer contains at least one dipyrromethene-metal chelate compd. represented by I (R1-6 = H, halogen, nitro, cyano, hydroxyl, amino, carboxyl, sulfo, up to C20 alkyl, alkoxy, alkylthio, aryloxy, arylthio, alkenyl, acyl, alkoxycarbonyl, carbamoyl, acylamino, aralkyl, aryl or heteroaryl; R7= halogen, aryl, heteroaryl, alkoxy, alkylthio, aryloxy or arylthio; A = up to C20 arom. or heterocyclic ring; L1 = bivalent residue forming a ring together with carbon atoms to which it attaches and optionally contg. a hetero atom; and M1 = transition metal element).

Ι

L7 ANSWER 45 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:581901 CAPLUS

DN 135:152963

- TI Scalable process for making geminal bisphosphonates from aminocarboxylic acids, phosphorous acid and phosphorus trihalide or oxytrihalide in presence of base
- IN Cazer, Fredrick Dana; Perry, Gregory Eugene; Billings, Dennis Michael; Cramer, William Douglas
- PA Procter & Gamble Company, USA
- SO PCT Int. Appl., 17 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE \_ \_ \_ \_ -----------PΙ WO 2001057052 20010809 Α1 WO 2001-US3309 AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU,

AB

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TJ, TM
    RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                             US 2000-179506PP 20000201
US 2001041690
                     A1
                           20011115
                                             US 2001-771899
US 6562974
                     B2
                           20030513
                                             US 2000-179506PP 20000201
EP 1252169
                     A1
                                             EP 2001-908779 20010201
                           20021030
    R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
         IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                             US 2000-179506PP 20000201
                                             WO 2001-US3309 W 20010201
BR 2001007952
                           20030225
                                             BR 2001-7952
                                             US 2000-179506PP 20000201
                                             WO 2001-US3309 W 20010201
JP 2003522181
                     T2
                           20030722
                                             JP 2001-557883
                                                                 20010201
                                             US 2000-179506PP 20000201
                                             WO 2001-US3309 W 20010201
NO 2002003646
                     Α
                           20020930
                                             NO 2002-3646
                                                                  20020731
                                             US 2000-179506PP 20000201
                                             WO 2001-US3309 W 20010201
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OS CASREACT 135:152963; MARPAT 135:152963

The present invention relates to a novel process for making geminal bisphosphonates. The process provides for bisphosphorylation by dissolving an aminocarboxylic acid in phosphorous acid as a reactant/solvent and reacting the soln. with P trihalide or oxytrihalide in the presence of a base as an acid acceptor/solvent. The present invention is directed to a process for making geminal bisphosphonates (R3-Z-(CR22)n-Q-(CR22)m-CR1(PO3R1)2), wherein Q is O, -NR4-, S, Se, or a single bond; m+n = 0.apprx.5, Z is a ring selected from pyridine, pyridazine, pyrimidine, and pyrazine; R1 is H, substituted or unsubstituted amino, amido, hydroxy, alkoxy, halogen, carboxylate, substituted or unsubstituted alkyl (satd. or unsatd.) having 1.apprx.6 C atoms, substituted or unsubstituted aryl, or substituted or unsubstituted benzyl; each R2 is independently, H, or substituted or unsubstituted alkyl (satd. or unsatd.) having 1.apprx.4 C atoms; R3 is one or more substituents selected from H, substituted or unsubstituted alkyl (satd. or unsatd.) having 1.apprx.6 C atoms, substituted and unsubstituted aryl, substituted and unsubstituted benzyl, hydroxy, halogen, carbonyl, alkoxy, nitro, amido, amino, substituted amino, carboxylate, and combinations thereof; R4 is H, substituted alkyl (satd. or unsatd.) having 1.apprx.4 C atoms, or acyl. For example, 1-hydroxy-2-(3-pyridinyl)ethylidene-1,1bis(phosphonic acid) (NE-58019) was prepd. on the 65 mol scale in a 30 gal reactor. The mixt. of 5 equiv phosphorous acid and 3-pyridineacetic acid monohydrochloride, with morpholine monohydrochloride, was melted together until complete soln. was obtained at .apprx.70-75.degree.. The reaction mixt. was cooled to 68.degree. and 2 equiv of PCl3 was metered in over 2.5-4 h while maintaining the temp. at 68.degree.. The reaction was allowed to continue 15-30 min after the addn. was complete. Then the reaction mixt. was hydrolyzed in aq. HCl at 80.degree. for 0.5 h to yield, after crystn. from aq. acid/IPA, 14.2 Kg of NE-58019 in a 77.6% isolated yield.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 46 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN AN 2001:545696 CAPLUS

Patel 8/29/2003>

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DN 135:122505
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TI Preparation of imidazopyridines and related azacyclic compounds as selective modulators of bradykinin B2 receptors

IN Peterson, John M.; Hutchison, Alan; Shaw, Kenneth; Hodgetts, Kevin J.;
Maynard, George D.; Lew, Richard

PA Neurogen Corporation, USA

SO PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

1 1 11 1		_																
	PAT	CENT 1	NO.		KII	ND	DATE			A)	PPLI	CATI	N NC	Э.	DATE			
										_								
ΡI	WO	2001	05329	98	A.	1	2001	0726		W(	O 20	01-U	S160	1	2001	0117		
	WO	2001	0532	98	C:	2	2002	1017										
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,
			HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KP,	KŖ,	ΚZ,	LC,	LK,	LR,	LS,	LT,
			LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	ΝZ,	PL,	PT,	RO,	RU,
			SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VN,
			YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM				
		RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	ŞΖ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙĒ,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG		
										U.	S 20	00-1	7670	1PP	2000	0118		
	US	6420	365		B	1	20020716 US 2001-765			6515	9	9 20010117						
								U	S 20	00-1	7670	1PP	2000	0118				

OS MARPAT 135:122505

GI

$$R^3$$
 $R^3$ 
 $R^5$ 
 $R^6$ 
 $R^6$ 

AB Title compds. [I; .ltoreq.2 of a, b, c, d = N, the others = C; R1 = (substituted) aralkyl, heteroarylalkyl; ring contg. a, b, c, d may be substituted; R3 = alkyl; R4 = halo, CF3; R5, R6, R61 = H, CF3, OCF3, NO2, cyano, alkyl, halo, aminomethyl, (substituted) alkoxy, etc.; R4R5 = atoms

to form 5-7 membered (substituted) carbocyclic or heterocyclic ring; Y = bond, (substituted) CH2], were prepd. as BK-2 receptor ligands (no data). I are useful in the diagnosis and treatment of renal disease, heart failure, hypertension, Meniere's disease, vaginal inflammation and pain, peripheral circulatory disorders, climacteric disturbance, retinochoroidal circulatory disorders, myocardial ischemia, myocardial infarction, postmyocardial infarction syndrome, angina pectoris, restenosis after percutaneous transluminal coronary angioplasty, hepatitis, liver cirrhosis, pancreatitis, ileus, diabetes, diabetic complications, male infertility, glaucoma, pain, asthma, and rhinitis and for the increase of permeability of the blood-brain barrier or the blood-brain-tumor barrier. Thus, isoamylamine and 4-bromo-2-[2-(chloromethyl)(3a-hydroimidazolo[1,2-a]pyridin-3-yl)methyl]-1-methoxybenzene (prepn. given) were stirred 4 h in MeCN to give 95% title compd. (II).

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ALL CITATIONS AVAILABLE IN THE RE FORMAT
L7
    ANSWER 47 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN
    2001:453001 CAPLUS
DN
    135:46002
ΤI
    Synthesis and use of amidino/guanidino-arylamino salicylamides as serine
    protease inhibitors for treatment of cancer related disorders
    Allen, Darin Arthur; McGee, Danny Peter Claude; Spencer, Jeffrey R.
IN
    Axys Pharmaceuticals, Inc., USA
PA
SO
    PCT Int. Appl., 79 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 1
                    KIND DATE
     PATENT NO.
                                         APPLICATION NO. DATE
                                     WO 2000-US34211 20001214
     ----
    WO 2001044172 A1 20010621
PΤ
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
            HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
            LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
            SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
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DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 1999-170916PP 19991215
US 2002052343 A1 20020502 US 2000-737687 20001214

US 1999-170916PP 19991215 EP 1242366 A1 20020925 EP 2000-984472 20001214

YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,

US 1999-170916PP 19991215 WO 2000-US34211W 20001214

OS MARPAT 135:46002

GΙ

AΒ Compds. I and a process for their synthesis are claimed [wherein; R1 = OH, CO2H, ester, CH2O-, (O) SO3H, sulfonate ester or OP(O)(OH)2 or esters thereof; R2-5 = H, SH, O-, halo, ester, amide, (substituted)aryl, heterocyclyl, etc.; R, R6, R9 = H, halo, CN, (halo)alkyl, NO2, O-aryl/alkyl or R, R6 taken together form (un)satd. (un)substituted C4; R7, R8 = OH, CF3, H, CO2H, NO2, (O) alkyl/aryl, halo, cyano, (substituted) guanidino/amidino, imidazolin-2-yl, Namidino(morpholine/piperidine), etc.; X includes C; X1-4 = C or N; R20 = H or OH; Z = O, S, CH2, N-, H(CO2H), H(CH2OH), etc.; with the proviso that at least 2 of X1-4 = C and when any of X1-4 = N the corresponding substituent does not exist]. Data for over 40 synthetic examples is provided. The process claimed involves a selective acylation of an amino group and is exemplified by the synthesis of II. 3-Acetoxy-2chlorocarbonylnaphthalene was prepd. from the corresponding carboxylic acid and coupled, in the presence of N,N-dimethylacetamide (or other selected acetamides), to N-(5-aminopyridin-2-yl)guanidine hydrochloride to give the acetoxy deriv. of II. The acetoxy deriv. was treated with 1M HCl for 2 h to provide II, isolated as the HCl salt. Compds. of the invention are inhibitors of serine proteases, urokinase (uPA), factor Xa (FXa) and/or factor VIIa (FVIIa). Guanidine II had Ki = 0.326 .mu.M for urokinase and Ki = 130 .mu.M for FXa. Compds. I are anticancer agents and/or anticoagulants and also used for the treatment or prevention of thromboembolic disorders in mammals.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L7 ANSWER 48 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2001:396848 CAPLUS
- DN 135:19441
- TI Preparation and use of .beta.-amino acid-, aspartic acid- and diaminopropionic-based benzamides as inhibitors of factor Xa
- IN Zhu, Bing-yan; Wang, Lingyan; Huang, Wenrong; Wu, Yanhong; Fan, Jingmei; Su, Ting; Scarborough, Robert

8/29/2003>

PA Cor Therapeutics, Inc., USA

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SO
     PCT Int. Appl., 127 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO.
                      ----
PΙ
     WO 2001038309
                      A1
                            20010531
                                           WO 2000-US31520 20001117
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                           US 1999-167240PP 19991124
     EP 1235807
                            20020904
                       Α1
                                           EP 2000-980439 20001117
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                           US 1999-167240PP 19991124
                                           WO 2000-US31520W 20001117
     JP 2003514897
                       T2
                            20030422
                                           JP 2001-540072
                                                            20001117
                                           US 1999-167240PP 19991124
                                           WO 2000-US31520W 20001117
OS
     MARPAT 135:19441
GI
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AB Novel .beta.-amino acid-, aspartic acid- and diaminopropionic-based compds. of the general formula A-Q-D-E-G-J-X are claimed [wherein; A = (substituted)phenyl/naphthyl/5-10-membered ring heterocycle, the heterocycle may be monocyclic or fused bicyclic contg. 1-4 heteroatoms chosen from N, O or S; Q = bond, divalent alk(en/yn)yl, C(O), imino, etc.; D = (substituted)phenyl or a 5-10-membered ring heterocycle, the heterocycle may be monocyclic or fused bicyclic contg. 1-4 heteroatoms chosen from N, O or S; E = (CH2)qC(O), (CH2)qNR5C(O)(CH2)x, etc., where q, x = 0-2, R5 = H, acyl, alkyl, etc.; G = CHR6, where R6 is H, alkyl (hetero) (aryl), etc.; J = C(=O)NR11, NR11C(=O) or NR11SO2, where R11 = H, alkyl or carbocyclic-aryl; X = (substituted)phenyl/naphthyl, a (substituted) 6-membered arom. heterocycle contg. 1-3 N atoms or a

Patel 8/29/2003>

(substituted) fused arom. heterobicyclic ring system contg. 1-4 heteroatoms selected from N, O and S]. Approx. 50 synthetic examples are claimed. For instance, 4-[2-((tert-butylamino)sulfonyl)phenyl]benzoic acid is coupled to .beta.-alanine Et ester using BOP to give an intermediate amide ester (100%). The intermediate ester is coupled to 2-amino-5-bromopyridine in CH2Cl2 using AlMe3 to give the diamide (15%) which, upon deprotection using CF3CO2H, affords I in 78% yield after purifn. Compds. of the invention preferably have in-vitro protease activity; IC50 for factor Xa <100 nM, prothrombinase <10 nM and thrombin >100 .mu.M. A rabbit deep vein thrombosis model at a high dose of 100 .mu.g/kg demonstrated antithrombotic efficacy (no data) with no adverse side effects. The compds. are useful for preventing/treating coagulation disorders.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7 ANSWER 49 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2001:356700 CAPLUS

DN 134:359319

TI Organic electroluminescent device

IN Kitazawa, Daisuke; Makiyama, Akira; Kohama, Toru

PA Toray Industries, Inc., Japan

SO Jpn. Kokai Tokkyo Koho, 7 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 2001135480	A2	20010518	JP 1999-312188	19991102
				JP 1999-312188	19991102

- OS MARPAT 134:359319
- AB The invention relates to an org. electroluminescent device comprising zinc, magnesium and beryllium metal complexes with 2,2'-dipyridylamine deriv. ligands.
- L7 ANSWER 50 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2001:355084 CAPLUS
- DN 134:353297
- TI Preparation of thienopyridines and thienopyrimidines as cell adhesion-inhibiting antiinflammatory compounds
- IN Stewart, Andrew O.; Boyd, Steven A.; Arendsen, David L.; Bhatia, Pramila;
   Condroski, Kevin R.; Freeman, Jennifer C.; Gunawardana, Indrani W.; Zhu,
   Gui-dong; Lartey, Kraig; Mccarty, Catherine M.; Mort, Nicholas A.; Patel,
   Meena V.; Staeger, Michael A.; Stout, David M.

8/29/2003>

- PA Abbott Laboratories, USA
- SO U.S., 117 pp.

CODEN: USXXAM

DT Patent

LA English

FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6232320	В1	20010515	US 1999-325336	19990603
				US 1998-87907P P	19980604
	US 2001020030	A1	20010906	US 2001-799729	20010306
	US 6579882	B2	20030617		
				US 1998-87907P P	19980604

US 1999-325336 A319990603

OS MARPAT 134:353297

GI

Ι

AB The title compds. [I; E, F, and G = C, N, N(:O); Y, Z = C, N, O, S(O)n; n = 0-2; LA = covalent bond, O, S(O)n, etc.; XA = halo, (un) substituted alkyl, etc.; LB = covalent bond, O, S(O)n, etc.; XB = H, alkyl, alkenyl, etc.; R1-R5 = absent, H, halo, etc.] were prepd. as antiinflammatory compds. I inhibited the expression of e-selectin and ICAM-1 relative to VCAM-1 and are useful for the treatment or prophylaxis of diseases caused by expression of adhesion mols. Examples include syntheses for over 300 invention compds. and e-selectin, ICAM-1, and VCAM-1 inhibition potencies for approx. 90 representative compds. For instance, 4-chlorophenol was treated with KOBu-t in THF and added to 3,5-dichloropyridine-4carboxaldehyde in THF. Cycloadditon with Me thioglycolate in the presence of Cs2CO3, followed by conversion to the amide by heating to 45.degree.C in methanolic NH3 for 18 h, afforded 4-(4-chlorophenoxy)thieno[2,3c]pyridine-2-carboxamide (II). II inhibited e-selectin, ICAM-1, and VCAM-1 by 82%, 74%, and 50%, resp., at concns. of 1 .mu.M.

RE CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 51 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:241784 CAPLUS

DN 134:265905

TI Catalytic asymmetric cycloaddition reactions of dienes and aldehydes

IN Jacobsen, Eric N.; Schaus, Scott E.; Dossetter, Alexander G.; Jamison, Timothy F.

PA Harvard University, USA

SO U.S., 39 pp., Cont.-in-part of U.S. 6,130,340. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

L. MIA.	CIVI				
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE	
ΡI	US 6211370	B1	20010403	US 1999-255480 19990223	
				US 1998-6104 A219980113	
	US 6130340	A	20001010	US 1998-6104 19980113	
	WO 2000050365	A1	20000831	WO 2000-US4742 20000223	
	W: AU, CA,	JP			
	RW: AT, BE, PT, SE	CH, CY	, DE, DK, ES,	FI, FR, GB, GR, IE, IT, LU, MC, NL,	
				US 1999-255480 A 19990223	
	US 2002004602	A1	20020110	US 2001-755612 20010104	

US 6369223 B2 20020409

US 1998-6104 A219980113 US 1999-255480 A119990223

PATENT FAMILY INFORMATION:

FAN 1999:464250

W: AU, CA, JP

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,

PT, SE

US 1998-6104 A 19980113
US 6130340 A 20001010 US 1998-6104 19980113
AU 9915990 A1 19990802 AU 1999-15990 19981120
US 1998-6104 A 19980113
WO 1998-US24971W 19981120

FAN 2000:608693

PATENT NO. KIND DATE APPLICATION NO. DATE
PI WO 2000050365 A1 20000831 WO 2000-US4742 20000223

W: AU, CA, JP

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,

PT, SE

US 1999-255480 A 19990223 US 6211370 B1 20010403 US 1999-255480 19990223 US 1998-6104 A219980113

OS MARPAT 134:265905

GI

Me

N

$$Cr^{+-O}$$
 $R^{3}$ 
 $O$ 
 $SbF_{6}^{-}$ 
 $I$ 
 $Me$ 
 $O$ 
 $OSiMe_{2}CMe_{3}$ 
 $II$ 

AB Stereoselective cycloaddn. reactions which generally comprise a cycloaddn. reaction between a pair of substrates, each either chiral or prochiral, that contain reactive .pi.-systems, in the presence of a nonracemic chiral catalyst produced stereoisomerically enriched products. Thus, Cr complex I (R3 = 1-adamantyl) catalyzed the hetero Diels-Alder reaction of Me3CMe2SiOCH2CHO with MeCH:CHC(OSiEt3):CHMe to give 93% pyran II in 98% ee.

RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 52 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:168104 CAPLUS

DN 134:194911

TI Color-safe laundry methods employing zwitterionic formulation components

Dykstra, Robert Richard; Kellett, Patti Jean

ΤN

Patel

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PA
    Procter & Gamble Company, USA
    PCT Int. Appl., 83 pp.
SO
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
                   KIND DATE
                                        APPLICATION NO. DATE
     -----
                                         -----
PΙ
    WO 2001016278
                     A1 20010308
                                       WO 2000-US23321 20000825
        W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,
            CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI,
            GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR,
            KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX,
            MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM,
            TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
            MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
            CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                         US 1999-151174PP 19990827
    BR 2000013643
                     Α
                           20020507
                                         BR 2000-13643
                                                         20000825
                                         US 1999-151174PP 19990827
                                         WO 2000-US23321W 20000825
    EP 1206518
                           20020522
                                         EP 2000-957789 20000825
                     A1
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL
                                         US 1999-151174PP 19990827
                                         WO 2000-US23321W 20000825
    JP 2003508589
                      T2
                           20030304
                                                        20000825
                                         JP 2001-520826
                                         US 1999-151174PP 19990827
                                         WO 2000-US23321W 20000825
OS
    MARPAT 134:194911
AB
    The present invention relates to zwitterionic org. catalyst compd. bleach
    systems and methods for using such bleach systems to increase color safety
    during laundering of fabrics, esp. colored fabrics. More particularly,
    this invention relates to bleach systems comprising zwitterionic,
    quaternary imine bleach boosting compds., zwitterionic, quaternary
    oxaziridinium bleaching species and mixts. thereof, and methods employing
    such bleach systems in the laundering of fabrics, esp. colored fabrics.
RE.CNT 3
             THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
L7
    ANSWER 53 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN
    2001:168103 CAPLUS
DN
    134:194910
ΤI
    Color-safe laundry methods employing cationic formulation components
IN
    Dykstra, Robert Richard
PA
    Procter & Gamble Company, USA
    PCT Int. Appl., 80 pp.
SO
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO. KIND DATE
                                         APPLICATION NO. DATE
    -----
                          -----
                                         -----
PΤ
    WO 2001016277
                    A1 20010308
                                        WO 2000-US23320 20000825
        W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,
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8/29/2003>

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CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI,
              GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR,
             KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
              MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
              DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
              CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                             US 1999-151110PP 19990827
     BR 2000013647
                              20020507
                        Α
                                              BR 2000-13647
                                                               20000825
                                              US 1999-151110PP 19990827
                                              WO 2000-US23320W 20000825
     EP 1206517
                        A1
                              20020522
                                              EP 2000-957788
                                                                20000825
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL
                                              US 1999-151110PP 19990827
                                              WO 2000-US23320W 20000825
     JP 2003508588
                        T2
                              20030304
                                              JP 2001-520825
                                                               20000825
                                              US 1999-151110PP 19990827
                                              WO 2000-US23320W 20000825
OS
     MARPAT 134:194910
AΒ
     The present invention relates to cationic org. catalyst compd. bleach
     systems and methods for using such bleach systems to increase color safety
     during laundering of fabrics, esp. colored fabrics. More particularly,
     this invention relates to bleach systems comprising cationic, quaternary
     imine bleach boosting compds., cationic, quaternary oxaziridinium
     bleaching species and mixts. thereof, and methods employing such bleach
     systems in the laundering of fabrics, esp. colored fabrics.
              THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
L7
     ANSWER 54 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     2001:168102 CAPLUS
DN
     134:209741
ΤI
     Bleaching laundry detergent formulation with organic catalyst
IN
     Dykstra, Robert Richard; Gustwiller, Marc Eric; Howard, Tonya Ann
     The Procter & Gamble Company, USA
PA
SO
     PCT Int. Appl., 119 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                             APPLICATION NO. DATE
                      ----
                             -----
ΡI
                                            WO 2000-US23319 20000825
     WO 2001016276
                       A1
                             20010308
         W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,
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             GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR,
             KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX,
             MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM,
             TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
             MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                             US 1999-151172PP 19990827
                                             US 1999-151216PP 19990827
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8/29/2003>

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BR 2000013616
                        Α
                              20020507
                                               BR 2000-13616
                                                                  20000825
                                               US 1999-151172PP 19990827
                                               US 1999-151216PP 19990827
                                               WO 2000-US23319W 20000825
     EP 1206516
                               20020522
                         Α1
                                               EP 2000-957787 20000825
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL
                                               US 1999-151172PP 19990827
                                               US 1999-151216PP 19990827
                                               WO 2000-US23319W 20000825
     JP 2003508587
                         T2
                               20030304
                                               JP 2001-520824
                                                                20000825
                                               US 1999-151172PP 19990827
                                               US 1999-151216PP 19990827
                                               WO 2000-US23319W 20000825
     US 2002123445
                         A1
                               20020905
                                               US 2002-83948
                                                                 20020227
                                               US 1999-151172PP 19990827
                                               US 1999-151216PP 19990827
                                               WO 2000-US23319A120000825
OS
     MARPAT 134:209741
     The bleaching laundry detergent formulation with improved stability
AB
     contains 0.001-10% cationic branched org. catalyst (amines, amine oxides
     and etc.), 1-40% mid-chain branched anionic surfactant and other
     components such as 0.01-60% peroxygen compns. (peracid or hydrogen
     peroxide source), bleaching activator, enzyme, chelating agent, builders,
     fillers, fragrance and etc.
RE.CNT 7
               THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
L7
     ANSWER 55 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
ΑN
     2001:168101 CAPLUS
DN
     134:209699
ΤI
     Preparation of organic compounds containing nitrogen and the use as
     detergent booster-catalyst thereof
ΙN
     Dykstra, Robert Richard
PΑ
     The Procter & Gamble Company, USA
SO
     PCT Int. Appl., 111 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                             APPLICATION NO. DATE
     -----
                                               ----
                       A1
PΙ
     WO 2001016275
                              20010308
                                             WO 2000-US23318 20000825
         W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,
             CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
              MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
              DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
              CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                               US 1999-151180PP 19990827
     EP 1206520
                         A1
                              20020522
                                               EP 2000-959388 20000825
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL
                                               US 1999-151180PP 19990827
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Patel 8/29/2003>

OS

AB

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DN

ΤI

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LΑ

PΤ

OS AΒ

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WO 2000-US23318W 20000825
                                          BR 2000-13610
     BR 2000013610
                     Α
                           20020716
                                                           20000825
                                          US 1999-151180PP 19990827
                                          WO 2000-US23318W 20000825
     JP 2003508586
                      T2
                           20030304
                                          JP 2001-520823
                                                           20000825
                                          US 1999-151180PP 19990827
                                          WO 2000-US23318W 20000825
     MARPAT 134:209699
     The present invention relates to formulation components, such as org.
     catalyst compds. designed with time-controlled bleaching to increase color
     safety, compns. and laundry methods employing such org. catalyst compds.
    More particularly, this invention relates to org. catalysts compds. such
     as quaternary imine bleach boosting compds., quaternary oxaziridinium
    bleaching species, modified amines and amine oxides, imines, and/or
    oxaziridines, compns. and laundry methods employing such org. catalyst
     compds.
RE.CNT 13
             THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 56 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
    2001:168100 CAPLUS
    134:209698
     Preparation of organic compounds containing nitrogen and the use as
    detergent booster-catalyst thereof
    Dykstra, Robert Richard; Weed, Penny S.
    Procter & Gamble Company, USA
    PCT Int. Appl., 123 pp.
    CODEN: PIXXD2
    Patent
    English
FAN.CNT 1
     PATENT NO.
                 KIND DATE
                                  APPLICATION NO. DATE
                                    WO 2000-US23317 20000825
     -----
    WO 2001016274 A1 20010308
        W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,
            CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI,
            GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR,
            KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX,
            MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM,
            TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
            MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
            CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                         US 1999-151176PP 19990827
    BR 2000014151
                     Α
                           20020507
                                          BR 2000-14151
                                                          20000825
                                          US 1999-151176PP 19990827
                                          WO 2000-US23317W 20000825
    EP 1206519
                                          EP 2000-959387 20000825
                      Α1
                           20020522
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL
                                          US 1999-151176PP 19990827
                                          WO 2000-US23317W 20000825
    JP 2003508585
                      T2
                           20030304
                                          JP 2001-520822 20000825
                                          US 1999-151176PP 19990827
                                          WO 2000-US23317W 20000825
    MARPAT 134:209698
```

The present invention relates to formulation components, such as org.

Patel 8/29/2003>

catalyst compds. having increased stability, compns. and laundry methods employing such org. catalyst compds. More particularly, this invention relates to org. catalysts compds. such as quaternary imine bleach boosting compds., quaternary oxaziridinium bleaching species, modified amines and amine oxides, compns. and laundry methods employing such org. catalyst compds.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 57 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:168090 CAPLUS
DN 134:209740

TI Bleaching laundry detergent formulation with controlled available components

IN Dykstra, Robert Richard; Miracle, Gregory Scot

PA Procter & Gamble Company, USA

SO PCT Int. Appl., 123 pp. CODEN: PIXXD2

DT Patent LA English

FAN.CNT 1

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PATENT NO.
                                                 APPLICATION NO. DATE
                        KIND DATE
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                               _____
                                                 _____
                                                                    ______
                         A2
                                                 WO 2000-US23323 20000825
PI
     WO 2001016263
                                20010308
                       A3 20010607
     WO 2001016263
              AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX,
              MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM,
               TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
              MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
              DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
               CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                 US 1999-151002PP 19990827
                                                 US 1999-151004PP 19990827
     BR 2000013608
                          Α
                                20020521
                                                 BR 2000-13608
                                                                     20000825
                                                 US 1999-151002PP 19990827
                                                 US 1999-151004PP 19990827
                                                 WO 2000-US23323W 20000825
     EP 1206513
                          A2
                                20020522
                                                 EP 2000-957790 20000825
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
               IE, SI, LT, LV, FI, RO, MK, CY, AL
                                                 US 1999-151002PP 19990827
                                                 US 1999-151004PP 19990827
                                                 WO 2000-US23323W 20000825
     JP 2003508581
                          T2
                                20030304
                                                 JP 2001-520812 20000825
                                                 US 1999-151002PP 19990827
                                                 US 1999-151004PP 19990827
                                                 WO 2000-US23323W 20000825
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OS MARPAT 134:209740

AB The laundry detergent formulation with bleach having its components controlled available during the laundry process, contains bleaching compns.(peroxygen), bleach activator (amines, amine oxides and etc.), detergent (mid-chain branched anionic surfactant), enzyme, chelating agent, builders, fillers, fragrance and etc.

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L7 ANSWER 58 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2001:152935 CAPLUS

DN 134:193349

TI Preparation and antimicrobial activities of combinatorial libraries of 4-unsubstituted dihydroisoquinolinone derivatives

IN Motesharei, Kianoush; Lebl, Michal; Krchnak, Viktor; Ni, Yidong

PA Trega Biosciences, Inc., USA

SO PCT Int. Appl., 162 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

US 1999-378569 A 19990819
US 6452009 B1 20020917 US 1999-378569 19990819
EP 1210598 A1 20020605 EP 2000-955287 20000728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY

US 1999-378569 A 19990819 WO 2000-US20774W 20000728

OS MARPAT 134:193349 GI

$$R^{1}$$
 (CO)  $N$   $Z$   $X$   $R^{3}$   $R^{4}$  (CO)  $R^{5}$   $R^{6}$   $R^{7}$   $R^{2}$ 

Dihydroisoquinolinones I [R1, R2 = H, alkyl, alkenyl, Ph, etc.; R3 = H, alkyl, heteroaryl, etc.; R4 = -, DWE and W = -, cycloalkyene, arylene, etc. and D and E = -, alkylene, alkynylene, etc.; R5 = -, O, S, amino; R6 = -, alkylene, alkenylene; R7 = H, halide, OR13, CO2R13, etc.; X, Y, Z = H, halo, OH, cyano, nitro, etc.; m, n, p = 0, 1 and when 0 the absent carbonyl can be replaced with SO2] were prepd. Thus, bromoacetic acid was coupled to a resin and the resulting compds. were coupled with 1,4-Boc-NH-CH2-Ph-COOH, deprotected, and reacted with an aldehyde. The resulting compds. were then reacted with 4-nitrohomophthalic acid, reduced with tin chloride, and the compds. were reacted with a carboxylic acid. The resulting compds. were then cleaved and extd. The melanocortin receptor assay and antimicrobial activity of I were investigated.

Ι

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 59 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

Patel

8/29/2003>

```
AN
    2001:91366 CAPLUS
DN
    134:149097
ΤI
    Ink jet ink set
    Erdtmann, David; Evans, Steven; Weber, Helmut
IN
PΑ
    Eastman Kodak Company, USA
    U.S., 7 pp.
SO
    CODEN: USXXAM
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
                 KIND DATE
                                         APPLICATION NO. DATE
    -----
                                         ______
PΙ
    US 6183548
                    B1 20010206
                                         US 1999-387585
                                                         19990831
    EP 1081198
                     A2
                          20010307
                                         EP 2000-202924
                                                         20000821
    EP 1081198
                         20011031
                    A3
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
                                         US 1999-387585 A 19990831
    JP 2001115075
                     A2
                          20010424
                                         JP 2000-261379 20000830
                                         US 1999-387585 A 19990831
    MARPAT 134:149097
OS
    A color ink jet ink set for color printing comprises: (a) a yellow ink
AB
    comprising a carrier and Direct Yellow 107, Direct Yellow 132 or Direct
    Yellow 86; (b) a magenta ink comprising a carrier and a water sol.,
    transition metal complex of an 8-heterocyclylazo-5-hydroxyguinoline dye;
    and (c) a cyan ink comprising a carrier and a sulfonated copper
    phthalocyanine dye.
             THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
L7
    ANSWER 60 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN
    2001:31501 CAPLUS
DN
    134:100887
ΤI
    Preparation of tricyclic compounds having spiro-piperidine as inhibitors
    of blood coagulation factor X (FXa) and anticoagulants
IN
    Nishida, Hidemitsu; Saitoh, Fumihiko; Harada, Kousuke; Shiromizu, Ikuya
PΑ
    Mochida Pharmaceutical Co., Ltd., Japan
SO
    PCT Int. Appl., 305 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    Japanese
FAN.CNT 2
                                        APPLICATION NO. DATE
    PATENT NO.
                   KIND DATE
    -----
                                         -----
                                       WO 2000-JP4374 20000630
PΙ
    WO 2001002397
                    A1 20010111
        W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
            CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
            IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
            MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
            SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
            CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                         JP 1999-222883 A 19990630
    EP 1191028
                          20020327
                     A1
                                         EP 2000-940912 20000630
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
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Patel 8/29/2003>

				JP 1999-222883 A 19990630				
				WO 2000-JP4374 W 20000630				
	BR 2000012093	A 2002	20716	BR 2000-12093 20000630				
				JP 1999-222883 A 19990630				
				WO 2000-JP4374 W 20000630				
	US 2003045520	A1 2003	30306	US 2001-26606 20011227				
				JP 1999-222883 A 19990630				
				WO 2000-JP4374 A220000630				
				JP 2000-399998 A 20001228				
	NO 2001006402	A 2002	20227	NO 2001-6402 20011228				
				JP 1999-222883 A 19990630				
				WO 2000-JP4374 W 20000630				
PATENT FAMILY INFORMATION:								
FAN	2002:521746							
	PATENT NO.	KIND DATI	E	APPLICATION NO. DATE				
ΡI	WO 2002053568	A1 2007	20711	WO 2001-JP11656 20011228				
				BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
				DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
				JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
				MK, MN, MW, MX, MZ, NO, NZ, PH, PL,				
				SK, SL, TJ, TM, TR, TT, TZ, UA, UG,				
				AZ, BY, KG, KZ, MD, RU, TJ, TM				
				SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,				
				GR, IE, IT, LU, MC, NL, PT, SE, TR,				
				GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	Dr, DU,	Cr, CG, CI,	, CM, GA,	JP 2000-399998 A 20001228				
OS	MARPAT 134:1008	87		UF 2000-399996 A 20001228				
~	LIGHT TOT. TOU	0 /						

$$A-B-X \xrightarrow{()_{\mathbf{q}}N} \xrightarrow{(D)_{\mathbf{p}}} N-T-Q$$

$$Z \xrightarrow{()_{\mathbf{n}}} 1$$

$$N \longrightarrow N \longrightarrow N \longrightarrow S \longrightarrow S \longrightarrow C1$$

AB Arom. compds. having cyclic amino which are represented by general formula (I) or salts thereof [wherein A = H, (un)substituted (un)satd. 5- to 6-membered cyclic hydrocarbyl or heterocyclyl, (un)substituted NH2, (un)substituted imidoyl; B = single bond, CO, SO, (un)substituted C1-2 alkylene; D = H, (un)substituted CHO, (un)substituted C1-6 alkyl; X = N, (un)substituted methine; Y = O, S(O)y (wherein Y = O, S(O)y, (un)substituted

Patel

GΙ

II

L7

AN

DN

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NH; Z = CH2, CO, C(S); T = S(O)z (wherein z = 0,1,2), CO, (un) substituted
     C1-2 alkylene; Q = (un) substituted hydrocarbyl or heterocyclyl; m, n, q =
     0, 1,2; p = 0,1; the three rings contg. X, Y, or Z is optionally
     substituted; the bond represented by a dotted and solid line in the ring
     contg. Z is a single bond or a double bond when p = 0] are prepd. These
     compds. are useful as drugs, in particular, activated blood coagulation
     factor X inhibitors for the prevention and treatment of diseases caused by
     thrombus or embolism, influenza virus infection, or periodontosis, exert a
     potent anticoagulation effect, and can be orally administered. A
     pharmacophore derived from the above compds. is also useful in mol.
     designing Fxa inhibitors. Thus, 4-(aminomethyl)-1-benzyl-4-
     hydroxypiperidine was cyclocondensed with Et 2-[N-(3-acetoxy-2-oxopropan-1-
     yl)-N-(6-chloronaphthalene-2-ylsulfonyl)amino]acetate under reflux in the
     presence of p-MeC6H4SO3H.H2O using a Dean-Stark trap to give
     6-acetoxy-1,4-diaza-1'-benzyl-4-(6-chloronaphthalene-2-ylsulfonyl)-7-
     oxaspiro[bicyclo[4.3.0]nonan-8,4'-piperidine]-2-one which underwent sapon.
     with a mixt. of aq. NaOH and MeOH, methylation by di-Me sulfate, and
     debenzylation with 1-chloroethyl chloroformate to give
     1,4-diaza-4-(6-chloronaphthalene-2-ylsulfonyl)-6-(methoxymethyl)-7-
     oxaspiro[bicyclo[4.3.0]nonan-8,4'-piperidine]-2-one hydrochloride.
     latter compd. was condensed with 4-chloropyridine hydrochloride in the
     presence of diisopropylethylamine in 2-ethoxyethanol under reflux for 2 h
     to give 1,4-diaza-4-(6-chloronaphthalene-2-ylsulfonyl)-6-(methoxymethyl)-7-
     oxo-1'-(4-pyridyl)-spiro[bicyclo[4.3.0]nonan-8,4'-piperidine]-2-one (II; R
     = CH2OMe). II (R = CH2OMe) and II (R = CO2Et) showed IC50 of 0.0032 and
     0.0015 .mu.M, resp., against Fxa.
RE.CNT 5
              THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 61 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
     2001:12258 CAPLUS
     134:80806
     Methods of treating fungal infections with inhibitors of NAD synthetase
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TI
IN
      Brouillette, Wayne J.; Brouillette, Christie G.; Delucas, Lawrence J.
PΑ
      The UAB Research Foundation, USA
SO
      PCT Int. Appl., 149 pp.
      CODEN: PIXXD2
DT
      Patent
LΑ
      English
FAN.CNT 4
      PATENT NO.
                         KIND DATE
                                                   APPLICATION NO. DATE
                                                     -----
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                                 -----
PΙ
      WO 2001000197
                           A2
                                  20010104
                                                     WO 2000-US18029 20000629
      WO 2001000197
                           A3
                                  20010907
           W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
               CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
           RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
                DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
                CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                     US 1999-141436PP 19990629
      EP 1194135
                                 20020410
                            A2
                                                      EP 2000-943322 20000629
           R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                IE, SI, LT, LV, FI, RO
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Patel 8/29/2003>

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WO 2000-US18029W 20000629
      BR 2000012135
                           Α
                                 20020702
                                                   BR 2000-12135 20000629
                                                   US 1999-141436PP 19990629
                                                   WO 2000-US18029W 20000629
     US 2003083269
                           A1
                                 20030501
                                                   US 2002-80279
                                                                       20020222
                                                   US 1998-71399P P 19980114
                                                   US 1998-97880P P 19980825
                                                   WO 1999-US810 A119990114
                                                   US 1999-141436PP 19990629
                                                   WO 1999-US14839A119990630
                                                   US 2000-606256 A220000629
                                                   WO 2000-US18029A220000629
                                                   US 2000-218405PP 20000714
                                                   US 2000-617258 A220000714
                                                   WO 2001-US22203A220010713
PATENT FAMILY INFORMATION:
     1999:464294
      PATENT NO.
                          KIND DATE
                                                   APPLICATION NO. DATE
                                                   -----
                                                 WO 1999-US810 19990114
      WO 9936422
                         A1 19990722
PΙ
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      PATENT NO.
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                                              US 2000-218405PP 20000714
     MARPAT 134:80806
     The invention provides methods of treating or preventing fungal infections
     in a host comprising administering a yeast NAD synthetase inhibitor. The
     invention further provides a method of killing yeast comprising
     administering a yeast NAD synthetase compd. that selectively binds to
     catalytic sites in yeast whereby the yeast is killed.
     ANSWER 62 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
     2000:881155 CAPLUS
     134:42120
     Preparation of thienopyridines and thienopyrimidines as cell
     adhesion-inhibiting antiinflammatory compounds
     Arendsen, David L.; Bhatia, Pramila; Boyd, Steven A.; Condroski, Kevin R.;
     Freeman, Jennifer C.; Gunawardana, Indrani W.; Lartey, Kraig; McCarty,
     Catherine M.; Mort, Nicholas A.; Patel, Meena V.; Staeger, Michael A.;
     Stewart, Andrew O.; Stout, David M.; Zhu, Gui-Dong
     Abbott Laboratories, USA
     PCT Int. Appl., 320 pp.
     CODEN: PIXXD2
     Patent
     English
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                              APPLICATION NO. DATE
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                       _ _ _ _
     WO 2000075145
                       A1 20001214
                                            WO 1999-US14596 19990628
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Patel 8/29/2003> 10009276.3

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OS MARPAT 134:42120 GI

AB The title compds. (I) [wherein E, F, and G = independently C, N, or N(:O); Y and Z = independently C, N, O, or S(0)n; n = 0-2; LA = covalent bond, O, S(0)n, NR6, C(:W), or alkenylene; R6 = H or (un)substituted alkyl; W = 0 or S; XA = halo or (un) substituted alkyl; LB = covalent bond, O, S(O)n,NR6, C(:W), or C(:NR13); NR13 = H, NO2, CN, OH, aryloxy, or (un) substituted alkoxy; XB = H, alkoxy, OH, aryl, heterocyclyl, CN, CHO, halo or (un) substituted alkyl, alkenyl, amino, urea, (thio) amido, or B(OH)2; R1-R5 = absent or independently H, halo, alkoxy, perfluoroalkyl, OH, SH, alkylthio, heterocyclyl, or (un) substituted alkyl, carboxy, amido, arylthio, or amino] were prepd. as antiinflammatory compds. I inhibited the expression of e-selectin and ICAM-1 relative to VCAM-1 and are useful for the treatment or prophylaxis of diseases caused by expression of adhesion mols. Examples include syntheses for over 300 invention compds. and E-selectin, ICAM-1, and VCAM-1 inhibition potencies for approx. 90representative compds. For instance, 4-chlorophenol was treated with KOBu-t in THF and added to 3,5-dichloropyridine-4-carboxaldehyde in THF. Cycloadditon with Me thioglycolate in the presence of Cs2CO3, followed by conversion to the amide by heating to 45.degree.C in methanolic NH3 for 18 h, afforded 4-(4-chlorophenoxy)thieno[2,3-c]pyridine-2-carboxamide (II). II inhibited e-selectin, ICAM-1, and VCAM-1 by 82%, 74%, and 50%, resp., at concns. of 1 .mu.M.

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 63 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:881124 CAPLUS

DN 134:42141

TI Preparation of novel heterocyclic carboxamide derivatives as spleen

Patel 8/29/2003>

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tyrosine kinase inhibitors

IN Hisamichi, Hiroyuki; Kawazoe, Souichirou; Tanabe, Kazuhito; Ichikawa, Atsushi; Orita, Akiko; Suzuki, Takayuki; Onda, Kenichi; Takeuchi, Makoto

PA Yamanouchi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

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PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
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    WO 2000075113
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                                          WO 2000-JP3767 20000609
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            SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
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                                          JP 1999-162692 A 19990609
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OS MARPAT 134:42141 GI

$$R^{3}-A-X$$
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 $R^{2}$ 
 $R^{2}$ 
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 $R^{3}-A-X$ 
 $R^{3}-A-X$ 
 $R^{3}-A-X$ 
 $R^{3}-A-X$ 

AB Nitrogenous six-membered heterocycle compds. bearing as the substituents -X-A-R3, -N-(R1)-(R2-substituted Ph) and -CONH2 [I; wherein A = (substituted) lower alkylene, (substituted) (hetero)arylene, cycloalkylene; X = NR4, CONR4, NR4CO, O, S; the dotted line between Y and Z represents the presence of a bond (Y:Z) or the absence of a bond (Y-Z); Y-Z = NR5-CO, CO-NR5, NR5-NR5, CO-CO; Y:Z = N:CR1, CR7:N, N:N, CR7:CR7; R4 = each H, lower alkyl, -CO-lower alkyl, or -SO2-lower alkyl; R2 = H, (halo-substituted) lower alkyl, -O-lower alkyl, -S-lower alkyl, -O-aryl, nitro, cyano, or the like; R3 = -CO2H, -CO2-lower alkyl, -lower alkylene-CO2H, -NH2, -alkylene-NH2, or the like; R5 = H, lower alkyl; R6 = lower alkyl, OH, -O-lower alkyl, -O-(substituted) aryl, -O-lower alkylene-(substituted) aryl, -NR1-(substituted) aryl, -CO-lower alkyl-(substituted) aryl; R7 = H, R6] salts or prodrugs thereof are prepd. Also claimed are spleen tyrosine kinase (Syk) inhibitors contg. the compds. I or the salts or the prodrugs thereof as the active ingredient.

Patel

WO 2000-JP3767 W 20000609

10009276.3

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The compds. I are useful for the prevention or treatment of allergies, inflammations, autoimmune diseases, cancers, transplant rejection, graft-vs.-host diseases, and thrombosis. Thus, 2.76 mL cis-1,2-cyclohexanediamine was added to a mixt. of 605 mg 6-chloro-2-(3-methylanilino)pyridine-3-carboxamide and 10 mL MeCN and refluxed for 5 days to give 230 mg 6-(cis-2-aminohexylamino)-2-(3-methylanilino)pyrazine-3-carboxamide (II). II showed IC50 of .ltoreq.0.05 .mu.M against Syk, good inhibition against passive cutaneous anaphylaxis (PCA) in mice sensitized by anti-dinitrophenyl-IgE (DNP-IgE), and IC50 of .ltoreq.0.1 .mu.M against serotonin release according to the assay described by Collado-Escobar (J. Immunol. 144, 1990).

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7 ANSWER 64 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2000:842099 CAPLUS

DN 134:29403

TI Preparation of heterocycle-contg. phenylacetodrazide derivatives as hypolipidemics

IN Suga, Akira; Imanishi, Naoki; Kubota, Hideki; Miura, Masanori; Umemoto, Kenji; Moritani, Hiroshi; Matsuda, Koyo

PA Yamanouchi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 42 pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PAT	CENT 1	. O <i>l</i>		KII	ND I	DATE			Al	PPLI	CATIO	ои ис	o. 1	DATE			
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																	HR,	
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			SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,
							BY,											
		RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
			DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,
			CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG			
										J	P 19	99-14	4461	7 A :	1999(	0525		

OS MARPAT 134:29403

GΙ

$$\begin{array}{c|c}
R^1 \\
R^2 \\
R^3 \\
Z^1 \\
R^4 \\
R^5 \\
R^6 \\
R^7 \\
R^9
\end{array}$$

```
AΒ
     Hydrazide derivs. represented by general formula [I; R1 - R6 = H, halo,
      (un) substituted hydrocarbyl or heterocyclyl, CO2H, lower
     alkyloxy-carbonyl, CHO, lower alkyl-carbonyl, lower alkyl-thio; R7, R8, R9
     = H, (un) substituted hydrocarbyl, Z2-Q; or R8 and R9 form (un) substituted
     N-contg. heterocyclic ring; R10 = H, (un)substituted lower alkyl; ring A =
     (un) substituted benzene, pyridine, or cyclohexene; Q = (un) substituted
     hydrocarbyl or heterocyclyl; Z1 = lower alkylene, S, (un) substituted NH,
     SO2, (un) substituted CONH; Z2 = bond, CO, (un) substituted CONH; W = bond,
     O, NH, S, CO; X, Y = N. CH], which have an inhibitory effect on apo
     B-assocd. lipoprotein secretion, are prepd. The above compds. are useful
     as drugs for lowering blood lipid, cholesterol, or triglyceride level or
     treating arteriosclerosis, obesity, or pancreatitis. Thus,
     2-cyclopentyl-2-[4-[(2,4-dimethyl-9H-pyrido[2,3-b]indol-9-
     yl)methyl]phenyl]acetic acid (prepn. given) was suspended in CHCl3,
     followed by successively adding 1-hydroxybenzotriazole,
     1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride,
     phenylhydrazine, and Et3N under ice-cooling, and the resulting mixt. was
     gradually warmed to room temp. and stirred overnight at room temp. to give
     2-cyclopentyl-2-[4-[(2,4-dimethyl-9H-pyrido[2,3-b]indol-9-
     y1)methy1]pheny1]-2'-phenylacetohydrazide (II). II at 0.5%
     methylcellulose suspension per day for 7 days lowered serum non-HDL
     cholesterol with ED50 of 0.15 mg/kg in rats fed with high lipid food
     contg. 1.5% cholesterol, 0.5% cholic acid, and 10% coconut oil.
RE.CNT 34
               THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
L7
     ANSWER 65 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     2000:814310 CAPLUS
DN
     133:359255
TI
     Nitrosated and nitrosylated potassium channel activators, compositions,
     and methods of use
     Garvey, David S.; Saenz De Tejada, Inigo
IN
PΑ
     Nitromed, Inc., USA
SO
     PCT Int. Appl., 112 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                              APPLICATION NO. DATE
     -----
                                               -----
PΙ
     WO 2000067754
                        A1 20001116
                                              WO 2000-US12957 20000512
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
              DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
              CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                               US 1999-133888PP 19990512
     US 6417207
                         В1
                               20020709
                                               US 2000-570727
                                               US 1999-133888PP 19990512
     US 2002143188
                         A1
                               20021003
                                               US 2002-154916
                                                                  20020528
                                               US 1999-133888PP 19990512
                                               US 2000-570727 A320000512
OS
     MARPAT 133:359255
```

AB The invention describes nitrosated and/or nitrosylated potassium channel activators, as well as compns. comprising at least one nitrosated and/or nitrosylated potassium channel activator and, optionally, at least one compd. that donates, transfers or releases nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor, stimulates endogenous synthesis of nitric oxide, or is a substrate for nitric oxide synthase, and/or at least one vasoactive agent. The invention also provides compns. comprising at least one potassium channel activator and at least one compd. that donates, transfers or releases nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor, stimulates endogenous synthesis of nitric oxide, or is a substrate for nitric oxide synthase, and/or at least one vasoactive agent. The invention further provides methods for treating or preventing sexual dysfunction in males and females, for enhancing sexual response in males and females, and for treating or preventing cardiovascular disorders, cerebrovascular disorders, hypertension, asthma, baldness, urinary incontinence, epilepsy, sleep disorders, gastrointestinal disorders, migraines, irritable bowel syndrome, and sensitive skin.

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 5 ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L7
    ANSWER 66 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2000:790466 CAPLUS

DN 133:350058

TIPreparation of 6-[[(aryl and heteroaryl)oxy]methyl]naphthalene-2carboximidamide derivatives and their antithrombotic activity

- Alcouffe, Chantal; Bellevergue, Patrice; Dellac, Genevieve; Latham, IN Christopher; Lassalle, Gilbert; Mallart, Sergio; Martin, Valerie; Masson, Christine; Mccort, Gary
- PΑ Sanofi-Synthelabo, Fr.
- SO PCT Int. Appl., 85 pp. CODEN: PIXXD2
- DTPatent
- LΑ French
- FAN.CNT 1

```
PATENT NO.
                         KIND DATE
                                                          APPLICATION NO. DATE
       -----
                                                           -----
                             A1 20001109 WO 2000-FR1087 20000425
PΤ
      WO 2000066545
            W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
                  CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
            CU, CZ, DE, DK, DM, DZ, EE, ES, F1, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                             FR 1999-5632 A 19990504
       FR 2793247
                                A1
                                       20001110
                                                             FR 1999-5632
                                                                                     19990504
       FR 2793247
                                В1
                                       20010622
       EP 1177169
                               A1
                                       20020206
                                                             EP 2000-922738 20000425
            R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                  IE, SI, LT, LV, FI, RO
                                                             FR 1999-5632
                                                                                  A 19990504
                                                             WO 2000-FR1087 W 20000425
      BR 2000010230
                               Α
                                       20020213
                                                             BR 2000-10230
                                                                                     20000425
                                                             FR 1999-5632 A 19990504
                                                             WO 2000-FR1087 W 20000425
```

	JP :	2002543176	T2	20021217	JP	2000-615376		20000425
					FR	1999-5632	A	19990504
					WO	2000-FR1087	W	20000425
	EE .	200100579	A	20030217	EE	2001-579		20000425
					FR	1999-5632	Α	19990504
					WO	2000-FR1087	W	20000425
	BG	106048	A	20020531	BG	2001-106048		20011024
					FR	1999-5632	Α	19990504
					WO	2000-FR1087	W	20000425
	NO :	2001005387	Α	20020107	ИО	2001-5387		20011102
					FR	1999-5632	Α	19990504
					WO	2000-FR1087	W	20000425
OS	MAR	PAT 133:350058						

0 GI

AΒ The title compds. I [R1 = H, amino, C1-C4 alkyl, C1-C6 alkoxycarbonyl, OH; R2 = C1-C6 alkyl, Ph, benzyl, CH2Q wherein Q is a heterocyclic group; R3 and R5 = H, C1-C4 alkyl, COOH; R4 = H, C1-C4 alkyl, (CH2)pCOOR8; Z = CH, N], antithrombotic agents, were prepd. E.g., 6-[[8-[[(thiazol-4ylmethyl)sulfonyl]amino]methyl]-5,6,7,8-tetrahydronaphthalen-2yl]oxy]methyl]naphthalene-2-carboximidamide hydrochloride was prepd. RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

Ι

L7 ANSWER 67 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

ALL CITATIONS AVAILABLE IN THE RE FORMAT

AN 2000:736282 CAPLUS

DN 133:310879

Rigidized trimethine cyanine dyes TI

IN Waggoner, Alan S.; Mujumdar, Ratnakar B.

PA Carnegie Mellon University, USA

SO U.S., 27 pp.

CODEN: USXXAM

DT Patent

LΑ English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 6133445	A	20001017	US 1998-212564	19981216
				US 1998-212564	19981216
OS	MARPAT 133:31087	9			

GΙ

AB The dyes, useful for imparting fluorescent properties to target materials by covalent and noncovalent assocn., are 14-(carboxymethyl)-6,7,7a,8a,9,10,16,18-octahydro-16,16,18,18-tetramethyl-2sulfopyrano[3'',2'':3,4;5'',6'':3',4']dipyrido[1,2-a:1',2'-a']diindol-5ium hydroxide inner salt (I) and its esters, esp. the ester with N-hydroxysuccinimide. 4-H2NNHC6H4SO3H was cyclocondensed with MeCOCHMe2 in HOAc to give 2,3,3-trimethyl-3H-indole-5-sulfonic acid, which was alkylated with CH2:CHCH(OEt)2; the product was condensed with the reaction product of Ph2NCHO and Et 1-(3,3-diethoxypropy1)-2,3,3-trimethyl-3H-indole-5-carboxylate to give the unsym. 1,1'-bis(3,3diethoxypropyl) indocarbocyanine deriv., which was cyclized with hydrolysis in CHCl3 contg. H2SO4 to give I, .lambda.max 563 nm in MeOH. Synthesis of several related dyes is also described.

Ι

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 68 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

ΑN 2000:725595 CAPLUS

DN 133:266596

TIPreparation of amino acids and derivatives as LTA4 hydrolase inhibitors

Danvy, Denis; Monteil, Thierry; Plaquevent, Jean-Christophe; Duhamel, TN Pierre; Duhamel, Lucette; Noel, Nadine; Gros, Claude; Chamard, Olivier; Schwartz, Jean-Charles; Lecomte, Jeanne-Marie; Piettre, Serge

PA Institut National de la Sante et de la Recherche Medicale (Inserm), Fr.; Bioprojet; et al.

SO PCT Int. Appl., 108 pp.

CODEN: PIXXD2

DT Patent

LΑ French

FAN.	CNT 1		
	PATENT NO.	KIND DATE	APPLICATION NO. DATE
ΡI	WO 2000059864	A1 20001012	WO 2000-FR876 20000406
	W: CA, C	P, KR, MX, US	
	RW: AT, E	E, CH, CY, DE, DK,	ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
	PT, S		
	·		FR 1999-4271 A 19990406
	FR 2791982	A1 20001013	FR 1999-4271 19990406
	FR 2791982	B1 20021227	
	EP 1165491	A1 20020102	EP 2000-917145 20000406
	· R: AT, E	E, CH, DE, DK, ES,	FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
	IE, I		
	·		FR 1999-4271 A 19990406
			WO 2000-FR876 W 20000406
	JP 2003506317	T2 20030218	JP 2000-609377 20000406
			FR 1999-4271 A 19990406

Patel 8/29/2003> OS MARPAT 133:266596 GI

> $(CH_2)n^2-Y-R^1$  $X - (CH_2) n^1 - (CH_2) n^3 - Z$

$$O \longrightarrow CH_2 - Ph$$

$$(CH_2)_4$$

$$HBr . NH_2 \qquad CO_2H \qquad II$$

The invention concerns LTA4 hydrolase-inhibiting compds. I [ R1 = H, AB alkyl, cycloalkyl, (un) substituted Ph, naphthyl, anthracene, heterocycle; R2, R3 = independently H, alkyl, CF3, halogen; n1 and n3 = same or 0-1; n2 = 0-10; X = NH2, N:CR4R5; R4, R5 = H, alkyl, (un)substituted phenyl; Y =O, CH2, S, OCH2, NH; Z = carboxylate, phosphate, phosphite, heterocycle, SO3H, sulfonamide, aminosulfonyl]; and their isomers, diastereomers, enantiomers, and pharmaceutically acceptable salts. The invention also concerns their therapeutic, and particularly anti-inflammatory, applications. Thus, amino acid II was prepd. and tested in mice for its inhibitory activity against LTA4 hydrolase and as antiarthritics and antipsoriatics.

Ι

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 69 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN2000:666731 CAPLUS

DN 133:237998

TΙ Preparation of tricyclic benzoylpyrazoles as herbicides.

IN Witschel, Matthias; Kudis, Steffen; Langemann, Klaus; Baumann, Ernst; Von Deyn, Wolfgang; Mayer, Guido; Misslitz, Ulf; Neidlein, Ulf; Otten, Martina; Westphalen, Karl-Otto; Walter, Helmut

PΑ BASF Aktiengesellschaft, Germany

PCT Int. Appl., 168 pp. SO

CODEN: PIXXD2

DTPatent

LΑ German

FAN.CNT 1

PATENT NO. KIND DATE

APPLICATION NO. DATE

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PI
      WO 2000055158
                           A1
                                   20000921
                                                      WO 2000-EP2010
                                                                            20000308
           W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
                CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW,
                AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
           RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
                DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
                CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                      DE 1999-19911219A 19990312
      EP 1163240
                             A1
                                   20011219
                                                      EP 2000-915171
                                                                           20000308
           R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                IE, SI, LT, LV, FI, RO
                                                      DE 1999-19911219A 19990312
                                                      WO 2000-EP2010 W 20000308
      JP 2002539211
                                                      JP 2000-605587
                             T2
                                   20021119
                                                                            20000308
                                                      DE 1999-19911219A 19990312
                                                      WO 2000-EP2010 W 20000308
OS
      MARPAT 133:237998
```

GI

AΒ Title compds. [I; X = O, S, SO, SO2, CR6R7, NR8, bond; Y = atoms to form asatd., partially satd. or unsatd. 5- or 6-membered heterocycle; R1, R2, R6, R7 = H, alkyl, haloalkyl, alkoxy, haloalkoxy; R3 = halo, alkyl, haloalkyl, alkoxy, haloalkoxy; R4 = H, NO2, halo, cyano, alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, haloalkylsulfinyl, alkylsulfonyl, haloalkylsulfonyl, (substituted) aminosulfonyl; R5 = H, alkyl, halo; m = 0, 1, 2; R8 = H, alkyl, haloalkyl, alkylcarbonyl, formyl, alkoxycarbonyl, haloalkoxycarbonyl, alkylsulfonyl, haloalkylsulfonyl; R9 = substituted pyrazole-4-ylcarbonyl, 5-oxopyrazolin-4-ylmethylides], were prepd. Thus, (5-hydroxy-1-methyl-1Hpyrazol-4-yl)(8-methylsulfonyl-3a,4-dihydro-3H-indeno[1,2-c]isoxazol-5yl) methanone (prepn. given) in THF was treated with Et3N and PhCOCl in THF followed by stirring overnight to give 31% (5-phenylcarbonyloxy-1-methyl-1H-pyrazol-4-yl) (8-methylsulfonyl-3a,4-dihydro-3H-indeno[1,2-c]isoxazol-5yl)methanone. The latter at 0.25-0.5 kg/ha showed very good postemergent herbicidal activity.

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 70 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN AN 2000:608693 CAPLUS

```
DN
    133:207808
TI
    Asymmetric cycloaddition reactions using transition metal chiral Schiff
    base complexes
IN
    Jacobsen, Eric N.; Schaus, Scott E.; Dossetter, Alexander G.; Jamison,
    Timothy F.
PΑ
    President and Fellows of Harvard College, USA
SO
    PCT Int. Appl., 100 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 3
    PATENT NO. KIND DATE
                                     APPLICATION NO. DATE
    -----
                                      -----
    WO 2000050365 A1 20000831 WO 2000-US4742 20000223
PΙ
        W: AU, CA, JP
        RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
           PT, SE
                                      US 1999-255480 A 19990223
    US 6211370
                   B1 20010403
                                      US 1999-255480 19990223
                                      US 1998-6104 A219980113
PATENT FAMILY INFORMATION:
FAN 1999:464250
    PATENT NO.
                KIND DATE APPLICATION NO. DATE
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                   - - - -
                         _____
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    WO 9936375 A1
                         19990722 WO 1998-US24971 19981120
PΙ
        W: AU, CA, JP
        RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
                                      US 1998-6104
                                                    A 19980113
                                                  19980113
    US 6130340
                   A 20001010
                                      US 1998-6104
    AU 9915990
                   A1
                         19990802
                                      AU 1999-15990
                                                     19981120
                                      US 1998-6104 A 19980113
                                      WO 1998-US24971W 19981120
FAN 2001:241784
    PATENT NO. KIND DATE
                                     APPLICATION NO. DATE
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                                      -----
PΤ
    US 6211370
                   B1 20010403
                                      US 1999-255480 19990223
                                      US 1998-6104 A219980113
    US 6130340 A 20001010 US 1998-6104 19980113
WO 2000050365 A1 20000831 WO 2000-US4742 20000223
        W: AU, CA, JP
        RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
           PT, SE
                                      US 1999-255480 A 19990223
    US 2002004602 A1
                         20020110
                                      US 2001-755612 20010104
    US 6369223
                    B2
                         20020409
                                      US 1998-6104 A219980113
                                      US 1999-255480 A119990223
OS
    MARPAT 133:207808
    The present invention relates to a process for stereoselective cycloaddn.
AB
    reactions which generally comprises a cycloaddn. reaction between a pair
    of substrates (1,3-diene and aldehyde), each either chiral or prochiral,
    that contain reactive .pi.-systems, in the presence of a nonracemic
    transition metal Schiff base chiral complex catalyst, to produce a
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RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

to novel asym. catalyst complexes comprising a metal and an asym.

stereoisomerically enriched product. The present invention also relates

Patel 8/29/2003>

tridentate ligand.

## ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L7
     ANSWER 71 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     2000:607388 CAPLUS
DN
     133:207886
ΤI
     Preparation of alkyliminoindanothiazoles and analogs as anorectic agents
IN
     Jaehne, Gerhard; Geisen, Karl; Lang, Hans-jochen; Bickel, Martin
PA
     Aventis Pharma Deutschland Gmbh, Germany
SO
     Ger. Offen., 16 pp.
     CODEN: GWXXBX
·DТ
     Patent
LΑ
     German
FAN.CNT 1
     PATENT NO.
                  KIND DATE
                                          APPLICATION NO. DATE
     -----
                                           -----
     DE 19908536
                    A1 20000831
A1 20000908
PΙ
                                          DE 1999-19908536 19990226
                                      WO 2000-EP926 20000205
     WO 2000051996
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
             CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
             IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
             MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
             SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                           DE 1999-19908536A 19990226
     EP 1157013
                      A1 20011128
                                           EP 2000-906286
                                                            20000205
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                            DE 1999-19908536A 19990226
                                           WO 2000-EP926 W 20000205
    BR 2000008559
                     Α
                            20011218
                                            BR 2000-8559 20000205
                                           DE 1999-19908536A 19990226
                                           WO 2000-EP926 W 20000205
     JP 2002538149
                      T2
                            20021112
                                            JP 2000-602223
                                                            20000205
                                           DE 1999-19908536A 19990226
                                           WO 2000-EP926 W 20000205
    US 6207689
                      В1
                            20010327
                                           US 2000-500464 20000209
                                           DE 1999-19908536A 19990226
    US 6288093
                      В1
                            20010911
                                           US 2000-697151 20001027
                                           DE 1999-19908536A 19990226
                                           US 2000-500464 A320000209
    US 2001011096
                       A1
                            20010802
                                           US 2001-774053 20010131
     US 6288094
                       B2
                            20010911
                                           DE 1999-19908536A 19990226
                                           US 2000-500464 A320000209
```

OS MARPAT 133:207886

GI

AB Title compds. [I; R1 = 1 or 2 of halo, alkyl, alkoxy, acyl, etc.; R2,R3 = (carboxy)alkyl, CH2Ph, pyridinyl(alkyl), etc.; R2R3 = (CH2)2-4 or CH2CMe2; Z = 0, S, CH2, CHPh; Z1 = bond, CH2, CH2CH2] were prepd. Thus, 2-bromo-5-chloro-1-indanone was cyclocondensed with (MeHN)2CS and the product treated with HOAc to give title compd. II.HBr. Data for biol. activity of I were given.

- L7 ANSWER 72 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2000:475667 CAPLUS
- DN 133:114204
- TI Cryptate compounds and methods for diagnosis and therapy
- IN Smith, Suzanne Virginia; Harrowfield, John M.; Di Bartolo, Nadine Marie; Sargeson, Alan McLeod
- PA Australian Nuclear Science & Technology Organisation, Australia; The Australian National University
- SO PCT Int. Appl., 58 pp.
- CODEN: PIXXD2
- DT Patent
- LA English

FAN.CNT 1

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PATENT NO.
                       KIND DATE
                                             APPLICATION NO. DATE
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PΤ
     WO 2000040585
                      A1 20000713
                                             WO 2000-AU3 20000105
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             IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
             MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
             SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                             AU 1999-8038
                                                            A 19990105
     EP 1147111
                       A1 20011024
                                              EP 2000-902480 20000105
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                              AU 1999-8038
                                                              A 19990105
                                              WO 2000-AU3
                                                              W 20000105
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OS MARPAT 133:114204

GI

$$\begin{array}{c|c}
 & CRR^{1} \\
 & W \\
 & CRR^{1} \\
 & W \\
 & CRR^{1} \\
 & M \\
 & I
\end{array}$$

AB The present invention relates to cryptate compds. useful as chelating agents. In particular, the present invention relates to functionalized derivs. of certain cryptate compds. These functionalized derivs. are suitable for use in radiolabeling and similar applications. The present invention also relates to a method for diagnosis or therapy of a disease using functionalized derivs. of cryptate compds. The present invention relates to a compd. which is capable of being radiolabeled (I) in which n = 2-4, where each R and R1 is independently selected from -H, CH3, COOH, NO2, CH2OH, H2PO4, HSO3, CN, C=ONH2 and CHO; X and Y are the same or different and are selected from the group of CR2, N, P and C-Z in which R2 represents a H or halogen atom or a hydroxyl, nitro, nitroso, amino, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl or cyano group, or a group of the formula -COOR', COCOOR', NHCOCH2Br, -NHCOCH=CHCOOR' in which R' is a H atom or alkyl group; or; W is selected from the group of NH, S and O; and Z is a functionalized linkage group which is capable of binding said compd. (I) to a mol. recognition unit and wherein at least one of X and Y is C-Z; or a pharmaceutically acceptable salt thereof. For example 1,8-diaminosarcophagine was condensed with p-nitrobenzaldehyde to give the Schiff base which was reduced to 1-(4-aminophenylmethylamino)-8aminosarcophagine (II) which was subsequentially complexed with 64Cu. The radiolabeling of an antibody (such as B72.3) was carried by incubating the antibody with II and complexing the immunoconjugate with 64/67Cu. The biodistribution studies with then carried out.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7
     ANSWER 73 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     2000:349132 CAPLUS
DN
     132:330878
TI
     Combinations of herbicides and safeners.
IN
     Ziemer, Frank; Willms, Lothar; Bieringer, Hermann; Hacker, Erwin
PA
     Aventis Cropscience G.m.b.H., Germany
SO
     Ger. Offen., 28 pp.
     CODEN: GWXXBX
DT
     Patent
ΙA
     German
FAN.CNT 1
     PATENT NO.
                        KIND
                               DATE
                                               APPLICATION NO. DATE
PΙ
     DE 19853827
                         Α1
                               20000525
                                               DE 1998-19853827 19981121
                                               WO 1999-EP8470 19991105
     WO 2000030447
                        A1
                               20000602
         W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM,
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Patel 8/29/2003>

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SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ,
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    RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                             DE 1998-19853827A 19981121
BR 9915516
                           20010717
                                             BR 1999-15516
                                                                 19991105
                                             DE 1998-19853827A 19981121
                                             WO 1999-EP8470 W 19991105
EP 1130965
                           20010912
                                             EP 1999-972493
                     Α1
                                                                 19991105
    R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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                                             DE 1998-19853827A 19981121
                                             WO 1999-EP8470 W 19991105
JP 2002530301
                     T2
                           20020917
                                             JP 2000-583345
                                                                 19991105
                                             DE 1998-19853827A 19981121
                                             WO 1999-EP8470 W 19991105
                                             BG 2001-105474
BG 105474
                           20011130
                                                                 20010425
                                             DE 1998-19853827A 19981121
                                             WO 1999-EP8470 W 19991105
MARPAT 132:330878
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$$\mathbb{R}^{\bigcap_{\mathbb{R}^1 q}}$$

OS GI

AΒ Safened herbicidal compns. are described contg. at least one herbicide ad one antidote. The herbicide is a benzoyl deriv. I [R = isoxazol-4-yl, pyrazol-4-yl, cyclohexan-1,3-dion-2-yl or 3-oxopropionitril-2-yl; R1 = (un) substituted nitro, amino, halo, etc., q = 0, 1-4]. The antidote is e.g. 2,4-D, cyometrinil, dicamba, dymron, fenclorim, flurazole, fluxofenim, lactidichlor, MCPA, mecoprop, MG-191, oxabetrinil, Me diphenylmethoxyacetate, 1-[4-(N-2-methoxybenzoylsulfamoyl)phenyl]-3methylurea, 1,8-naphthalic anhydride, 1-[4-(N-2methoxybenzoylsulfamoyl)phenyl]-3,3-dimethylurea, 1-[4-(4,5dimethylbenzoylsulfamoyl)phenyl]-3-methylurea, 1-[4-(Nnaphthoylsulfamoyl)phenyl]-3,3-dimethylurea, (4-chlorphenoxy)acetic acid, 4-(2,4-dichlorophenoxy) butyric acid, 4-(4-chloro-o-tolyloxy) butyric acid, 4-(4-chlorophenoxy) butyric acid, free, esterified, or salts, N-acylsulfonamides, N-acylsulfamoylbenzoic acid amides as well as substituted 1-phenylpyrazoline, 1-phenylpyrazole, 1-phenyltriazole, 5-phenylisoxazoline, 5-phenylmethylisoxazolin-3-carboxylic acid and 2-(8-quinolinyloxy)acetic acid derivs.

L7 ANSWER 74 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:335393 CAPLUS

DN 132:347578

TI Preparation of arylaminopyrimidines as inhibitors of HIV replication.

IN De Corte, Bart; De Jonge, Marc Rene; Heeres, Jan; Ho, Chih Yung; Janssen,
Paul Adriaan Jan; Kavash, Robert W.; Koymans, Lucien Maria Henricus;
Kukla, Michael Joseph; Ludovici, Donald William; Van Aken, Koen Jeanne

Alfons PΑ Janssen Pharmaceutica N.V., Belg.; et al. SO PCT Int. Appl., 49 pp. CODEN: PIXXD2 DTPatent LΑ English FAN.CNT 1 APPLICATION NO. DATE PATENT NO. KIND DATE ----------A1 20000518 WO 1999-EP7417 19990924 PΙ WO 2000027825 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 1998-107792PP 19981110 US 1999-143962PP 19990715 20000529 AU 9962008 19990924 A1 AU 1999-62008 AU 762523 B2 20030626 US 1998-107792PP 19981110 US 1999-143962PP 19990715 WO 1999-EP7417 W 19990924 BR 9915552 Α 20010814 BR 1999-15552 19990924 US 1998-107792PP 19981110 US 1999-143962PP 19990715 WO 1999-EP7417 W 19990924 EE 200100252 Α 20021015 EE 2001-252 19990924 US 1998-107792PP 19981110 US 1999-143962PP 19990715 WO 1999-EP7417 W 19990924 EP 1002795 A1 20000524 EP 1999-203590 19991101 EP 1002795 B1 20030305 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO US 1998-107792PP 19981110 US 1999-143962PP 19990715 WO 1999-EP7417 W 19990924 EP 1270560 20030102 EP 2002-18455 19991101 A1 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL US 1998-107792PP 19981110 US 1999-143962PP 19990715 WO 1999-EP7417 W 19990924 EP 1999-203590 A319991101 AT 233740 20030315 AT 1999-203590 19991101 US 1998-107792PP 19981110 US 1999-143962PP 19990715 WO 1999-EP7417 W 19990924 US 2003114472 **A**1 20030619 US 1999-430966 19991101 US 1998-107792PP 19981110 US 1999-143962PP 19990715 WO 1999-EP7417 A 19990924

Patel 8/29/2003>

20020228

HR 2001-161

US 1998-107792PP 19981110

20010307

HR 2001000161 A1

US 1999-143962PP 19990715 WO 1999-EP7417 W 19990924 NO 2001001696 Α 20010404 NO 2001-1696 20010404 US 1998-107792PP 19981110 US 1999-143962PP 19990715 WO 1999-EP7417 W 19990924 BG 105418 20011130 BG 2001-105418 20010406 US 1998-107792PP 19981110 US 1999-143962PP 19990715 WO 1999-EP7417 A 19990924

OS MARPAT 132:347578 GI

$$\begin{array}{c|c}
L & N & R^1 & b^1 \\
N & N & b^4 & b^2 \\
N & b^4 & b^3 & R^2?
\end{array}$$

AΒ Title compds. [I; b1:b2CR2a:b3b4 = CH:CHCR2a:CHCH, N:CHCR2a:CHCH, CH:NCR2a:CHCH, N:NCR2a:CHCH, CH:NCR2a:NCH, etc.; q = 0-4; R1 = H, aryl, CHO, formylalkyl, alkylcarbonyl alkyl, alkoxycarbonyl, etc.; R2a = cyano, aminocarbonyl, cyanoalkyl, cyanoalkenyl, cyanoalkynyl, etc.; R2 = OH, halo, (substituted) alkyl, cycloalkyl, alkenyl, alkynyl, alkoxy, etc.; L = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, XR3; R3 = (substituted) Ph, pyridyl, pyrimidnyl, pyrazinyl, pyridazinyl; X = NR1, NHNH, N:N, O, CO, S, SO, SO2, CHOH; Q = H, alkyl, halo, polyhaloalkyl, amino; Y = OH, halo, cycloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, substituted alkyl, etc.], were prepd. Thus, 5-bromo-4-chloro-N-(2,4,6trimethylphenyl)-2-pyrimidineamine (prepn. given) was treated with HCl in Et20 followed by solvent evapn.; 4-aminobenzonitrile and 1,4-dioxane were added and the mixt. was refluxed 4 days to give 4-[[5-chloro-2-[(2,4,6trimethylphenyl)amino]-4-pyrimidinyl]amino]benzonitrile. The latter inhibited HIV-1 infection of MT-4 cells with IC50 = 0.004 .mu.M.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7 ANSWER 75 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2000:277989 CAPLUS

DN 132:313703

TI Heterocyclic condensed ring compounds in treatment and/or prevention of conditions mediated by peroxisome proliferator-activated receptors.

IN Jeppesen, Lone; Bury, Paul Stanley; Sauerberg, Per

PA Novo Nordisk A/S, Den.; Reddy's Research Foundation

SO PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2000023451 A1 20000427 WO 1999-DK573 19991019

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,

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                          20000508
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                                          DK 1998-1354
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                                          US 1998-105913PP 19981028
                                          US 1999-420347 A319991019
MARPAT 132:313703
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OS

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L7 ANSWER 76 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- ΑN 2000:205644 CAPLUS
- DN 132:237105
- Preparation of 2-[(alpha-substituted)alkylthio(and alkoxy)]pyrimidines as TI inhibitors of viral reverse transcriptase
- Nugent, Richard A.; Schlachter, Stephen T.; Murphy, Michael J.; Morris, ΙN

AB Heterocyclic arom. compds. such as 3-[4-[2-(8,9-dihydro-3,5-dithia-4 $azacyclopenta\{f\}azulen-4-yl)$  ethoxy]phenyl]-2-ethoxypropionic acid are useful in the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR).

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Joel; Thomas, Richard C.; Wishka, Donn G.; Cleek, Gary J.; Graber, David
PΑ
    Pharmacia & Upjohn Company, USA
SO
    U.S., 97 pp., Cont.-in-part of U.S. Ser. No. 436,708, abandoned.
    CODEN: USXXAM
DT
    Patent
LΑ
    English
FAN.CNT 2
                                          APPLICATION NO. DATE
    PATENT NO.
                   KIND DATE
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    US 6043248
                  Α
                           20000328
                                          US 1997-945153 19971017
                                          US 1995-436708 B219950508
                                          WO 1996-US6119 W 19960503
                     A1 19961114
    WO 9635678
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                                                         19960503
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            LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
            SI, SK
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            IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR
                                          US 1995-436708 A219950508
PATENT FAMILY INFORMATION:
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    1997:41865
    PATENT NO.
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                                          APPLICATION NO. DATE
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                                    WO 1996-US6119 19960503
    WO 9635678
PΤ
                    A1 19961114
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                                          US 1995-436708 A 19950508
    AU 9656353
                      A1
                           19961129
                                          AU 1996-56353
                                                          19960503
    AU 712404
                      B2
                           19991104
                                          US 1995-436708 A 19950508
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    EP 824524
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                           19980225
                                          EP 1996-913306 19960503
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI
                                          US 1995-436708 A 19950508
                                          WO 1996-US6119 W 19960503
    CN 1183773
                      Α
                           19980603
                                          CN 1996-193791 19960503
                                          US 1995-436708 A 19950508
    BR 9608265
                      Α
                           19990202
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                                          WO 1996-US6119 W 19960503
    JP 11507017
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    RU 2167155
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    TW 450962 B
                           20010821
                                          TW 1996-85105432 19960507
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GI

	US 6043248	A	20000328		1995-436708 1997-945153	
				US	1995-436708	B219950508
				WO	1996-US6119	W 19960503
	NO 9705129	Α	19980107	NO	1997-5129	19971107
				US	1995-436708	A 19950508
				WO	1996-US6119	W 19960503
OS	MARPAT 132:237105					

R5 N R12 R13 R42 N R41 R41

AB The title compds. [I; m = 0-1; R1 = C.tplbond.CH, CO2R53, CONR54R55, etc.; R53 = H, alkyl, cycloalkyl, etc.; R54, R55 = H, alkyl, allyl, etc.; R41, R42 = H, alkyl, etc.; R12 = H, alkyl, cycloalkyl, etc.; R13 = H, alkyl, CF3; Y = S, SO, SO2, O; R4 = H, OH, halo, etc.; R5 = H, C2H4OH, halo, etc.; R6 = H, OH, halo, etc.], useful in the treatment of individuals who are HIV pos., were prepd. Thus, treatment of 4-amino-6-hydroxy-2-mercaptopyrimidine in 50% EtOH with solid NaOH followed by addn. of 2,6-difluorobenzyl bromide afforded the title compd. II. Biol. data for compds. I were given.

RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 77 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

Ι

AN 2000:190760 CAPLUS

DN 132:222437

TI Method for the radical alkylation of arenes

IN Murphy, John; Graham, Stephen

PA Merck Patent G.m.b.H., Germany

SO Eur. Pat. Appl., 27 pp. CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PA?	rent	NO.		KII	ND	DATE			A	PPLI	CATI	ON NO	Ο.	DATE			
						- <b>-</b>				_								
ΡI	ΕP	9872	235		A:	1	2000	0322		E	P 19	99-1	1609	1	1999	0817		
	ΕP	9872	235		В:	1	2003	0312										
		R:	ΑT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
							FI,					•	•	•	•	•	·	•

EP 1998-115971 A 19980825

OS CASREACT 132:222437; MARPAT 132:222437

AB The title process comprises a method for the conversion of alkenes or arenes with iodoalkenes, aryl iodides or arenediazonium salts in the presence of hypophosphorous acid or its derivs. and a radical initiator. Thus, O-allyl-3,5-diiodosalicylic acid was refluxed with H3PO2/AIBN/H2O to give 3-methyl-2,3-dihydrobezofuran-7-carboxylic acid.

Page 191

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RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
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ANSWER 78 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
L7
AN
    2000:161257 CAPLUS
DN
    132:194294
ΤI
    Preparation of hydroxamic acid derivatives as proteinase inhibitors
IN
    Martin, Fionna Mitchell
PΑ
    British Biotech Pharmaceuticals Limited, UK
SO
    PCT Int. Appl., 41 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
                                         APPLICATION NO. DATE
                     KIND DATE
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PΙ
    WO 2000012477
                     A1
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            SK, TR, US, ZA
        RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
            PT. SE
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                                          GB 1998-28525 A 19981223
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                           20000321
                                          AU 1999-56349
                                                           19990827
                                          GB 1998-18830 A 19980829
                                          GB 1998-28525 A 19981223
                                          WO 1999-GB2826 W 19990827
    EP 1107953
                           20010620
                      Α1
                                          EP 1999-943064 19990827
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, FI
                                          GB 1998-18830 A 19980829
                                          GB 1998-28525 A 19981223
                                          WO 1999-GB2826 W 19990827
    JP 2002523492
                      T2
                           20020730
                                          JP 2000-567510
                                                         19990827
                                          GB 1998-18830 A 19980829
                                          GB 1998-28525 A 19981223
                                          WO 1999-GB2826 W 19990827
    US 6479502
                      В1
                           20021112
                                          US 2001-763424
                                                          20010221
                                          GB 1998-18830 A 19980829
                                          GB 1998-28525 A 19981223
                                          WO 1999-GB2826 W 19990827
    US 2003050310
                      Α1
                           20030313
                                          US 2002-242739
                                                           20020912
                                          GB 1998-18830 A 19980829
                                          GB 1998-28525 A 19981223
                                          US 2001-763424 A320010221
OS
    MARPAT 132:194294
GΙ
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$$Ph$$
 $S$ 
 $N$ 
 $IV$ 

The title compds. WSO2CHR1CHR2X [I; X = CO2H, CONHOH; R2 = R3(ALK)m(Q)p(ALK)n (wherein R3 = H, (un)substituted cycloalkyl, cycloalkenyl, etc.; ALK = (un)substituted divalent alkylene; Q = O, S, SO, etc.; m, n, p = 0-1); R1 = R2, except that R1 is not H; W = II, III (wherein Y = O, S, SO, etc., and R4-R7 = R2, and R4a, R7a = H, alkyl; R4, R4a and R5 taken together with the carbon atoms to which they are attached form (un)substituted benzene or pyridine ring fused to cyclic amine ring, and R7a = H, alkyl, and R6 and R7 = R2; etc.)], useful in treating diseases resulting from over prodn. of, or over responsiveness to, MMPs (no data), were prepd. E.g., a multi-step synthesis of the title compd. IV was given.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7 ANSWER 79 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2000:133645 CAPLUS

DN 132:180173

TI Stereoselective ring opening reactions

IN Jacobsen, Eric N.; Tokunaga, Makoto; Larrow, Jay F.

PA President and Fellows of Harvard College, USA

SO PCT Int. Appl., 152 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

PAT	CENT NO.	KIND	DATE	APPLICATION NO. DATE
		- <b></b> -		
PI WO	2000009463 W: AU, CA,		20000224	WO 1999-US18305 19990813
	RW: AT, BE, PT, SE	CH, CY,	, DE, DK,	ES, FI, FR, GB, GR, IE, IT, LU, MC, NL
				US 1998-134393 A 19980814
US	6262278	B1	20010717	US 1998-134393 19980814
				US 1995-403374 A219950314
				US 1996-622549 A219960325
CA	2339618	AA	20000224	CA 1999-2339618 19990813
				US 1998-134393 A 19980814
				WO 1999-US18305W 19990813

	AU 9956732	A1 20000306	US 1998-134393 A 19980814
		A1 20010606 CH, DE, DK, ES,	WO 1999-US18305W 19990813 EP 1999-943685 19990813 FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
PATE	JP 2002522515	T2 20020723	US 1998-134393 A 19980814 WO 1999-US18305W 19990813 JP 2000-564918 19990813 US 1998-134393 A 19980814 WO 1999-US18305W 19990813
FAN	1996:672656 PATENT NO.		APPLICATION NO. DATE
ΡΙ	ES, FI, LU, LV, SG, SI	A1 19960919 AT, AU, AZ, BB, GB, GE, HU, IS, MD, MG, MK, MN,	WO 1996-US3493 19960314 BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
	IE, IT,	LU, MC, NL, PT,	AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML US 1995-403374 A 19950314
			US 1995-403374 19950314 CA 1996-2213007 19960314 US 1995-403374 A 19950314
	AU 9653639 AU 708622	A1 19961002 B2 19990805	
			US 1995-403374 A 19950314 WO 1996-US3493 W 19960314 EP 1996-910448 19960314 FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
	JP 11502198	T2 19990223	US 1995-403374 A 19950314
	PL 184857	B1 20030131	US 1995-403374 A 19950314
	NO 9704234	A 19971113	WO 1996-US3493 W 19960314 NO 1997-4234 19970912 US 1995-403374 A 19950314 WO 1996-US3493 W 19960314
FAN	1999:468087 PATENT NO.	KIND DATE	APPLICATION NO. DATE
PI	US 5929232	A 19990727	US 1996-622549 19960325 US 1995-403374 A219950314
	US 5665890 CA 2213007	A 19970909 AA 19960919	US 1995-403374 19950314 CA 1996-2213007 19960314
	US 6262278	B1 20010717	US 1995-403374 A 19950314 US 1998-134393 19980814 US 1995-403374 A219950314 US 1996-622549 A219960325
	US 2002032338 US 6448414	A1 20020314 B2 20020910	
		i	OO 1990-4000/4 H213300014

EAN		A1	20030724	US 1996-622549 A219960325 US 1998-134393 A119980814 US 2002-206143 20020726 US 1995-403374 A219950314 US 1996-622549 A219960325 US 1998-134393 A119980814 US 2001-899516 A120010705
FAN	2001:521942 PATENT NO.	KIND		APPLICATION NO. DATE
ΡI		B1	20010717	US 1998-134393 19980814 US 1995-403374 A219950314 US 1996-622549 A219960325
	US 5665890	A	19970909	US 1995-403374 19950314
	US 5929232	A	19990727	US 1996-622549 19960325
	00 0747202		10000,27	US 1995-403374 A219950314
	CA 2339618	AA	20000224	CA 1999-2339618 19990813
				US 1998-134393 A 19980814
				WO 1999-US18305W 19990813
	WO 2000009463	A1	20000224	WO 1999-US18305 19990813
	W: AU, CA,			
	RW: AT, BE,	CH, CY	, DE, DK, ES	G, FI, FR, GB, GR, IE, IT, LU, MC, NL,
	PT, SE			
				US 1998-134393 A 19980814
	AU 9956732	A1	20000306	AU 1999-56732 19990813
				US 1998-134393 A 19980814
				WO 1999-US18305W 19990813
			20010606	
		CH, DE	, DK, ES, FR	R, GB, GR, IT, LI, LU, NL, SE, MC, PT,
	IE, FI			
				US 1998-134393 A 19980814
	TD 0000500515	mo	0000000	WO 1999-US18305W 19990813
	JP 2002522515	12	20020723	JP 2000-564918 19990813
				US 1998-134393 A 19980814
	110 200202222	73.1	20000214	WO 1999-US18305W 19990813
	US 2002032338 US 6448414	AI	20020314	US 2001-899516 20010705
	05 0440414	B2	20020910	HC 1005 402254 3010050214
				US 1995-403374 A219950314
				US 1996-622549 A219960325
	US 2003139614	<b>z</b> . 1	20030724	US 1998-134393 A119980814 US 2002-206143 20020726
	00 2000109014	WT	20030724	US 2002-206143 20020726 US 1995-403374 A219950314
				US 1995-403374 A219950314 US 1996-622549 A219960325
				US 1998-134393 A119980814
				US 2001-899516 A120010705
os	CASREACT 132:18	0173: M	ARPAT 132.18	

OS CASREACT 132:180173; MARPAT 132:180173

AB The title process for stereoselective or regioselective chem. synthesis comprises reacting a nucleophile, selected from the group consisting of water, alcs., carboxylic acids, and thiols, and a racemic or diastereomeric mixt. of a cyclic substrate in the presence of a non-racemic chiral catalyst to effect a kinetic resoln. of the cyclic substrate. The present invention also relates to hydrolytic kinetic resolns. of racemic and diastereomeric mixts. of epoxides. Thus, epichlorohydrin (I) was maintained 24h at 4.degree. in THF contg. 0.50 equiv. H2O and a catalyst comprising (R,R)-[1,2-bis(3,5-di-tert-butylsalicylidenamino)cyclohexane]chromium(III) chloride treated with HOAc to give 44% (S)-I of 96% ee and 50% (R)-ClCH2CH(OH)CH2OH of 96% ee.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

## ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7
    ANSWER 80 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
ΑN
    2000:98533 CAPLUS
DN
     132:122631
TI
     Preparation of substituted quinazoline derivatives
IN
    Gletsos, Constantine
PA
    American Home Products Corporation, USA
     PCT Int. Appl., 23 pp.
SO
     CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
                   KIND DATE
                                         APPLICATION NO. DATE
                                         -----
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    WO 2000006555
                                       WO 1999-US17035 19990728
PΙ
                    A1 20000210
        W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
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            JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
            MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
            TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,
            RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
            ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
            CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          US 1998-126292 A 19980730
    CA 2336802
                      AΑ
                           20000210
                                          CA 1999-2336802 19990728
                                          US 1998-126292 A 19980730
                                          WO 1999-US17035W 19990728
    AU 9953910
                      A1
                           20000221
                                          AU 1999-53910
                                                         19990728
                                          US 1998-126292 A 19980730
                                          WO 1999-US17035W 19990728
    BR 9912575
                      Α
                           20010502
                                          BR 1999-12575
                                                         19990728
                                          US 1998-126292 A 19980730
                                          WO 1999-US17035W 19990728
    EP 1100788
                           20010523
                      A1
                                          EP 1999-939658 19990728
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
                                          US 1998-126292 A 19980730
                                          WO 1999-US17035W 19990728
    JP 2002521476
                      T2
                           20020716
                                          JP 2000-562358 19990728
                                          US 1998-126292 A 19980730
                                          WO 1999-US17035W 19990728
OS
    CASREACT 132:122631; MARPAT 132:122631
GΙ
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## \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Patel 8/29/2003>

AB The title compds. [I; X = substituted Ph; R, R1 = H, halo, alkyl, etc.; R2 = H, alkyl, alkoxy, etc.; Y = II, III (wherein R3 = H, alkyl, CO2H, etc.; n = 2-4)], useful as antineoplastic agents (no data), were prepd. by acylating aniline IV with an acid halide or mixed anhydride V or VI (wherein Z = OR4, SR4, halo, etc.; R4 = alkyl, cycloalkyl, Ph; L = Cl, Br, OCOR6; R6 = alkyl, cycloalkyl, Ph) followed by reacting the acetylated compd. with H2NX, and treating the resulting intermediate with a mild base

10009276.3 Page 196 or Lewis acid. RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT L7 ANSWER 81 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN AN 2000:65473 CAPLUS DN 132:107948 ΤI Preparation of fused thiazolidinimines as appetite suppressants and antidiabetics. TN Jaehne, Gerhard; Geisen, Karl; Lang, Hans Jochen PA Hoechst Marion Roussel Deutschland G.m.b.H, Germany SO Ger. Offen., 44 pp. CODEN: GWXXBX DT Patent LΑ German FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE ---- -----PΙ DE 19831878 A1 20000127 DE 1998-19831878 19980717 DE 19831878 C2 20010517 CA 2337838 AA20000127 CA 1999-2337838 19990703 DE 1998-19831878A 19980717 WO 1999-EP4644 W 19990703 WO 2000004006 A1 20000127 WO 1999-EP4644 19990703 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG DE 1998-19831878A 19980717 AU 9950308 **A**1 20000207 AU 1999-50308 19990703 DE 1998-19831878A 19980717 WO 1999-EP4644 W 19990703 BR 9912151 Α 20010410 BR 1999-12151 19990703 DE 1998-19831878A 19980717 WO 1999-EP4644 W 19990703 EP 1999-934568 19990703 EP 1098891 A1 20010516 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO DE 1998-19831878A 19980717 WO 1999-EP4644 W 19990703 JP 2002520404 T2 20020709 JP 2000-560113 19990703 DE 1998-19831878A 19980717

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DE 1998-19831878A 19980717
WO 1999-EP4644 W 19990703
US 6159996 A 20001212 US 1999-351621 19990712
DE 1998-19831878A 19980717
NO 2001000219 A 20010315 NO 2001-219 20010112
DE 1998-19831878A 19980717
WO 1999-EP4644 W 19990703

OS MARPAT 132:107948

GI

Patel 8/29/2003>

Title compds. [I; e.g., Y = bond, CH2, CH2CH2; X = CH2, CHMe, CHEt, CHPr; AΒ R1 = cyano, CO2H, alkoxycarbonyl, CONH2, alkyl, alkenyl, etc.; R2 = H, alkyl, cycloalkyl, (substituted) phenyl(alkyl), thienyl(alkyl), pyridyl(alkyl), etc.; R3 = H, alkyl, F, cyano, N3, alkoxy, (substituted) phenyl(alkyl), thienyl(alkyl), pyridyl(alkyl), etc.; R4 = alkyl, cycloalkyl, (substituted) phenyl(alkyl), thienyl(alkyl), pyridyl(alkyl), etc.; R5 = alkyl, cycloalkyl, (substituted) phenyl(alkyl), thienyl(alkyl), pyridyl(alkyl), furyl(alkyl); R4R5 = CH2CH2, CH2CMe2, (CH2)3, (CH2)4; R11 = H, F, Cl, Br, iodo, Me, CF3, alkoxy, NO2, SO2Me, etc.], were prepd. Thus, 2-bromo-5-(2,2,3,3,4,4,4-heptafluorobutoxy)-1-indanone reacted with N, N'-dimethylthiourea in EtOAc to give 5-(2,2,3,3,4,4,4-heptafluorobutoxy)-3-methyl-2-methylimino-2,3,8,8a-tetrahydroindeno[1,2-d]thiazol-3a-ol. The latter at 50 mg/kg orally gave 98% inhibition in milk consumption by mice. RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

L7 ANSWER 82 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

ALL CITATIONS AVAILABLE IN THE RE FORMAT

AN 1999:819368 CAPLUS

DN 132:64182

ΤI Preparation of di- and tetrahydroquinolinylindoles and related compounds as antibacterials.

IN Cuny, Gregory D.; Hauske, James R.; Hoemann, Michael Z.; Rossi, Richard F.; Xie, Roger Leijie

PΑ Sepracor, Inc., USA

PCT Int. Appl., 130 pp. SO

CODEN: PIXXD2

DTPatent

English LΑ

FAN.	FAN.CNT 1																	
	PA'	rent :	NO.		KI	ND.	DATE			A	PPLI	CATI	и ис	ο.	DATE			
										-		<b></b>						
ΡI	WO	9967	238		A:	2	1999	19991229 WO 1999-US14277 19990625										
	WO	9967	238		A.	3	2003	0417										
		W:	ΑE,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,
			DE,	DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,
			JP,	KE,	KG,	KΡ,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,
			MN,	MW,	MX,	NO,	ΝZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,
			TM,	TR,	TT,	UA,	ŪĠ,	UZ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,
			RU,	ТJ,	TM													
		RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SL,	SZ,	UG,	ZW,	AT,	ΒE,	CH,	CY,	DE,	DK,
			ES,	FI,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,
			CI,	CM,	GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG					
										U.	S 19	98-9	0624	PΡ	1998	0625		
	AU 9945835 A1		1	2000	0110		A	U 19	99-4	5835		1999	0625					
									U	S 19	98-9	0624	PΡ	1998	0625			
							WO 1999-US14277W 19990625											
	US	6180	640		B	1	2001	0130		U	S 19	99-3	4461	9	1999	0625		

US 1998-90624P P 19980625

OS MARPAT 132:64182 GI

AΒ Title compds. [I; A, B = atoms to form (substituted) mono- or polycyclic cycloalkyl, cycloalkenyl, aryl, heteroaryl, heterocyclyl; X, Y = CR2, NR, O, PR, S, AsR, Se; R, R1, R2, R3, R31, R4, R41 = H, halo, alkyl, alkenyl, alkynyl, OH, alkoxy, silyloxy, amino, NO2, SH, alkylthio, amide, phosphonate, acetal, aryl, heteroaryl, N3, carbamate, hydroxamate, sulfonamide, thiocarbamate, guanidino, amidino, etc.; R5, R6 = halo, alkyl, alkenyl, alkynyl, OH, alkoxy, silyloxy, amino, SH, alkylthio, imine, amide, phosphoryl, phosphonate, carbonyl, CO2H, carboxamide, ketone, aldehyde, cyano, carbamate, etc.], were prepd. Thus, 4-(3-piperidinyl)propargylaniline (prepn. given), N-Teoc-5-bromoindole-3carboxaldehyde, and cat. TsOH were refluxed in C6H6 to give a residue which was stirred with 2,3-dihydrofuran and ytterbium triflate in MeCN to give 45%8-[3-(N-piperidinyl)propargyl]-2,3,3a,4,5,9b-hexahydro-4-(5-bromo-3-cis,trans-N-Teoc-indolyl)furo[2,3-c]quinoline. This was stirred with TBAF in THF followed by chromatog. to give 78% 45%8-[3-(Npiperidinyl)propargyl]-2,3,3a,4,5,9b-hexahydro-4-(5-bromo-3-cisindolyl) furo [2,3-c] quinoline. The latter at 2% in pig wounds inoculated with staphylococcus aureus showed log CFU/mL = 5.92 after 24 h, vs. 6.54 for untreated controls.

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L7 ANSWER 83 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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Ι

AN 1999:794168 CAPLUS

DN 132:51265

TI Metal complex for ink jet ink

IN Evans, Steven; Weber, Helmut

PA Eastman Kodak Co., USA

SO U.S., 9 pp.

CODEN: USXXAM

DT Patent

LA English

באאו כאות ז

FAN.	FAN.CNT 1											
	PATENT NO.	KIND DATE	APPLICATION NO. DATE									
ΡI	US 6001161	A 19991214	US 1998-203254 19981201									
	EP 1006157	A1 20000607	EP 1999-203891 19991119									
		CH, DE, DK, ES, FR LT, LV, FI, RO	, GB, GR, IT, LI, LU, NL, SE, MC, PT,									
			US 1998-203254 A 19981201									
	JP 2000160079	A2 20000613	JP 1999-337188 19991129									
			US 1998-203254 A 19981201									

OS MARPAT 132:51265

GΙ

AB An ink jet ink compn. comprises water, a humectant, and a polyvalent transition metal complex of 8-heterocyclylazo-5-hydroxyquinoline such as I. This compn. provides magenta images with good light stability and bright magenta hue.

Ι

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 84 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:784103 CAPLUS

DN 132:22956

TI Preparation of thienopyrimidinecarboxamides and analogs as cell adhesion-inhibiting antiinflammatory compounds

IN Stewart, Andrew O.; Boyd, Steven A.; Arendsen, David L.; Bhatia, Pramila; Condroski, Kevin R.; Freeman, Jennifer C.; Gunawardana, Indrani W.; Zhu, Gui-Dong; Lartey, Kraig; McCarty, Catherine M.; Mort, Nicholas A.; Patel, Meena V.; Staeger, Michael A.; Stout, David M.

PA Abbott Laboratories, USA

SO PCT Int. Appl., 282 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE ---------WO 9962908 PΙ A2 19991209 WO 1999-US12419 19990603 WO 9962908 A3 20000330 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

	RW:	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,		CH, BF,			
		CI,	CM,	GA,	GIV,	GW,	МЪ,	MR,	•	•	•		7\	1998	2604		
$C_{\Delta}$	2333	770		7\ 2	Λ.	1000	1209										
CA.	2555	, , ,		T.U	•	± , , , , ,	1207							1998			
										-				1999			
ΑU	9942	312		Α.	1	1999	1220										
					_									1998			
														1999			
EP	1090	009		A2	2	2001	0411										
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	PT,	ΙE,
		SI,	FI,	RO													
									US	3 19	98-90	0701	A	1998	0604		
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BR	99108	864		Α		2002	0205		BI	२ 19:	99-10	0864		1999	0603		
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														1999			
JP	2002	5173	96	T:	2	2002	0618										
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110	2000	00631				0001								1999			
NO	2000	0061	57	Α		2001	0202							2000			
														1998			
מת	1051	^ ^		78		2001	1120							1999			
ВG	1051	09		A		2001.	1130							2001			
										-				1998			
MΔI	RPAT :	122.	2295	<b>s</b>					W	J 19:	<b>ラブーU</b> 2	J124.	LJW	エフフソ	0003		
1.1771	CLASE .	102	2275														

$$\begin{array}{c|c}
R \\
Z^1 \\
R^1
\end{array}$$

OS

GI

AB Title compds. [I; EF:G = (un)substituted NCH:CH, -CHN:CH, -NCH:N, etc.; R = Z1R2; R1 = Z3R3; R2 = H, halo, alkyl, alkoxy, aryl, etc.; R3 = H, alkyl, alkoxy, aryl, CONH2, etc.; Z, Z1 = (un)substituted CH, -CH2, -NH, N, O, S00-2; Z2,Z3 = bond, O, S, (alkyl)imino, CO, etc.; dashed lines = optional position of optional addnl. bond], inhibitors of e-selectin and ICAM-1 expression, were prepd. Thus, 3,5-dichloropyridine was carbonylated and the product thioetherified by 4-MeC6H4SH to give 3-(4-methylphenylthio)-5-chloro-4-pyridinecarboxaldehyde which was cyclocondensed with HSCH2CO2Me to give, in 2 addnl. steps, title compd. II. Data for biol. activity of I were given.

L7 ANSWER 85 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN AN 1999:779071 CAPLUS

Patel

8/29/2003>

DN

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132:23854
TI
    Ink jet printing with azo dye metal complex
IN
    Weber, Helmut; Evans, Steven
PΑ
    Eastman Kodak Company, USA
    U.S., 9 pp.
SO
    CODEN: USXXAM
DT
    Patent
    English
LA
FAN.CNT 1
    PATENT NO.
                KIND DATE
                                      APPLICATION NO. DATE
    -----
                                        -----
    US 5997622 A 19991207
                    A 19991207 US 1998-203258 19981201
A1 20000607 EP 1999-203893 19991119
PΙ
    EP 1006159
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
                                        US 1998-203258 A 19981201
    JP 2000160078 A2
                          20000613
                                        JP 1999-337046 19991129
                                        US 1998-203258 A 19981201
    MARPAT 132:23854
OS
AB
    An ink jet printing method comprises the steps of: (A) providing an ink
    jet printer that is responsive to digital data signals; (B) loading the
    printer with ink-receptive substrates; (C) loading the printer with an ink
    jet ink compn. comprising a carrier and a polyvalent transition metal
    complex of an 8-(heterocyclylazo)-5-hydroxyquinoline; and (D) printing on
    an ink-receptive substrate using the ink jet ink in response to the
    digital data signals. The metal complex azo dyes have light stability
    comparable to that of prior-art dyes and superior color purity. An
    example for the prodn. of the Ni 1:2 complex of 5-hydroxy-2-methyl-8-(2-
    pyridylazo)-3-quinolinecarboxylic acid (.lambda.max 552 nm) was provided.
RE.CNT 10
             THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 86 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
L7
AN
    1999:722857 CAPLUS
DN
    131:350871
    Chiral non-racemic catalysts containing Main-group metals and tridentate
ΤI
    or tetradentate ligands for asymmetric nucleophilic addition reactions to
    .pi. bonds
IN
    Jacobsen, Eric N.; Sigman, Matthew S.
    President and Fellows of Harvard College, USA
PΑ
SO
    PCT Int. Appl., 90 pp.
    CODEN: PIXXD2
DТ
    Patent
    English
LΑ
FAN.CNT 1
                                      APPLICATION NO. DATE
    PATENT NO. KIND DATE
    -----
                                        -----
    WO 9956699 A2 19991111
PΙ
                                        WO 1999-US9570 19990430
    WO 9956699
                    A3 20000518
        W: CA, JP
        RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
            PT. SE
                                        US 1998-71842 A 19980501
    US 6521561
                     В1
                          20030218
                                        US 1998-71842 19980501
                                        CA 1999-2329316 19990430
    CA 2329316
                    AA
                          19991111
                                        US 1998-71842 A 19980501
                                        WO 1999-US9570 W 19990430
    EP 1073613 A2 20010207
                                        EP 1999-922765 19990430
```

Patel 8/29/2003>

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

WO 1999-US9570 W 19990430

US 1998-71842 A 19980501 WO 1999-US9570 W 19990430 JP 2002513734 T2 20020514 JP 2000-546729 19990430 US 1998-71842 A 19980501

OS MARPAT 131:350871

GΙ

$$t-Bu$$

$$Bu-t$$

$$Bu-t$$

$$TIII$$

$$F_3C$$

$$N$$

$$Ph$$

$$CN$$

$$IV$$

AΒ The present invention relates to a method and catalysts for the stereoselective addn. of a nucleophile to a reactive .pi.-bond of a substrate. Claimed is a stereoselective nucleophilic addn. reaction of a .pi.-bond-contg. substrate with a nucleophile in the presence of a chiral, non-racemic catalyst to produce a stereoisomerically enriched addn. product. The substrate comprises a C-C or C-heteroatom .pi.-bond, and the nucleophile comprises at least one pair of Lewis basic electrons. chiral, non-racemic catalysts of the invention constitute the first examples of catalysts for nucleophilic addns. that comprise a Main-group metal and a tri- or tetradentate ligand. One of a no. of preferred chiral non-racemic catalysts of the invention includes metallosalenates I (R1, R2, Y1, Y2, X1-X4 = H, halo, alkyl, alkenyl, alkynyl, OH, alkoxy, siloxy, amino, nitro, SH, amines, imines, amides, phosphonates, phosphines, carbonyls, carboxyls, silyls, ethers, thioethers, sulfonyls, selenoethers, ketones, aldehydes, esters, etc., or any two or more taken together form a 4-8 membered carbocycle or heterocycle which may be a fused ring, with a proviso that requires the .beta.-iminocarbonyls as tetradentate liquid). Other preferred chiral non-racemic catalysts of the invention include various metalloporphyrinates or porphyrin-like complexes, complexes of the tridentate chiral Schiff base ligand II (R106 = H, halo, alkyl, etc.; each

R112, R'112 is absent or represents one or more covalent substitutions of the heterocycle to which it is attached), or complexes of various tetradentate azamacrocycles. Catalysts may contain a Main-group metal selected from Groups 1, 2, 12, 13, or 14 of the periodic table. The catalyst may be immobilized on an insol. matrix. The nucleophilic addn. reaction may be enantioselective, diastereoselective, or a diastereoselective reaction which is a kinetic resoln. The .pi.-bond-contg. substrate may include, e.g., aldehydes, conjugated enals, thioaldehydes, conjugated thioenals, selenoaldehydes, conjugated selenoenals, ketones, conjugated enones, thioketones, conjugated thioenones, selenoketones, conjugated selenoenones, imines, oximes, hydrazones, glyoxylates, pyruvates, conjugated enoates, .alpha.,.beta.-unsatd. amides, .alpha.,.beta.-unsatd. imides, lactones, thionolactones, thiolactones, dithiolactones, lactams, and thiolactams. The reacting nucleophiles may include conjugate bases of weak Bronsted acids, e.g., cyanide, azide, isocyanate, thiocyanate, alkoxide, thioalkoxide, carboxylate, thiocarboxylate, and carbanions. A highly enantioselective hydrocyanation reaction is achieved by this method. Treatment of N-allylbenzaldimine with HCN in the presence of chiral (salen)Al(III) complex III (toluene, -70.degree., 15 h) followed by workup with TFAA affords (S)-(+)-trifluoroacetamide IV in 91% yield, 95% ee. The asym. Strecker-type reaction catalyzed by III provides a straightforward entry into enantiomerically enriched .alpha.-amino acid derivs. Also claimed are chiral catalysts comprising a main-group metal atom or ion, and an asym. tetradentate or tridentate ligand wherein the catalyst catalyzes at least one asym. reaction. The asym. reactions may comprise epoxidn., aziridination, cycloaddn., sigmatropic rearrangement, addn. of nucleophiles to .pi. bonds, ring-opening reactions, hetero-Diels-Alder or hetero-ene reactions, Claisen rearrangements, carbonyl redns., and addn. of nucleophiles to carbonyl groups or to C:N .pi. bonds.

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L7 ANSWER 87 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
```

- AN 1999:699225 CAPLUS
- DN 131:358314
- TI Dipyrromethene metal chelate compound and optical recording using same
- IN Kato, Kenichi; Sasaki, Nobuaki; Kumagaya, Yojiro; Misawa, Nobuyoshi; Nishimoto, Taizo; Tsukahara, Hiroshi; Takuma, Keisuke
- PA Mitsui Chemicals Inc., Japan; Yamamoto Chemicals Inc.
- SO Jpn. Kokai Tokkyo Koho, 21 pp. CODEN: JKXXAF
- DT Patent
- LA Japanese
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		<b>-</b>			
PΙ	JP 11302551	A2	19991102	JP 1998-113685	19980423
				JP 1998-113685	19980423

OS MARPAT 131:358314

GI

Patel 8/29/2003>

The title dipyrromethene has a formula I (R1-5 = H, halo, nitrocyano, OH, amino, carboxyl, sulfonyl, C1-20 alkyl, halo alkyl, alkoxy alkyl, alkoxy, alkoxy alkoxy, aryloxy. dialkylamino alkoxy, alkylthio alkyl, alkylthio alkoxy, acyl, alkoxycarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, alkylamino carbonyl, arylcarbonyl amino, arylamino carbonyl, aryloxy carbonyl, aralkyl, aryl, arylsulfonyl, arylsulfonylthio, heteoaryl, heteoaryloxy, heteoarylthio, heteoarylsulfonyl, alkylthio, alkenyloxycarbonyl, aralkyloxy carbonyl, alkoxycarbonyl alkoxy carbonyl, alkoxycarbonyl alkoxy carbonyl, di(hydroxyalkyl)amino carbonyl, hydroxyalkylamino carbonyl, di(alkoxyalkyl)amino carbonyl, C2-20 alkenyl; A = heterocyclyl, naphthalene). The dipyrromethene metal chelate compd. and optical recording using the chelate compd. are also claimed. The invention metal chelate compd. can provide write-once recording material with high-d. recording and good reading with laser of wavelength 520-690 nm.

L7 ANSWER 88 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:698116 CAPLUS

DN 131:344291

TI Preparation of dipyrromethene metal chelate compound as optical recording media

IN Sasaki, Hiroyuki; Sawano, Bunji; Kumagaya, Yojiro; Misawa, Tsutayoshi;
Nishimoto, Taizo; Tsukahara, Hiroshi; Takuma, Keisuke

PA Mitsui Chemicals Inc., Japan; Yamamoto Chemicals Inc.

SO Jpn. Kokai Tokkyo Koho, 37 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 11302253	A2	19991102	JP 1998-113686	19980423
				JP 1998-113686	19980423
~~	MADDAM 101 04400	-			

OS MARPAT 131:344291

GΙ

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title chelated compds. [I; M is metal; A is nitrogen contq. orq. ligand; B

is nitrogen, oxygen, Sulfur contg. ligand] are prepd. and tested as high d. regenerative optical recording media for 520-690 nm wave length laser. Thus, the title compd. II was prepd.

```
L7
     ANSWER 89 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     1999:690954 CAPLUS
DN
     131:307106
ΤI
     Use of vitamin PP compounds as cytoprotective agents in chemotherapy
     Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter,
IN
     Friedemann; Schein, Barbara; Schemainda, Isabel; Seibel, Klaus; Vogt,
     Klaus; Wosikowski, Katja
PΑ
     Klinge Pharma GmbH, Germany
SO
     PCT Int. Appl., 145 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                             APPLICATION NO. DATE
                                             ______
PΙ
     WO 9953920
                      A1 19991028
                                            WO 1999-EP2686 19990421
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
             MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                             DE 1998-19818044A 19980422
     DE 19818044
                       A1
                             19991028
                                             DE 1998-19818044 19980422
     EP 1031564
                             20000830
                       Α1
                                             EP 1999-103814
                                                              19990226
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                             DE 1998-19818044A 19980422
     AU 9939282
                        Α1
                             19991108
                                             AU 1999-39282
                                                              19990421
                                             DE 1998-19818044A 19980422
                                             WO 1999-EP2686 W 19990421
     EP 1079832
                             20010307
                        A1
                                             EP 1999-922119
                                                             19990421
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
                                             DE 1998-19818044A 19980422
                                             WO 1999-EP2686 W 19990421
     JP 2002512190
                        T2
                             20020423
                                             JP 2000-544324
                                                             19990421
                                             DE 1998-19818044A 19980422
                                             WO 1999-EP2686 W 19990421
     WO 2000050399
                                             WO 2000-EP1628 20000228
                       A1
                             20000831
             AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
             CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
             IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
             MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
             SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                             EP 1999-103814 A 19990226
     EP 1154998
                       Α1
                             20011121
                                             EP 2000-907642
                                                             20000228
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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Patel 8/29/2003>

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IE, SI, LT, LV, FI, RO
                                            EP 1999-103814 A 19990226
                                            WO 2000-EP1628 W 20000228
     JP 2002537380
                       T2
                             20021105
                                            JP 2000-600982
                                                              20000228
                                            EP 1999-103814 A 19990226
                                            WO 2000-EP1628 W 20000228
     US 2002160968
                       A1
                             20021031
                                            US 2001-935772
                                                              20010823
     US 6506572
                       B2
                             20030114
                                            EP 1999-103814 A 19990226
                                            WO 2000-EP1628 A120000228
     MARPAT 131:307106
     The invention relates to the use of vitamin PP compds. and/or compds. with
     anti-pellagra activity such as for example nicotinic acid (niacin), and
     nicotinamide (niacin-amide, vitamin PP, vitamin B3) for the redn.,
     elimination or prevention of side-effects of different degrees as well as
     for neutralization of acute side-effects in immunosuppressive or
     cancerostatic chemotherapy or diagnosis, esp. with substituted pyridine
     carboxamides, as well as combination medicaments with an amt. of compds.
     with vitamin B3 and/or anti-pellagra activity and chemotherapeutic agents
     are esp. considered in the mentioned chemotherapies and indications.
     Nicotinamide at 500 mg/kg twice daily protected mice treated i.p. with
     antitumor N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-
     yl)propionamide. There were no deaths in the nicotinamide-treated mice
     and the strong redn. of leukocytes was completely prevented.
RE.CNT 3
              THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 90 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
     1999:640847 CAPLUS
     131:257572
     Preparation of benzoxazinones and -thiazinones as serine protease
     inhibitors
     Berryman, Kent Alan; Downing, Dennis Michael; Dudley, Danette Andrea;
     Edmunds, Jeremy John; Narasimhan, Lakshmi Sourirajan; Rapundalo, Stephen
     Taras
     Warner-Lambert Company, USA
     PCT Int. Appl., 175 pp.
     CODEN: PIXXD2
     Patent
     English
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                            APPLICATION NO. DATE
     -----
                                            -----
     WO 9950257
                      A1 19991007
                                           WO 1998-US26708 19981215
        W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG,
             KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                            US 1998-80142P P 19980331
     CA 2319551
                       AA
                            19991007
                                            CA 1998-2319551 19981215
                                            US 1998-80142P P 19980331
                                            WO 1998-US26708W 19981215
    AU 9919183
                     A1
                            19991018
                                            AU 1999-19183
                                                            19981215
                                            US 1998-80142P P 19980331
                                            WO 1998-US26708W 19981215
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OS

AB

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PΙ

BR	9815784	A	20001121	BR 1998-15784 US 1998-80142P P	
				WO 1998-US26708W	19981215
EP	1068191	A1	20010117	EP 1998-963965	19981215
	R: AT, BE,	CH, DE	DK, ES, FR,	GB, GR, IT, LI, LU	NL, SE, MC, PT,
	IE, SI,	LT, LV	FI, RO		
				US 1998-80142P P	19980331
				WO 1998-US26708W	19981215
JP	2002509925	T2	20020402	JP 2000-541161	19981215
				US 1998-80142P P	19980331
				WO 1998-US26708W	19981215
ZA	9902445	Α	19991001	ZA 1999-2445	19990330
				US 1998-80142P P	
US	6509335	В1	20030121	US 2000-622265	20000814
			20000121	US 1998-80142P P	
				WO 1998-US26708W	
NO	2000004600	7.	20000000		
NO	2000004698	A	20000920	NO 2000-4698	20000920
				US 1998-80142P P	19980331
				WO 1998-US26708W	19981215

OS MARPAT 131:257572

GΙ

$$\mathbb{R}^4$$
  $\mathbb{Z}^1$   $\mathbb{R}^2$   $\mathbb{R}^2$   $\mathbb{R}^3$   $\mathbb{Z}^3$   $\mathbb{R}^3$ 

Title compds. [I; R1 = cycloalkyl(alkyl), heterocyclyl(alkyl), AΒ aryl(alkyl), etc.; R2 = H or alkyl; R3R4 = (un)substituted CH:CHCH:CH, -N:CHCH:CH, -CH:NCH:CH, etc.; X = O, S, NH; Z = Z2Z3R5; R5 = H, (un) substituted (heteroatom-interrupted) alkyl or -cycloalkyl(alkyl); Z1 = O, SOO-2, OCH2, SCH2, etc.; Z2 = bond or (heteroatom-interrupted) (cyclo)alkylene; Z3 = bond, (un)substituted heterocyclylene, -arylene] were prepd. Thus, 4-(MeO)C6H4CH2CO2Me was .alpha.-brominated and the product etherified by 2-(O2N)C6H4OH to give, after reductive cyclization, I [R1 = C6H4(OMe)-4, R2 = H, R3R4 = CH:CHCH:CH, X = Z1 = O](II; Z = NH) which was N-alkylated by Br(CH2)Br and the product aminated by cis-2,6-dimethylpiperidine to give II [Z = N(CH2)5R5, R5 =cis-2,6-dimethyl-1-piperidinyl]. Data for biol. activity of I were given. THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 11 ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7 ANSWER 91 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1999:597025 CAPLUS

DN 131:250478

- TI Benzopyrromethene metal complex for optical recording medium
- IN Masaoka, Toshihiro; Terao, Hiroshi; Kumagaya, Yojiro; Misawa, Tsutayoshi; Nishimoto, Taizo; Tsukahara, Hiroshi; Takuma, Keisuke
- PA Mitsui Chemicals Inc., Japan; Yamamoto Chemicals Inc.
- SO Jpn. Kokai Tokkyo Koho, 14 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

GΙ

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 11256056	A2	19990921	JP 1998-55390	19980306
				JP 1998-55390	19980306
os	MARPAT 131:25047	8			

$$R^3$$
 $R^4$ 
 $R^5$ 
 $R^6$ 
 $R^7$ 
 $R^9$ 
 $R^8$ 

AΒ The Benzopyrromethene metal complex for optical recording medium is prepd. from Benzopyrromethene I (R1-9 = H, halo, nitro, cyano, etc.) and a metal deriv. such as zinc, copper, nickel deriv. The Benzopyrromethene metal complex provides an optical recording medium sensitive to 520-690 nm laser beam for high d. recording and reading out.

L7 ANSWER 92 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

Ι

AN 1999:594936 CAPLUS

DN 131:223495

TICondensed heterocyclic compounds as antiinflammatory and immunomodulatory

IN Shannon, Patrick Vivian Richard; Eichholtz, Thomas; Linstead, David; Masdin, Philip; Skinner, Richard

PΑ University College Cardiff Consultants Limited, UK

SO PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DT Patent

LА English

FAN.	CNT 1																
	PATENT	NO.		KIND DATE					APPLICATION NO. DATE								
	<b>-</b> -		<b>-</b> -	·													
ΡI	WO 9945	5926		A1 19990916				WO 1999-GB580					19990225				
	W :	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
	DK, EE			ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,
	KE, KG																
	MW, MX			NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,
	TR, TT,																
			TM										·	·	·	•	•
	RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SL,	SZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,	DK,
						GR,											
						GW,							·	·	•	•	•
									G:	B 19	98-4.	343	19980227				
	AU 9926328			A1 19990927				A	U 19	99-2	6328						
									GB 1998-4343				19980227				
								W	0 19	99-GI	B580		1999	0225			

OS MARPAT 131:223495

AΒ Condensed heterocyclic compds. (Markush included) are provided for use as an immunomodulatory or anti-inflammatory drug or for use in the treatment of a therapeutic indication in which inhibition of dehydroorate

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dehydrogenase is beneficial.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L7 ANSWER 93 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN1999:468087 CAPLUS
- DN 131:129576
- Stereoselective epoxy ring opening reactions using chiral transition ΤI metal-salen complexes
- IN Jacobsen, Eric N.; Leighton, James L.; Martinez, Luis E.
- PA President and Fellows of Harvard College, USA
- S0 U.S., 45 pp. CODEN: USXXAM
- DT Patent
- LA English
- FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡI	US 5929232	Α	19990727	US 1996-622549 19960325
	110 5665000	_	1000000	US 1995-403374 A219950314
	US 5665890	A	19970909	US 1995-403374 19950314
	CA 2213007	AA	19960919	CA 1996-2213007 19960314
				US 1995-403374 A 19950314
	US 6262278	B1	20010717	US 1998-134393 19980814
				US 1995-403374 A219950314
				US 1996-622549 A219960325
	US 2002032338	A1	20020314	US 2001-899516 20010705
	US 6448414	B2	20020910	
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				US 1996-622549 A219960325
				US 1998-134393 A119980814
	US 2003139614	A1	20030724	US 2002-206143 20020726
				US 1995-403374 A219950314
				US 1996-622549 A219960325
				US 1998-134393 A119980814
				US 2001-899516 A120010705

## PATENT FAMILY INFORMATION:

IE, FI

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LAW	PATENT NO.				KIND DATE						PPLI	CATI	ON NO	٥.	DATE				
ΡI	WO	9628	402	A1 19960919						WO 1996-US3493 19960314									
		W:	AL,	AM,	AT,										CZ,		DK,	EE,	
			ES,	FI,	GB,	GE,	HU,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LK,	LR,	LS,	LT,	
			LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PΤ,	RO,	RU,	SD,	SE,	
			SG,	$s_{I}$															
		RW:	KΕ,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	
			ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML	
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	NO 9704234	A 1997111	WO 1996-US3493 W 19960314 3 NO 1997-4234 19970912 US 1995-403374 A 19950314 WO 1996-US3493 W 19960314
FAN	2000:133645 PATENT NO.	KIND DATE	APPLICATION NO. DATE
ΡI			4 WO 1999-US18305 19990813
	W: AU, CA,	JP, US	, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
	US 6262278	B1 2001071	US 1998-134393 A 19980814 7 US 1998-134393 19980814
	32 3232.3	2001071	US 1995-403374 A219950314 US 1996-622549 A219960325
	CA 2339618	AA 2000022	4 CA 1999-2339618 19990813 US 1998-134393 A 19980814
	AU 9956732	A1 2000030	WO 1999-US18305W 19990813 6 AU 1999-56732 19990813 US 1998-134393 A 19980814 WO 1999-US18305W 19990813
	EP 1104395 R: AT, BE, IE, FI	A1 2001060 CH, DE, DK, ES	6 EP 1999-943685 19990813 , FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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FAN	2001:521942 PATENT NO.	KIND DATE	
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	RW: AT, BE, PT, SE	CH, CY, DE, DK	, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, US 1998-134393 A 19980814
	AU 9956732	A1 2000030	
		A1 2001060 CH, DE, DK, ES	

Patel 8/29/2003>

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					US	1998-134393 A119980814
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OS CASREACT 131:129576; MARPAT 131:129576 GI

AΒ The present invention relates to a kinetic resoln, process for stereoselective or regioselective chem. synthesis which generally comprises reacting a nucleophile and a chiral or prochiral cyclic substrate in the presence of a non-racemic chiral catalyst to produce a stereoisomerically or regioselectively enriched product. Said chiral catalyst comprises an asym. tetradentate ligand complexed with a metal atom, which complex has a rectangular planar or rectangular pyramidal geometry, e.g. metal-salen complexes (I; R1, R2, Y1, Y2, X1, X2, X3, X4 = hydrogen, halogen, alkyl, alkenyl, alkynyl, hydroxyl, amino, nitro, thiol, amines, imines, amides, phosphoryls, phosphonates, phosphines, carbonyls, carboxyls, silyls, ethers, thioethers, sulfonyls, seleno ethers, ketones, aldehydes, esters, or (CH2) mR7, or any two or more of the substituents taken together form a carbocyle or heterocycle ring having from 4 to 8 atoms in the ring structure; wherein R7 = aryl, cycloalkyl, cycloalkenyl, heterocycle, polycycle; m = 0 or an integer in the range of 1 to 8; M =the late transition metal; A = a counterion or a nucleophile; provisos given). The substrates are epoxides, thioepoxides, aziridines, or cyclopropanes represented by general formula [II; Y = O, S, NR50, C(R52)(R54), A-B-C; wherein R50 = hydrogen, alkyl, carbonyl-substituted alkyl, carbonyl-substituted aryl, a sulfonate; R52, R54 = an electron-withdrawing group; A, C = absent, C1-5 alkyl, O, S, carbonyl, or NR50; B = carbonyl, thiocarbonyl, phosphoryl, sulfonyl; R30, R31, R32, R33 = org. or inorg. substituent which form a covalent bond with the C1 or C2

carbon atoms of 1-8, and which permit formation of a stable ring structure including Y]. Thus, cyclohexene oxide was added to a mixt. of chromium-salen complex, (R,R)-[1,2-bis(3,5-di-tert-butylsalicylideneamino) cyclohexane]-chromium (III) chloride (prepn. given) (2 mol%), and Et2O and stirred for 15 min, followed by adding Me3SiN3. The resulting brown soln. was stirred at room temp. for 28 h to give 80% 2-azidocyclohexanol (III) of 94% ee.

RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 94 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:457919 CAPLUS

DN 131:116229

TI Preparation of thiazolecarboxamides as vitronectin receptor antagonists

IN Alig, Leo; Edenhofer, Albrecht; Hilpert, Kurt; Weller, Thomas

PA F. Hoffmann-La Roche AG, Switz.

SO Eur. Pat. Appl., 87 pp.

CODEN: EPXXDW Patent

LA English

FAN.CNT 1

DT

PΙ

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				APPLICATION NO. DATE
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	R: AT, BE,	CH. DE	DK. ES. FR	, GB, GR, IT, LI, LU, NL, SE, MC, PT,
			FI, RO	, - ,, , , , , , , ,
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				US 1998-218567 A319981222
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EP 1998-100006 A 19980102 US 1998-218567 A319981222 US 2000-526033 A320000315

OS MARPAT 131:116229

AB R1(CH2)aZ(CONR9)cZ1(CH2)e(NB)fAm(NH)g(CH2)n[CH[(CO)k(NH)lR10]]i(CH2)jCO2H [I; A = CO or SO2; B,R9 = H or (cyclo)alkyl; R1 = NR6CONR5(CH2)bR4, NR5R6, NHC(:NR8)NHR7, etc.; R4 = H, (cyclo)alkyl, (hetero)aryl; R5,R6 = H, (cyclo)alkyl, aryl, etc.; R7,R8 = H, (ar)alkyl, etc.; R7R8 = atoms to complete a ring; R10 = H, OH, (ar)alkyl, carboxy(alkyl), alkoxycarbonyl, etc.; Z = (un)substituted thiazole-2,4- or -2,5-diyl; Z1 = bond or arylene; a,j = 0-2; b = 0-4; c,f,g,h,i,k,l,m = 0 or 1; e = 0-3; h = 0-5] were prepd. Thus, H2NC(:NH)NHCSNH2 was cyclocondensed with BrCH2COCO2Et and the sapond. product amidated by H2NCH2CH2CONHCH2CH2CO2Et to give, after sapon., H2NC(:NH)NHZ(CONHCH2CH2)2CO2H (Z = thiazole-2,4-diyl). Data for biol. activity of I were given.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 95 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:405036 CAPLUS

DN 131:60019

- TI Preparation of rigidized trimethine cyanine dyes and their use as fluorescent markers
- IN Waggoner, Alan S.; Mujumdar, Ratnakar B.
- PA Carnegie Mellon University, USA
- SO PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

I'AIV.		TENT		- <b>-</b>			DATE											
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T2 20020319
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Ι

OS MARPAT 131:60019

GΙ

$$\mathbb{Z}^{a}$$
 $\mathbb{R}^{2}$ 
 $\mathbb{R}^{3}$ 
 $\mathbb{R}^{1}$ 
 $\mathbb{R}^{1}$ 
 $\mathbb{R}^{5}$ 
 $\mathbb{R}^{5}$ 

AB Trimethine cyanine dyes, which are useful for imparting fluorescent properties to target materials by covalent and non-covalent assocn., have general I [X, Y = bis-C1-4 alkyl- or C4-5 spiroalkyl-substituted C, O, S, Se, CH:CH, NW; W = H, (CH2) nR12; n = 1-26; R12 = H, (substituted) amino, aldehyde, acetal, halogen, cyano, (hetero)aryl, OH, sulfonate, sulfate, carboxylate, quaternary amino, NO2, amide, reactive group to amino, OH, CO, phosphoryl, sulfuryl; Za, Zb = bond, atoms necessary to complete one, two fused or three fused arom. rings each ring having five or six atoms and contg. .ltoreq.2 O, S, N; A = O, S, NR11; R11 = substituted amino radical; R1 = H, (hetero)aryl, CN, NO2, CHO, halogen, OH, (substituted) amino, acetal, ketal, phosphoryl, sulfuryl, quaternary amino, water-solubilizing group, (substituted) alkyl; R2-5 = water soly.-reducing neutral group, water-solubilizing polar group, functional group that is reactive in labeling reaction, electron donating or withdrawing for shifting the absorption and emission wavelength of the fluorescent mol, lipid- and hydrocarbon-solubilizing group]. The dyes are used in binding assays, such as immunoassays, nucleic acid hybridization assays, DNA-protein binding assays, hormone receptor binding assays, and enzyme assays.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 96 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:390408 CAPLUS

DN 131:45047

TI Preparation of sialyl Lewisx and sialyl Lewisa glyco-mimetics as selectin inhibitors

IN Anderson, Mark B.; Kobayashi, Yoshiyuki; Itoh, Kazuhiro; Holme, Kevin R.;
Cui, Jingrong; Fugedi, Peter; Peto, Csaba F.; Wang, Li; Vazir, Harish

PA Glycomed Incorporated, USA; Sankyo Co., Ltd.

SO PCT Int. Appl., 184 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

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                                  A2
                                           19990617
                                                                 WO 1998-US25783 19981204
       WO 9929705
                                  A3
                                           19990819
             W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
                   AL, AM, AI, AO, AZ, BA, BB, BG, BR, BI, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
             RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
                   FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
                   CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                                 US 1997-67971P P 19971208
       AU 9918042
                                          19990628
                                  Α1
                                                                  AU 1999-18042
                                                                  US 1997-67971P P 19971208
                                                                  WO 1998-US25783W 19981204
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OS MARPAT 131:45047 GI

$$R^7$$
  $R^6$   $R^6$   $R^6$   $R^6$   $R^7$   $R^6$   $R^6$   $R^7$   $R^6$   $R^6$   $R^6$   $R^7$   $R^6$   $R^6$   $R^6$   $R^6$   $R^7$   $R^6$   $R^6$ 

AΒ The present invention provides a series of compds. in the form of chem. and physiol. stable glyco-mimics or glyco-epitopes I-III and MO2C(CH2)nNHC(O)YG wherein W is a covalent bond, -C(=O), -C(=O), -C(=O)-C(=O)-CH2-CH2-, -C(=O)-CH=CH-, -C(=O)-CH(-NHAc)-CH2-, -C(=O)-CH2-CHOH-, -C(=O) -CH(-NH-C(=O) -O-t-Bu) -CH2-, -C(=S) -, -C(=S) -S-, -C(=S) -S--C(=S)-CH2-CH2-, -C(=S)-NH-, -CH2-CH2-O-, -CH2-CH(CH3)-CH2-, -CH2-CH(CH2OH)-CH2-, -CH2-C(=CH2)-CH2-; X is -NR3-, -C(R8)2-, -NR8-, CH-S-sialic acid, CH-O-sialic acid, -O- or -S-; Y is a covalent bond, -(CH2)n-, -CH2-NH-C(=0)-, or -NH-C(=0)-; R1-R9 are independently selected from the group consisting of -H, -OH, alkyl, -CO2M, -CH2-CO2M, -CO2Me, -CH2-CO2Me, -CO2Et, -CH2CO2Et, -CH2-CH=CH-CO2M, -CH2-CH=CH-CO2Me, -CH2-CH=CH-CO2Et, -OSO3M, -CH2-OSO3M, -OPO3M2, -CH2-OPO3M2 with the proviso that at least one of R1-R9 is not -H or -OH; G is heterocycle; M is a metal, n is 1-3, that serve to functionally mimic the active features of biol. important oligosaccharides, such as but not limited to sialyl Lewisx and sialyl Lewisa. These structural glyco-mimetics are useful in the treatment of acute and chronic diseases and asthma. These compds. also are useful in the treatment of other selectin-mediated disorders, such as inflammation, cancer, diabetes, obesity, lung vasculitis, cardiac injury, reperfusion injuries, thrombosis, tissue rejection, arthritis.

inflammatory bowel disease and pulmonary inflammation. Thus, carboxymethyl-piperidine-N-isopropenyl-C-fucoside IV was prepd. and tested as selectin inhibitor (IC50 > 2500 .mu.M).

- L7 ANSWER 97 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1999:375546 CAPLUS
- DN 131:18932
- TI Preparation and formulation of heterocyclic compounds as cyclic GMP phosphodiesterase inhibitors
- IN Ohashi, Masayuki; Nishida, Hidemitsu; Shudo, Toshiyuki
- PA Mochida Pharmaceutical Co., Ltd., Japan
- SO PCT Int. Appl., 253 pp.
- CODEN: PIXXD2
- DT Patent
- LA Japanese
- FAN.CNT 1

	PAT	TENT NO.	<b></b>				APPLICATION NO. DATE										
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	NO	NO 2000002696		A		20000724			W( N(	) 19 ) 20	98-J: 00-2	P5350 696	W C	1997 1998 2000 1997	1127 0526		
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OS MARPAT 131:18932

GI

$$\begin{array}{c} N = \\ CH_2 - O \\ O \\ \end{array}$$

AB The title compds. I [A = single bond, methylene, etc.; R1 = H, halo, etc.; R2 = H, halo, (protected) amino; etc.; R3 = H, halo, (protected) OH, etc.; R4 = H, halo, etc.; R5 = H, methyl; Y1 - Y3, Z1 - Z4 = methine, N] are prepd. I are useful as preventives and/or remedies for pulmonary hypertension, ischemic heart diseases, erectile insufficiency, female sexual dysfunction or diseases against which cGMP-PDE inhibitory effects are efficacious. The title compd. II in vitro showed IC50 of 0.0018 .mu.M against cyclic GMP phosphodiesterase.

ΙI

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 98 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

ΑN 1999:271339 CAPLUS

DN 130:282082

Preparation of alkylthiopyrimidines as viral reverse transcriptase ΤI inhibitors

IN Morris, Joel; Wishka, Donn G.; Adams, Wade J.; Friis, Janice M.

PAPharmacia & Upjohn Company, USA

SO PCT Int. Appl., 100 pp. CODEN: PIXXD2

DT Patent

LΑ English

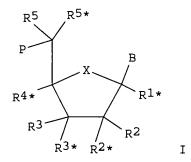
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							LK,											

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NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
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         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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                                            US 1997-59656P P 19970925
                                            WO 1998-US18507W 19980921
     AU 9923050
                       A1
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                       Α
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                            20020820
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                                            WO 1998-US18507W 19980921
OS
     MARPAT 130:282082
AΒ
     R6ZYCR12R13(CR41:R42)mR1 [I; R1 = C.tplbond.CH, alkoxycarbonyl,
     pyridyl(carbonyl), etc.; R6 = alkylthio; R12 = H, alkyl, CONH2, CH2NH2,
     etc.; R13 = H, CF3, alkyl; R41,R42 = H or alkyl; Y = 0 or SOO-2; Z =
     (un) substituted pyrimidine-4,2-diyl; m - 0 or 1] were prepd.
     Thus, (S) - (-) -4-amino-6-chloro-2-[1-(furo[2,3-c]pyridin-5-
     yl)ethylthio]pyrimidine was converted to (S)-(-)-4-amino-6-methylthio-2-[1-
     (furo[2,3-c]pyridin-5-yl)ethylthio]pyrimidine. Data for biol. activity of
     2 I were given.
     ANSWER 99 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
L7
AN
     1999:216926 CAPLUS
DN
     130:252609
ΤI
     Preparation of locked nucleoside analogs-containing
     oligodeoxyribonucleotide duplexes as substrates for nucleic acid
     polymerases
IN
     Wengel, Jesper; Nielsen, Poul
PA
     Exigon A/S, Den.
SO
     PCT Int. Appl., 269 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO. KIND DATE
                                            APPLICATION NO. DATE
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ΡI
     WO 9914226
                     A2 19990325
                                            WO 1998-DK393 19980914
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                      A3
                            19990805
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                                       US 1998-152059 19980911
                                       US 1997-58541P P 19970912
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                                       US 1998-71682P P 19980116
                                       US 1998-76591P P 19980303
                                       US 1998-83507P P 19980429
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                                       WO 1998-DK393 W 19980914
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                       19990405
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                                       DK 1998-286
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A 19980429 DK 1998-585 US 1998-88309P P 19980605 DK 1998-750 A 19980608 DK 1998-982 A 19980728 WO 1998-DK393 W 19980914 US 2003134808 A1 20030717 US 2001-8029 20011105 US 1997-58541P P 19970912 US 1997-68293P P 19971219 US 1998-71682P P 19980116 US 1998-76591P P 19980303 US 1998-83507P P 19980429 US 1998-88309P P 19980605 US 1998-94355P P 19980728 US 1998-152059 A119980911 US 2003144231 US 2002-208650 A1 20030731 US 1997-58541P P 19970912 US 1997-68293P P 19971219 US 1998-71682P P 19980116 US 1998-76591P P 19980303 US 1998-83507P P 19980429 US 1998-88309P P 19980605 US 1998-94355P P 19980728 US 1998-152059 A119980911

OS MARPAT 130:252609 GI



AΒ Bicyclic and tricyclic nucleoside and nucleotide analogs were prepd. as well as oligodeoxyribonucleotides comprising such elements I (B is selected from hydrogen, hydroxy, alkoxy, alkyl, acyloxy, nucleobases, DNA intercalators; P designates the radical position for an internucleoside linkage to a succeeding monomer, or a 5'-terminal group, such internucleoside linkage or 5'-terminal group optionally including the substituent R5; X is selected from O, S, substituted N, substituted C; R1, R1\*, R2, R2\*, R3, R3\*, R4\*, R5, R5\*, are biradical(s), independently selected from hydrogen, alkyl, alkenyl, alkynyl, hydroxy, alkoxy, alkenyloxy, carboxy, alkoxycarbonyl, alkylcarbonyl, formyl, aryl, aryloxy-carbonyl, aryloxy, arylcarbonyl, heteroaryl, carbamido, alkanoyloxy, sulfono, alkylsulfonyloxy, nitro, azido, sulphanyl, alkylthio, halogen, DNA intercalators). Thus, (15,5R,6R,8R)-5-(2cyanoethoxy (diisopropylamino) phosphinoxy) -6-(4,4'dimethoxytrityloxymethyl)-8-(thymin-1-yl)-2,7-dioxabicyclo[3.3.0]nonane was prepd. and incorporated into oligodeoxyribonucleotides. The nucleotide analogs, LNAs (Locked Nucleoside Analogs), are able to provide valuable improvements to oligonucleotides with respect to affinity and

specificity towards complementary RNA and DNA oligomers. The novel type of LNA modified oligonucleotides, as well as the LNAs as such, are useful in a wide range of diagnostic applications as well as therapeutic applications. Among these can be mentioned antisense applications, PCR applications, strand displacement oligomers, as substrates for nucleic acid polymerases, as nucleotide based drugs, etc.

L7 ANSWER 100 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:209146 CAPLUS

DN 130:223600

TI Imidazolidine derivatives, their preparation and use, and pharmaceutical compositions containing them

IN Wehner, Volkmar; Stilz, Hans Ulrich; Schmidt, Wolfgang; Seiffge, Dirk

PA Hoechst Marion Roussel Deutschland GmbH, Germany

SO Eur. Pat. Appl., 66 pp.

CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

			KIND DATE			APPLICATION NO. DATE													
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										US	S 1	1998	3-15	7241	L A3	19980	918		

MARPAT 130:223600

OS GI

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Title compds. [(I); W = R1AC(R13); R1ACH:C; R1 = H,
AΒ
     (substituted)(cyclo)alkyl; R13 = H, (aryl)alkyl; Z = O, S; R0 = H,
     (cyclo)alkyl. aryl; A = (substituted)(cyclo)alkyl; B = (substituted)alkyl,
     alkenyl, (substituted)Ph; R2 = H, (cyclo)alkyl, (substituted)aryl; R3 = H,
     alkyl, (substituted)(cyclo)aryl, alkenyl, alkynyl; E = tetrazolyl,
     (R80)2P(0), HO2S, R9NHSO2, R10CO; R8 = H, alkyl, (substituted)aryl; R9 =
     H, (substituted) NHCO; R10 = OH, (aryl) alkoxy, (substituted) NH2; n, m =
     independently 0 or 1], useful for inhibition and prevention of leukocyte
     adhesion or migration, VLA-4 receptor/ligand interactions, and cell
     adhesion-mediated pathologies, were prepd. and tested. Thus, I [W
     =(S)-C(CH3)(4-HOCH2C6H4); R0 =CH2Ph; B =CH2; R =H; n =1; m =0; R2 =
     (S)-NHC(O)OCH2-adamantyl; R3 = H; E = CO2H (II)] was prepd. from
     ((S)-4-(4-hydroxymethyl-phenyl)-3-benzyl-4-methyl-2,5-dioxo-imidazoliden-1-
     yl)-acetic acid (prepn. given) and (S)-2-(1-adamantylmethyloxycabonylamino
     )-3-amino-propionic acid tert-Bu ester. In in vitro tests using U937
     cells and hVCAM-1(1-3)-IgG, II had IC50 4.mu.M.
              THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 10
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 101 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
L7
AN
     1999:184256 CAPLUS
DN
     130:209714
ΤI
     Tetracyclic heteroaromatic compounds as poly(ADP-ribose) polymerase (PARP)
     inhibitors for treating neural or cardiovascular tissue damage
IN
     Li, Jia-He; Zhang, Jie; Jackson, Paul F.; Maclin, Keith M.
PΑ
     Guilford Pharmaceuticals Inc., USA
SO
     PCT Int. Appl., 122 pp.
     CODEN: PIXXD2
DT
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LΑ
     English
FAN.CNT 16
     PATENT NO.
                      KIND DATE
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                      A1 19990311
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PATENT FAMILY INFORMATION:
FAN 1999:184235
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FAN 1999:184241
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Title compds. I [Y = alkylhalo, alkyl-COG, COG, direct bond, CO, O, NR11, CR8; G = NR11R16, OR9, SR9, R10; Z = O, S, NR11; X = NR16, O, S, CR12R13, CO, bond, -CR12CR13, CR12R13CR14R15; R1-R8, R10, R12-R15 = H, halo, alkylhalo, OH, C1-C9 alkyl, C2-C9 alkenyl group, C3-C8 cycloalkyl, C5-C7 cycloalkenyl, aryl, amino, alkylamino, NO2, NO, CO2H, aralkyl; R9 = H, OH, C1-C9 alkyl, C2-C9 alkenyl, C3-C8 cycloalkyl, C5-C7 cycloalkenyl, aryl, NH2, alkylamino, CO2H, aralkyl; R11, R16 = H, halo, alkylhalo, OH, C1-C9 alkyl, C2-C9 alkenyl group, C3-C8 cycloalkyl, C5-C7 cycloalkenyl, aryl, NH2, alkylamino, CO2H, or aralkyl] were prepd. for use as PARP inhibitors for treating neural or cardiovascular tissue damage. Thus, I [X, Z = O, Y = NH, R1-R7 = H, the dotted bond is a single bond] was prepd. from 9-xanthenecarboxamide by redn. to the amine, conversion to isocyanate, and cyclization and had a PARP-inhibiting IC50 of 0.20.mu.M.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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DN 130:178758

TI Use of benzo[c]quinolizine derivatives as plant growth regulators

IN Guarna, Antonio; Serio, Mario

PA Applied Research Systems ARS Holding N.V., Neth. Antilles

SO PCT Int. Appl., 14 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.	CNT I																
	PATENT	NO.		KI.	ND .	DATE			A)	PPLI	CATI	ON NO	Э.	DATE			
			<b>-</b>		- <del>-</del>				-								
ΡI	WO 990																
	W :	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
														IS,			
														MK,			
														TJ,			
														MD,			
	RW	: GH,															
														ВJ,			
			GA,									<b>Б</b> п,	ы,	ы,	Cr,	CG,	CI,
		C.1.1,	on,	OIV,	ON,	м,	Μ,	142,	-	-		T 1 0 0		1007	2001		
				_	_									1997			
	AU 989	1570		Α	1	1999	0222		Αl	J 19:	98-91	1570		19980	0729		
	AU 750	092		В	2 :	2002	0711										
									I.	Г 19	97-F	1193	A	19970	0801		
									W	0 19:	98-E	P473	7 W	1998	729		
	EP 999	747		Α	1 :	2000	0517							1998			
	EP 999			В		2003						, ,	-				
		AT,						FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,

IE, FI IT 1997-FI193 A 19970801 WO 1998-EP4737 W 19980729 JP 2001511433 T2 20010814 JP 2000-504746 19980729 IT 1997-FI193 A 19970801 WO 1998-EP4737 W 19980729 AT 237938 20030515 Ε AT 1998-943798 19980729 IT 1997-FI193 A 19970801

WO 1998-EP4737 W 19980729 US 6514912 20030204 R1 US 2000-480238 20000110 WO 1998-EP4737 A119980729

OS MARPAT 130:178758

GΙ

$$R^{1}$$
  $(QW)_{n}$   $R^{2}$   $R^{3}$ 

The benzo[c]quinolizine derivs. I (R1-4, R6 = H, alkyl, alkenyl, alkynyl, AΒ aryl, heterocyclyl, etc.; R5 = H, alkyl, arylalkyl, CO2H, etc.; Q = bond, alkyl, alkenyl, alkynyl, CO, etc.; W = H, alkyl, alkenyl, aryl, etc.; n = 1-4; a, b, c, d, e, f and g are single or double bonds) are plant growth regulators.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 103 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

Ι

- AN1999:104522 CAPLUS
- DN 130:163203
- ΤI 5-HT-2 antagonists, and preparation thereof, for treating or ameliorating the symptoms of common cold or allergic rhinitis
- Johnson, Kirk Willis; Nelson, David Lloyd Garver; Phebus, Lee Alan ΙN
- PA Eli Lilly and Company, USA
- SO U.S., 16 pp. CODEN: USXXAM
- DT
- Patent LΑ
- English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 5869497	Α	19990209	US 1997-813472	19970307
				US 1997-813472	19970307

OS MARPAT 130:163203

Methods are provided for the treatment or amelioration of the symptoms of AΒ the common cold or allergic rhinitis which comprises administering to a mammal in need thereof a 5-HT2 antagonist. Prepn of e.g. 6-methyl-1-[(2-chloro-3,4-dimethoxyphenyl)-methyl]-1,2,3,4-tetrahydro-9Hpyrido[3,4-b] indole hydrochloride is described.

THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 20 ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7
     ANSWER 104 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     1999:77555 CAPLUS
DN
     130:139335
     Preparation of tricyclically substituted oxazolidinones as bactericides
TI
     Bartel, Stephan; Guarnieri, Walter; Riedl, Bernd; Habich, Dieter; Stolle,
ΙN
     Andreas; Ruppelt, Martin; Raddatz, Siegfried; Rosentreter, Ulrich; Wild,
     Hanno; Endermann, Rainer; Kroll, Hein-peter
PA
     Bayer Aktiengesellschaft, Germany; et al.
SO
     PCT Int. Appl., 98 pp.
     CODEN: PIXXD2
     Patent
DT
LΑ
     German
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                           APPLICATION NO. DATE
                     - - - -
                           _____
                                           -----
PΤ
     WO 9903846
                     A1
                            19990128
                                           WO 1998-EP4252
                                                            19980708
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,
             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
             NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
             UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                           DE 1997-19730847 19970718
     DE 19730847
                                           DE 1997-19730847 19970718
                      A1
                            19990128
    AU 9884417
                      A1
                            19990210
                                           AU 1998-84417
                                                            19980708
                                           DE 1997-19730847 19970718
                                           WO 1998-EP4252
                                                            19980708
     ZA 9806360
                      Α
                            19990127
                                           ZA 1998-6360
                                                            19980717
                                           DE 1997-19730847 19970718
OS
    MARPAT 130:139335
GI
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AB Title compds. [I; R1= N3, OH, OMe, OSO2Me, NH2, NHCOCH3, etc.; E = O, S, CO, SO, SO2, NC2H5, etc.; A, A1, A2, A3 are independently CH, N, with no more than one N; L and M are independently H, OH, CO, CN, NO2, CHO, etc.; dotted bonds = one single bond to I and the other single bond to a H] are prepd. as antibacterial medicaments. Thus, compd. II was prepd. from cycloaddn. of 2-benzyloxycarbonylaminofluorene and (R)-2,3-epoxypropyl butanoate in the presence of Bu lithium in hexane.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 105 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:795478 CAPLUS

DN 130:95479

TI Preparation of piperidine derivatives as cell adhesion inhibitors for inflammation inhibitors, metastasis inhibitors, etc.

IN Sasaki, Shinichi; Fujiwara, Shigeki; Hagiwara, Koji; Takai, Haruki; Suzuki, Koji; Miki, Ichiro; Hisano, Yukako; Kase, Hiroshi

PA Kyowa Hakko Kogyo Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 37 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIŃD	DATE	APPLICATION NO.	DATE
ΡI	JP 10330377	A2	19981215	JP 1997-144105	19970602
				JP 1997-144105	19970602

OS MARPAT 130:95479

GI

AB The derivs. I [R1 = (un)substituted lower alkyl, OH, lower alkoxy, carboxy, lower alkoxycarbonyl, lower alkylcarbonyl, lower alkoxycarbonyl, (un)substituted aryloxy, (un)substituted aryloxycarbonyl, (un)substituted aryloxycarbonyl, mono- or

di-lower alkylcarbamoyl, mono- or di-arylcarbamoylNO2, halo; R2 = H, any group given for R1; R3 = H, lower alkyl; R4 = H, lower alkyl, lower alkoxy; X1X2 = N:N, NCR5 (R5 = H, lower alkyl, lower alkoxy), NR6W [R6 = H, (un) substituted lower alkyl, (un) substituted aryl; W = CO, CS, SO2], OCR7 (R7 = 0, S); Y1Y2Y3 = :NCR8:N [R8 = H, lower alkoxy, halo, amino, mono- or di-(un) substituted lower alkyl-amino, (un) substituted aliph. heterocyclyl], :NN:CR8A (R8A = any group given for R8), :NCR8B:CH (R8B = any group given for R8), :C(COR9)CH:N [R9 = H, OH, lower alkyl, lower alkoxy, (un) substituted aryl, (un) substituted aryloxy, amino, mono- or di-lower alkyl-amino, mono- or di-(un) substituted aryl-amino, (un) substituted aliph. heterocyclyl]; Z1, Z2 = H, (un) substituted lower alkyl, OH, lower alkoxy, carboxy, lower alkoxycarbonyl, lower alkylcarbonyl, carbamoyl, mono- or di-lower alkyl-carbamoyl, halo, NO2; Z1 and Z2 may be bonded to each other to form NR10CXN R11 (R10, R11 = H, lower alkyl; X = 0, S); n = 0, 1, 2] or their pharmacol. acceptable salts are prepd. I inhibit cell adhesion, esp. between HUVEC and HL60 leukemia cell, thus being useful as inflammation inhibitors, antiallergic drugs, metastasis inhibitors, immunosuppressants, etc. 2,3-Dihydro-5-methyl-1-(4piperidinyl)-1H-benzimidazol-2-one was treated with Et 4-chloro-6-methoxyquinoline-3-carboxylate to give Et 4-[4-(2,3-dihydro-5methyl-2-oxo-1H-benzimidazol-1-yl)-1-piperidinyl]-6-methoxyquinoline-3carboxylate. This inhibited TNF.alpha.-stimulated adhesion of HL60 cells to HUVEC with inhibition rates 108 and 51% at 10-5 and 10-6M, resp.

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L7 ANSWER 106 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1998:789149 CAPLUS

DN 130:38390

TI Preparation of azolidinediones as antidiabetics

- IN Lohray, Braj Bhushan; Lohray, Vidya Bhushau; Bajji, Ashok Channaveerappa; Kalchar, Shivaramayya; Alla, Sekar Reddy; Ramanujam, Rajagopalan; Vikramadithyan, Reeba K.
- PA Reddy's Research Foundation, India; Reddy-Cheminor Inc.
- SO PCT Int. Appl., 65 pp. CODEN: PIXXD2

CODEN. FI

DT Patent

LA English

FAN.CNT 1

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PATENT NO.
                         KIND DATE
                                                      APPLICATION NO. DATE
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                                                      -----
                                                     WO 1998-US10612 19980526
PΙ
      WO 9852946
                           A1 19981126
          W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
           RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
                FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
                CM, GA, GN, ML, MR, NE, SN, TD, TG
                                                       US 1997-982910 A 19971202
      US 6011031
                             Α
                                    20000104
                                                       US 1997-982910
                                                                          19971202
                                                       IN 1997-MA1153 A 19970530
                                                       AU 1998-75952
      AU 9875952
                             A1
                                    19981211
                                                                            19980526
                                                       US 1997-982910 A 19971202
                                                       WO 1998-US10612W 19980526
      EP 977753
                                                       EP 1998-923730
                             A1
                                    20000209
                                                                           19980526
           R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                IE, SI, LT, LV, FI, RO
                                                       US 1997-982910 A 19971202
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WO 1998-US10612W 19980526

JP 2002515042 T2 20020521 JP 1998-507379 19980526

US 1997-982910 A 19971202

WO 1998-US10612W 19980526

US 6159966 A 20001212 US 1998-134348 19980814

IN 1997-MA1153 A 19970530

US 1997-982910 A319971202

Ι

OS MARPAT 130:38390 GI

R4

 $R^{1}$   $R^{2}$   $R^{2}$   $R^{7}$   $R^{8}$   $R^{6}$   $R^{3}$   $R^{3}$   $R^{3}$   $R^{4}$   $R^{8}$   $R^{8}$   $R^{8}$   $R^{8}$   $R^{9}$   $R^{1}$   $R^{1}$   $R^{2}$   $R^{1}$   $R^{2}$   $R^{3}$   $R^{4}$   $R^{5}$   $R^{5}$   $R^{6}$   $R^{1}$   $R^{1}$   $R^{2}$   $R^{5}$   $R^{7}$   $R^{8}$   $R^{9}$   $R^{1}$   $R^{1}$   $R^{2}$   $R^{1}$   $R^{2}$   $R^{3}$   $R^{4}$   $R^{5}$   $R^{5}$   $R^{6}$   $R^{1}$   $R^{1}$   $R^{2}$   $R^{3}$   $R^{4}$   $R^{5}$   $R^{5$ 

AB The title compds. [I; R1-R6 = H, halo, OH, etc.; R1R2 along with carbon atoms to which they are attached = (un)substituted arom. ring contg. 5-6 ring atoms; X = 0, S, NH, etc.; Ar = (un) substituted divalent single or fused arom. or heterocyclic; R7 = H, OH, alkoxy, etc.; R8 = H, OH, alkoxy, etc.; R8 may form a bond together with R7; B = 0, S; Y = 0, S; n = 1-4; m= 0-1] and their pharmaceutically acceptable salts, useful for the treatment of diabetes, dyslipidemia and hypertension, were prepd. and formulated. Thus, reaction of 4-[2-(2,3-dihydro-1,4-benzoxazin-4yl)ethoxy]benzaldehyde (prepn. described) with 2,4-thiazolidinedione in the presence of piperidine and benzoic acid in PhMe followed by treatment of the resulting 5-{4-[2-(2,3-dihydro-1,4-benzoxazin-4yl)ethoxy]phenylmethylene}thiazolidine-2,4-dione with Mg in MeOH, and treatment of the intermediate with NaOMe in MeOH afforded the title compd. II as sodium salt which showed 62% redn. in blood glucose level and 55% triglyceride lowering at 30 mg/kg.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 107 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
1.7
AN
     1998:745043 CAPLUS
DN
     129:343502
ΤI
     Preparation of 3-amino-1,4-benzoxazines and analogs as nitric oxide
     synthase inhibitors
     Holscher, Peter; Rehwinkel, Hartmut; Suelzle, Detlev; Burton, Gerardine;
ΙN
     Hillmann, Margrit; Pribilla, Iris; Davey, David Daniel
PA
     Schering A.-G., Germany
SO
     PCT Int. Appl., 28 pp.
     CODEN: PIXXD2
ידים
     Patent
     German
LΑ
FAN.CNT 1
                                             APPLICATION NO.
     PATENT NO.
                       KIND DATE
PΙ
     WO 9850372
                       A1
                             19981112
                                             WO 1998-DE1241
                                                               19980430
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DK,
             EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ,
             VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, ML, MR, NE, SN, TD, TG
                                             DE 1997-19720155A 19970502
     AU 9883308
                        A1
                             19981127
                                             AU 1998-83308
                                                              19980430
                                             DE 1997-19720155A 19970502
                                             WO 1998-DE1241 W 19980430
     EP 980362
                        A1
                             20000223
                                             EP 1998-933446
                                                              19980430
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, FI
                                             DE 1997-19720155A 19970502
                                             WO 1998-DE1241 W 19980430
     JP 2001524115
                        T2
                             20011127
                                             JP 1998-547629
                                                              19980430
                                             DE 1997-19720155A 19970502
                                             WO 1998-DE1241 W 19980430
     US 6191127
                        В1
                             20010220
                                             US 1999-423072
                                                              19991101
                                             DE 1997-19720155A 19970502
                                             WO 1998-DE1241 W 19980430
OS
     MARPAT 129:343502
GΙ
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AB Title compds. [I; R1,R2 = H, halo, alkyl, alkoxy, etc.; R3,R4 = H, alkyl, Ph, CONH2, etc.; R5 = halo, alkyl, alkoxy, Ph, etc.; R6 = H; R5R6 = atoms

to complete a ring; R3R7, R7R8 = bond; R8 = H; Z = O or SOO-2] were prepd. Thus, 2-(H2N)C6H4OH was cyclocondensed with MeCHClCN to give 3-amino-2-methyl-2H-1,4-benzoxazine. Data for biol. activity of I were given.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 108 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:621100 CAPLUS

DN 129:239901

TI Anti-epileptogenic agents, and preparation thereof

IN Weaver, Donald F.; Milne, Paul H.; Tan, Christopher Y. K.; Carran, John R.

PA Queen's University At Kingston, Can.

SO PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PΙ

PATENT NO.	KIND DATE	APPLICATION NO. DATE
WO 9840055 WO 9840055	A2 19980917 A3 19990218	WO 1998-CA244 19980312
DK, EE, LC, LK, PT, RO,	ES, FI, GB, GE, LR, LS, LT, LU, RU, SD, SE, SG,	BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US,
RW: GH, GM, FR, GB,	KE, LS, MW, SD,	·
US 6306909	B1 20011023	US 1997-41140P P 19970312 US 1998-73536P P 19980203 US 1998-41371 19980311
		US 1997-41140P P 19970312 US 1998-73536P P 19980203
AU 9864923	A1 19980929	AU 1998-64923 19980312 US 1997-41140P P 19970312 US 1998-73536P P 19980203 WO 1998-CA244 W 19980312
R: AT, BE,	A2 20000112 CH, DE, DK, ES, LT, LV, FI, RO	
NE 225040		US 1997-41140P P 19970312 US 1998-73536P P 19980203 WO 1998-CA244 W 19980312
NZ 33/849	A 20000128	NZ 1998-337849 19980312 US 1997-41140P P 19970312 US 1998-73536P P 19980203 WO 1998-CA244 W 19980312
JP 2001515483	T2 20010918	JP 1998-539010 19980312 US 1997-41140P P 19970312 US 1998-73536P P 19980203
US 2002025949	A1 20020228	WO 1998-CA244 W 19980312 US 2001-932676 20010816 US 1997-41140P P 19970312 US 1998-73536P P 19980203
MADDAT 120.2200	0.1	US 1998-41371 A319980311

OS MARPAT 129:239901

AB Methods and compds. useful for the inhibition of convulsive disorders, including epilepsy, are disclosed. The methods and compds. of the invention inhibit or prevent ictogenesis and epileptogenesis. Methods for prepg. the compds. of the invention are also described.

L7 ANSWER 109 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1998:594520 CAPLUS
DN 129:290150

TI Preparation of 2-(cycloalkane or heterocycle-fused indole-2-carbonyl)guanidines as inhibitors of Na+/H+ exchange transport system

IN Kitano, Masashi; Oohashi, Naohito

PA Sumitomo Pharmaceuticals Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 55 pp. CODEN: JKXXAF

DT Patent LA Japanese

FAN. CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
PI	JP 10237073	A2	19980908	JP 1997-32894 19970130 JP 1996-40611 A 19960202 JP 1996-131370 A 19960425 JP 1996-219322 A 19960731 JP 1996-356301 A 19961224
	CN 1161334	A	19971008	CN 1997-102191 19970131
	CN 1058969	В	20001129	
				JP 1996-219322 A 19960731
	US 5977100	Α	19991102	US 1998-74462 19980508
				JP 1996-40611 A 19960202
				JP 1996-131370 A 19960425
				JP 1996-219322 A 19960731
				JP 1996-356301 A 19961224
				US 1997-790024 A319970128
	US 6271251	B1	20010807	US 1999-342101 19990629
				JP 1996-40611 A 19960202
				JP 1996-131370 A 19960425
				JP 1996-219322 A 19960731
				JP 1996-356301 A 19961224
				US 1997-790024 A319970128
				US 1998-74462 A319980508

## PATENT FAMILY INFORMATION:

FAN	1997:553174				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	EP 787728	A1	19970806	EP 1997-300634	19970131
	R: AT, BE,	CH, DE	, DK, ES, FI,	FR, GB, GR, IE, IT	, LI, NL, PT, SE
				JP 1996-40611 A	19960202
				JP 1996-131370 A	19960425
				JP 1996-219322 A	19960731
	CA 2195697	AA	19970803	CA 1997-2195697	19970122
				JP 1996-40611 A	19960202
				JP 1996-131370 A	19960425
				JP 1996-219322 A	19960731
	TW 432065	В	20010501	TW 1997-86100725	19970123
				JP 1996-40611 A	19960202
				JP 1996-131370 A	19960425
				JP 1996-219322 A	19960731
	AU 9712316	A1	19970807	AU 1997-12316	19970124

	AU 703041	B2	19990311			
				JP	1996-40611	A 19960202
				JP	1996-131370	A 19960425
				JP	1996-219322	A 19960731
	US 5834454	A	19981110	US	1997-790024	19970128
				JΡ	1996-40611	A 19960202
				JΡ	1996-131370	A 19960425
				JP	1996-219322	A 19960731
	CN 1161334	A	19971008	CN	1997-102191	19970131
	CN 1058969	В	20001129			
				JP	1996-219322	A 19960731
	US 5977100	Α	19991102	US	1998-74462	19980508
				JΡ	1996-40611	A 19960202
				JΡ	1996-131370	A 19960425
				JΡ	1996-219322	A 19960731
				JP	1996-356301	A 19961224
				US	1997-790024	A319970128
	US 6271251	В1	20010807	US	1999-342101	19990629
				_	1996-40611	A 19960202
				_	1996-131370	A 19960425
					1996-219322	
						A 19961224
					1997-790024	
20				US	1998-74462	A319980508
าร	MARPAT 129.290150					

OS MARPAT 129:290150 GI

The title compds. [I; R1, R2, R3, R4 = H, (un)substituted alkyl, cycloalkyl, cycloalkenyl, satd. heterocyclyl, halo, NO2, CO2H, alkoxycarbonyl, aryl, acyl, etc.; Y1 - Y7 = single bond, CH2, O, CO, (un)substituted C(:CH2), S, SO, SO2, (un)substituted NH; Z = (un)substituted NH2, S(O)nR8; n = 0,1,2; R8 = (un)substituted alkyl, aryl] are prepd. Also claimed are remedies or preventives for hypertension, arrhythmia, angina pectoris, heart hypertrophy, diabetes, organ disorders caused by ischemia or ischemic reperfusion, cerebral ischemia, diseases caused by excessive proliferation of cells, and diseases caused by disorders of endothelial cells, contg. above compds. I. Thus, a mixt. of Et 2,3-dihydro-7-methylpyrrolo[1,2,3-de]-1,4-benzoxazine-5-carboxylate, guanidine hydrochloride, NaOMe, and DMF was stirred at room temp. for 18 h to give, after salt formation with MeSO3H, the title compd., pyrrolobenzoxazine deriv. (II.MeSO3H). In an in vitro test for inhibition

of Na+/H+ exchange transport system, II.MeSO3H showed IC50 of 0.3 .mu.M.

L7 ANSWER 110 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:583022 CAPLUS

DN 129:202864

TI Preparation of benzocycloheptanesulfonamides, tetrahydrobenzoxepinsulfonamides, and related compounds as potassium channel blockers.

IN Brendel, Joachim; Lang, Hans Jochen; Gerlach, Uwe

PA Hoechst A.-G., Germany

SO Ger. Offen., 24 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.			APPLICATION NO. DATE
ΡI	DE 19707656	A1	19980827	DE 1997-19707656 19970226
	CN 1169429	A	19980107	CN 1997-111540 19970513
				DE 1997-19707656A 19970226
	EP 861836	A1	19980902	EP 1998-102952 19980220
	R: AT, BE	CH, DE		FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
				DE 1997-19707656A 19970226
	BR 9800207	Α	19990518	BR 1998-207 19980220
				DE 1997-19707656A 19970226
	CA 2230349	AA	19980826	CA 1998-2230349 19980224
				DE 1997-19707656A 19970226
	ZA 9801562	Α	19980826	ZA 1998-1562 19980225
				DE 1997-19707656A 19970226
	NO 9800785	Α	19980827	NO 1998-785 19980225
				DE 1997-19707656A 19970226
	AU 9856333	A1	19980903	AU 1998-56333 19980225
		B2	20010823	
				DE 1997-19707656A 19970226
	CN 1193017	A	19980916	CN 1998-105329 19980225
	CN 1110490	В	20030604	
				DE 1997-19707656A 19970226
	JP 10287641	A2	19981027	
				DE 1997-19707656A 19970226
	TW 452574	В	20010901	
				DE 1997-19707656A 19970226
	US 2002072514	A1	20020613	
	-			DE 1997-19707656A 19970226
				US 1998-28452 B219980224

OS MARPAT 129:202864

GΙ

US 1999-342597 A119990629

AB Title compds. [I; X1 = O, S, SO, CO, (substituted) imino, methylene; X2, X3 = O, S, SO, SO2, (substituted) methylene, imino; X4 = (substituted) methylene, imino, Y1-Y4 = N, (substituted) methine; R3 = R17CxH2xNR18, R17CxH2x, etc.; x = 0-10; R17 = H, Me, cycloalkyl, CF3, C2F5, C3F7; R18 = H, alkyl; R4 = CrH2rR20, etc.; r = 0-20; R20 = H, Me, CF3, C2F5, C3F7, cycloalkyl, amino, etc.; R5 = H, etc; with provisos], were prepd. as potassium channel blockers (no data). Thus, 4,5-epoxy-7-nitro-2,3,4,5-tetrahydro-1-benzoxepin (prepn. given) and Me(Me3Si)NSO2Et (prepn. given) were treated with Bu4NF to give trans-7-nitro-5-(N-ethylsulfonyl-N-methylamino)-2,3,4,5-tetrahydro-1-benzoxepin-4-ol.

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L7 ANSWER 111 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1998:509113 CAPLUS

DN 129:144857

- TI Phalloidin derivatives and analogs to treat congestive heart failure or other cardiomyopathies
- IN Boukatina, Anna E.; Campbell, Kenneth B.; Kunz, Lawrence L.; Kasina, Sudhakar; Theodore, Louis J.; Fritzberg, Alan R.
- PA Washington State University Research Foundation, USA; Neorx Corp.
- SO PCT Int. Appl., 98 pp. CODEN: PIXXD2
- DT Patent
- LA English

FAN.CNT 1

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KIND DATE
     PATENT NO.
                                                  APPLICATION NO. DATE
     WO 9831380 A1 19980723 WO 1998-US952 19980116
PΙ
          W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
               NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
               UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,
               FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
               GA, GN, ML, MR, NE, SN, TD, TG
                                                  US 1997-35452P P 19970116
     AU 9860300
                          A1
                                19980807
                                                  AU 1998-60300
                                                                   19980116
                                                  US 1997-35452P P 19970116
                                                  WO 1998-US952 W 19980116
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OS MARPAT 129:144857

AB A method to treat congestive heart failure with an analog or deriv. of phalloidin is provided. Also provided is a method to treat other cardiopathologies assocd. With reduced heart muscle contractile strength, as well as novel analogs or derivs. of phalloidin, pharmaceutical compns. comprising analogs or derivs. of phalloidin, and intermediates useful for prepg. analogs or derivs. of phalloidin.

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L7 ANSWER 112 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1998:455466 CAPLUS
- DN 129:142535
- TI Method for processing silver halide photographic material using a mercapto compound
- IN Yoshida, Tetsuo; Watanabe, Harumi
- PA Fuji Photo Film Co., Ltd., Japan
- SO Jpn. Kokai Tokkyo Koho, 41 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 10186598	A2	19980714	JP 1996-350838	19961227
				JP 1996-350838	19961227

OS MARPAT 129:142535

GI

Claimed method for processing photog. materials having surface pH of .ltoreq.6.0 comprises exposure followed by the development in presence of a mercapto compd. I (D, E = CH:, CR0:, N; R0 = substituent; L1-3 = H, halo, a group linked to the 6-membered ring through C, N, O, S, or P atom; at least one of substituents is SM; M = H, alkali metal atom, ammonium). Preferably, the developer soln. does not contain hydroquinone and does contain a reductone selected from ascorbic acid and related compds. The processing method provides high speed and high contrast, and generates little sludge during processing. Thus, a Ag(Br, Cl) photog. film contg. cross-linked acrylic acid/epoxy methacrylate copolymer (pH-controlling compd.) in the surface layer was processed by a developer soln. contg. 2,4-dimercapto-4-(N-carboxymethyl-N-methyl-aminomethyl)pyrimidine.

L7 ANSWER 113 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:455465 CAPLUS

DN 129:142534

TI Method for processing silver halide photographic material using a developer containing a mercaptopyrimidine

IN Fukui, Kota; Sasaoka, Senzo; Yamada, Kosaburo

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 44 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	CIVI				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		<b>-</b>			
ΡI	JP 10186596	A2	19980714	JP 1996-340246	19961219
	US 5976758	Α	19991102	US 1997-995146	19971219
				JP 1996-340246	19961219
OS	MARPAT 129:14253	4			

CT IIII(IIII

GΙ

$$\mathbb{R}^3$$
  $\mathbb{R}^2$   $\mathbb{R}^4$   $\mathbb{R}^4$   $\mathbb{R}^1$   $\mathbb{R}^1$ 

AB Claimed method for processing photog. material contg. a hydrazine deriv. in an emulsion layer or other hydrophilic colloid layer comprises imagewise exposure followed by development with a developer soln. of pH 9.0-10.5 contg. ascorbic acid, a 1-phenyl-3-pyrazolidone deriv. (auxiliary developing agent), a pyrimidine deriv. I (R1-4 = H, halo, a group linking with the pyrimidine nucleus through C, N, S, or P atom; at least one of R1-4 is mercapto group; R1 and R3 are not OH) and not contq. dihydroxybenzene. The process is free of dihydroxybenzene (hydroquinone) which is environmentally toxic, and provides high contrast images by a low pH and low replenishment process. Preferable nucleator is a polyiminothioether deriv. having dialkylamino group at both terminals. Preferable developer soln. has the pH of .ltoreq.11.0 with the replenishment rate of .ltoreq.180 mL/m2. It provides a black-and-white Aq image with extremely high contrast and good tonal reprodn. quality. Thus, a graphic arts film contg. an 1-(2-carboxyethylcarbonyl)-2-[4-[3-(hexylthioethylureido) phenylsulfoamino] phenyl] hydrazine and bis(piperidin-1-yl-ethoxyethyl)thioether was developed by a developer soln. contg. Na erythorbate, 1-phenyl-4-methyl-4-hydroxymethyl-3pyrazolidone and 2,6-dimercaptopyrimidine, and showed the mentioned advantages.

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L7 ANSWER 114 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1998:424140 CAPLUS
DN 129:100033
TI Pharmaceutical composition for oral administration
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IN Takahashi, Masayuki; Morita, Hiromi; Kikuchi, Hiroshi
PA Daiichi Pharmaceutical Co., Ltd., Japan; Takahashi, Masayuki; Morita,

Hiromi; Kikuchi, Hiroshi SO PCT Int. Appl., 37 pp.

CODEN: PIXXD2
DT Patent

LA Japanese

FAN.CNT 1

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PATENT NO.
                   KIND DATE
                                       APPLICATION NO. DATE
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ΡI
    WO 9826803
                    A1
                         19980625
                                       WO 1997-JP4650 19971217
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
            DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, KE, KG, KR,
            KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ,
            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG,
            US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,
            FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
            GA, GN, ML, MR, NE, SN, TD, TG
                                        JP 1996-339638 A 19961219
    AU 9877357
                     A1
                          19980715
                                        AU 1998-77357
                                                        19971217
    AU 719076
                     B2
                          20000504
                                        JP 1996-339638 A 19961219
                                        WO 1997-JP4650 W 19971217
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EP 953359 A1 19991103 EP 1997-949114 19971217 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI JP 1996-339638 A 19961219 WO 1997-JP4650 W 19971217 CN 1240363 Α 20000105 CN 1997-180799 19971217 JP 1996-339638 A 19961219 WO 1997-JP4650 W 19971217 JP 10231254 A2 19980902 JP 1997-349161 19971218 JP 1996-339638 A 19961219 NO 9902999 Α 19990818 NO 1999-2999 19990618 JP 1996-339638 A 19961219 WO 1997-JP4650 W 19971217

OS MARPAT 129:100033

AB The invention relates to a pharmaceutical compn. for oral administration comprising a basic medicine and a lipophilic material and/or a cyclodextrin compd. This compn. can improve peroral absorption of a basic medicine which is less likely to be absorbed by oral administration.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 115 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:407864 CAPLUS

DN 129:128919

TI Processing of silver halide photographic material for printing platemaking

IN Yoshida, Tetsuo; Watanabe, Harumi

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 28 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 10171079	A2	19980626	JP 1996-336133	19961216
				JP 1996-336133	19961216

OS MARPAT 129:128919

GI

$$\mathbb{R}^{3}$$
  $\mathbb{R}^{4}$   $\mathbb{R}^{1}$   $\mathbb{R}^{1}$   $\mathbb{R}^{1}$ 

AB The title material, possessing .gtoreq.1 Ag halide emulsion layer and .gtoreq.1 protective layer contg. gelatin at .ltoreq.1.5 g/cm2 on a reflective support, is processed with a developing soln. contg. a pyrimidine deriv. I [Rl-4 = H, halo, substituent which links to the ring by C, N, O, S or P atom, R1 and R3 are not OH and .gtoreq.1 of Rl-4 is SM (M = H, alkali metal, ammonium)]. The material shows high contrast and low residual color stain, and Ag sludge formation is suppressed even if the replenishment rate of the developing soln. is low.

L7 ANSWER 116 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN AN 1998:392121 CAPLUS DN 129:74000 TIPhotochromic electrostatic toner composition Martin, Trevor I.; Jennings, Carol A.; Johnson, Eric G.; Oliver, John F. IN Xerox Corp., USA PΑ U.S., 39 pp., Cont. of U.S. Ser. No. 567,589, abandoned. SO CODEN: USXXAM DT Patent LΑ English FAN.CNT 1 US 5759729 APPLICATION NO. DATE -----US 5759729 A 19980602 US 1997-839533 19970414 PΙ US 1995-567589 19951205 OS MARPAT 129:74000 AΒ Disclosed is a toner compn. for the development of electrostatic latent images which comprises particles comprising a mixt. of a resin and a photochromic material. Another embodiment of the present invention is directed to a liq. developer compn. for the development of electrostatic latent images which comprises a nonaq. liq. vehicle and a photochromic material, wherein the liq. developer has a resistivity of from about 108 to about 1011 ohm-cm and a viscosity of from about 25 to about 500 cP. Yet another embodiment of the present invention is directed to a lig. developer compn. for the development of electrostatic latent images which comprises a nonaq. liq. vehicle, a charge control agent, and toner particles comprising a mixt. of a resin and a photochromic material. RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT L7ANSWER 117 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN AN 1998:333590 CAPLUS DN 129:41380 TIProcesses for the diastereoselective synthesis of nucleoside analogs IN Mansour, Tarek; Tse, Allan H. L. PΑ Biochem Pharma Inc., Can. SO U.S., 13 pp., Cont.-in-part of U.S. Ser. No. 703,379, abandoned. CODEN: USXXAM DT Patent LΑ English FAN.CNT 4 APPLICATION NO. DATE PΙ A 19980526 US 5756706 US 1994-142389 19940513 US 1991-703379 B219910521 WO 1992-CA209 W 19920520 A1 19921126 0220696 A1 19921126 WO 1992-CA209 19920520 W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, WO 9220696 KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE, US RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG US 1991-703379 A219910521

A1 19921230

CZ 280857 B6 19960417

AU 9216913

US 1991-703379 A 19910521 WO 1992-CA209 A 19920520

CZ 1993-2493 19920520 US 1991-703379 A 19910521 WO 1992-CA209 W 19920520

AU 1992-16913

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					IL 1992-101932 A319920520
	RU	2105009	C1	19980220	RU 1993-58554 19920520
					US 1991-703379 A 19910521
					WO 1992-CA209 W 19920520
	SK	279438	В6	19981104	
					US 1991-703379 A 19910521
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	ΙĻ	116109	A1	19981227	
					US 1991-703379 A 19910521
					IL 1992-101931 A319920520
	JP	2001354667	A2	20011225	
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	TIC	E744E0C	A	10000400	JP 1992-129155 A319920521
	US	5744596	А	19980428	
					US 1991-703379 B219910521 US 1994-142389 A319940513
	FΤ	9600286	A	19960119	FI 1996-286 19960119
		3000200	Α.	1000110	US 1991-703379 A 19910521
					WO 1992-CA211 W 19920520
					FI 1993-5151 A 19931119
PATE	NT I	FAMILY INFORM	ATION:		11 1770 0101 11 17731117
FAN	199	93:213449			
	PAT	TENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡI	ΕP	515156	A1	19921125	EP 1992-304551 19920520
ΡI		515156	B1	19960207	
PI		515156	B1	19960207	
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PI	EP	515156 R: AT, BE,	B1	19960207	FR, GB, GR, IT, LI, LU, MC, NL, PT, SE US 1991-703379 A 19910521 ZA 1992-3640 19920519
ΡI	EP ZA	515156 R: AT, BE, 9203640	B1 CH, DE,	19960207 DK, ES, 19930224	FR, GB, GR, IT, LI, LU, MC, NL, PT, SE US 1991-703379 A 19910521 ZA 1992-3640 19920519 US 1991-703379 A 19910521
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PI	ZA ZA CA CA CA NO NO NO	515156 R: AT, BE, 9203640 9203641 2069024 2069063 2069063 9201988 9201989	B1 CH, DE, A A AA C AA C A	19960207 DK, ES, 19930224 19930224 19921122 19970923 19921122 19970715 19921123	FR, GB, GR, IT, LI, LU, MC, NL, PT, SE  US 1991-703379 A 19910521  ZA 1992-3640 19920519  US 1991-703379 A 19910521  ZA 1992-3641 19920519  US 1991-703379 A 19910521  CA 1992-2069024 19920520  US 1991-703379 A 19910521  CA 1992-2069063 19920520  US 1991-703379 A 19910521  NO 1992-1988 19920520  US 1991-703379 A 19910521  NO 1992-1989 19920520  US 1991-703379 A 19910521
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OS CASREACT 129:41380; MARPAT 129:41380 GI

$$R^{1}OCH_2 \xrightarrow{W} R^2$$

The present invention relates to highly diastereoselective processes for prodn. of cis-nucleosides and nucleoside analogs I (R1 = H, acyl; R2 = nucleobase, W = S, SO, SO2O, NR, CH2; R = H, OH, alkyl, acyl; X = O, S, SO, SO2O, NR, CH2, CH, CHN3, CHOH; Y = O, S, CH2, CH, CHF, CHOH; Z = H, OH, alkyl, acyl) in high optical purity, and intermediates useful in those processes. Thus, asym. prepn. of .beta.-L-2',3'-dideoxycytidine from 5-oxo-2R-tetrahydrofurancarboxylic acid via coupling with N4-acetylcytosine, is reported.

RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7 ANSWER 118 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1998:246683 CAPLUS

DN 128:283084

TI Preparation of piperidine-keto-carboxylic acid derivatives and their use as inhibitors of cysteine proteases

IN Lubisch, Wilfried; Moeller, Achim; Delzer, Juergen

PA BASF A.-G., Germany

SO Ger. Offen., 16 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

T.	ALV. C	TA T	<b>T</b>																	
		PAT	ENT	NO.		KI:	ND	DATE			A.	PPLI	CATI	ON NO	o. :	DATE				
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P	PI I	DE	1964	2591		Α	1	1998	0416		D	E 19	96-19	9642	591	1996	1015			
	Ī	WO	9816				_	1998							_		0923			
			W :	ΑL,	AU,	BG,	BR,	BY,	CA,	CN,	CZ,	GE,	HU,	ID,	IL,	JP,	KR,	ΚŻ,	LT,	
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				KG,	ΚZ,	MD,	RU,	ТJ,	TM											
			RW:	ΑT,	BE,	CH,	DE,	DK,	ES,	FI,	FR.	GB.	GR.	IE.	IT.	LU.	MC.	NL.	PT.	SE

		9747770 736754		19980511 20010802	DE 1996-19642591A 19961015 AU.1997-47770 19970923 DE 1996-19642591A 19961015
	EP	934273 R: AT, BE, C SI, FI, F	CH, DE		WO 1997-EP5202 W 19970923 EP 1997-910332 19970923 FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
	BR	9711908	A	19990824	DE 1996-19642591A 19961015 WO 1997-EP5202 W 19970923 BR 1997-11908 19970923 DE 1996-19642591A 19961015
	CN	1239950	A	19991229	WO 1997-EP5202 W 19970923 CN 1997-180507 19970923
	JP	2001501955	Т2	20010213	DE 1996-19642591A 19961015 JP 1998-517955 19970923 DE 1996-19642591A 19961015
	NZ	334979	A	20010223	WO 1997-EP5202 W 19970923 NZ 1997-334979 19970923 DE 1996-19642591A 19961015
	RU	2189974	C2	20020927	WO 1997-EP5202 W 19970923 RU 1999-109969 19970923 DE 1996-19642591A 19961015
	ZA	9709175	A	19990414	WO 1997-EP5202 W 19970923 ZA 1997-9175 19971014 DE 1996-19642591A 19961015
	NO	9901761	Α	19990414	NO 1999-1761 19990414 DE 1996-19642591A 19961015
	KR	2000049130	A	20000725	WO 1997-EP5202 W 19970923 KR 1999-703216 19990414 DE 1996-19642591A 19961015
	US	6380220	B1	20020430	US 1999-284543 19990415 DE 1996-19642591A 19961015
20	МΛГ	120.202004			WO 1997-EP5202 W 19970923

OS MARPAT 128:283084

GΙ

Title compds. [(I); R = COR3; SO2R3; CONHR3; COOR3; C(:N)R3; CONHR3; CSNHR3; R3 = (un)branched (un)substituted alkyl; R1 = (un)branched alkyl, which can be substituted with (un)substituted Ph, pyridine, or naphthyl rings; R2 = OR5; NHR5; R5 = H, (un)substituted Ph] are prepd. for use as inhibitors of cysteine proteases such as calpain and cathepsins B and L (no data). Thus, piperidin-4-carboxylic acid is treated with cinnamic acid chloride, the product treated with L-valine Me ester hydrochloride; after de-esterification, the intermediate is condensed with oxalic acid Et ester chloride to yield I (R = (E)-PhCH:CHCO; R1 = CH(CH3)2; R2 = OEt ) (36%).

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L7
    ANSWER 119 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN
    1998:239218 CAPLUS
DN
    128:294698
TI
    Thio acid-derived monocyclic N-heterocyclics as anticoagulants
    Kochanny, Monica J.; Morrissey, Michael M.; Ng, Howard P.
IN
PΑ
    Schering Aktiengesellschaft, Germany
SO
    PCT Int. Appl., 83 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
                 KIND DATE
                                       APPLICATION NO. DATE
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                                         -----
                    A1 19980416 WO 1997-EP5231 19970924
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    WO 9815547
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            VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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    CN 1111159
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                           20030611
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                           20000725
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                                         US 1996-731128 A319961009
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                                         US 1996-731128 A319961009
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B1 20010424
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US 1996-731128 A319961009
US 1999-314619 A319990519

OS MARPAT 128:294698 GI

AB The invention is directed to a variety of monocyclic N-heterocyclics which are substituted by acyclic or cyclic thio derivs. The compds. are selective inhibitors of human factor Xa and thrombin, and are useful as anti-coagulants (no data). This invention is also directed to pharmaceutical compns. contg. the compds., and methods of using them to treat thrombotic disease states. For instance, pentafluoropyridine underwent thioetherification in the 4-position using Me thiosalicylate (98%), etherification in the 2-position with 2-(benzyloxy)-5-cyanophenol (82%), etherification in the 6-position with 3-(1-methyl-2-imidazolin-2-yl)phenol (85%), and Pinner reaction of the nitrile function with concomitant debenzylation, to give title compd. I, isolated as the CF3CO2H salt.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 120 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:208540 CAPLUS

DN 128:257333

TI Preparation of heterocyclic compounds as new antidotes in herbicidal compositions

IN Tobler, Hans; Szczepanski, Henry; Fory, Werner

PA Novartis A.-G., Switz.; Tobler, Hans; Szczepanski, Henry; Fory, Werner

SO PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 9813361 Al 19980402 WO 1997-EP5252 19970924

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG,

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US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
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                                               CH 1996-2359
                                                                 A 19960926
AU 9747780
                      Α1
                            19980417
                                              AU 1997-47780
                                                                   19970924
                                               CH 1996-2359
                                                                 A 19960926
                                              WO 1997-EP5252 W 19970924
EP 929543
                                               EP 1997-910351
                      A1
                            19990721
                                                                   19970924
EP 929543
                            20011031
                      B1
    R: DE, FR, GB
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                                               WO 1997-EP5252 W 19970924
ZA 9708579
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                            19980326
                                               ZA 1997-8579
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                                               CH 1996-2359
                                                                 A 19960926
US 6294504
                                              US 1999-269453
                     В1
                            20010925
                                                                   19990624
                                               CH 1996-2359 A 19960926
                                              WO 1997-EP5252 W 19970924
MARPAT 128:257333
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- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- AΒ The title compds. [I; R1 = H, C1-4 alkyl, NO2, etc.; R2 = H, halo, CF3, etc.; R3 = H, halo, C1-4 alkyl; U, V, W and Z = O, S, C(O), etc., with the proviso that at least one of U, V, W or Z = C(0), and one ring member which is adjacent to this or these ring members signifies the group C:CHOC(R4)(R5)C(O)A; and two adjacent ring members U and V, V and W, and W and Z can not simultaneously signify O; R4, R5 = H, C1-8 alkyl; R4R5 = C2-6 alkylene; A = YR7, NR18R19; Y = O, S; R7 = H, C1-8 alkyl, C1-8-haloalkyl, etc.; R18 = H, C1-8 alkyl, Ph, etc.; R19 = H, C1-8 alkyl, C3-6 alkenyl, C3-6 alkynyl; R18R19 = C4-5 alkylene; m = 0-2], useful as antidotes in herbicidal compns. for the control of weeds and grasses in useful plant cultivations, as well as compns. having selective herbicide activity, which contain the compd. I, and as herbicides the compds. of formulas II-VII (wherein W0, R21, Z0, B, n, R22-R24, E, R31-R35, A1, B1, A2, B2, R36, G, R48 and R49 have the significances given in the description), were prepd. Treatment of 3H-2-benzopyran-3-one-1,4-dihydro-4-hydroxymethylene with NaH in DMF followed by addn. of bromoacetic acid Me ester afforded compd. I [R1-R3 = H; U = CH2; V = O; m = 1; W = C(O); Z= C:CHOCH2CO2Me] which showed post-emergent phytotoxic activity of 6 in a nine-stage appraisal scale (1 = complete damage, 9 = no effect) when used as antidote at 250 g/ha in mixt. with clodinafop (5 g/ha) on maize.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L7 ANSWER 121 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1998:126271 CAPLUS
- DN 128:192940

OS

GI

- TI Preparation of amidino-substituted peptides as thrombin inhibitors
- IN Baucke, Dorit; Lange, Udo; Mack, Helmut; Seitz, Werner; Zierke, Thomas;
  Hoffken, Hans Wolfgang; Hornberger, Wilfried
- PA BASF Aktiengesellschaft, Germany; Baucke, Dorit; Lange, Udo; Mack, Helmut; Seitz, Werner; Zierke, Thomas; Hoffken, Hans Wolfgang; Hornberger, Wilfried

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PCT Int. Appl., 69 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     German
FAN.CNT 1
    PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
                           19980219
                                         WO 1997-EP4104 19970729
PΙ
     WO 9806741
                     A1
        W: AL, AU, BG, BR, CA, CN, CZ, GE, HU, IL, JP, KR, LT, LV, MX, NO,
            NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD,
            RU, TJ, TM
         RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                                           DE 1996-19632773A 19960814
     DE 19632773
                      A1
                            19980219
                                           DE 1996-19632773 19960814
     AU 9739417
                      A1
                            19980306
                                           AU 1997-39417
                                                           19970729
    AU 735364
                      B2
                            20010705
                                           DE 1996-19632773A 19960814
                                           WO 1997-EP4104 W 19970729
     BR 9711191
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     EP 956294
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         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
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     JP 2000516598
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     KR 2000030002
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                            20000525
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                                           DE 1996-19632773A 19960814
OS
    MARPAT 128:192940
AB
     Compds. having formula A-B-E-D-Y [I; A = R1(CH2)mCR2R3(CH2)n; m, n = 0-2;
     R1 = HO2C, H3C(CH2)0-5OCO, substituted alkyloxycarbonyl, OH; R2 = H,
     alkyl, R1(CH2)m; R3 = H, alkyl; B = NR4CR5R6CO; R4 = H, alkyl, R1(CH2)m;
    R5 = H, alkyl; R6 = H, alkyl, (substituted)phenyl; R4R6 = ring; E =
     2-carbonyldihydropyrrole, 2-carbonyltetrahydropyridine; D = NR9CR92X; R9 =
    H, alkyl; X = (substituted) oxazole, pyrazole, oxadiazole, thiazole,
     thiophene, furan, thiadiazole; Y = C(:NH)NH2, CN, CSNH2] are prepd. for
     treating illnesses relating to the proteolytic action of thrombin (no
     data). Thus, I [A = HO2CCH2; B = N-cyclohexyl-D-alanine; E =
     3,4-dehydro-L-proline; D = 5-thienylmethylamino; Y = C(:NH)NH2] was
     synthesized in 5 steps from 3,4-dehydro-L-proline, 5-aminomethyl-2-
     cyanothiophene.cntdot.HCl and N-BocCH2-N-Boc-D-cyclohexylalanine (Boc =
    Me3CO2C).
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RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L7 ANSWER 122 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1998:126254 CAPLUS
- DN 128:204878
- TI Preparation of pyrazinobenzothiazine derivatives and analogs for the treatment of inflammation and autoimmune diseases
- IN Kaneko, Toshihiko; Clark, Richard; Ohi, Norihito; Ozaki, Fumihiro; Kawahara, Tetsuya; Kamada, Atsushi; Okano, Kazuo; Yokohama, Hiromitsu; Muramoto, Kenzo; Arai, Tohru; Ohkuro, Masayoshi; Takenaka, Osamu; Sonoda, Jiro
- PA Eisai Co., Ltd., Japan; Kaneko, Toshihiko; Clark, Richard; Ohi, Norihito; Ozaki, Fumihiro; Kawahara, Tetsuya; Kamada, Atsushi; Okano, Kazuo; Yokohama, Hiromitsu; et al.
- SO PCT Int. Appl., 1344 pp. CODEN: PIXXD2
- DT Patent
- LA Japanese

FAN.CNT 1

I'AIV.		_	KIND DATE		ADDITION NO	DAME
		IENI NO.	KIND DAIE		APPLICATION NO.	DATE
PI	WO		A1 19980219 CN, HU, JP, KR,			19970808
					FR, GB, GR, IE, IT,	LU, MC, NL, PT, SE
	AU	9737849	A1 19980306		JP 1996-210344 A AU 1997-37849	
					JP 1996-210344 A WO 1997-JP2787 W	
	ZA	9707103	A 19990208		ZA 1997-7103	19970808
	EP				JP 1996-210344 A EP 1997-934750	19970808
		R: AT, BE,	CH, DE, DK, ES,	FR,	GB, GR, IT, LI, LU, JP 1996-210344 A	NL, SE, PT, IE, FI 19960809
	US	6518423	B1 20030211		WO 1997-JP2787 W US 1999-230852	
					JP 1996-210344 A WO 1997-JP2787 W	

$$\begin{array}{c|c}
R & R^1 \\
\downarrow & \\
R^2 \\
Z & E & R^3
\end{array}$$

MARPAT 128:204878

AB The title compds. I [R1 to R3 are the same or different and each represents hydrogen, optionally substituted lower alkyl, optionally substituted cycloalkyl, etc., provided that when R1 to R3 are all optionally substituted lower alkyl groups, they do not simultaneously represent Me groups; R represents hydrogen, lower alkyl, etc.; E represents N, C, etc.; Z represents O, S, SO, SO2, etc.; and the ring G represents an optionally substituted heteroaryl ring having at least one

OS

GI

nitrogen atom] are prepd. I are useful in the treatment and prevention of inflammatory immunol. diseases, autoimmune diseases, rheumatism, collagen disease, asthma, nephritis, ischemic reflow disorders, psoriasis, atopic dermatitis or rejection reactions following organ transplantation. The compd. (syn)-[3-(10H-pyrazino[2,3-b][1,4]benzothiazin-8-ylmethyl)-3-azabicyclo[3.3.1]nona-9-yl]acetic acid (II) at 10 mg/kg orally gave 65% inhibition of carrageenin-induced inflammation in rats. II in vitro showed IC50 of 2.3 .mu.M against the expression of ICAM-1.

RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 123 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

Ι

AN 1998:95103 CAPLUS

DN 128:180278

TI Preparation of cephalosporins as bactericides against methicillinresistant Staphylococcus aureus

IN Takagi, Hiroyasu; Yotsuji, Minako; Jinna, Hiroshi; Matsukura, Hiroko; Murakami, Makoto; Minami, Shinsaburo; Watanabe, Yasuo

PA Toyama Chemical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 26 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 10036375	A2	19980210	JP 1996-213083	19960724
				JP 1996-213083	19960724

OS MARPAT 128:180278

GI

AB Title compds. I [R1 = (substituted) alkylthio, aryl, arylthio, aryloxy, heterocyclyl; A = (protected) amino, (OH-, hydroxyimino-, or alkoxyimino-substituted) methylene, R2 = (substituted) pyrimidinyl, quinazolinyl, purinyl, pyrazolo[3,4-d]pyrimidinyl, pyrazolo[4,3-d]pyrimidinyl, [1,2,3]triazolo[4,5-d]pyrimidinyl, pteridinyl; R3 = (protected) CO2H, carboxylate; n = 0, 1] are prepd. 4-Aminopyrimidine-2-thiol (39 mg) was treated MeONa/MeOH under ice cooling for 10 min and treated with 200 mg diphenylmethyl 7-phenylacetamido-3-trifluoromethylsulfonyloxy-3-cephem-4-carboxylate 1.beta.-oxide in MeOH-DMF at -10.degree. for 10 min to give 160 mg I (R1A = PhCH2, R2 = 4-aminopyrimidin-2-yl, R3 = CO2CHPh2, n = 1). I (R1A = PhCH2, R2 = 4-aminopyrimidin-2-yl, R3 = CO2Na, n = 0) in vitro controlled Staphylococcus aureus FDA 209P and S. aureus F-597 with MIC of 0.2 and 3.13 .mu.g/mL, resp.

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L7
    ANSWER 124 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN
    1997:761738 CAPLUS
DN
    128:48245
TI
    Preparation of benzamidine derivatives as anticoagulants
    Buckman, Brad O.; Davey, David D.; Guilford, William J.; Morrissey,
IN
    Michael M.; Ng, Howard P.; Phillips, Gary B.; Wu, Shung C.; Xu, Wei
    Berlex Laboratories, Inc., USA
PA
SO
    U.S., 46 pp., Cont.-in-part of U.S. Ser. No. 401,829, abandoned.
    CODEN: USXXAM
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LΑ
    English
FAN.CNT 2
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        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, FI
                                         US 1995-401829 A 19950310
                                         US 1995-473385 A 19950607
                                         WO 1996-US2641 W 19960308
    US 5877181
                     Α
                           19990302
                                         US 1997-910774
                                                         19970813
                                         US 1995-401829 B219950310
                                         US 1995-473385 A319950607
    US 5883100
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                     Α
                                         US 1997-910614
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                                         US 1995-473385 A319950607
    US 5889005
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                           19990330
                                         US 1997-910876
                                                         19970813
                                         US 1995-401829 B219950310
                                         US 1995-473385 A319950607
    US 6034103
                     Α
                           20000307
                                         US 1997-910609
                                                         19970813
                                         US 1995-401829 B219950310
                                         US 1995-473385 A319950607
    US 6306884
                     B1
                           20011023
                                         US 1999-436399 19991108
                                         US 1995-401829 B219950310
                                         US 1995-473385 A219950607
                                         WO 1996-US2641 W 19960308
                                         US 1997-913241 A319971208
    US 6350746
                     В1
                           20020226
                                         US 1999-457457
                                         US 1995-401829 B219950310
                                         US 1995-473385 A319950607
                                         US 1997-910609 A319970813
PATENT FAMILY INFORMATION:
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FAIENI FAMILI INFORMATION

FAN 1996:701501

	PATENT NO.	KIND DATE	APPLICATION NO. DATE
ΡI	WO 9628427		WO 1996-US2641 19960308
	W: AU, CA,	JP, US	
	RW: AT, BE,	CH, DE, DK, ES,	FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
			US 1995-401829 A 19950310
			US 1995-473385 A219950607
	US 5691364	A 19971125	
			US 1995-401829 B219950310
	AU 9652994		AU 1996-52994 19960308
	AU 707323	B2 19990708	
			US 1995-401829 A 19950310
			US 1995-473385 A 19950607
			WO 1996-US2641 W 19960308
	EP 813525		EP 1996-909536 19960308
	R: AT, BE, IE, FI	CH, DE, DK, ES,	FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
			US 1995-401829 A 19950310
			US 1995-473385 A 19950607
			WO 1996-US2641 W 19960308
	JP 2000515846	T2 20001128	
			US 1995-401829 A 19950310
			WO 1996-US2641 W 19960308
	US 6004981	A 19991221	
	110 6206004	D1 0001100	WO 1996-US2641 W 19960308
	US 6306884	B1 20011023	
			US 1995-401829 B219950310
			US 1995-473385 A219950607
			WO 1996-US2641 W 19960308 US 1997-913241 A319971208
	US 2002028820	A1 20020307	
	05 2002020020	A1 2002030	WO 1996-US2641 W 19960308
			US 1997-913241 A319971208
			US 1999-436399 A319991108
	US 2002035109	A1 20020321	
	US 6479485	B2 20021112	
			WO 1996-US2641 W 19960308
			US 1997-913241 A319971208
			US 1999-436399 A319991108
	US 2002032223	A1 20020314	
	US 6465459	B2 20021015	
			WO 1996-US2641 W 19960308
			US 1997-913241 A319971208
			US 1999-436399 A319991108
OS	MARPAT 128:48245	5	

8/29/2003>

Patel

GI

$$R^{5}$$
 $R^{6}$ 
 $R^{7}$ 
 $R^{7}$ 
 $R^{7}$ 
 $R^{1}$ 
 $R^{7}$ 
 $R^{1}$ 
 $R^{7}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{2}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{3}$ 

$$R^{5}$$
 $R^{4}$ 
 $R^{1}$ 
 $R^{7}$ 
 $R^{7}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{1}$ 

AB The title compds. [I-III; A = N; Z1, Z2 = O, S; R1, R3 = H, halo, alkyl, haloalkyl, etc.; R2 = H, halo, alkyl, haloalkyl, etc.; R4, R7 = H, halo, alkyl, NO2, etc.; R5 = C(:NH)NH2, C(:NH)NHOR8, etc.; R6 = (un)substituted (1,2)-imidazolyl or (1,2)-imidazolinyl; R8 = H, alkyl, aryl, etc.] are prepd. I-III are useful as anticoagulants for treatment of disease-states characterized by thrombotic activity. Thus, 3,3'-[2,6-pyridinylbis(oxy)]bis(benzonitrile) (prepn. given) was treated with HCl to give the title compd. 3,3'-[2,6-pyridinylbis(oxy)]bis(benzamidine).2HCl. A formulation contg. I-III were prepd.

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L7 ANSWER 125 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1997:752814 CAPLUS

DN 128:19713

TI Synergistic antimicrobial enzymic peroxidase compositions

IN Johansen, Charlotte

PA Novo Nordisk A/s, Den.; Johansen, Charlotte

SO PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. --**--**---PΙ WO 9742825 Α1 19971120 WO 1997-DK205 19970506 AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,

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GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,
         ML, MR, NE, SN, TD, TG
                                       DK 1996-559
                                                      A 19960509
                                       DK 1996-785
                                                      A 19960715
 AU 9726933
                   A1
                        19971205
                                       AU 1997-26933
                                                        19970506
                                       DK 1996-559
                                                      A 19960509
                                       DK 1996-785
                                                      A 19960715
                                       WO 1997-DK205 W 19970506
EP 912097
                                       EP 1997-920611
                   A1
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                   B1
                        20020807
     R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI
                                       DK 1996-559
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                                       DK 1996-785
                                                      A 19960715
                                       WO 1997-DK205 W 19970506
 JP 2000512267
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                   T2
                                       JP 1997-540399
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                                                    A 19960509
                                       DK 1996-785
                                                      A 19960715
                                       WO 1997-DK205 W 19970506
 AT 221729
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                                       AT 1997-920611
                   E
                                                        19970506
                                       DK 1996-559
                                                     A 19960509
                                       DK 1996-785
                                                      A 19960715
                                       WO 1997-DK205 W 19970506
 US 2002119136
                   A1
                        20020829
                                       US 2001-815848
                                                        20010323
                                       DK 1996-559
                                                      A 19960509
                                       DK 1996-785
                                                      A 19960715
                                       WO 1997-DK205 A119970506
                                       US 1998-174956 B319981019
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OS MARPAT 128:19713

AB Enzymic compns. comprising a Coprinus peroxidase, hydrogen peroxide or a source of hydrogen peroxide, and an enhancing agent such as an electron donor, e.g. phenothiazine-10-propionic acid; 2,2'-azino-bis(3-ethylbenzothiazoline-6-sulfonate); acetosyringate; C1-8-alkylsyringate; or a water-sol. halide or thiocyanate salt, such as potassium iodide, have synergistic antimicrobial properties, useful e.g. for inhibiting or killing microorganisms present in laundry, on human or animal skin, hair, mucous membranes, oral cavities, teeth, wounds, bruises; and on hard surfaces; and can be used as a disinfectant, a preservative for cosmetics, and for cleaning, disinfecting or inhibiting microbial growth on process equipment, used for e.g. water treatment, food processing, chem. or pharmaceutical processing, paper pulp processing, and water sanitation. A recombinantly-produced peroxidase from C. macrorrhizus or C. cinereus is esp. useful. The DNA sequence for this peroxidase, is given.

- L7 ANSWER 126 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1997:720114 CAPLUS
- DN 128:13253
- TI Fused pyridine N-hydroxy carboxamide derivatives and analogs as inhibitors of metalloproteases, process for their preparation, and pharmaceutical compositions containing them
- IN De Nanteuil, Guillaume; Paladino, Joseph; Remond, Georges; Atassi, Ghanem; Pierre, Alain; Tucker, Gordon; Bonnet, Jacqueline; Sabatini, Massimo
- PA Adir Et Compagnie, Fr.
- SO Eur. Pat. Appl., 31 pp. CODEN: EPXXDW
- DT Patent
- LA French
- FAN.CNT 1

PATENT NO. KIND DATE

APPLICATION NO. DATE

					<del></del>
ΡI	EP 803505	A1	19971029	EP 1997-400913	19970423
	R: AT, BE, C	CH, DE	, DK, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, PT, IE, FI
				FR 1996-5321 A	19960426
	FR 2748026	A1	19971031	FR 1996-5321	19960426
	FR 2748026	B1	19980605		
	NO 9701862	A	19971027	NO 1997-1862	19970423
				FR 1996-5321 A	19960426
	CA 2203618	AA	19971026	CA 1997-2203618	19970424
	CA 2203618	С	20020528		
				FR 1996-5321 A	19960426
	AU 9719121	A1	19971030	AU 1997-19121	19970424
	AU 713680	B2	19991209		
				FR 1996-5321 A	19960426
	ZA 9703647	Α	19971119	ZA 1997-3647	19970425
				FR 1996-5321 A	19960426
	CN 1165817	Α	19971126	CN 1997-109728	19970425
				FR 1996-5321 A	19960426
	JP 10059936	A2	19980303	JP 1997-108954	19970425
				FR 1996-5321 A	19960426
	US 5866587	A	19990202	US 1997-842982	19970425
				FR 1996-5321 A	19960426
OS	CASREACT 128 · 132	3 · MA	PPAT 128.13253		

OS CASREACT 128:13253; MARPAT 128:13253

GI

$$R^3$$
 $R^4$ 
 $R^1$ 
 $R^2$ 
 $R^2$ 
 $R^3$ 
 $R^5$ 
 $R^5$ 
 $R^1$ 
 $R^2$ 
 $R^5$ 
 $R^5$ 
 $R^6$ 
 $R^6$ 

Title compds. I are disclosed [wherein m, n = 0, 1, 2; R1, R2 = H, alkyl, aralkyl, aryl; or R1R2 = O, alkylene; R3 = H, alkyl, OH, alkoxy, or aryl; R4 = CONR6OR6', CSNR6OR6', C(:NH)NR6OR6', CO2R7, NHCONHOH, NHCH2CO2R7, CH(NHR7')CO2R7, CH(CO2R7)2; X = SO2, CO, SO2NH; R5 = alkyl (optionally bearing halo, OH, alkoxy, aryl, or CO2R7), cycloalkyl, aryl, or heterocyclyl; R6, R6' = H or alkyl; R7, R7' = H, alkyl, aralkyl; A = fused arom. (with provisos) or heterocyclic ring]. I are metalloprotease inhibitors, potentially useful for treatment of cancer, rheumatoid arthritis, atherosclerosis, etc. Examples include 30 syntheses of I, 19 prophetic compds., 4 biol. screens for selected compds., and a formulation. For instance, (R)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine-6-carboxylic acid hydrochloride underwent a sequence of N-sulfonylation with 4-MeOC6H4SO2Cl, amidation with H2NOCH2CH:CH2.HCl, and Pd-mediated deallylation, to give preferred title compd. II. In tests for protection of guinea pig cartilaginous matrix against IL-1.beta.-induced degrdn., II gave 98% protection of collagens and 45% protection of proteoglycans.

L7 ANSWER 127 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:699013 CAPLUS

DN 128:28562

TI Developer and method for processing of silver halide photographic material

IN Watanabe, Harumi; Sasaki, Hirotomo

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 40 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ÞΤ	JP 09274290	7.7	10071001	TD 1006 305500	10061005
PI	JP 09274290	A2	19971021	JP 1996-325522 JP 1996-21280	19961205 19960207

OS MARPAT 128:28562

GI

$$R^{1}$$
 $R^{2}$ 
 $R^{4}$ 
 $R^{3}$ 
 $R^{3}$ 

- AB The title developer soln. contains 0.3-1.5 mol/L a carbonate as main developer and .gtoreq.1 I (R1-4 = substituent; at least 1 of R1-R4 is mercapto group) preferably 0.01-10 mmol/L. The invention can reduce Ag pollution without affecting photog. properties.
- L7 ANSWER 128 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1997:549379 CAPLUS
- DN 127:162011
- TI Preparation of heterocycle-condensed morphinoid derivatives for use as analgesics
- IN Dondio, Giulio; Ronzoni, Silvano; Gatti, Pier Andrea; Graziani, Davide
- PA Smithkline Beecham S.P.A., Italy; Dondio, Giulio; Ronzoni, Silvano; Gatti, Pier Andrea; Graziani, Davide
- SO PCT Int. Appl., 49 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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	PATENT NO.					KIND DATE			APPLICATION NO. DATE										
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			DK,	EE,	ES,	FI,	GB,	GE,	HU,	ΙL,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	
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							ΚZ,												
		RW:	ΚE,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	
															CM,				
				NE,													·	•	

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	CA	2242609	AΑ	19970717			1997-2						
				100,011,			1996-M						
							1996-M						
	זזע	9714410	λ1	19970801			1997-1		A	19970			
			B2	19990617		AU	1331-1.	4410		19970	100		
	110	700370	DZ	1000011		τm	1996-M	T 2 0	7\	10000	110		
							1996-M						
	מים	000526	7. 1	10001202			1997-E						
		880526 880526		19981202		EP	1997-9	01009		19970	T08		
	EP			20021218	п.	an a	an						
		R: AT, BE, CI		DK, ES,	FR,	GB,	R, IT,	ыl,	ьU,	NL,	SE,	MC,	PT,
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							1996-M			19960			
							1996-M						
	<b>~</b>		_				1997-E						
		1213372	A	19990407		CN	1997-1	92879		19970	108		
	ÇN	1090190	В	20020904									
							1996-M			19960			
							1996-M		Α				
	BR	9707136	A	19990831			1997-7			19970			
							1996-M						
							1996-M						
						WO	1997-E	P120	W	19970	108		
	NZ	326331	Α	20000128			1997-3			19970			
						ΙT	1996-M	129	Α	19960	110		
							1996-M						
	JΡ	2000503019	T2	20000314		JP	1997-5	24871		19970	108		
						IT	1996-M	129	Α	19960	110		
						IT	1996-M	12291	Α	19961	105		
						WO	1997-E	P120	W	19970	108		
	AT	229958	E	20030115		AT	1997-9	01009		19970	108		
						IT	1996-M	129	À	19960	110		
						IT	1996-M	12291	Α	19961	105		
							1997-E						
	ES	2188888	T3	20030701		ES	1997-9	01009		19970	108		
						IT	1996-M	I29	Α	19960	110		
							1996-M						
	ZA	9700172	A	19980709			1997-1			19970			
						IT	1996-M	129	Α	19960	110		
	NO	9803169	A	19980909			1998-3			19980			
							1996-M		Α	19960			
							1996-M						
							1997-E			19970			
	US	6365594	B1	20020402			1999-1			19990			
				<del></del>			1996-M			19960			
							1996-M						
							1997-E			19970			
os	MAR	PAT 127:162011				3	· <del></del> -		••				
GI		· <del></del>											

AB Substituted mono heterocycle-condensed morphinoid derivs. I [R1 = H, alkyl, cycloalkyl, alkenyl, aryl, aralkyl; R2 = H, OH, alkoxy, halogen, NO2, amino, SH; R3 = H, alkyl, OH, alkoxy, halogen; R4 = R5 = H, OH, alkoxy, OPh; or R4R5 = O; R6 = carboxamide, acyl, thioacyl, carboxyl; R7 = H, alkyl, alkenyl, halogen; R8 = H, alkyl; X = Y = CH, O, S, NR1; n = 0, 1], potent and selective delta opioid agonists and antagonists, were prepd for use as analgesics and for treating pathol. conditions which, customarily, can be treated with agonists and antagonists of the delta opioid receptor. Thus, morphinoid II [R6 = CON(CHMe2)CH2Ph] was prepd. by cyclization of 7,8-dihydrocodeinone and N-benzyl-N-isopropyl-2-phenylhydrazone. The morphinoid compds. showed affinities for the delta receptor ranging from 0.5 to 200 nM with delta selectivity ranging from 20 - 1500 times with respect to other opioid receptor types.

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L7 ANSWER 129 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1997:499188 CAPLUS

DN 127:161844

TI Preparation of pyrido-1,2,4-thiadiazines and pyrido-1,4-thiazines as openers of the KATP-regulated potassium channels

IN Pirotte, Bernard; Lebrun, Philippe; De Tullio, Pascal; Somers, Fabian;
Delarge, Jacques Elie; Hansen, Holger Claus; Nielsen, Flemming Elmelund;
Hansen, John Bondo

PA Novo Nordisk A/S, Den.

SO PCT Int. Appl., 46 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN CNT 1

FAN.CNT 1																	
	PATENT	NO.		KII	ND	DATE			Α	PPLI	CATI	N NC	o. :	DATE			
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ΡI	WO 9726	264		A:	1	1997	0724		W	0 19	97-D	K18		1997	0116		
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						GB,											
						LU,											
						SG,											
						MD,				•	•	•	·	•	•	•	•
	RW:	KE,								CH,	DE,	DK,	ES.	FI,	FR,	GB.	GR.
						NL,											
			ΝE,				·	·	·	•	•	•	•	•	•	•	-,
									D	K 19	96-43	2	Α	1996	0117		
									D	K 19	96-2	46	Α	1996	0305		
									D	K 19	96-2	47	A	1996	0305		
									D	K 19	96-2	48	Α	1996	0305		
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	CA 2241	.565		A	A	1997	0724							19970			
												_		19960			

AU 9714370 AU 727905	A1 B2	19970811 20010104		DK DK DK	1996-246 1996-247 1996-248 1996-249 1997-14370	A A A	19960305 19960305 19960305 19960305 19970116		
				DK DK DK DK	1996-42 1996-246 1996-247 1996-248 1996-249 1997-DK18	A A A	19960117 19960305 19960305 19960305 19960305 19970116		
ZA 9700353	Α	19980218		ZA	1997-353 1996-42		19970116 19960117		
EP 877748	A1	19981118			1997-900933		19970116		
R: AT, BE, IE, SI,	CH, DE		FR,		R, IT, LI,			MC,	PT,
				DK DK DK	1996-42 1996-246 1996-247 1996-248 1996-249	A A A	19960117 19960305 19960305 19960305		
CN 1208418	A	19990217			1997-DK18 1997-191748		19970116 19970116		
CI. 1200110		10000211			1996-42		19960117		
				DK	1996-246	Α	19960305		
				DK	1996-247	Δ	19960305		
				DK	1996-248	A	19960305		
DD 0707004	-	10000000		DK	1996-249	A	19960305		
BR 9707004	A	19990720			1997-7004		19970116		
					1996-42 1996-246		19960117 19960305		
					1996-247		19960305		
					1996-248		19960305		
					1996-249		19960305		
					1997-DK18		19970116		
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					1996-42		19960117		
					1996-246		19960305		
					1996-247		19960305		
					1996-248 1996-249		19960305 19960305		
					1997-DK18		19970116		
RU 2193564	C2	20021127			1998-115386		19970116		
					1996-42	Α	19960117		
					1996-246		19960305		
					1996-247		19960305		
					1996-248		19960305		
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US 5792764	А	19980811			1997-785435	**	19970117		
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					1996-247	Α	19960305		
					1996-248		19960305		
NO GRASSOF	7.	10000076			1996-249	A	19960305		
NO 9803285	A	19980916			1998-3285 1996-42	7\	19980716		
				אט	1770-42	А	19960117		

DK 1996-246 A 19960305 DK 1996-247 A 19960305 DK 1996-248 A 19960305 DK 1996-249 A 19960305 WO 1997-DK18 W 19970116

OS MARPAT 127:161844 GI

The title compds. [I; B = NR5, CR5R6 (wherein R5, R6 = H, OH, C1-6 alkoxy, etc.; R5R4 = a bond); D = S(O2), S(O); DB = S(O)(R10):N (wherein R10 = C1-6 alkyl, (un)substituted aryl, heteroaryl); R1 = H, OH, C1-6 alkoxy, etc.; R2 = H, OH, C1-6 alkyl, etc.; R3 = aryl, heteroaryl, bicycloalkyl, etc.; R2R3 = 3-12 membered mono- or bicyclic system; A together with carbon atoms forms a pyridine ring selected from II, III, IV, V (wherein R7-R9 = H, halo, C1-12 alkyl, etc.)], useful in the treatment of diseases of the central nervous system, the cardiovascular system, pulmonary system, the gastrointestinal system and the endocrinol. system (such as hyperinsulinemia and diabetes), were prepd. and formulated. Thus, reaction of 3-methylsulfanyl-4H-pyrido[4,3-e]-1,2,4-thiadiazine 1,1-dioxide.H2O with N2H4.H2O afforded the title compd. VI which showed 75% residual insulin released from incubated pancreatic islets isolated by the collagenase method from fed female albino Wistar rats at 50 .mu.M.

- L7 ANSWER 130 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1997:397336 CAPLUS
- DN 127:17703
- TI Preparation of (hetero)aromatic compounds for treating bone deficit conditions.
- IN Petrie, Charles; Orme, Mark W.; Baindur, Nand; Robbins, Kirk G.; Harris,
   Scott M.; Kontoyianni, Maria; Hurley, Laurence H.; Kerwin, Sean M.; Mundy,
   Gregory R.
- PA Zymogenetics, Inc., USA; Osteoscreen, Inc.; University of Texas At Austin
- SO PCT Int. Appl., 99 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

Patel

8/29/2003>

Page 271

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OS MARPAT 127:17703

GI

AB A method for treating deficient bone growth and/or undesirable bone resorption comprises administration of compds. comprising 2 (substituted) arom. systems spaced apart by a linker of 1.5-15 .ANG., is claimed. Thus, dithizone was refluxed in EtOH/HOAc for 18 h to give 25% title compd. (I). In a calvarial bone growth assay, I induced a 4-fold increase in width of new calvarial bone vs. controls.

L7 ANSWER 131 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN AN 1997:375288 CAPLUS

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DN
    127:81360
ΤI
    Preparation of dibenz[de,h]isoquinoline-1,3-diones antitumor agents
    Alberts, David S.; Dorr, Robert T.; Remers, William A.; Sami, Salah M.
IN
    Research Corporation Technologies, Inc., USA
PA
    U.S., 39 pp., Cont.-in-part of U.S. Ser. No. 943,634, abandoned.
SO
    CODEN: USXXAM
DT
    Patent
LΑ
    English
FAN.CNT 3
                  KIND DATE
    PATENT NO.
                                       APPLICATION NO. DATE
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PΙ
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                                       US 1990-543596 B119900626
                                       US 1991-803314 B219911204
                                       US 1992-943634 B219920911
                                       WO 1993-US8640 W 19930913
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                                       US 1992-943634 A219920911
PATENT FAMILY INFORMATION:
FAN 1992:214369
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                                      APPLICATION NO. DATE
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                    C 20020917
                                       US 1990-543596 A 19900626
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                                       WO 1991-US4364 A 19910619
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                  KIND DATE
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                                       WO 1993-US8640 19930913
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        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
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                                       WO 1993-US8640 W 19930913
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JP 08501312
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                                      WO 1993-US8640 W 19930913
US 5635506
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                       19970603
                                      US 1993-142283
                                                        19931118
                                      US 1990-543596 B119900626
                                      US 1991-803314 B219911204
                                      US 1992-943634 B219920911
                                      WO 1993-US8640 W 19930913
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OS MARPAT 127:81360 GI

AB Title compds. [I; R = Z1Z1NR12R13; R6,R8,R10 = H, halo, alkyl, alkoxy, etc.; R7,R9,R11 = H or alkyl; R9R11,R9R10,R7R10 = CH:CHCH:CH; R12,R13 = H or (un)substituted Ph; NR12R13 = heterocyclyl; Z1 = bond, alkylene, arylene; Z2 = bond; Z2R12 = atoms to form a heterocyclic ring] were prepd. Thus, anthracene-1,9-dicarboxylic acid was treated with acetic anhydride and the product cyclocondensed with H2NCH2CH2NMe2 to give I (R = CH2CH2NMe2, R6-R11 = H). Data for biol. activity of I were given.

L7 ANSWER 132 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:278986 CAPLUS

DN 126:251151

TI Preparation and formulation of benzodioxoleacetic acid and phenylacetic acid derivatives as endothelin antagonists

IN Hayashi, Kunio; Yamamori, Teruo; Kanda, Yasuhiko

Ι

PA Shionogi and Co., Ltd., Japan; Hayashi, Kunio; Yamamori, Teruo; Kanda, Yasuhiko

SO PCT Int. Appl., 104 pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 9710214 Al 19970320 WO 1996-JP2607 19960912

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI

JP 1995-262337 19950914

AU 9669446 A1 19970401 AU 1996-69446 19960912 JP 1995-262337 19950914

JP 1995-262337 19950914 WO 1996-JP2607 19960912

OS MARPAT 126:251151

GΙ

$$R^{5}$$
 $R^{6}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{1}$ 
 $R^{1}$ 
 $R^{5}$ 
 $R^{6}$ 
 $R^{7}$ 

AB The title compds. I [R1 to R7 represent each hydrogen, halogeno, optionally substituted lower alkyl, etc.; and X represents O, S or NR15; R15 represents hydrogen or optionally substituted lower alkyl; Y = OH, NHSO2Z; Z = (un)substituted aryl, etc.] are prepd. In the in vitro test for endothelin A receptor antagonism, the title compd. II showed IC50 of 2.4 nM. In the test for endothelin B receptor antagonism, the title compd. II showed IC50 of 290 nM.

II

L7 ANSWER 133 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:94070 CAPLUS

DN 126:103115

TI Peptide analogs and their use as haptens to elicit catalytic antibodies

IN Hansen, David E.

PA Igen, Inc., USA

SO PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

PATENT NO.

KIND DATE

APPLICATION NO. DATE

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     WO 9639443 A1 19961212
                                          WO 1996-US9450 19960605
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             SE, SG
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN
                                            US 1995-471140 19950606
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                       A1
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                                                              19960605
                                            US 1995-471140
                                                              19950606
                                            WO 1996-US9450
                                                              19960605
OS
     MARPAT 126:103115
     Haptens capable of eliciting antibodies which can catalyze chem. reactions
AB
     comprise a hapten or a hapten and a suitable carrier mol. In particular,
     spiro[4.4] nonane contg. dipeptide analogs, which mimic both a
     torsionally-distorted peptide ground state and the transition state for
     peptide bondhydrolysis, are described, along with methods of their
     synthesis and their coupling with amino acids of the D-configuration are
     described. Antibodies which are catalytically active for chem. reactions,
     in particular, the cleavage or formation of a selected peptide bond, and
     which are elicited by such antigens are disclosed as well as methods for
     producing the antibodies and methods for catalyzing the cleavage or
     formation of a peptide bond in a mol.
L7
    ANSWER 134 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
ΑN
     1997:88536 CAPLUS
DN
     126:112509
     Electrochemiluminescent metal chelate labels and means for detection
TI
     Yang, Hongjun; Gudibande, Satyanarayana R.
IN
PA
     Igen, Inc., USA
SO
     PCT Int. Appl., 50 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
                                      APPLICATION NO. DATE
     PATENT NO.
                  KIND DATE
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                                           -----
                     A1 19961114 WO 1996-US6404 19960507
     WO 9635697
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         W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,
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             LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
             SG, SI
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML
                                            US 1995-436537 19950508
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                                            US 1995-436537
                                                              19950508
                                            WO 1996-US6404
                                                              19960507
OS
    MARPAT 126:112509
    A biomol. conjugate is disclosed which comprises one or more
AΒ
     electrochemiluminescent organometallic compds. attached to one or more
     resp. target substances. The organometallic compds. comprise a
     phenanthroline ligand and a ruthenium or osmium atom. Methods are
     disclosed for detecting low concns. of the conjugate using
     electrochemiluminescent means. These methods form the basis for app. for
    performing rapid, efficient, and sensitive detn. of a broad array of
     chem., biochem., and biol. materials of interest.
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L7
    ANSWER 135 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
ΑN
     1997:69866 CAPLUS
DN
     126:88342
     Preparation of hydroxy compounds by bioconversion with dioxygenase
ΤI
     Blacker, Andrew John; Boyd, Derek Raymond; Dalton, Howard; Bowers, Nigel
IN
PA
     Zeneca Limited, UK; Blacker, Andrew John; Boyd, Derek Raymond; Dalton,
     Howard; Bowers, Nigel
SO
     PCT Int. Appl., 18 pp.
     CODEN: PIXXD2
DT
     Patent
    English
LΑ
FAN.CNT 1
     PATENT NO.
                   KIND DATE
                                          APPLICATION NO. DATE
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    WO 9637628
                     A1 19961128
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            LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
            SG, SI
        RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
            IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN
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    AU 9657725
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    ES 2175092
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                                          ES 1996-914321
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    US 6087137
                      Α
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                                          GB 1995-11370 A 19950606
                                          WO 1996-GB1208 W 19960520
OS
    CASREACT 126:88342; MARPAT 126:88342
GI
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AB A process for prepg. a compd. of formula I in which R2 is -H; which comprises the steps: (i) conversion of a compd. of formula II into a compd. of formula III using a dioxygenase enzyme; (ii) conversion of the compd. of formula III into a compd. of formula I wherein R2 is -COR; and (iii) conversion of the compd. of formula I in which R2 is -COR into a compd. of formula I in which R2 is -H; wherein a, b, c, and d are each independently selected from CH and CY, or 1 of them is N and the others are selected from CH and CY, X and Y are each independently a substituent other than H, Z is CH2, CHR, CRR1, O, NH, NR, C=O, or CHX, R and R1 are each independently alkyl, aryl, or aralkyl, and m is 0-4. Also claimed are individual steps of the process and new compds. of formula III.

L7 ANSWER 136 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:49293 CAPLUS

DN 126:157762

TI Preparation of indolopyrrolocarbazole nucleoside analogs as antitumors

IN Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda, Hiroyuki

PA Banyu Pharmaceutical Co., Ltd., Japan

SO U.S., 40 pp., Cont.-in-part of U.S. Ser. No. 5,437,996.

CODEN: USXXAM

DT Patent

LA English

FAN. CNT 6

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	RO 113469	B1	19980730	RO 1993-1067 19921127 JP 1991-341916 A 19911129 JP 1992-69269 A 19920218 JP 1992-257306 A 19920901 WO 1992-JP1549 W 19921127

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AB Indolopyrrocarbazole nucleoside analogs I (R1, R2 = H, alkyl, alkenyl, arom hydrocarbon, heterocycle; aminoalkyl; G = sugar; X1, X2 = H, halogen, NH2, alkoxy, alkylamino, OH) were prepd. and showed excellent antitumor activity as evidenced by in vitro proliferation inhibiting activity against mouse leukemia cell, human gastric cancer cell, human lung cancer cell and human colon cancer cell. Thus, I (R1 = H, R2 = CHO; G = .beta.-D-glucopyranosyl; X1 = X2 = OH) was prepd. and tested as antitumor (dosage of 0.3-100 mg/kg/day; MST = 16.8-52.4).

L7 ANSWER 137 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

Ι

- AN 1997:41865 CAPLUS
- DN 126:59967
- TI Preparation of 2-pyrimidino alkyl ethers and thioethers as inhibitors of viral reverse transcriptase
- IN Nugent, Richard A.; Wishka, Donn G.; Cleek, Gary J.; Graber, David R.; Schlachter, Stephen Thomas; Murphy, Michael J.; Morris, Joel; Thomas,

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Richard C.
PA
    Upjohn Co., USA; Nugent, Richard A.; Wishka, Donn G.; Cleek, Gary J.;
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 & R2
\end{array}$$

The title compds. [I; R1 = C.tplbond.CH, 2-pyridylcarbonyl, benzoyl, etc.; R2, R3 = H, C1-4 alkyl; R4 = H, OH, NH2, etc.; R5 = H, C2H4OH, halo, etc.; R6 = H, OH, halo, etc.; R7 = H, C1-6 alkyl, C3-6 cycloalkyl, etc.; R8 = H, C1-6 alkyl, CF3; Y = S, SO, SO2, O; m = 0-1], useful as anti-AIDS drugs, were prepd. Thus, treatment of 4-amino-6-chloro-2-thiopyrimidine in EtOH with 3.25N NaOH followed by addn. of 4-chloro-2-chloromethylpyridine afforded I [R1 = 4-chloro-2-pyridyl; R4 = C1; R5, R7, R8 = H; R6 = NH2; m = 0] which showed IC50 of 0.03 .mu.M against P236L reverse transcriptase.

L7 ANSWER 138 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1996:731810 CAPLUS

DN 126:8707

TI Preparation of beta-sheet mimetics of peptides or proteins as inhibitors of biologically active peptides or proteins

IN Kahn, Michael

PA Molecumetics Ltd., USA

SO PCT Int. Appl., 158 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 3

T LITA.	CIAI																
	PATENT	NO.		KI	ND	DATE			A	PPLI	CATI	ON NO	<b>)</b> . 1	DATE			
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        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, FI
                                         US 1995-410518 A 19950324
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PATENT FAMILY INFORMATION:
FAN 1996:731812
    PATENT NO.
                    KIND DATE
                                       APPLICATION NO. DATE
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                    A1 19961003 WO 1996-US4115 19960325
    WO 9630396
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            LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
            SG, SI
        RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
            IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN
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    AU 713530
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                          19991202
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                                        US 1995-549006 A 19951027
                                        WO 1996-US4115 W 19960325
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	JP	1050			T	2	1998	0804		US 19 WO 19 JP 19 US 19 US 19	995-4 995-5	4900 S411 2959 1051 4900	6 A 5 W 4 8 A 6 A	1995 1996 1996 1995 1995	1027 0325 0325 0324 1027		
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	AU	9739 7321 9157	74		A B	2	1998	0412		US 19	996-6 997-7 997-4 997-3 996-6 996-7 997-7	2507; 9791; 7067; 9058 9242; 92507; 9791; 7067; S1362	3 A 5 A P P 0 A 3 A 5 A P P 22W	1996 1997 1997 1996 1996 1997 1997	1002 0210 0519 0805 0805 1002 0210 0519 0805		
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	110 60 45 5 6 4			WO 1997-US13622W 19970805
	US 6245764	В1	20010612	US 1998-9665 19980120
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		•		US 1998-22934 A319980212
				US 2000-501052 A120000209
OS	MARPAT 126:8707			
GI				

10009276.3

$$R^{1}$$
 $R^{2}$ 
 $R^{2$ 

There are disclosed .beta.-sheet mimetics [I; R1 - R3 = amino acid side AΒ chain moiety or its deriv.; A = CO, (CH2)1-4, (CH2)1-2-O, (CH2)1-2-S; B = CON, CH; C = CO, (CH2)1-3, O, S, O(CH2)1-2, S(CH2)1-2; Y, Z = the remainderof the mol.; or any 2 adjacent CH groups of the bicyclic ring may form a double bond] and methods relating to the same for imparting or stabilizing the .beta.-sheet structure of a peptide, protein or mol. In one aspect, the .beta.-sheet mimetics are covalently attached at the end or within the length of the peptide or protein. The .beta.-sheet mimetics have utility as inhibitors of one or more of proteases, kinases, CAAX motif (Ras prenylation of the Cys within its C-terminal CAAX sequence by farnesyl transferase, wherein "A" is defined as an amino acid with a hydrophobic side chain and "X" is another amino acid), peptides binding to SH2 domains, and MHC-I and/or MHC-II (major histocompatibility complex class I and class II) presentation of peptides to T cell receptors in warm-blooded animals. Thus, azabicyclo[4.3.0] nonane deriv. (II; R = Boc, R4 = OH) (prepn. given) was condensed with benzothiazolylarginol deriv. (H-Q.CF3CO2H; R5 = Q1, Z = CHOH) using 1-ethyl-3-(3dimethylaminopropyl)carbodiimide hydrochloride, HOBt, and (Me2CH)2NEt in THF to give arginol deriv. II (R = Boc, R4 = Q, R5 = Q1 Z = CHOH), which was oxidized by Dess-Martin periodinane in CH2Cl2 to arginine deriv. II (R = Boc, R4 = Q, R5 = Q1 Z = CO) and deprotected 95% aq. CF3CO2H and thioanisole at room temp. for 20 h to give, after HPLC purifn., the .beta.-sheet mimetic II (R = H, R4 = Q, R5 = H, Z = CO). The latter compd. in vitro inhibited various serine proteases such as thrombin, factor VII, factor X, factor XI, urokinase, thrombin-thrombomodulin complex, activated protein C, plasmin, tissue plasminogen activator, trypsin, and tryptase, e.g. with Ki of 8.50 .times. 10-11 M for thrombin.

- L7 ANSWER 139 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1996:716174 CAPLUS
- DN 125:331558
- TI Indoanilines and their metal complexes, their preparation, and recording mediums comprising them
- IN Ohashi, Reiji; Ryu, Yukiko; Nagai, Tomoaki; Yoshioka, Hidetoshi
- PA Nippon Paper Industries Co., Ltd., Japan
- SO Eur. Pat. Appl., 102 pp. CODEN: EPXXDW

DT LA FAN.	Patent English CNT 1 PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
	TAIDNI NO.	KIND	DAIL	AFFEICATION NO.	DAIE		
ΡΙ	EP 737722 EP 737722	A2 A3	19961016 19961023	EP 1996-105788	19960412		
	R: DE, FR,	GB		TD 1005 112500 N	10050414		
	JP 08337586	7.0	10061224	JP 1995-113580 A			
	JP 3271893	A2 B2	19961224 20020408	JP 1996-94672	19960326		
	UP 32/1893	82	20020408	ID 1005 113500 A	10050414		
	HC 5702062	78	10000011	JP 1995-113580 A			
	US 5792863	A	19980811	US 1996-631947			
		_		JP 1995-113580 A			
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				JP 1995-113580 A	19950414		
				US 1996-631947 A	319960415		
	US 5919928	A	19990706	US 1997-933604	19970918		
				JP 1995-113580 A	19950414		

OS CASREACT 125:331558; MARPAT 125:331558 GI

AB Metal complexes of indoanilines I and II (R1, R2 = H, alkyl, aryl, or NR1R2 forms a heterocycle with the N in a 5- or 6-membered ring; the unfused benzene ring may bear 1-4 electron-donating substituents and the acridine or phenanthridine moiety may bear 1-7 electron-withdrawing substituents) have a large absorption in the near-IR range and a reduced absorption in the visible range, which makes them useful for forming an image in a transparent recording medium by use of a near-IR laser. Thus, 2-HOC6H4NH2 was condensed with 2-ClC6H4CHO and the product cyclized to give 4-hydroxyphenanthridine, which was oxidatively coupled with 4,3-H2NMeC6H3NEt2.HCl by use of AgNO3 and NH4OH to give I (R1 = R2 = Et; Me on benzene ring ortho to imine N). This was complexed with Cu(ClO4)2 to give black crystals with .lambda.max in acetone 795 nm (.epsilon. 163,000).

- L7 ANSWER 140 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1996:701501 CAPLUS
- DN 125:328514
- TI Preparation of benzamidine derivatives as anticoaqulants
- IN Buckman, Brad O.; Davey, David D.; Guilford, William J.; Morrissey, Michael M.; Ng, Howard P.; Phillips, Gary B.; Wu, Shung C.; Xu, Wei
- PA Berlex Laboratories, Inc., USA
- SO PCT Int. Appl., 123 pp.

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		AU, CA, AT, BE,		, DK, ES,	FI,					LU, MC, 9950310	PT,	SE
	US 56913	864	А	19971125		US US	1995-4 1995-4	173385 173385	A219	9950607 9950607		
	AU 96529 AU 70732			19961002 19990708						9950310 9960308		
	110 70732	.5	22	19990700		US	1995-4	173385	A 19	9950310 9950607 9960308		
	R:	AT, BE,		19971229 , DK, ES,		EΡ	1996-9	909536	19	9960308	PT,	
		IE, FI								9950310		
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PATE:	NT FAMILY 1997:761		ATION:			OB	1000	.50577	AJI.	,,,,,,,,		
IAN	PATENT N		KIND	DATE		APF	LICATI	ои ио	. DA	ATE		
PI	US 56913	64	<b>A</b>	19971125			1995-4			9950607 9950310		
	CA 22146	85	AA	19960919		CA	1996-2	21468	5 19	9960308 9950310		
						US	1995-4	73385	A 19	9950607		
	WO 96284	27	A1	19960919		WO	1996-U	JS2641	19	9960308		

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	0.5	0330	740		ь.	L	2002	0226					_			0310			
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OS MARPAT 125:328514

$$R^{5}$$
 $R^{6}$ 
 $R^{7}$ 
 $R^{7}$ 
 $R^{7}$ 
 $R^{7}$ 
 $R^{7}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{7}$ 
 $R^{8}$ 
 $R^{8}$ 
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 $R^{8}$ 
 $R^{8}$ 
 $R^{1}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{3}$ 

AB Title compds., e.g., I [R1,R3 = H, halo, alkyl, alkoxy, etc.; R2 = H, halo, alkyl, OR8, etc.; R4,R7 = H, halo, alkyl, OR8, etc.; R5 = C(:NH)NH2, C(:NH)NHOR8, C(:NH)NHCOR8, etc.; R6 = halo, alkyl, haloalkoxy, etc.; R8 =

L7 AN

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US 6262278

H, (ar) alkyl, aryl; Z = CR11 or N; R11 = H, halo, alkyl; Z1,Z2 = O, NR8, S, OCH2] were prepd. as anticoagulants (no data). Thus, 2,6-difluoropyridine was bis-etherified bu 3-(NC)C6H4OH and the product treated successively with HCl and NH3 to give title compd. II.2HCl [R = C6H4[C(:NH)NH2]-3].ANSWER 141 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN 1996:672656 CAPLUS 125:328144 Stereoselective ring opening reactions Jacobsen, Eric N.; Leighton, James L.; Martinez, Luis E. President and Fellows of Harvard College, USA PCT Int. Appl., 100 pp. CODEN: PIXXD2 Patent English FAN.CNT 4 PATENT NO. KIND DATE APPLICATION NO. DATE ---- **--**----WO 9628402 A1 19960919 WO 1996-US3493 19960314 W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML US 1995-403374 A 19950314 US 5665890 19970909 US 1995-403374 19950314 Α CA 2213007 AA 19960919 CA 1996-2213007 19960314 US 1995-403374 A 19950314 AU 9653639 AU 1996-53639 19960314 Al 19961002 AU 708622 B2 19990805 US 1995-403374 A 19950314 WO 1996-US3493 W 19960314 Al 19980114 EP 817765 EP 1996-910448 19960314 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI US 1995-403374 A 19950314 WO 1996-US3493 W 19960314 JP 11502198 T2 19990223 JP 1996-527817 19960314 US 1995-403374 A 19950314 WO 1996-US3493 W 19960314 PL 184857 B1 20030131 PL 1996-327632 19960314 US 1995-403374 A 19950314 WO 1996-US3493 W 19960314 NO 9704234 Α 19971113 NO 1997-4234 19970912 US 1995-403374 A 19950314 WO 1996-US3493 W 19960314 PATENT FAMILY INFORMATION: FAN 1999:468087 PATENT NO. KIND DATE APPLICATION NO. DATE --------<del>-</del>-----US 5929232 A 19990727 US 1996-622549 19960325 US 1995-403374 A219950314 US 5665890 A 19970909 US 1995-403374 19950314 CA 2213007 AA 19960919 CA 1996-2213007 19960314 US 1995-403374 A 19950314

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	US 2002032338	A1	20020314	US 1995-403374 A219950314 US 1996-622549 A219960325 US 2001-899516 20010705
	US 6448414			US 1995-403374 A219950314 US 1996-622549 A219960325 US 1998-134393 A119980814
	US 2003139614	A1	20030724	US 2002-206143 20020726 US 1995-403374 A219950314 US 1996-622549 A219960325 US 1998-134393 A119980814 US 2001-899516 A120010705
FAN		KIND	DATE	APPLICATION NO. DATE
ΡI			<del></del>	WO 1999-US18305 19990813
rı	W: AU, CA, RW: AT, BE,	JP, US		ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
	PT, SE			IIC 1000 124202 N 10000014
	US 6262278	B1	20010717	US 1995-403374 A219950314
	CA 2339618	AA	20000224	US 1996-622549 A219960325 CA 1999-2339618 19990813 US 1998-134393 A 19980814
	AU 9956732	A1	20000306	WO 1999-US18305W 19990813 AU 1999-56732 19990813 US 1998-134393 A 19980814
	EP 1104395 R: AT, BE, IE, FI	A1 CH, DE,	20010606 DK, ES,	WO 1999-US18305W 19990813 EP 1999-943685 19990813 FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
	JP 2002522515	Т2	20020723	US 1998-134393 A 19980814 WO 1999-US18305W 19990813 JP 2000-564918 19990813 US 1998-134393 A 19980814 WO 1999-US18305W 19990813
FAN	2001:521942 PATENT NO.	KIND	DATE	APPLICATION NO. DATE
PI	US 6262278		20010717	US 1998-134393 19980814 US 1995-403374 A219950314 US 1996-622549 A219960325
	US 5665890	A	19970909	US 1995-403374 19950314
	US 5929232	Α	19990727	US 1996-622549 19960325
	CA 2339618	AA	20000224	US 1995-403374 A219950314 CA 1999-2339618 19990813 US 1998-134393 A 19980814
	WO 2000009463 W: AU, CA,		20000224	WO 1999-US18305W 19990813 WO 1999-US18305 19990813
			DE, DK,	ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
	AU 9956732	A1	20000306	US 1998-134393 A 19980814 AU 1999-56732 19990813 US 1998-134393 A 19980814
	EP 1104395	A1	20010606	WO 1999-US18305W 19990813 EP 1999-943685 19990813

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, FI
                                      US 1998-134393 A 19980814
                                      WO 1999-US18305W 19990813
JP 2002522515
                 T2
                      20020723
                                      JP 2000-564918
                                                      19990813
                                      US 1998-134393 A 19980814
                                      WO 1999-US18305W 19990813
US 2002032338
                      20020314
                 A1
                                      US 2001-899516
                                                      20010705
US 6448414
                 B2
                      20020910
                                      US 1995-403374 A219950314
                                      US 1996-622549 A219960325
                                      US 1998-134393 A119980814
US 2003139614
                A1
                      20030724
                                      US 2002-206143
                                      US 1995-403374 A219950314
                                      US 1996-622549 A219960325
                                      US 1998-134393 A119980814
                                      US 2001-899516 A120010705
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- OS CASREACT 125:328144; MARPAT 125:328144
- AB The title process comprises reacting a nucleophile and a chiral or prochiral carbocyclic or heterocyclic substrate having a center susceptible to nucleophilic attack in the presence of a chiral catalyst comprising an asym. tetradentate ligand complexed with a metal atom to produce a stereoisomerically or regioselectively enriched product. Thus, 3,4-epoxycyclopentanone (prepn. given) was treated with Me3SiN3 in Et2O contg. a catalyst of the invention (prepn. given) and the product treated with Al2O3 to give (R)-4-trimethylsilyloxy-2-cyclopentenone of >94% e.e. in 77% overall yield.
- L7 ANSWER 142 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1996:580023 CAPLUS
- DN 125:208295
- TI Photographic bleaching compositions and processing method using ternary iron carboxylate complexes as bleaching agents
- IN Buchanan, John M.; Brown, Eric R.; Gordon, Stuart
- PA Eastman Kodak Company, USA
- SO Eur. Pat. Appl., 25 pp. CODEN: EPXXDW
- DT Patent
- LA English
- FAN.CNT 1

	PAT	CENT	NO.		KI	ND	DATE			API	PLICATION N	0.	DATE
		<b>-</b>									<b></b>		
ΡI	ΕP	7231	94		A1	L	1996	0724		EP	1996-20002	8	19960105
	ΕP	7231	94		B1	L	2001	0926					
		R:	BE,	CH,	DE,	FR,	GB,	IT,	LI,	NL			
										US	1995-37099	7 A	19950110
	US	5582	958		Α		1996	1210		US	1995-37099	7	19950110
	JР	0824	0893		A2	2	1996	0917		JP	1996-2344		19960110
	JР	2801	575		B2	2	1998	0921					
										US	1995-37099	7 A	19950110

- OS MARPAT 125:208295
- AB A photog. bleaching or bleach/fixing compn. contains a water-sol. ternary complex of an iron ion, a polycarboxylate ligand, and a second ligand which has at least one carboxyl group on an arom. nitrogen heterocycle, such as a pyridinecarboxylic acid. Preferred materials are biodegradable, but all of he ternary complexes can be used in a variety of bleach or bleach/fix processes to good advantage as bleaching agents. They are particularly suitable for use in rehalogenating ferric chelate bleaches.

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L7 ANSWER 143 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1996:392057 CAPLUS

DN 125:114628

TI 2-Oxopyrrolo[1,2-a]benzimidazole-3-carboxyl derivatives useful in treating central nervous system disorders

IN Ho, Winston; Maryanoff, Bruce E.; McComsey, David F.; Nortey, Samuel O.

PA USA

SO U.S., 9 pp., Cont. of U.S. Ser. No. 175, 705, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
			<del>-</del>		
ΡI	US 5521200	A	19960528	US 1994-332687	19941101
				US 1993-175705	19931230

OS MARPAT 125:114628

GI

AB I were prepd. (R = 4-pyridyl, 4-Me2NC6H4, 2,5-, 2,5- and 2,4-F2C6H4, 2,4,6-F3C6H2, R1 = R2 = H; R = 2,6-F2C6H4, R1 = R2 = Me or R1 = Et, R2 = H) and are useful in treating disorders of the central nervous system. Pharmaceutical compns. and methods of treatment are also disclosed.

L7 ANSWER 144 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1996:388202 CAPLUS

DN 125:49344

TI Natriuretic cyclic compounds

IN Wechter, William J.; Murray, David E.; Kantoci, Darko; Levine, Barry H.; Benaksas, Elaine J.

PA Loma Linda University Medical Center, USA

Ι

SO PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PATENT NO.
                    KIND DATE
                                         APPLICATION NO. DATE
                          -----
                                         -----
ΡI
    WO 9605191
                    A1
                          19960222
                                        WO 1995-US10411 19950815
           AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI,
            GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD,
            MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
            TJ, TM
        RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,
            LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
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SN, TD, TG US 1994-290430 A 19940815 US 6150402 Α 20001121 US 1994-290430 19940815 AU 9533277 Α1 19960307 AU 1995-33277 19950815 US 1994-290430 A 19940815 WO 1995-US10411W 19950815 EP 792270 A1 19970903 EP 1995-929559 19950815 EP 792270 B1 20030507 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE US 1994-290430 A 19940815 WO 1995-US10411W 19950815 JP 10506383 Т2 19980623 JP 1996-507600 19950815 US 1994-290430 A 19940815 WO 1995-US10411W 19950815 AT 239465 F. 20030515 AT 1995-929559 US 1994-290430 A 19940815 WO 1995-US10411W 19950815 US 6083982 20000704 US 1998-57731 19980409 US 1994-290430 A319940815 OS MARPAT 125:49344 GI

$$\begin{array}{c|c} \text{HO}_2\text{CCH}_2\text{H}_2\text{C} \\ \text{Me} \end{array} \begin{array}{c} \text{CH}_2\text{CH}_2\text{CO}_2\text{H} \\ \text{Me} \end{array}$$

AB A natriuretic compds. (I; R ,= O, S, SO, SO2, amino, phosphate, phosphoester, methylene; R1-R4 = H, OH, alkyl, aryl, alkenyl, alkynyl, arom., ether, ester, amine, amide, halogen, sulfonyl, etc.; R5 = H, OH, alkyl, aryl, alkenyl, alkynyl, arom., ester, amine; R6 = CO2H, CO2R7, CONH2, CONHR7, etc.; R7 = alkyl, aryl, alkaryl, alkenyl, etc.; n = 0-3; m = 0-5) are claimed. Methods for isolating and synthesizing the natriuretic compds. are also provided. The natriuretic compds. and their pharmaceutical compns. can be used for inducing sodium excretion without inducing corresponding prolonged potassium excretion and for treatment of hypertension, ischemia, angina pectoris, HIV infection or AIDS.

Ι

L7 ANSWER 145 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1996:377534 CAPLUS

DN 125:99954

TI Photographic peracid bleaching composition and processing method using ternary iron carboxylate complex as catalyst in peracid bleaching solution

IN Buchanan, John M.; Brown, Eric R.; Gordon, Stuart T.

PA Eastman Kodak Company, USA

SO U.S., 15 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 5521056	Α	19960528	US 1995-370743	19950110

US 1995-370743 19950110

OS MARPAT 125:99954

AB A photog. peracid bleaching compn. contains a peracid bleaching agent, and a water-sol. ternary complex of ferric ion, a polycarboxylate ligand, and a second ligand which has at least one carboxyl group on an arom. nitrogen heterocycle, such as a pyridinecarboxylic acid. The complex acts as a catalyst for the peracid bleaching agent. Preferred complex is biodegradable.

L7 ANSWER 146 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1996:341819 CAPLUS

DN 125:10614

TI Preparation of benzannelated five-membered heterocyclecarboxamides as 5-HT receptor antagonists

IN Forbes, Ian Thomson; Jones, Graham Elgin; King, Francis David; Ham, Peter; Davies, David Thomas; Moghe, Angela

PA Smithkline Beecham Plc, UK

SO PCT Int. Appl., 28 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE APPLICATION NO.		DATE
ΡI	WO 9602537	Δ1	19960201	WO 1995-EP2637	19950706
	W: JP, US	AT	19900201	WO 1995-B12057	19930700
	RW: AT, BE,	CH, DE	, DK, ES, FR	GB, GR, IE, IT, LU	
				GB 1994-14139	19940713
	EP 770076	A1	19970502	EP 1995-943540	19950706
	R: BE, CH,	DE, FR	, GB, IT, LI,	NL	
				GB 1994-14139	19940713
				WO 1995-EP2637	19950706
	JP 10502653	T2	19980310	JP 1995-504647	19950706
				GB 1994-14139	19940713
	•			WO 1995-EP2637	19950706
	US 5922733	A	19990713	US 1997-765933	19970630
				GB 1994-14139	19940713
				WO 1995-EP2637	19950706
os	MARPAT 125:10614	4			

$$\mathbb{R}^3_n$$
  $\mathbb{Z}^1$   $\mathbb{R}^3$   $\mathbb{R}^3$ 

Title compds. [I; R3 = halo, NH2, OH, alkyl, etc.; Z1 = XYZCONR2Z2R1 or X:YZCONR2Z2R1 (Z = CH or N), XY:ZCONR2Z2R1 (Z = C); R1 = H, halo, alkyl, alkoxy, etc.; R2 = H or alkyl; X,Y = O, S, CO, CH, CH2, NH, etc; Z2 = phenylene, (iso)quinolinediyl, heterocyclylene; n = 0-3] were prepd. as 5-HT2B and 5-HT2C receptor antagonists. Thus, 4,3-Br(MeO)C6H3SH was etherified by BrCH2COCO2Et and the product cyclized to give, after sapon.,

Patel

GΙ

5-bromo-6-methoxybenzo[b]thiophene-3-carboxylic acid which was amidated by 3-aminopyridine to give title compd. II. Selected I had Ki.gtoreq.7.2 for binding to rat or human 5-HT2C clones expressed in 293 cell in vitro.

- L7 ANSWER 147 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1996:335954 CAPLUS
- DN 125:10631
- TI Preparation of 2,9-diamino- and 2-amino-8-carbamoyl-4-hydroxyalkanoic acid amides as renin inhibitors
- IN Rasetti, Vittorio; Rueeger, Heinrich; Maibaum, Juergen Klaus; Mah, Robert; Gruetter, Markus; Cohen, Nissim Claude
- PA Ciba-Geigy A.-G., Switz.
- SO Eur. Pat. Appl., 115 pp. CODEN: EPXXDW
- DT Patent
- LA German
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI				EP 1995-113964 GB, GR, IE, IT, LI CH 1994-2816	, LU, NL, PT, SE
	AU 9530534	A1	19960328		19950908
	US 5719141	A	19980217		19950908
	FI 9504255	A	19960316		19950911
	CA 2158227	AA	19960316		19950913
	ZA 9507726	A	19960315	ZA 1995-7726 CH 1994-2816	19950914
	NO 9503629	A	19960318	NO 1995-3629 CH 1994-2816	
	HU 74453	A2	19961230	<del>-</del>	19950914
	CN 1169986	A	19980114		19950914
	JP 08176087	A2	19960709	JP 1995-238779	

- OS MARPAT 125:10631
- AB R1XCH2CR2R3CH2CH(NHR4)CHR5CH2CR6R7CONHR8 [I; R1 = arylamino, N-aryl-N-aralkylamino, N-attached heterocyclyl, etc.; R3,R3,R7 = H or alkyl; R2R3 = alkylene; R4 = H, alkyl, alkanoyl, alkoxycarbonyl; R5 = OH, alkanoyloxy, alkoxycarbonyloxy; R6 = H, (ar)alkyl, alkenyl, etc.; R6R7 = alkylene; R8 = (cyclo)aliph. group, heteroaliph. group; X = CO or CH2] were prepd. Thus, quinoline-3-carboxylic acid was converted in 21 steps to N-butyl-(2R,4S,5S)-5-amino-4-hydroxy-2,7,7-trimethyl-8-(3RS-methoxycarbonyl-1,2,3,4-tetrahydroquinolin-1-carbonyl)octanamide. I gave inhibition of human renin at .apprx.10-6 to .apprx.10-10M in vitro.

CH 1994-2816

19940915

- L7 ANSWER 148 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1996:332386 CAPLUS
- DN 125:10625
- TI Preparation of subunit-selective NMDA receptor-antagonist haloperidol analogs
- IN Cai, Sui Xiong; Woodward, Richard M.; Lan, Nancy C.; Weber, Eckard
- PA Acea Pharmaceuticals Inc., USA; Cocensys, Inc.

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SO
     PCT Int. Appl., 107 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
                     ____
PΙ
     WO 9602250
                      A1
                            19960201
                                           WO 1995-US9191
                                                             19950720
         W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI,
             GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD,
             MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ,
             TM, TT
         RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,
             LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
             SN, TD, TG
                                           US 1994-277871
                                                             19940720
                                           US 1995-475990
                                                             19950607
     AU 9531385
                       Α1
                            19960216
                                           AU 1995-31385
                                                             19950720
                                           US 1994-277871
                                                             19940720
                                           US 1995-475990
                                                             19950607
                                           WO 1995-US9191
                                                             19950720
OS
     MARPAT 125:10625
GI
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The title compds. [I; R1-R10 = H, (un) substituted heteroaryl, halogen, OH, CN, NO2, (un) substituted aryl, azido, alkyl, alkenyl, alkynyl, etc.; Ra = H, alkyl, aryl, OH, CO2H; Z = N, CH, COH, CCHO, CCONH2, etc.; m = 0-3; n = 1-5], which are subunit-selective NMDA receptor antagonists useful for treating or preventing neuronal loss assocd. with stroke, ischemia, CNS trauma, hypoglycemia and surgery, as well as treating anxiety, convulsions, migraine headaches, glaucoma, chronic pain, and inducing anesthesia, as well as for enhancing cognition, are prepd. Thus, 4-benzyl-4-hydroxypiperidine was condensed with 4-chloro-4'fluorobutyrophenone, producing 4-(4-benzyl-4-hydroxypiperidinyl)-4'-fluorobutyrophenone which demonstrated an IC50 of 40 .mu.M in an NR1A/NR2A receptor assay, vs. >100 .mu.M for haloperidol.

L7 ANSWER 149 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1996:256100 CAPLUS

DN 124:316867

TI Carbapenem derivatives containing a bicyclic substituent

IN Arnould, Jean-Claude

PA Zeneca Limited, UK; Zeneca-Pharma

SO Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW

DT Patent

LA English

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FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO.
                                                            DATE
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                                           -----
PΙ
     EP 695753
                      A1
                            19960207
                                           EP 1995-305428
                                                            19950803
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
                                           EP 1994-401814
                                                            19940805
     US 5607928
                      Α
                            19970304
                                           US 1995-508698
                                                            19950728
                                           EP 1994-401814
                                                            19940805
     JP 08059664
                      A2
                            19960305
                                           JP 1995-201126
                                                            19950807
                                           EP 1994-401814
                                                            19940805
OS
     MARPAT 124:316867
GI
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$$R^{1}$$
 $R^{2}$ 
 $CH_{2}XR$ 
 $Me$ 
 $CH_{2}O$ 
 $CO_{2}H$   $I$ 
 $CO_{2}Na$ 
 $CO_{2}Na$ 

AΒ Bactericidal (no data) carbapenems I [R = aryl, heteroaryl; R1 = CH2OH, CHMeOH, CHMeF; R2 = H, C1-4 alkyl; X = O, S] and pharmaceutically acceptable salts or in vivo hydrolyzable esters thereof, were prepd. Thus, (3S,4R,1'R,1''R)-1-(allyloxycarbonyltriphenylphosphoranylidenemethyl )-3-(1-hydroxyethyl)-4-[1-(hydroxymethylcarbonyl)ethyl]azetidin-2-one was treated with 5-hydroxy-1-tetralone, followed by ester hydrolysis to give the carbapenem II.

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L7
    ANSWER 150 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1996:110357 CAPLUS

DN 124:135707

TIPharmaceutical use of transition metal complexes as peroxynitrite decomposition catalysts

Stern, Michael Keith; Salvemini, Daniela IN

PAMonsanto Co., USA

PCT Int. Appl., 68 pp. SO CODEN: PIXXD2

DTPatent

LΑ English

FAN.	AN.CNT 1																
	PATENT	NO.		KI	ND :	DATE			A.	PPLI	CATI	ON NO	٥.	DATE			
PI	WO 9531	197		A	1	1995:	1123		W	 0 19	 95-U:	 S588	- <b>-</b> 6	 1995	0509		
	W :	AM,	ΑU,	BB,	ВG,	BR,	BY,	CA,	CN,	CZ,	EE,	FI,	GE,	HU,	IS,	JΡ,	KG,
		KR,	ΚZ,	LK,	LR,	LT,	LV,	MD,	MG,	MN,	MX,	NO,	NZ,	PL,	RO,	RU,	SG,
			SK,														·
	RW:	KΕ,	MW,	SD,	SZ,	UG,	AT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	IT,
														GN,			
			TD,														·
									U:	5 19:	94-24	4249	8 A	1994	0513		

CA	2189528	AA	19951123	CA 1995-2189528 19950509 US 1994-242498 A 19940513	
	9525120 709553	A1 B2	19951205 19990902	AU 1995-25120 19950509	
				US 1994-242498 A 19940513	
ГD	750000	λ1	19970226	WO 1995-US5886 W 19950509 EP 1995-919143 19950509	
EF				, GB, GR, IE, IT, LI, LU, NL, PT, S	ਹਦ
	K: AI,	DE, CH, DE,	DR, ES, FR	US 1994-242498 A 19940513	) E
				WO 1995-US5886 W 19950509	
СИ	1152871	Α	19970625	CN 1995-194075 19950509	
C11	1132071	21	19970023	US 1994-242498 A 19940513	
нп	76327	A2	19970828	HU 1996-3140 19950509	
			233,0020	US 1994-242498 A 19940513	
BR	9507643	А	19970923	BR 1995-7643 19950509	
				US 1994-242498 A 19940513	
				WO 1995-US5886 W 19950509	
JΡ	10500671	T2	19980120	JP 1995-529755 19950509	
				US 1994-242498 A 19940513	
				WO 1995-US5886 W 19950509	
US	6245758	B1	20010612	US 1996-709788 19960909	
				US 1994-242498 B219940513	
				US 1995-431593 A119950501	
ИО	9604793	A	19970106	NO 1996-4793 19961112	
				US 1994-242498 A 19940513	
				WO 1995-US5886 W 19950509	
FI	9604537	A	19970110	FI 1996-4537 19961112	
				US 1994-242498 A 19940513	
				WO 1995-US5886 W 19950509	

OS MARPAT 124:135707

Diseases assocd. with the decompn. of peroxynitrite (formed in the body by interaction of metabolically produced NO with superoxide) are ameliorated by treatment with transition metal complexes (e.g. with porphyrins or macrocyclic N compds.) which accelerate decompn. of peroxynitrite, preferably to benign products. Diseases which may thus be treated include ischemic reperfusion, inflammation, sepsis, stroke, multiple sclerosis, parkinsonism, and side effects from cancer chemotherapy. The complexes prevent tissue damage from decompn. of peroxynitrite to toxic HO.bul. and NO2, and also protect superoxide dismutase from inactivation. Thus, intestinal vascular leakage in rats during endotoxin shock, measured as leakage of 125I-labeled serum albumin, was lessened by i.v. injection of acetato[5,10,15,20-tetrakis(N-methyl-4-pyridyl)porphinato]iron(III) tetratosylate (30 mg/kg) 3 h after lipopolysaccharide injection.

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L7 ANSWER 151 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

AN 1996:87551 CAPLUS

DN 124:261017

TI 1,3-Benzodioxole-2,2-dicarboxylate derivatives and analogs as selective .beta.3-adrenergic agents

IN Epstein, Joseph W.; Birnberg, Gary H.; Qing, Feng L.

PA American Cyanamid Co., USA

SO U.S., 20 pp.

PI US 5482971 A 19960109 US 1993-130601 19931001 US 1993-130601 19931001

OS MARPAT 124:261017 GI

Arx 
$$R^3$$
  $V-(CH_2)_m$   $V$   $V-(CH_2)_m$   $V$ 

AΒ This invention is concerned with novel compds. of formula I wherein: Ar is, e.g., naphth-(1 or 2)-yl which is substituted with hydrogen, straight or branched (C1-C6)alkyl, bromine, chlorine, fluorine, iodine, (C1-C6)alkoxy, difluoromethyl, trifluoromethyl, trifluoromethoxy, or difluoromethoxy, 1,2,3,4-tetrahydro-(5 or 6)-naphthyl which is substituted with hydrogen, straight or branched (C1-C6)alkyl, bromine, chlorine, fluorine, iodine, (C1-C6)alkoxy, difluoromethyl, or trifluoromethyl, indanyl; R2 and R3 are hydrogen or (C1-C4)alkyl; m and n are integers from 0-1; q is an integer of 0, 2 or 3; V is oxygen and each V is ortho to the other V; W and U are independently hydrogen, hydroxy, CO2R8 or OCH2CO2R8 wherein R8 is hydrogen or straight or branched (C1-C10)alkyl; CONR9R10 or OCH2CONR9R10 wherein R9 and R10 are, e.g., hydrogen, straight or branched (C1-C10) alkyl, substituted benzyl, substituted Ph, a heterocycle; X is a divalent radical CH(OT)CH(Ro)NT wherein Ro is (C1-C3)alkyl; T is hydrogen, (C1-C4)alkyl or (C1-C4)acyl; and the pharmaceutically acceptable salts and esters, the enantiomers, the racemic mixts. and diastereomeric mixts. thereof, which are selective .beta.3-adrenergic agents. Thus, e.g., treatment of 4-(3,4-dimethoxy-phenyl)-2-butanone with formamide afforded racemic 2-amino-4-(3,4-dimethoxyphenyl)butane; ring-opening reaction of the latter with (R)-m-chlorostyrene oxide followed by cyclization with carbonyldiimidazole afforded the (R,R) and (R,S) diastereomers of 5-(3-chlorophenyl)-3-[(3,4-dimethoxyphenyl)-butan-2-yl]oxazolidinone; the (R,S) isomer is demethylated and cyclized with di-Et dibromomalonate to afford the (R,S) oxazolidinone diester; sapon. of the latter afforded disodium (R,S)-5-[3-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]butyl]-1,3benzodioxole-2,2-dicarboxylate. In similar fashion, the intermediate (R,R) diastereomer is converted to disodium (R,R)-5-[3-[[2-(3chlorophenyl) -2-hydroxyethyl]amino]butyl]-1,3-benzodioxole-2,2dicarboxylate (II) which exhibited stimulation of adipocyte lipolysis with EC50 = 17 nM (.beta.3 selectivity) vs. IC50 = 19,000 nM (heart binding, .beta.1 effect) and IC50 = 20,000 nM (lung binding, .beta.2 effect).

L7 ANSWER 152 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

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AN
    1995:878880 CAPLUS
DN
    123:285816
    Preparation of heteronaphthoquinones and glycosides thereof as antitumor
TI
ΙN
    Attardo, Giorgio; Wang, Wuyi; Breining, Tibor; Li, Tiechao; St.-Denis,
    Yves; Kraus, Jean-Louis
    Biochem Pharma Inc., Can.
PΑ
    PCT Int. Appl., 159 pp.
SO
    CODEN: PIXXD2
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OS MARPAT 123:285816 GI

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 $X^4$ 

Title compds. [I; X1, X2 = O, S, NR20; R20 = H, OH, alkyl, acyl, alkylamino; X3 = O, S, SO, SO2, NR21; R21 = OH, acyl, alkyl, aryl, haloacyl, H; X4 = CQ, N, NO; R1-R3, Q = H, OH, alkyl, alkoxy, cycloalkyl, tosyl, mesyl, triflate, thiol, (substituted) acetate, amino, etc.; Z = H, OH, halo, thiol, sulfide, alkoxy, hydroxime, hydrazone, cyano, arylsulfone, alkynyl, squarate, Ph, (substituted) amino, acylamino, heterocyclyl, carboxylate ester, etc.; R5, R8 = H, halo, OH, alkoxy, alkyl, acetylenyl, cycloalkyl, alkenyl, alkoxyalkylamino, cyano, aminoalkyl, acyl, carboxylate ester, acosamine, glucosamine, 2,6-dideoxyrhamnose, thioglucose, thiodaunosamine residue, (substituted) (arom.) ring, etc.], were prepd. Thus, naphthopyran deriv. (II) [prepn. from Me (5,8-dimethoxyisochroman-3-yl)carboxylate given] showed IC50 = 0.0073-0.029 .mu.M against SKOV3 ovarian carcinoma cells.

L7 ANSWER 153 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1995:797285 CAPLUS

DN 123:198824

TI Preparation of tricyclic sulfonamide inhibitors of farnesyl protein transferase for the treatment of cell proliferative diseases

IN Bishop, W. Robert; Doll, Ronald J.; Mallams, Alan K.; Njoroge, F. George; Petrin, Joanne M.; Piwinski, John J.

PA Schering Corp., USA

SO PCT Int. Appl., 82 pp. CODEN: PIXXD2

DT Patent

LA English

FAN CNT 1

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WO 1994-US11390W 19941	
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US 1993-137856 A 19931	
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HU 76057 A2 19970630 HU 1996-957 19941	
US 1993-137856 A 19931	
AT 210653 E 20011215 AT 1994-930649 19941	•
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ES 2164717 T3 20020301 ES 1994-930649 19941	012
US 1993-137856 A 19931	015
US 5661152 A 19970826 US 1995-444996 19950	519
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OS MARPAT 123:198824	

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 $R^{8}$ 
 $R^{9}$ 
 $R^{1}$ 
 $R^{1}$ 

AB The title compds. [I; A, B = H, alkyl, aryl, OH, alkoxy, aryloxy, halogen, etc.; l of a, b, c, d = N, NR9 and the remainder are CR1, CR2; R9 = O-, Me, (CH2)nCO2H; n = 1-3; R1-R4 = H, benzotriazol-1-yloxy, halogen, CF3, etc.; R = alkyl, (un)substituted Ph, (un)substituted bridged polycyclic

Patel

GI

II

> hydrocarbon, heteroaryl, alkenyl, etc.; R5-R8 = H, CF3, COR10, (un) substituted alkyl, (un) substituted aryl, etc.; X = N, C (with an optional double bond to carbon no. 11); the dotted lines represent optional double bonds; etc.], useful as inhibitors of farnesyl protein transferase and geranylgeranyl protein transferase for the treatment of cell proliferative diseases, are prepd. and I-contg. formulations presented. Thus, 4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclopenta[1,2b]pyridin-11-ylidene)piperidine (sic) was amidated with PhSO2Cl, producing 4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-1-(phenylmethylsulfonyl)-1-piperidine, II.

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L7
    ANSWER 154 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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1995:794872 CAPLUS AN

DN 123:286106

- TIPreparation of substituted cyclic carbonyl derivatives as retroviral rotease inhibitors
- Lam, Patrick Yuk-Sun; Jadhav, Prabhakar Kondaji; Eyermann, Charles Joseph; IN Hodge, Carl Nicholas; De, Lucca George Vincent; Rodgers, James David
- PΑ Du Pont Merck Pharmaceutical Co., USA
- SO PCT Int. Appl., 525 pp. CODEN: PIXXD2

DTPatent

English LΑ

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FAN.CNT 5
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		60/334	7334 B1 19970730 AT, BE, CH, DE, DK, ES, FR, GB, GI US 1 US 1 US 1		EP 1992-922262 19921013  GB, GR, IE, IT, LI, LU, MC, NL, SE US 1991-776491 A 19911011 US 1992-883944 A 19920515 US 1992-953272 A 19920929		
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				A1 B1 CH, DE,		FR,	GB, GR, IE, IT, LI, LU, MC, NL, SE US 1991-776491 A 19911011 US 1992-883944 A 19920515 US 1992-953272 A 19920929 EP 1992-922262 A319921013
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US	6503898	B1	20030107	US 1994-197630 A319940216 US 1998-113905 19980710 US 1991-776491 B219911011
				US 1991-776491 B219911011 US 1992-883944 B219920515 US 1992-953272 B219920929 US 1993-23439 B219930226 US 1993-47330 B219930415 US 1994-197630 A319940216 US 1996-770546 A319961122
US	37781	E	20020702	US 1998-770346 A319961122 US 1999-265808 19990310 US 1991-776491 B219911011 US 1992-883944 B219920515 US 1992-953272 B219920929 US 1993-23439 B219930226 US 1993-47330 B219930415

US 1994-197630 A519940216

OS MARPAT 123:286106

GI

Cyclic ketone derivs. [I; R1, R2 = H, alkyl, allyl, cyclopropylmethyl, etc.; R3, R4 = (un)substituted benzyl, thienylmethyl, naphthylmethyl, etc.; W = CO, CS, SO2, etc.], useful as human immunodeficiency virus (HIV) protease inhibitors, are prepd., tested, and formulated. Amination of dichloro compd. I [R1 = R2 = m-chlorobenzyl, R3 = R4 = PhCH2, W = CO] with MeNH2 in THF and subsequent acidification with 4M HCl gave I.2HCl [R1 = R2 = m-methylaminobenzyl, R3 = R4 = PhCH2, W = CO], which showed Ki = 10 nM-1 .mu.M and IC90 = <10 .mu.g/mL in a HIV protease inhibition assay.

L7 ANSWER 155 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1995:652321 CAPLUS

DN 123:55860

TI Process for the preparation of 1-(heterocyclylthio)-4,4-difluoro-3-butenederivative nematicides

IN Turnbull, Michael Drysdale; Willetts, Nigel James; Fitzjohn, Steven; Kholia, Prafula Govind; Smith, Alison Mary; Salmon, Roger; Bansal, Harjinder Singh; Williams, Alfred Glyn

PA Zeneca Ltd., UK

SO PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN CNT 1

FAN.	CNT 1								
	PATENT NO.	KIND DATE	APPLICATION NO. DATE						
ΡI	WO 9504727	A1 19950216	WO 1994-GB1570 19940720						
			CN, CZ, FI, HU, JP, KE, KG, KP, KR,						
	KZ, LK,	, LT, LV, MD, MG, MN,	MW, NO, NZ, PL, RO, RU, SD, SI, SK,						
	TJ, TT,	, UA, US, UZ, VN							
	RW: AT, BE,	, CH, DE, DK, ES, FR,	GB, GR, IE, IT, LU, MC, NL, PT, SE,						
	BF, BJ,	, CF, CG, CI, CM, GA,	GN, ML, MR, NE, SN, TD, TG						
			GB 1993-16219 A 19930805						
			GB 1993-16220 A 19930805						
			GB 1993-25453 A 19931213						
			GB 1993-25455 A 19931213						
	AU 9471930	A1 19950228	AU 1994-71930 19940720						
			GB 1993-16219 A 19930805						
			GB 1993-16220 A 19930805						
			GB 1993-25453 A 19931213						
			GB 1993-25455 A 19931213						
			WO 1994-GB1570 W 19940720						

	712395 712395		A1 B1	19960522 20020522		EP	1994	-921059	)	19940720			
	R: AT,	BE,	CH, DE,	DK, ES,	FR,	GB GB GB GB	1993 1993 1993 1993	-16219 -16220 -25453 -25455	A A A	, LU, MC, 19930805 19930805 19931213 19931213 19940720	NL,	PT,	SE
	73351 218575		A2 B	19960729 20001028		HU	1995	-3825	, ,,	19940720			
						GB	1993	-16219 -16220 -25453	Α	19930805 19930805 19931213			
CN	1128535		A	19960807		GB	1993	-25455 -192999	Α	19931213 19940720			
								-16219 -16220		19930805 19930805			
BR	9407164		A	19960917		BR	1994	-7164		19940720 19930805			
						GB	1993	-16220 -25453	Α	19930805 19931213			
						GB	1993	-25455	Α	19931213			
ďΡ	09501175		T2	19970204				-GB1570 -506270		19940720 19940720			
01	03301173		12	10070204						19930805			
										19930805			
								-25453		19931213			
								-25455		19931213 19940720			
AΤ	217869		E	20020615				-921059					
										19930805			
								-16220		19930805			
								-25453		19931213			
								-25455		19931213 19940720			
ES	2177580		Т3	20021216				-921059					
										19930805			
										19930805			
										19931213			
TT.	110432		A1	20000716				-25455 -110431		19931213 19940725			
	110132		AI	20000710				-16219		19930805			
								-16220		19930805			
								-25453		19931213			
7 N	9405561		A	19950328				-25455 -5561	Α	19931213			
<b>ച</b> റ	7403301		A	19950326				-16219	Δ	19940727 19930805			
								-16220		19930805			
US	5728833		A	19980317				-286142		19940804			
								-16219		19930805			
								-16220 -25453		19930805 19931213			
								-25455		19931213			
US	5914423		A	19990622				-976559		19971124			
						GB	1993	-16219	A	19930805			
								-16220		19930805			
								-25453 -25455		19931213 19931213			
						σĐ	エフフろ	- <u>4</u> 3433	A	17731613			

US 1994-286142 A319940804

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OS CASREACT 123:55860; MARPAT 123:55860
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AB The title compds. XSCH2CH2CH:CF2 [X = (un)substituted 5- or 6-membered heterocyclyl] [e.g., 2-(4,4-difluorobut-3-enylthio)-5-methylbenzoxazole; oil], useful as nematicides (no data), are prepd. in high yield by the condensation of XSH with CF2:CHCH2CH2L [L = Cl, Br, OSO2Ra; Ra = is 4-chloroalkyl, Ph (un)substituted by 4-chloroalkyl].

L7 ANSWER 156 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1995:638596 CAPLUS

DN 123:286084

- TI Dibenzocycloheptenylidenepiperidine, dibenzocycloheptenylpiperazine, and heterocyclic analogs as PAF antagonists and antihistaminics
- IN Wong, Jesse K.; Piwinski, John J.; Green, Michael J.
- PA USA
- SO U.S., 29 pp. Cont.-in-part of U.S. Ser. No. 595,329,abandoned. CODEN: USXXAM
- DT Patent
- LA English

FAN.CNT 2

	PAT	CENT :	NO.		KI	ND :	DATE			A.	PPLI	CATI	ON NO	o. :	DATE			
				<b></b>				<del>-</del>		-								
ΡI	US	5416	087		Α		1995	0516		U.	S 19	93-3	9072		1993	0407		
										U	S 19	90-5	9532	9	1990	1010		
										W	O 19:	91-U	S717	)	1991	1008		
	WO	9206	970		A	1	1992	0430		M	0 19:	91 <b>-</b> U	S717	)	1991	1008		
		W:	AU,	BB,	BG,	BR,	CA,	CS,	FI,	HU,	JP,	KP,	KR,	LK,	MC,	MG,	MW,	NO,
			PL,	RO,	SD,	SU,	US											
		RW:	ΑT,	BE,	BF,	ВJ,	CF,	CG,	CH,	CI,	CM,	DE,	DK,	ES,	FR,	GΑ,	GB,	GN,
							MR,											

US 1990-595329 19901010

## PATENT FAMILY INFORMATION:

FAN 1992:511647

	PAT	CENT :	NO.		KII	ND	DATE			Al	PLI	CATIO	ои ис	Ο.	DATE			
PI	WO	9206																
		w:			SD,		CA, US	CS,	F1,	HU,	JP,	KP,	KR,	LK,	MC,	MG,	MW,	NO,
		RW:					CF, MR,						DK,	ES,	FR,	GA,	GB,	GN,
			·	•	•	•	•	•	•	•		90-59	95329	9	1990	1010		
	CA	2093	646		A	Ą	1992	0411				91-20		_	1991			
	AU	9188	540		A:	L	1992	0520				90-59 91-88			1990: 1991:			
												90-59 91-11			1990: 1991:			
	ΕP	5522	45		A.	l.	1993	0728										
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE		
										US	5 19	90-59	95329	€	1990	1010		
												91-US			1991	1008		
	JP	0550	6249		T	2	1993	0916				91-5			1991	1008		
												90-59			1990	1010		
												91-US			1991			
	US	5416	087		Α		1995	0516		US	3 199	93-39	9072		1993	0407		

OS MARPAT 123:286084

GI

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US 1990-595329 19901010 WO 1991-US7170 19911008 \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Bis-benzo cyclohepta piperidine, piperidylidene and piperazine compds. I [L = N or N+O-, Z = O or S, Y = [C(Ra)2]mX[C(Ra)2]n or II, m and n areAΒ integers 0, 1, 2, 3 such that m + n = 0 to 3; when m + n = 1, X = e.g., O, S(0)e where e = 0, 1, or 2; when m + n = 2, X = e.g., 0, S(0)e, e = 0-2; when m + n = 3, X = a direct bond; when m + n = 0, X can be any substituent for m + n = 1 and also a direct bond, cyclopropylene, propenylene; each Ra may be the same or different and each independently represents, e.g., H, C1-6-alkyl; the dotted line between the indicated carbon atoms 5 and 6 represents an optional double bond, such that when a double bond is present, A and B each independently represent R11, OR13, halo or OC(0)R11, and when no double bond is present between carbon atoms 5 and 6, A and B each independently represent H2; (OR13)2; (alkyl and H);  $(alkyl)_2$ ; [H and OC(0)R11], (H and OR11); :0 or :NOR14; R1, R2, R3, R4 = e.g., H, halo, CF3; R5, R6 = e.g., H, alkyl, aryl; R7, R8, R9 = e.g., H, halo, CF3; R11 = H, alkyl, aryl; R13 = alkyl, aryl; R14 = H, alkyl; T = CH, C, or N with the dotted line attached to T representing a double bond when T is C and being absent when T is CH or N] and pharmaceutically acceptable salts thereof are disclosed, which possess anti-allergic and/or anti-inflammatory activity. Methods for prepg. and using the compds. are also described. Thus, e.g., coupling of 4-(10,11-dihydro-5Hdibenzo[a,d]cyclohepten-5-ylidene)piperidine (III, prepn. given) with isonicotinic acid N-oxide afforded the pyridinylcarbonyl N-oxide deriv. IV which demonstrated in vitro PAF antagonism IC50 = 1.2 .mu.M, and in vivo inhibition of PAF-induced bronchospasm in quinea pigs of 82% at 3 mg/kg. Pharmaceutical formulations were given.

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L7 ANSWER 157 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1995:518988 CAPLUS

DN 122:265397

TI Preparation of (2-fluoroethyl)thio-substituted pyrimidine agrochemical nematicides

IN Fitzjohn, Steven; Robinson, Michael Peter

PA Zeneca Ltd., UK

SO Brit. UK Pat. Appl., 21 pp. CODEN: BAXXDU

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	GB 2281295	A1	19950301	GB 1993-17761	19930826
				GB 1993-17761	19930826

OS MARPAT 122:265397

GΙ

$$R^2$$
 $R^3$ 
 $R^4$ 
 $R^4$ 

10009276.3

Page 316

$$R^2$$
 $R^3$ 
 $R^4$ 
 $R^4$ 
 $R^4$ 

The title compds. [I; R1-R4 = H, alkyl, alkenyl, alkynyl, cycloalkyl, halogen, CN, (un) substituted NH2, (un) substituted aminocarbonyl, (un) substituted Ph or PhCH2, etc.; provided that .gtoreq.1 of R1-R4 = S(0)nCH2CH2F; n = 0-2], useful as agrochem. nematicides, are prepd. by the condensation of a mercaptopyrimidine with BrCH2CH2F. Thus, I (R1 = R4 = SCH2CH2F, R2 = R3 = H) was prepd. and demonstrated a 99% root knot redn. in Meloidogyne incognita-infected cucumber plants at 40 ppm.

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L7
     ANSWER 158 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
AN
    1995:508058 CAPLUS
DN
     122:265017
ΤI
     Bridged biphenyl carbapenem antibacterial compounds
IN
    Dininno, Frank P.
PA
    Merck and Co., Inc., USA
     PCT Int. Appl., 113 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
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PATENT NO. KIND DATE APPLICATION NO. DATE --------------WO 9503700 A1 19950209 PΙ WO 1994-US8632 19940727 W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KE, KG, KR, KZ, LK, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG US 1993-101141 19930802 US 5401735 A 19950328 US 1993-101141 19930802 AU 9474093 A1 19950228 AU 1994-74093 19940727 US 1993-101141 19930802 WO 1994-US8632 19940727

OS MARPAT 122:265017

GΙ

Me 
$$_{O}^{OH}$$
  $_{N}^{H}$   $_{CO_{2}}^{H}$   $_{CH_{2}}^{+}$   $_{N}^{NMe}$ 

AB Carbapenems I [R = H, neg. charge, ester group, cation; R1, R2 = H, (un)substituted alkyl; R3R4 = (un)substituted alkylene; R5 = H, substituent; R6 = (un)substituted Ph] were prepd. as bactericides. Thus, the condensed carbapenem II was obtained from the acetoxyazetidinone and protected hydroxymethylphenyltetralone in 6 steps. II had 20 times the bactericidal activity of imipenem.

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L7 ANSWER 159 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1995:416192 CAPLUS

DN 122:187249

TI Preparation of 2-phenanthridinylcarbapenems as antibacterial agents

IN Dininno, Frank P.; Greenlee, Mark L.; Rano, Thomas A.; Lee, Wendy

PA Merck and Co., Inc., USA

SO PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND DATE	APPLICATION NO.	DATE			
PI	W: AU, BB,	A1 19940804 BG, BR, BY, CA, CN,	CZ, FI, HU, JP, KR,				
	RW: AT, BE,	NO, NZ, PL, RO, RU, CH, DE, DK, ES, FR, CF, CG, CI, CM, GA,	GB, GR, IE, IT, LU, GN, ML, MR, NE, SN,	TD, TG			
	US 5336674	A 19940809	US 1993-9626				
	CA 2154276		CA 1994-2154276	19940103			
	AU 9459902	A1 19940815	US 1993-9626	19940103 19930127			
		A1 19951122		19940103			
	K. AI, DD,	CH, DE, DK, ES, FR,	US 1993-9626 WO 1994-US85	19930127			
	JP 08505874	T2 19960625	JP 1994-517039				

US 1993-9626 19930127 WO 1994-US85 19940103

OS MARPAT 122:187249

GΙ

$$R^{2}$$
  $R^{2}$   $R^{2}$   $R^{2}$   $R^{3}$   $R^{4}$   $R^{4}$   $R^{2}$   $R^{4}$   $R^{2}$   $R^{4}$   $R^{4}$   $R^{2}$   $R^{4}$   $R^{4}$   $R^{2}$   $R^{4}$   $R^{4$ 

AB Title compds. [I; M = H, alkali metal, neg. charge, etc.; .; R = H, Me; R1,R2 = H, Me, Et, CH2OH, MeCH(OH), etc.; .; Y = phenanthridinyl group Q; 1 of Ra = H and the others = H, CF3, halo, (un)substituted alkoxy; 1 of X,X1 = N+Rdm and the other = CRc; Rc = H, (un)substituted alkyl(oxy), NH2, etc.; .; Rd = H, NH2, O-, alkyl, etc.; .; m = 0 or 1] were prepd. as antibacterial agents (no data). Thus, oxopenamcarboxylate II [M = CH2C6H4(NO2)-4, R3R4 = O, R5 = H] was condensed with Me3SnQ CF3SO3- (Ra = H, X = N+Me, X1 = CH) and the product hydrogenolized to give II (M = neg. charge, R3 = Q, R4R5 = bond, Ra = H, X = N+Me, X1 = CH).

L7 ANSWER 160 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1994:689312 CAPLUS

DN 121:289312

TI Photochromic articles and method for their preparation

IN Daniele, Girelli; Luciana, Crisci; Pietro, Allegrini

PA Enichem Synthesis S.p.A., Italy

SO Belg., 45 pp. CODEN: BEXXAL

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	BE 1006104	A6	19940510	BE 1993-1095 IT 1992-MI2379	19931015

OS MARPAT 121:289312

AB Org. glass articles having a high refractive index contain org. photochromic compds. obtained by crosslinking of liq. compns. which can be polymd. via completely radical compds.: (a) of .gtoreq.1 of a urethane resin dild. in .gtoreq.1 reactive compd. of the acrylate and/or

methacrylate and/or styrene type, and (b) .gtoreq.1 photochromic substance chosen among spiroindolinooxazines, spiropyrans, and chromenes.

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L7 ANSWER 161 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1994:680369 CAPLUS

DN 121:280369

TI Bicyclooctane- and bicycloheptane-derivative gastrin and/or cholecystokinin receptor antagonists

IN Kalindjian, Sarkis Barret; Low, Caroline Minli Rachel; Pether, Michael John; Davies, Jonathan Michael Richar; Dunstone, David John; McDonald, Iain Mair

PA James Black Foundation Ltd., UK

SO PCT Int. Appl., 80 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PA	TENT I	NO.		KI	ND	DATE			AI	PLI	CATI	ои ис	Ο.	DATE			
ΡI	WO	9400	 421		 A	 1	1994	0106		 W(	19	93-G	 B1301	 1	1993	 0618		
			AT, KP,	AU,	BB, KZ,	BG, LK,	BR, LU,	BY,	CA,	CH,	CZ,	DE,	DK,	ES,	FI,	GB,		
		RW:	AT,	BE,	CH,	DE,	DK,			GN,	ML,	MR,	NE,	SN,	MC, TD,	TG	PT,	SE,
															1992 1992			
		2268	739		A.	1	1994	0119										
	AU	93434	489		A.	1	1994	0124		GE	3 19	92-1	3094		1993 1992 1992	0619		
										WC					1993			
		6550								E	19	93-9	13402	2	1993	0618		
	СP	6550! R:		ES,				0903										
					·	ŕ				GE	3 19	92-2	5549		1992 1992 1993	1221		
	US	56749	905		A		1997	1007		US GE GE	5 19: 3 19: 3 19:	94-3! 92-1: 92-2	5132( 3094 5549	)	1994: 1992: 1992:	1219 0619 1221		
~ ~																		

$$R^{1}$$
 $R^{2}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 

MARPAT 121:280369

AB The title compds. [I; A = (un)substituted fused naphtho, etc.; B = fused

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GΙ

benzo, etc.; R1 = H, Me, halogen; (un) substituted CO2H, tetrazolyl, etc.; R2 = R1, (un) substituted carbonyl deriv.; R3, R4 = H, halogen, NH2, NO2, CN, sulfamoyl, C1-3 alkyl, C1-3 alkoxy, (un) substituted CO2H, tetrazolyl; W = CO, sulfonyl, sulfinyl; X = W, COCH2; Y = R90, R9NR10; R9 = H, C1-15 hydrocarbyl; R10 = H, C1-3 alkyl, CO2Me, etc.; Z = OR11, (un) substituted QNH, etc.; R11 = H, C1-5 alkyl, (un) substituted Ph or PhCH2; Q = H, C1-5 hydrocarbyl, etc.], which are gastrin and/or cholecystokinin receptor antagonists, are prepd. Thus, naphthalene was subjected to cycloaddn. with maleic anhydride, and the endo isomer intermediate amidated with 1-adamantylmethylamine, producing endo-(.+-.)-cis-8-(1-adamantylmethylaminecarbonyl)-5,6-benzobicyclo[2.2.2]oct-2-ene-7-carboxylic acid (II). II demonstrated gastrin receptor pKB 5.9 and the cholecystokinin receptor pKi 5.6.

- L7 ANSWER 162 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1994:655510 CAPLUS
- DN 121:255510
- TI Preparation of [(pyrimidinyl)thiomethyl]cephalosporin inner salt antibiotics
- IN Kim, Won Sub; Lim, Jong Chan; Bang, Chan Sik; Yeo, Jae Hong; Kim, Yong Zu; Oh, Hun Seung; Son, Heui Sung; Kim, Mi Rry; Seo, Mie Kyeong; et al.
- PA Lucky Ltd., S. Korea
- SO Eur. Pat. Appl., 46 pp. CODEN: EPXXDW
- DT Patent
- LA English

FAN.CNT 1

	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
ΡI	EP 584797	A2 19940302	EP 1993-113515	19930824
	EP 584797	A3 19940608		
	R: AT, BE,	CH, DE, DK, ES, FR,	GB, GR, IE, IT, LI	, LU, MC, NL, PT, SE
			KR 1992-15176 A	19920824
	KR 9710069	B1 19970620	KR 1993-16370	19930823
			KR 1992-15176 A	19920824
	JP 06184162	A2 19940705	JP 1993-209405	19930824
			KR 1992-15176 A	19920824

OS MARPAT 121:255510

GΙ

AB The title compds. [I; Q = CH, N; R1 = H, C1-4 alkyl, C3-4 alkenyl, C3-4 alkynyl, etc.; R2 = C1-4 alkyl, carboxymethyl, hydroxyethyl, NH2; R3, R4 = H, C1-4 alkyl, (un) substituted NH2, PhCH2, etc.], which possess antibacterial activity against a broad spectrum of microbial pathogens, are prepd. Thus, para-methoxybenzyl 3-chloromethyl-7-[(Z)-2-(2-tert-butoxycarbonylprop-2-oxyimino)-2-[2-(triphenylmethyl)aminothiazo-4-

yl]acetamido]-3-cephem-4-carboxylate was reacted with 4,5,6-triaminol-1-methyl-2-pyrimidinethione, producing I [Q = CH, R1 = C(Me2)CO2H, R2 = Me, R3 = R4 = NH2] (II). II demonstrated a MIC against Staphylococcus aureus (ATCC 6538p) of 8 .mu.g/mL.

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L7 ANSWER 163 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1994:630759 CAPLUS

DN 121:230759

TI Thienopyridine derivatives and analogs useful as fibrinogen receptor antagonists

- IN Hartman, George D.; Halczenko, Wasyl; Prugh, John D.
- PA Merck and Co., Inc., USA
- SO U.S., 21 pp. CODEN: USXXAM
- DT Patent
- LA English

FAN.CNT 1

GΙ

FAN.	CNI I	MIND DAME	A DDI T CAMI ON MO	DAMD
		KIND DATE		DATE
ΡI	US 5334596	A 19940802 A1 19941124	US 1993-62510	
	W: AU, BB,	BG, BR, BY, CA, CN, NO, NZ, PL, RO, RU,	CZ, FI, HU, JP, KR,	KZ, LK, LV, MG,
		CH, DE, DK, ES, FR, CF, CG, CI, CM, GA,		TD, TG
	ΔΙΙ 9468221	A1 19941212		
		B2 19970904	AU 1994-00221	19940502
	110 001000	B2 19970904	US 1993-62510 A WO 1994-US4757 W	
	EP 698023	Al 19960228		
		B1 20000823	Et 1994-910013	19940302
		CH, DE, DK, ES, FR,	GR GR IE IT LI	III NI. PT SE
	, 22,	en, 22, 31, 12, 11,	US 1993-62510 A WO 1994-US4757 W	19930511
	JP 08509982	T2 19961022	JP 1994-525490	19940502
			US 1993-62510 A	19930511
			WO 1994-US4757 W	19940502
	AT 195737	E 20000915	AT 1994-916613	19940502
			US 1993-62510 A	19930511
			WO 1994-US4757 W	
	ES 2148329	T3 20001016	ES 1994-916613	19940502
			US 1993-62510 A	
os	MARPAT 121:2307	'59		

Title compds. are disclosed, namely I [X = various (un) substituted, AB acyclic and cyclic amino, amidino, and guanidino groups, or certain (un) substituted mono- or polycyclic arom. or nonarom. hetero- or carbocyclic groups; Y, A = (CH2) mCONR3 (CH2) n, (CH2) mNR3CO(CH2)n, (CH2) mNR3(CH2)n, (CH2) mCO(CH2)n, (CH2) mO(CH2)n, (CH2) mCR3 : CR4 (CH2) n, (CH2) m, etc. (m, n = 0-6); Z = (CH2) 1-5,  $(CH2) \, mCH : CH \, (CH2) \, n \, , \quad (CH2) \, mCO \, (CH2) \, n \, , \quad (CH2) \, mCH \, (OH) \, (CH2) \, n \, , \quad (CH2) \, mSO2 \, (CH2) \, n \, , \quad (CH2) \, mCH \, (OH) \, (CH2) \, n \, , \quad (CH2) \, mSO2 \, (CH2) \, n \, , \quad (CH2) \, mCH \, (OH) \, (CH2) \, n \, , \quad (CH2) \, mSO2 \, (CH2) \, n \, , \quad (CH2) \, mCH \, (OH) \, (CH2) \, n \, , \quad (CH2) \, mSO2 \, (CH2) \, n \, , \quad (CH2) \, mCH \, (OH) \, (CH2) \, n \, , \quad (CH2) \, mSO2 \, (CH2) \, n \, , \quad (CH2) \, mCH \, (OH) \, (CH2) \, n \, , \quad (CH2) \, mSO2 \, (CH2) \, n \, , \quad (CH2) \, mCH \, (OH) \,$ CR3:N, (CH2)mO(CH2)n, etc. (m, n = 0-6); D, E = C, N, O, S; B = 0CR5R6COR11, CR7R8CR9R10COR11; R3, R4 = H, (un)substituted alkyl, etc.; R5-R10 = H, F, OH, alkoxy, (un) substituted alkyl, etc.; R11 = OH, alkoxy, aralkoxy, etc., or L- or D-amino acid or their alkyl esters, joined via amide linkage]. I are useful for inhibiting fibrinogen binding and blood platelet aggregation, and for treating thrombus and embolus formation. For example, tetrahydrothienopyridine deriv. II underwent a sequence of N-alkylation with BOC-protected 2-(4-piperidinyl)ethyl iodide, oxidn. of the adjacent benzylic CH2 to carbonyl with KMnO4, lithiation of the available thiophene positions with BuLi, carboxylation with CO2, sepn. of the isomeric acids, amidation of one isomer with (S)-H2NCH2CH(NHSO2Bu)CO2Me.HCl, and basic and acidic deprotections. resultant title compd. III had IC50 of 0.008 .mu.M for inhibition of ADP-induced platelet aggregation in vitro. Seven other compds. I were prepd. and tested.

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L7 ANSWER 164 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1994:591489 CAPLUS

DN 121:191489

TI Thin-film organic electroluminescent element for flat display, etc.

IN Nishizaki, Koji; Takeuchi, Shigeki; Kinoshita, Akira; Shibata, Toyoko; Tamaki, Kyoshi

PA Konishiroku Photo Ind, Japan

SO Jpn. Kokai Tokkyo Koho, 143 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 05214334	A2	19930824	JP 1992-20031	19920205
				JP 1992-20031	19920205

OS MARPAT 121:191489

GI

AB The title element is made by forming .gtoreq.1 layer(s) contg. a compd. in which 1 or 2 condensed rings are formed in an org. compd. I and/or a compd. having .gtoreq.1 substituent(s) in the compd. in which 1 or 2 condensed rings are formed in an org. compd. I, an org. compd. II [R12, R13 = H, halo(sub)alkyl, (sub)heterocyclyl, etc.; Y = anhyd. ring residue -C(:0)-O-(0:)C-, etc.], an org. compd. III and/or a compd. in which the org. compd. III has .gtoreq.1 substituent(s), etc. The element shows strong light-emitting intensity and durability for practical use.

- L7 ANSWER 165 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1994:545201 CAPLUS
- DN 121:145201
- TI Photographic processing composition and processing method
- IN Inaba, Tadashi; Okada, Hisashi; Suzuki, Ryo Hisashi; Katsuoka, Yasuhiro; Seki, Hiroyuki
- PA Fuji Photo Film Co., Ltd., Japan
- SO Eur. Pat. Appl., 57 pp. CODEN: EPXXDW
- DT Patent
- LA English
- FAN CNT 1

T TITA .	CIVI	_								
	PAT	TENT 1	NO.		KIND	DATE	AP	PLICATIO	N NO.	DATE
ΡI	ΕP	5882	89		A2	19940323	EP	1993-11	4696	19930913
	ΕP	5882	89		A3	19940727				
	EΡ	5882	89		B1	19990804				
		R:	DE,	FR,	GB, N	L				
							JР	1992-24	7814	19920917
	JΡ	0609	5319		A2	19940408	JP	1992-24	7814	19920917
	JP	2886	748		B2	19990426				
	US	5338	649		Α	19940816	US	1993-12	0461	19930914
							JP	1992-24	7814	19920917

- OS MARPAT 121:145201
- AB A novel compn. for processing a silver halide photog. material is

provided, which comprises at least one metal chelate compd. composed of a chelate-forming compd. or salt thereof and a metal ion selected from the group consisting of Fe(III), Mn(III), Co(III), Rh(II), Rh(III), Au(II), Au(III), and Ce(IV), the chelate-forming compd. is represented by formula G1(L1)mCX(CO2M)(L2)nNHL3G2 wherein G1 and G2 each represents a carboxyl group, a phosphono group, a sulfo group, a hydroxyl group, a mercapto group, an aryl group, a heterocyclic group, an alkylthio group, an amidino group, a guanidino group, or a carbamoyl group; L1, L2, and L3 each represents a divalent aliph. group, a divalent arom. group, or a divalent connecting group formed by a combination of a divalent aliph. group and a divalent arom. group; m and n each represents an integer 0 or 1; X represents a hydrogen atom, an aliph. group or an arom. group; and  ${\tt M}$ represents a hydrogen atom or a cation. A process for processing an imagewise exposed silver halide photog. material is provided, which comprises developing in a developing soln. and processing in the above described processing compn. contq. a metal chelate compd. Moreover, a processing compn. having a bleaching capacity for bleaching a silver halide color photog. material is provided, contq. the above described metal chelate compd. as a bleaching agent. A process for processing an imagewise exposed silver halide color photog, material is also provided which comprises developing in a color developing soln. and processing in the above described processing compn. having a bleaching capacity and contg. the above described metal chelate compd. as a bleaching agent.

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L7 ANSWER 166 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
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- TI preparation of condensed heterocyclic derivatives as weedkillers
- IN Yokota, Sumio; Matsuzawa, Masafumi; Ohba, Nobuyuki; Nagata, Toshihiro; Tachikawa, Shigehiko
- PA Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.
- SO PCT Int. Appl., 134 pp. CODEN: PIXXD2

DE Dobast

DT Patent

LA Japanese

FAN.CNT 1

PΙ

PATENT NO.		APPLICATION NO.	DATE
WO 9401415		WO 1993-JP909	19930702
RW: AT, BE	, CH, DE, DK, ES,	FR, GB, GR, IE, IT, LU JP 1992-199054 A JP 1993-136808 A	19920703
JP 07025857	A2 19950127	JP 1993-187364 JP 1992-199054 A JP 1993-136808 A	19930630 19920703
	A1 19940131 B2 19950921	AU 1993-45131	
		JP 1992-199054 A JP 1993-136808 A	19930514
	Al 19940720 , DK, FR, GB, IT,	WO 1993-JP909 A EP 1993-914944 SE	
		JP 1992-199054 A JP 1993-136808 A WO 1993-JP909 W	19930514
BR 9305569	A 19951226	BR 1993-5569	

AN 1994:323604 CAPLUS

DN 120:323604

10009276.3 Page 325

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                                     WO 1993-JP909 W 19930702
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                    19980220
                                     RU 1994-19415
                                                      19930702
                                     JP 1992-199054 A 19920703
                                     JP 1993-136808 A 19930514
                                     WO 1993-JP909 W 19930702
CN 1095379
                      19941123
                 Α
                                     CN 1993-117053
                                                     19930831
                                     JP 1993-136808 A 19930514
US 5616537
                 Α
                      19970401
                                     US 1994-204199
                                                    19940301
                                     JP 1992-199054 A 19920703
                                     JP 1993-136808 A 19930514
                                     WO 1993-JP909 W 19930702
US 5770544
                Α
                      19980623
                                     US 1996-728531
                                                     19961009
                                     JP 1992-199054 A 19920703
                                     JP 1993-136808 A 19930514
                                     US 1994-204199 A319940301
MARPAT 120:323604
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- L7 ANSWER 167 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- ΑN 1994:271074 CAPLUS
- DN 120:271074
- Nuclease-stable and binding-competent oligomers and methods for their use ΤI
- IN Swaminathan, Sundaramoorthi; Jones, Robert J.; Matteucci, Mark; Munger, John; Pudlo, Jeff
- Gilead Sciences, Inc., USA PΑ
- SO PCT Int. Appl., 138 pp. CODEN: PIXXD2
- DΤ Patent
- LΑ English
- FAN.CNT 1

	DAMENIC NO	VIND DAME		
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
PI	WO 9312135	A1 19930624	WO 1002 UC10702	10001011
r <b>.</b>	W: AU, CA,		WO 1992-US10793	19921211
	RW: AT, BE,	CH, DE, DK, ES, FR,	GB, GR, IE, IT, LU	, MC, NL, PT, SE
			US 1991-806710	19911212
	AU 9332500	A1 19930719	AU 1993-32500	19921211
			US 1991-806710	19911212
			WO 1992-US10793	19921211
	EP 616612	A1 19940928	EP 1993-900169	19921211
	R: AT, BE,	CH, DE, DK, ES, FR,	GB, GR, IE, IT, LI	, LU, MC, NL, PT, SE
			US 1991-806710	19911212
			WO 1992-US10793	19921211
	US 5792608	A 19980811	US 1995-417632	19950406
			US 1991-806710	19911212

OS MARPAT 120:271074

GΙ

US 1992-990848 19921211

OS

GI For diagram(s), see printed CA Issue.

AΒ Condensed heterocyclic derivs. [I; R = OH, ester residue; R3, R4 = alkoxy; W = O, NH; ring A = 5- or 6-membered heterocycle residue], effective weedkillers against gramineous and nongramineous weeds but safe to crops, are prepd. Oxidn. of aldehyde deriv. II (R1 = CHO) with KMnO4 in acetone at room temp. gave 76% acid II (R1 = CO2H), which killed >90% barntard grass, Monochoria vaginalis, and Scirpus juncoides at 100 q/10 are.

Oligonucleotide analogs coupled through a substitute linkage contg. a 6-AΒ or 7-membered ring or a C1-C3 chain were prepd. for use in diagnosis and therapy of diseases assocd. with gene expression (no data). Thus, the dimers I (B = thymidine, N-benzoyl-5-methylcytidine) were prepd. from the protected nucleosides via oxidn. to the aldehydes, Wittig reaction with HCOCH: PPh3, redn. of the double bond, and reaction of the satd. aldehyde with 5'-phenoxyacetylthymidine.

L7ANSWER 168 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1994:217719 CAPLUS

DN 120:217719

Preparation of nitrogen-containing heterocyclic compounds ΤI

IN Watabe, Yoshihisa; Kondo, Teruyuki; Akazome, Motohiro

Nissan Chemical Ind Ltd, Japan PΑ

SO Jpn. Kokai Tokkyo Koho, 12 pp.

CODEN: JKXXAF

ĎΤ Patent

LΑ Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	ΑP	PLICATION NO.	DATE
ΡI	JP 05239036	A2	19930917	JP	1992-41028	19920227
				JΡ	1992-41028	19920227
OS	CASREACT 120:217	719; M	ARPAT 120:21771	9		

OS

GI

AB The title derivs. I [X1 - X4 = H, OH, CHO, COOH, halo, C2-8 acyl, (un) substituted Ph, carbonyl, amino, alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylsulfonyloxy, alkenyl, alkynyl, phenoxy, phenylthio, phenylsulfonyl; .gtoreq.2 of neighboring X1 to X4 may be combined with C, O, or N to form 5- or 6-membered cyclyl; Y1, Y2 = O, S, CO, NR1, CR2R3; Z = H, (un) substituted Ph, amino, alkyl, alkoxy, alkylthio, alkenyl, alkynyl, phenoxy, phenylthio, phenylsulfonyl; R1 - R3 = H, (un) substituted amino, alkyl, alkoxy; R1 and R2 or R3 and Z may be combined with C, O, or N to form 5-8 membered cyclyl; n = 0, 1] are prepd. by cyclization of nitrobenzenes II with CO in presence of groups VIIB and/or VIII catalysts. Autoclaving a mixt. of N-(2-nitrobenzoyl)-2-azacycloheptanone, Ru3(CO)12, and 1,4-dioxane at 140.degree. and 40 atm CO for 16 h gave 82% azacycloheptano[2,1-b]-4(3H)-quinazolinone.

L7 ANSWER 169 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1994:191707 CAPLUS

DN 120:191707

TI 2-Substituted saccharin derivative proteolytic enzyme inhibitors

IN Hlasta, Dennis John; Desai, Ranjit Chimanlal; Subramanyam, Chakrapani; Lodge, Eric Piatt; Dunlap, Richard Paul; Boaz, Neil Warren; Mura, Albert Joseph; Latimer, Lee Hamilton

PA Sterling Winthrop Inc., USA

SO Eur. Pat. Appl., 77 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN. CNT 7

FAN.		·				
	PA.	TENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	EΡ				EP 1992-203469	
		R: AT, BE,	CH, DE	, DK, ES, FR,	GB, GR, IE, IT, LI,	LU, MC, NL, PT, SE
					US 1991-793033 A	
	US	5236917	Α	19930817	US 1991-793033	19911115
					US 1989-347125 B2	19890504
					US 1989-347126 B2	19890504
					US 1990-514920 B2	19900426
	ΑU	9225340	A1	19930520	AU 1992-25340	19920925
	AU	654581	B2	19941110		
					US 1991-793033 A	19911115
	CA	2079822	AA	19930516	CA 1992-2079822	19921005
					US 1991-793033 A	19911115
	NO	9204401	Α	19930518	NO 1992-4401	19921113
					US 1991-793033 A	
	HU	66873	A2	19950130	HU 1992-3566	19921113
					US 1991-793033 A	· - <del>-</del>
	ΙL	103748	A1	19970218	IL 1992-103748	<del>-</del>
			_		US 1991-793033 A	<del>-</del>
	RU	2101281	C1	19980110	RU 1992-4381	<del>-</del>

						_	
	JР	05194444		A2	19930803		US 1991-793033 A 19911115 JP 1992-305295 19921116 US 1991-793033 A 19911115
	US	5371074		A	19941206		US 1993-67637 19930524 US 1989-347125 B219890504 US 1989-347126 B219890504 US 1990-514920 B219900426
	US	5650422		A	19970722		US 1991-793033 A319911115 US 1994-270964 19940705 US 1989-347125 B219890504 US 1989-347126 B219890504 US 1990-514920 B219900426 US 1991-793033 A319911115
	US	5596012		A	19970121		US 1993-67637 A319930524 US 1995-449152 19950524 US 1989-347125 B219890504 US 1989-347126 B219890504 US 1990-514920 B219900426 US 1991-793033 A319911115 US 1993-67637 A319930524
	US	5874432		A	19990223		US 1994-270964 B319940705 US 1997-803297 19970220 US 1989-347125 B219890504 US 1989-347126 B219890504 US 1990-514920 B219900426 US 1991-793033 A319911115 US 1993-67637 A319930524 US 1994-270964 A319940705
PATE	NT E	FAMILY IN	FORMAT	'ION:			05 1994-270904 A319940705
FAN	199	91:228897		_			
	PAT	TENT NO.		KIND	DATE		APPLICATION NO. DATE
ΡΙ		TENT NO.  9013549 W: AU,	 FI, J	A1 P, KR,	19901115 NO		WO 1990-US2434 19900501
ΡΙ		TENT NO.  9013549 W: AU,	 FI, J	A1 P, KR,	19901115 NO		WO 1990-US2434 19900501 GB, IT, LU, NL, SE
PI		TENT NO.  9013549 W: AU,	 FI, J	A1 P, KR,	19901115 NO		WO 1990-US2434 19900501  GB, IT, LU, NL, SE US 1989-347125 A 19890504
PI	WO	TENT NO.  9013549 W: AU,	 FI, J	A1 P, KR,	19901115 NO		WO 1990-US2434 19900501 GB, IT, LU, NL, SE
PI	WO CA	9013549 W: AU, RW: AT,	 FI, J	A1 P, KR, H, DE,	19901115 NO DK, ES,		WO 1990-US2434 19900501  GB, IT, LU, NL, SE  US 1989-347125 A 19890504  US 1989-347126 A 19890504  CA 1989-611223 19890913  US 1989-347125 A 19890504
ΡΙ	WO CA	FENT NO. 9013549 W: AU, RW: AT,	 FI, J	A1 IP, KR, IH, DE,	19901115 NO DK, ES,		WO 1990-US2434 19900501  GB, IT, LU, NL, SE  US 1989-347125 A 19890504  US 1989-347126 A 19890504  CA 1989-611223 19890913  US 1989-347125 A 19890504  CA 1989-611220 19890913
ΡΙ	WO CA CA AU	9013549 W: AU, RW: AT, 1336960 1340252	 FI, J	A1 TP, KR, TH, DE, A1 A1 A1	19901115 NO DK, ES, 19950912 19981215	FR,	WO 1990-US2434 19900501  GB, IT, LU, NL, SE  US 1989-347125 A 19890504  US 1989-347126 A 19890504  CA 1989-611223 19890913  US 1989-347125 A 19890504
PI	WO CA CA AU	9013549 W: AU, RW: AT, 1336960	 FI, J	A1 TP, KR, TH, DE, A1 A1	19901115 NO DK, ES, 19950912	FR,	WO 1990-US2434 19900501  GB, IT, LU, NL, SE     US 1989-347125 A 19890504     US 1989-347126 A 19890504     CA 1989-611223 19890913     US 1989-347125 A 19890504     CA 1989-611220 19890913     US 1989-347126 A 19890504     AU 1990-56649 19900501  US 1989-347125 A 19890504     US 1989-347126 A 19890504
PI	CA CA AU AU	TENT NO.  9013549 W: AU, RW: AT,  1336960  1340252  9056649 637614  471756 471756	FI, J BE, C	A1 (P, KR, CH, DE, A1 A1 A1 A1 B2	19901115 NO DK, ES, 19950912 19981215 19901129 19930603	FR,	WO 1990-US2434 19900501  GB, IT, LU, NL, SE     US 1989-347125 A 19890504     US 1989-347126 A 19890504     CA 1989-611223 19890913     US 1989-347125 A 19890504     CA 1989-611220 19890913     US 1989-347126 A 19890504     AU 1990-56649 19900501  US 1989-347125 A 19890504     US 1989-347126 A 19890504     US 1989-347126 A 19890504     US 1989-347126 A 19890504     WO 1990-US2434 A 19900501     EP 1990-907695 19900501
PI	CA CA AU AU	TENT NO.  9013549 W: AU, RW: AT,  1336960  1340252  9056649 637614  471756 471756	FI, J BE, C	A1 (P, KR, CH, DE, A1 A1 A1 A1 B2	19901115 NO DK, ES, 19950912 19981215 19901129 19930603	FR,	WO 1990-US2434 19900501  GB, IT, LU, NL, SE     US 1989-347125 A 19890504     US 1989-347126 A 19890504     CA 1989-611223 19890913     US 1989-347125 A 19890504     CA 1989-611220 19890913     US 1989-347126 A 19890504     AU 1990-56649 19900501  US 1989-347125 A 19890504     US 1989-347126 A 19890504     US 1989-347126 A 19890504     WO 1990-US2434 A 19900501
PI	CA CA AU AU	TENT NO.  9013549 W: AU, RW: AT,  1336960  1340252  9056649 637614  471756 471756	FI, J BE, C	A1 (P, KR, CH, DE, A1 A1 A1 A1 B2	19901115 NO DK, ES, 19950912 19981215 19901129 19930603	FR,	WO 1990-US2434 19900501  GB, IT, LU, NL, SE     US 1989-347125 A 19890504     US 1989-347126 A 19890504     CA 1989-611223 19890913     US 1989-347125 A 19890504     CA 1989-611220 19890913     US 1989-347126 A 19890504     AU 1990-56649 19900501  US 1989-347125 A 19890504     US 1989-347126 A 19890504     WO 1990-US2434 A 19900501     EP 1990-907695 19900501  GB, IT, LI, LU, NL, SE     US 1989-347125 A 19890504     US 1989-347125 A 19890504     US 1989-347125 A 19890504

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ES 2110414	Т3	19980216	ES 1990-907695 19900501
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TT 04270	7.7	10050330	US 1989-347126 A 19890504
IL 94278	A1	19950330	IL 1990-94278 19900603
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DD 297644	A5	19920116	DD 1990-343934 19900910
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NO 9104217	Α	19911028	NO 1991-4217 19911028
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US 5371074	A	19941206	US 1993-67637 19930524
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US 5650422	A	19970722	US 1994-270964 19940705
			US 1989-347125 B219890504
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US 5464852	A	19951107	US 1994-289113 19940811
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			US 1990-608068 B219901101
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F1 9404967	A	19941021	FI 1994-4967 19941021
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			WO 1990-US2434 W 19900501
US 5578623	A	19961126	FI 1991-5093 A 19911029 US 1995-445240 19950519
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			US 1994-289113 A319940811
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			US 1989-347125 B219890504
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	FI 9600488	A	19960202	US 1993-67637 A319930524 US 1994-270964 B319940705 FI 1996-488 19960202 US 1989-347125 A 19890504 US 1989-347126 A 19890504 WO 1990-US2434 W 19900501
	FI 9600489	A	19960202	US 1989-347125 A 19890504 US 1989-347126 A 19890504 WO 1990-US2434 W 19900501
	US 5773456	A	19980630	FI 1994-4967 A 19941021 US 1996-719216 19960925 US 1989-347125 B219890504 US 1989-347126 B219890504 US 1990-514920 B219900426 US 1990-514920 YY19900426 US 1990-608068 B219901101 US 1991-782016 A219911024 US 1991-793035 B119911115 US 1993-113508 A319930827 US 1994-289113 A319940811 US 1995-445240 A319950519
	US 5874432	A	19990223	US 1995-445240 A319950519 US 1997-803297 19970220 US 1989-347125 B219890504 US 1989-347126 B219890504 US 1990-514920 B219900426 US 1991-793033 A319911115 US 1993-67637 A319930524 US 1994-270964 A319940705
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FAN	1992:469858 PATENT NO.	KIND	DATE	APPLICATION NO. DATE
FAN PI	PATENT NO. 	 A1	19920506	APPLICATION NO. DATE EP 1991-202809 19911030
	PATENT NO. 	 A1	19920506	APPLICATION NO. DATE  EP 1991-202809 19911030 FR, GB, GR, IT, LI, LU, NL, SE
	PATENT NO. 	 A1	19920506	APPLICATION NO. DATE  EP 1991-202809 19911030  FR, GB, GR, IT, LI, LU, NL, SE  US 1990-608068 A 19901101  AU 1991-86083 19911024
	PATENT NO	A1 CH, DE	19920506 , DK, ES,	APPLICATION NO. DATE  EP 1991-202809 19911030  FR, GB, GR, IT, LI, LU, NL, SE US 1990-608068 A 19901101
	PATENT NO	A1 CH, DE A1 B2 A1	19920506 , DK, ES, 19920507 19931021 20000125	APPLICATION NO. DATE  EP 1991-202809 19911030  FR, GB, GR, IT, LI, LU, NL, SE  US 1990-608068 A 19901101  AU 1991-86083 19911024  US 1990-608068 A 19901101  SG 1996-7579 19911030  US 1990-608068 A 19901101
	PATENT NO. EP 483928 R: AT, BE AU 9186083 AU 642537 SG 69977 CA 2054653	A1 CH, DE A1 B2 A1 AA	19920506 , DK, ES, 19920507 19931021 20000125 19920502	APPLICATION NO. DATE  EP 1991-202809 19911030  FR, GB, GR, IT, LI, LU, NL, SE  US 1990-608068 A 19901101  AU 1991-86083 19911024  US 1990-608068 A 19901101  SG 1996-7579 19911030  US 1990-608068 A 19901101  CA 1991-2054653 19911031  US 1990-608068 A 19901101
	PATENT NO	A1 CH, DE A1 B2 A1	19920506 , DK, ES, 19920507 19931021 20000125	APPLICATION NO. DATE  EP 1991-202809 19911030  FR, GB, GR, IT, LI, LU, NL, SE US 1990-608068 A 19901101 AU 1991-86083 19911024  US 1990-608068 A 19901101 SG 1996-7579 19911030 US 1990-608068 A 19901101 CA 1991-2054653 19911031 US 1990-608068 A 19901101 HU 1991-3430 19911031
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OS MARPAT 120:191707

GI

$$\mathbb{R}^{3} \stackrel{\text{O}}{\underset{\text{O}}{\text{N}}} (CH = CH)_{\text{m}} C(\mathbb{R}^{2}) HL_{\text{m}} \mathbb{R}^{1}$$

AΒ The title compds. I [L = 0, S, S0, S02; R1 = (un) substituted Ph, (un) substituted heterocyclyl, etc.; R2 = H, lower alkoxycarbonyl, Ph, PhS; R3 = H, halogen, (un) substituted alkyl, Ph, lower alkoxy, lower alkoxycarbonyl, CN, etc.; R4 = H or 1-3 substituents selected from halogen, CN, NO2, NH2, etc.; m, n = 0, 1; when m = 0 then R1 can only be heterocyclyl and CHR2 can only be bonded to a ring N of R1; when m = 0, n = 1 and L is O, S, or SO, then R2-R4 = H; when m = 0, n = 1, L is S, R2, R4 = H and R3 = halogen; when m = 0, n = 1, and L is SO or SO2 then R2 is lower alkoxycarbonyl and R3 = R4 = H while R1 .noteq. substituted Ph], useful for the treatment of degenerative diseases (no data), are prepd. Thus, 2-hydroxymethyl-4-chlorosaccharin was reacted with thionyl chloride, producing 2-chloromethyl-4-chlorosaccharin (II). II demonstrated inhibition const. for human leukocyte elastase (rate of reactivation of enzyme to rate of inactivation of enzyme) of 0.5 nM and 26 nM for .alpha.-chymotrypsin.

Ι

L7 ANSWER 170 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1994:134530 CAPLUS

DN 120:134530

TI Preparation of (imidazolyl- and imidazolylalkyl)indole derivatives as inhibitors of thromboxane A2 synthesis and histamine

IN Matsui, Hiroshi; Kamiya, Shoji; Shirahase, Hiroaki; Nakamura, Shohei

PA Kyoto Pharmaceutical Industries, Ltd., Japan

SO PCT Int. Appl., 73 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

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	CA 2107731	AA 19931014	CA 1993-2109931	19930326
			JP 1992-102071	19920327
	AU 9337680	A1 19931108	AU 1993-37680	19930326
	AU 658729	B2 19950427		
			TD 1000 100071	1000000
			JP 1992-102071	19920327
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19931126

OS MARPAT 120:134530 GΙ

AB The title compds. (I; R1 = H, aryl; R2 = H, halo, lower alkyl or alkoxy; R3 = H, lower alkyl; A = bond, CO, CH2CO, CONH, COCH2O, alkyleneoxy; B = bond, O, alkylene, alkyleneoxy; X = Y = N or one of X and Y = N and the other = CH; Z = H, CO2H or its ester; m, n = 0-4), also having vasodilating and blood platelet aggregation-inhibiting activity and inhibiting histamine- and leukotriene-induced contraction of a respiratory tract and useful for prevention and/or treatment of diseases induced by thromboxane A2 or histamine, e.g. asthma and allergy, are prepd. Thus, alkylation of 2-ethoxycarbonyl-5-(1H-imidazol-ylmethyl)-1H-indole by Br(CH2)3Cl in the presence of NaH in DMF and condensation of the resulting 1-(3-chloropropyl)indole deriv. with 1-diphenylmethylpiperazine in the presence of K2CO3 and NaI in DMF at 80.degree. gave, after sapon. with NaOH in 95% aq. EtOH and acidification with 3 N aq. HCl, an (imidazolylpropyl)indoline deriv. (II). II at 10-5 M in vitro inhibited 100% the histamine-induced contraction of guinea pig's lungs and at 30 mg/kg p.o. in vivo inhibited the histamine- and leukotriene D4-induced contraction of respiratory tract by 100 and 75%, resp.

- ANSWER 171 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN **Ъ**7
- AN 1994:107001 CAPLUS
- DN 120:107001
- ΤI Heterocyclic and aromatic amidine derivatives and salts thereof
- ΙN Nagahara, Takayasu; Kanaya, Naoaki; Inamura, Kazue; Yokoyama, Yukio
- PA Daiichi Pharmaceutical Co., Ltd., Japan
- SO Eur. Pat. Appl., 94 pp.

CODEN: EPXXDW

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	SG 7825	1	A1	20010220	JP 1991-286088 A 19911031 SG 1996-6031 19921030	
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	BG 6323	7	B2	20010629	JP 1991-286088 A 19911031 BG 1994-98594 19940225	
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CN 1097052	В	20021225	
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CN 1168886	Α	19971231	CN 1997-110748 19970416
CN 1062865	В	20010307	
			JP 1991-286088 A 19911031
US 5866577	Α	19990202	US 1997-924504 19970905
			JP 1991-286088 A 19911031
			US 1992-969369 B119921030
			US 1994-282571 B319940729
			US 1995-469593 A119950606
US 5962695	Α	19991005	US 1998-131235 19980807
			JP 1991-286088 A 19911031
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			US 1994-282571 B319940729
			US 1995-469593 B119950606
			US 1997-924504 A319970905

- OS MARPAT 120:107001
- GI For diagram(s), see printed CA Issue.
- AB The title compds. I (where the benzeno-Z ring is indolyl, benzimidazolyl, naphthyl, etc.; R = HN:CNH2; R1 = H, alkoxy; R2 = H, alkyl, alkoxy, etc.; R3 = H, carboxyl, etc.; R4 = H, OH, alkyl, alkoxy; A = C1-4 alkylene; X = single bond, O, S, CO; n = 0-4; Y = heterocyclic or cyclic hydrocarbon moiety) useful as anticoagulant agents were prepd. by treating I (R = CN) with R5OH (R5 = alkyl) to give I (R = R5OC:NH) followed by treatment with NH3. Some of the prepd. compds. showed strong anticoagulant activity through their specific anti-FXa activity in comparison with DABE.
- L7 ANSWER 172 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1993:673400 CAPLUS
- DN 119:273400
- TI Continuous reaction of halopyrimidines with amines
- IN Arnold, Siegbert; Frosch, Hans Georg; Hoppe, Manfred; Muellers, Wolfgang; Sommer, Richard
- PA Bayer A.-G., Germany
- SO Eur. Pat. Appl., 26 pp. CODEN: EPXXDW
- DT Patent
- LA German
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
			<b>-</b>		
ΡI	EP 542079	A2	19930519	EP 1992-118736	19921102
	EP 542079	A3	19940817		
	EP 542079	В1	19970723		
	R: CH, DE,	FR, GB	, LI		
				DE 1991-4137291	19911113
	DE 4137291	A1	19930519	DE 1991-4137291	19911113
	JP 05222306	A2	19930831	JP 1992-321425	19921106
				DE 1991-4137291	19911113
	US 5420255	Α	19950530	US 1994-200865	19940222
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- OS MARPAT 119:273400
- AB Reactive dyes are obtained by continuous condensation of halopyrimidines with aq. amine solns. or dispersions using sep. feeding of the reactants, and removal of the product; the reactants are simultaneously added to the

Page 340 10009276.3

> reactor with intensive stirring, e.g., at Reynolds no. .gtoreq.2500. Thus, 9 kg/h 5-chloro-2,4,6-trifluoropyrimidine (I) at 20.degree. and 171 L/h aq. soln. at 40.degree. contg. 12.9 kg Na 7-amino-4-hydroxy-2naphthalenesulfonate and 2.1 kg NaF were introduced (with I pressure drop 35 bars) to a jet nozzle reactor and the product at 0.degree. was coupled with diazotized 2-amino-5-methoxybenzenesulfonic acid to give an azo dye. The dye provided clear scarlet shades on cotton.

- L7 ANSWER 173 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- 1993:671017 CAPLUS AN
- 119:271017 DN
- TIPreparation of pyridylaminocyclopentanecarboxamide having antihypertensive properties
- IN Fink, Cynthia A.; Spada, Alfred P.
- Rhone-Poulenc Rorer Pharmaceuticals Inc., USA PA
- SO U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 587,884. CODEN: USXXAM
- Patent DT
- English LΑ

FAN.	CNT 6								
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE					
ΡI	US 5217982		19930608						
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	CA 2092305	C	20030211	a. 1991 2092303 19910913					
	<b>4. 2</b> 0,2303	J		US 1990-587884 A 19900925					
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	ES 2095960	Т3	19970301	ES 1991-917927 19910925					
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	SG 80526	A1	20010522	SG 1996-3118 19910925					
				US 1990-587884 A 19900925					
PATENT FAMILY INFORMATION:									
FAN	1992:571963								
				APPLICATION NO. DATE					
PI	WO 9205177	A1	19920402	WO 1991-US6990 19910925					
	W: AU, CA,			FR, GB, GR, IT, LU, NL, SE					
	RW: AI, BE,	CH, DE	, DK, ES,	US 1990-587884 A219900925					
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	EP 550631	A1	19930714	EP 1991-917927 19910925					
	EP 550631								
	R: AT, BE,	CH, DE	, DK, ES,	FR, GB, GR, IT, LI, LU, NL, SE					
				US 1990-587884 A 19900925					
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	JP 05508864 JP 2505085	T2	19931209	JP 1991-516777 19910925					
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	AT 14/0/4	E	133/0112	AT 1991-91/92/ 19910925					

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FAN	1995:261298		US 1990-587884 A 19900925
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PI	US 5364862		US 1992-955783 19921002 US 1990-587884 B219900925
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	SG 80526	A1 20010522	US 1990-587884 A 19900925 SG 1996-3118 19910925
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			US 1990-587884 B219900925 US 1992-955783 A219921002
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			US 1994-316761 A219941003
FAN	1995:997439 PATENT NO.		APPLICATION NO. DATE
PI	WO 9528160	A1 19951026	WO 1995-US4800 19950419
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			T, RO, RU, SD, SE, SG, SI, SK, TJ, TT,
	RW: KE, MW,	NL, PT, SE, BF, BJ	E, CH, DE, DK, ES, FR, GB, GR, IE, IT, J, CF, CG, CI, CM, GA, GN, ML, MR, NE,
	SN, 1D,	16	US 1994-229882 A 19940419
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	AU 9522949	A1 19951110	US 1994-229882 B219940419 AU 1995-22949 19950419
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	R: AT, BE,	CH, DE, DK, ES, FF	R, GB, GR, IE, IT, LI, LU, NL, PT, SE US 1994-229882 A 19940419

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	RU :	21663	19		C2		20010	510		RU US US	199 199 199	6-12 4-22 4-31	1567 9882 6761	A A	19950 19950 19940 19941 19950	0419 0419 1003		
	NZ :	28435	7		A		20010	629		NZ US US	199 199 199	5-28 4-22 4-31	4357 9882 6761	A A	19950 19940 19940 19950	0419 0419 1003		
	PL	18294	2		В1		20020	)531		PL US US	199 199 199	95-31 94-22 94-31	.6961 29882 .6761	A A	19950 19940 19940 19950	0419 0419 1003		
	NO	96044	38		A		19963	1018		NO US US	199 199 199	)6-44 )4-22 )4-31	138 29882 16761	A		1018 0419 1003		
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FAN	PAT	6:616 ENT N	10.		KIN		DATE						ON NO		DATE			
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		RW:	KE, LU,	MW,	NL,		, UG, , SE,											
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					WO 1995-US4800 W 19950419	
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					US 1994-229882 A 19940419	
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EP	1006115	A2	20000607		EP 2000-103467 19950419	
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	R: AT, E	BE, CH, DE	, DK, ES,	FR,	GB, GR, IT, LI, LU, NL, SE, PT, IE	
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					EP 1995-916451 A319950419	
RU	2166319	C2	20010510		RU 1996-121567 19950419	
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					US 1994-316761 A 19941003	
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C7	289528	В6	20020213		CZ 1996-3032 19950419	
CZ	207520	Во	20020213		US 1994-229882 A 19940419	
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	CZ 290897	В6	20021113	CZ 2001-2885 20010808
				US 1994-229882 A 19940419
				US 1994-316761 A 19941003
				CZ 1996-3032 A319950419
FAN	1997:539257			
		KIND	DATE	APPLICATION NO. DATE
	PATENT NO.			
ΡΙ	PATENT NO.	KIND  A		US 1995-484811 19950607
ΡΙ	PATENT NO.			US 1995-484811 19950607 US 1990-587884 B219900925
ΡΙ	PATENT NO.			US 1995-484811 19950607 US 1990-587884 B219900925 US 1992-955783 A219921002
ΡΙ	PATENT NO.			US 1995-484811 19950607 US 1990-587884 B219900925 US 1992-955783 A219921002 US 1994-229882 B219940419
ΡΙ	PATENT NO. US 5652366	 А	19970729	US 1995-484811 19950607 US 1990-587884 B219900925 US 1992-955783 A219921002 US 1994-229882 B219940419 US 1994-316761 A219941003
ΡΙ	PATENT NO.			US 1995-484811 19950607 US 1990-587884 B219900925 US 1992-955783 A219921002 US 1994-229882 B219940419 US 1994-316761 A219941003 US 1992-955783 19921002
ΡΙ	PATENT NO. US 5652366 US 5364862	 А А	19970729 19941115	US 1995-484811 19950607 US 1990-587884 B219900925 US 1992-955783 A219921002 US 1994-229882 B219940419 US 1994-316761 A219941003 US 1992-955783 19921002 US 1990-587884 B219900925
ΡΙ	PATENT NO. US 5652366	 А	19970729	US 1995-484811 19950607 US 1990-587884 B219900925 US 1992-955783 A219921002 US 1994-229882 B219940419 US 1994-316761 A219941003 US 1992-955783 19921002 US 1990-587884 B219900925 US 1994-316761 19941003
ΡI	PATENT NO. US 5652366 US 5364862	 А А	19970729 19941115	US 1995-484811 19950607 US 1990-587884 B219900925 US 1992-955783 A219921002 US 1994-229882 B219940419 US 1994-316761 A219941003 US 1992-955783 19921002 US 1990-587884 B219900925 US 1994-316761 19941003 US 1990-587884 B219900925
PI	PATENT NO. US 5652366 US 5364862	A A	19970729 19941115	US 1995-484811 19950607 US 1990-587884 B219900925 US 1992-955783 A219921002 US 1994-229882 B219940419 US 1994-316761 A219941003 US 1992-955783 19921002 US 1990-587884 B219900925 US 1994-316761 19941003 US 1990-587884 B219900925 US 1992-955783 A219921002
PI	PATENT NO. US 5652366 US 5364862	A A	19970729 19941115	US 1995-484811 19950607 US 1990-587884 B219900925 US 1992-955783 A219921002 US 1994-229882 B219940419 US 1994-316761 A219941003 US 1992-955783 19921002 US 1990-587884 B219900925 US 1994-316761 19941003 US 1990-587884 B219900925

OS MARPAT 119:271017 GI

$$R^2$$
 $R^3$ 
 $R^3$ 
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 $R^3$ 
 $R^3$ 

Title compds. I (R1, R2, R3 = O2N, NC, HO2C, carboalkoxy, carboaryloxy, carboaralkoxy, carbamoyl, alkylcarbamoyl, halo, acyl, etc.; Y = O, S, RyN wherein Ry = H, alkyl; A, B = H, HO, alkoxy, aralkoxy, aryloxy, HS, alkylthio, etc., provided that A, B are not both H; Z = carbamoyl, alkylcarbamoyl, mercaptomethyl, NC, (mono- or dialkyl)amino, etc.) or a salt thereof, are prepd. 4-Amino-2-chloro-3-nitropyridine, 4.beta.-amino-2.alpha.,3.alpha.-dimethylmethylenedioxycyclopentane-1.beta.-N-ethylcarboxamide and Et3N were refluxed in MeNO2 to give 4.beta.-(4-amino-3-nitro-2-pyridyl)-2.alpha.,3.alpha.-dimethylmethylenedioxycyclopentane-1.beta.-N-ethylcarboxamide which was treated with HCO2H to give 4.beta.-(4-amino-3-nitro-2-pyridyl)amino-2.alpha.,3.alpha.-dihydroxycyclopentane-1.beta.-N-ethylcarboxamide (II). The ED25 in vivo mean arterial blood pressure in spontaneously hypertensive rate of II was 6.1 mg/kg.

L7 ANSWER 174 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1993:552116 CAPLUS

DN 119:152116

Use of renin inhibitors for the treatment of glaucoma ΤI

IN Tanaka, Yoko; Kagayama, Akira; Hata, Takehisa

Fujisawa Pharmaceutical Co., Ltd., Japan PΑ

PCT Int. Appl., 25 pp. SO

CODEN: PIXXD2

DTPatent

LΆ English

OS

GI

FAN.		_	KIND	DATE		APPLICATION NO.	DATE
PI	WO		A1 CA, HU, JP			WO 1992-JP1656	19921218
		RW: AT,	BE, CH, DE	, DK, ES, 1	FR,	GB, GR, IE, IT, LU, GB 1991-27041	
	ZA	9209738	A	19930617		ZA 1992-9738 GB 1991-27041	
	AU			19930728		AU 1993-31712	19921218
	AU	661748	B2	19950803			
						GB 1991-27041 WO 1992-JP1656	
	ΕP					EP 1993-900396	
		R: AT,	BE, CH, DE	, DK, ES, 1	FR,	GB, GR, IE, IT, LI, GB 1991-27041 WO 1992-JP1656	19911220
	JP	07506807	Т2	19950727		JP 1992-511545 GB 1991-27041 WO 1992-JP1656	19911220
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MARPAT 119:152116

The renin-inhibiting histidine derivs. I [R1 = (un)substituted alkyl or AB amino; R2, R3 = H, alkyl; NR1R2 = heterocyclyl; R4 = alkyl] or I salts are drugs for the treatment of glaucoma. Eye application of 0.2% 2(S) - [N.alpha. - [2(S) - [N-methyl-N-[2-[N-(morpholinocarbonyl)-N-[2-[N-(morpholinocarbonyl)]]]methylamino]ethyl]aminocarbonyloxy]-3-phenylpropionyl]-N.alpha.-methyl-Lhistidyl]amino-1-cyclohexyl-3(S)-hydroxy-6-methylheptane-HCl lower intraocular pressure in the rabbit.

L7 ANSWER 175 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

Ι

- AN 1993:495543 CAPLUS
- DN119:95543
- Preparation of annelated quinazoline derivatives as acetylcholinesterase ΤI

10009276.3 Page 346

inhibitors for treatment of cognitive deficiency Gregor, Vlad Edward IN Warner-Lambert Co., USA PA SO PCT Int. Appl., 137 pp. CODEN: PIXXD2 DT Patent LΑ English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_\_ -----\_\_\_\_\_ -----PΙ A1 19930218 WO 1992-US5864 19920722 WO 9303034 W: AU, CA, CS, FI, HU, JP, KR, NO, RU RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE US 1991-736888 19910729 US 1992-911662 19920716 CA 2113115 AA19930218 CA 1992-2113115 19920722 US 1991-736888 19910729 US 1992-911662 19920716 AU 9223978 19930302 AU 1992-23978 A1 19920722 AU 665207 B2 19951221 US 1991-736888 19910729 US 1992-911662 19920716 WO 1992-US5864 19920722 EP 597956 A1 19940525 EP 1992-916726 19920722 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE US 1991-736888 19910729 US 1992-911662 19920716 WO 1992-US5864 19920722 HU 66324 A2 19941128 HU 1994-258 19920722 US 1991-736888 19910729 US 1992-911662 19920716 CZ 1994-135 CZ 281628 В6 19961113 19920722 US 1991-736888 19910729 US 1992-911662 19920716 ZA 9205660 Α 19940128 ZA 1992-5660 19920728 US 1991-736888 19910729 FI 9400393 Α 19940311 FI 1994-393 19940126 US 1991-736888 19910729 US 1992-911662 19920716 WO 1992-US5864 19920722 NO 9400305 Α 19940328 NO 1994-305 19940128 US 1991-736888 19910729 US 1992-911662 19920716 WO 1992-US5864 19920722 US 5486512 Α 19960123 US 1994-214911 19940317 US 1991-736888 19910729 US 1992-911662 19920716 OS MARPAT 119:95543

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AΒ Title compds. I; A = null, Q1-Q3, etc.; m = 0-10; n = 1-10; M = O, S, NR, :CRR1, RR1; X = null, 1-4 of halo, alkyl, alkenyl, alkynyl, (unsatd.) cycloalkyl, heterocyclyl, (hetero)aryl; amino, NO2, alkylthio, perfluoroalkyl, perfluoroalkoxy, heteroarylcarbonyl, etc.; Y = H, OH, CO2H, alkoxy, alkyl, aryl, heteroaryl, keto, alkoxycarbonyl, alkanoyl, etc.; Z = H, halo, alkyl, alkenyl, alkynyl, (unsatd.) cycloalkyl, heterocyclyl, heteroaryl, SH, OH, CO2H, carboalkoxy, alkoxy, perfluoroalkyl, perfluoroalkoxy, etc.; R, Rl = H, OH, alkyl, alkenyl, alkynyl, OH, alkoxy, aryl, aryloxy, arylalkyl, heteroaryl, heteroarylalkyl; RR1 = atoms to form a 3-6 membered (heterocyclic) ring], were prepd. Thus, 4-chloroanthranilic acid was refluxed with 1-aza-2-methoxy-1-cycloheptene in C6H6 with azeotropic removal of H2O to give 76.7% 3-chloro-6,7,8,9-tetrahydroazepino[2,1-b]quinazolin-12(6H)-one. This was heated with Zn/HOAc/HCl to give 3-chloro-6,7,8,9,10,12hexahydroazepino[2,1-b]quinazoline. This inhibited human red blood cell acetylcholinesterase with IC50 = 500 nM.

- L7 ANSWER 176 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1993:482775 CAPLUS
- DN 119:82775
- TI Color photographic material for color proofing
- IN Inoe, Akyuki; Hirano, Shigeo; Hanaki, Koichi
- PA Fuji Photo Film Co., Ltd., Japan
- SO Jpn. Kokai Tokkyo Koho, 40 pp.
- CODEN: JKXXAF
- DT Patent
- LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
ΡI	JP 04299339	A2	19921022	JP 1991-87399	19910328	
				JP 1991-87399	19910328	
OS	MARPAT 119:82775	,				

GI

Patel

$$R_{m}^{4}$$
 $(L)_{p}$ 
 $R_{m}^{5}$ 

AB The title photog. material contains I [R1,2 = H, group which will release OH during development; R3 = alkyl, aryl, alkenyl, alkynyl, heterocyclyl, amino; R4,5 = benzene ring substituent group; m = 0-4; n = 0-3; L = bivalent linking group; p = 0-3] and II [R21 = H, halo, alkyl; R22 = alkyl, aryl, heterocyclyl; R23 = H, halo, alkyl, alkoxy, aryloxy, carbo; X = H, coupling-releasable group]. Halftone reprodn. is improved.

L7 ANSWER 177 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

Ι

II

AN 1993:233888 CAPLUS

DN 118:233888

- TI Substituted bicyclic bisaryl compounds exhibiting selective leukotriene B4 antagonist activity, their preparation and use in pharmaceutical compositions
- IN Dereu, Norbert; Hendel, Wolfram; Labaudiniere, Richard
- PA Rhone-Poulenc Rorer S. A., Fr.
- SO PCT Int. Appl., 95 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PAN.		TENT NO.		KIND	DATE		APPLICATION NO.	DATE
PI	_	9201675 9201675			19920206 19920806		WO 1991-EP1341	19910718
							NO, SU, US GB, GR, IT, LU, NL	, SE
		•	-			-	FR 1990-9453	19900724
	FR	2665159		A1	19920131		FR 1990-9453	19900724
	FR	2665159		B1	19921113			
	CA	2087848		AA	19920125		CA 1991-2087848	19910718
							FR 1990-9453	19900724
	ΑU	9181948		A1	19920218		AU 1991-81948	19910718
							FR 1990-9453	19900724
							WO 1991-EP1341	19910718
	ΕP	540604		A1	19930512		EP 1991-913522	19910718
		R: AT,	ΒE,	CH, DE,	DK, ES,	FR,	GB, GR, IT, LI, LU	, NL, SE
							FR 1990-9453	19900724
							WO 1991-EP1341	19910718
	JP	05508845		T2	19931209		JP 1991-512181	19910718

				FR	1990-9453	19900724
				WO	1991-EP1341	19910718
HU	68663	A2	19950728	HU	1993-190	19910718
				FR	1990-9453	19900724
ZA	9105759	A	19920527	ZA	1991-5759	19910723
				FR	1990-9453	19900724
NO	9300201	Α	19930121	NO	1993-201	19930121
				FR	1990-9453	19900724
				WO	1991-EP1341	19910718
US	5366982	Α	19941122	US	1993-966151	19930217
				FR	1990-9453	19900724
				WO	1991-EP1341	19910718
US	5492915	A	19960220	US	1994-318919	19941006
				FR	1990-9453	19900724
				US	1993-966151	19930217

OS MARPAT 118:233888

GI

Title compds. I [R, R' = R1 (wherein R1 = H, alkyl, alkenyl, cycloalkyl, AΒ aralkyl, aryl, etc.), R1-alkyl, or vicinal R and(or) R' together = (CH2)y wherein y = 2-4, thus forming a 4-6-membered ring), geminal R and(or) R' may form a spiro substituent CH2(CH2)zCH2 wherein z = 0-4, R5CH: wherein R5 = H, alkyl; m = 1-8; n = 0-8; n+m = 2-8; X = O, S, R''N, R''NCO, COR''Nwherein R'' = H, alkyl, or aralkyl, (R')2C, CR':CR', OR'CH, bond; Y = S, O, R''N, (R')2C, CR':CR', R''NCO, COR''N, CO, CR'OH, phenylene, naphthylene, N-contg. cyclene; W, Z = R'C, N, provided that when both W and Z are N then n+m = 2-6; R2, R3, R4 = R1, R1-alkyl, (substituted) mono-, bicyclic aryl or heteroaryl, R2R3 or R3R4 together with the ring to which they are attached may form a (substituted) fused bicyclyl, etc.; Q = cyano, R602C, (R7)2NCO, R602SNHCO, wherein R6 = H, alkyl, aralkyl, R7 = H, alkyl, aralkyl, cycloalkyl, (substituted) tetrazolyl, etc.), and salts thereof, are prepd. 4,6-Diphenyl-2-pyridone, BrCH2(CH2)4CO2Et, and Ag2CO3 in MePh were refluxed for 24 h to give Et 6-[(4,6-diphenyl-2pyridyl)oxy]hexanoate to which in EtOH was added NaOH to give title compd. II. The IC50 of II for inhibiting binding of tritiated LTB4 to receptors of guinea pig spleen membranes was 3 .mu.M. Tablet formulations of I are given.

- L7 ANSWER 178 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1992:128686 CAPLUS
- DN 116:128686
- TI Benzoheterocyclic compounds
- IN Ogawa, Hidenori; Miyamoto, Hisashi; Kondo, Kazumi; Yamashita, Hiroshi; Nakaya, Kenji; Komatsu, Hajime; Tanaka, Michinori
- PA Otsuka Pharmaceutical Co., Ltd., Japan
- SO PCT Int. Appl., 909 pp.
- CODEN: PIXXD2
- DT Patent

LA FAN .		glish 2					
	PAT			KIND	DATE		APPLICATION NO. DATE
PI					19910502		WO 1990-JP1340 19901018
				CH, DE,	, DK, ES,	FR,	GB, GR, IT, LU, NL, SE
							JP 1989-274338 A 19891020
							JP 1990-66063 A 19900315
							JP 1990-105580 A 19900420
							JP 1990-181858 A 19900709
	מים	450007		7. 1	10011000		JP 1991-87994 19910419 EP 1990-915185 19901018
		450097			19960424		FF 1990-913163 19901016
	ш					TT.	LI, NL, SE
			<i>DD</i> , .	J1(, _D,	, 111, 02,	,	JP 1989-274338 A 19891020
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							JP 1990-181858 A 19900709
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	ES	2089033		T3	19961001		ES 1990-915185 19901018
							JP 1989-274338 A 19891020
							JP 1990-66063 A 19900315
							JP 1990-105580 A 19900420 JP 1990-181858 A 19900709
	CN	1051038		7\	19910501		CN 1990-181858 A 19900709
		1027505		В	19950125		CN 1990 100449 19901019
	021			_			JP 1989-274338 A 19891020
							JP 1990-181858 A 19900709
	JP	04154765			19920527		JP 1990-282568 19901019
	JР	07076214		B4	19950816		
							JP 1989-274338 A119891020
							JP 1990-66063 A119900315
							JP 1990-105580 A119900420 JP 1990-181858 A119900709
	2/11	9172917		A1	19911219		AU 1991-72917 19910314
		630284		B2	19921022		RO 1991 /291/ 19910314
							JP 1989-274338 A 19891020
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							JP 1990-105580 A 19900420
							JP 1990-181858 A 19900709
							WO 1990-JP1340 W 19901018
		2066104		AA	19921020		CA 1992-2066104 19920415
	CA	2066104		С	20030527		JP 1991-87994 A 19910419
	ΔIJ	9214984		A1	19921022		AU 1992-14984 19920416
		646334		B2	19940217		110 2332 23301 23301020
							JP 1991-87994 A 19910419
	EΡ	514667		A1	19921125		EP 1992-106606 19920416
	ΕP	514667		В1	19950809		
		R: CH,	DE,	DK, ES	, FR, GB,	IT,	LI, NL, SE
	<i>~</i> ~-	100000		75	10001000		JP 1991-87994 A 19910419
		1066653		A B	19921202		CN 1992-103409 19920416
	CIN	1035670		D	19970820		JP 1991-87994 A 19910419
	표역	2078576		Т3	19951216		ES 1992-106606 19920416
	دند	20,05,0		13	17731210		JP 1991-87994 A 19910419
	JΡ	05132466		A2	19930528		JP 1992-96880 19920417
		<del>-</del>					

	JP 2916536	B2	19990705	
				JP 1991-87994 A119910419
	US 5244898	A	19930914	US 1992-870318 19920417
	CD1 1105146	-	1005000	JP 1991-87994 A 19910419
	CN 1107146	A	19950823	CN 1994-101827 19940302
	CN 1048484	В	20000119	TD 1000 074220 & 10001020
				JP 1989-274338 A 19891020 JP 1990-181858 A 19900709
	US 5753677	A	19980519	US 1995-474544 19950607
	05 5755677	A	13300313	US 1991-762015 B219910619
				US 1992-851541 A319920313
				US 1993-76804 A319930610
PATE	NT FAMILY INFORMA	TION:		05 1773 70001 11317730010
FAN	1993:649979			
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡI	JP 04321669	A2	19921111	JP 1991-182066 19910419
	JP 2905909 US 5258510	B2	19990614 19931102	US 1992-851541 19920313
	05 5258510	A	19931102	JP 1989-274338 A 19891020
				JP 1990-66063 A 19900315
				JP 1990-105580 A 19900420
				JP 1990-181858 A 19900709
				JP 1991-182066 A 19910419
				US 1991-762015 B219910619
	US 5559230	А	19960924	US 1993-76804 19930610
		•		JP 1990-66063 A 19900315
				JP 1990-105580 A 19900420
				JP 1990-181858 A 19900709
				JP 1991-182066 A 19910419
				US 1991-762015 B219910619
				US 1992-851541 A319920313
	US 5753677	Α	19980519	US 1995-474544 19950607
				US 1991-762015 B219910619
				US 1992-851541 A319920313
				US 1993-76804 A319930610
	US 5985869	A	19991116	US 1997-893925 19970715
				JP 1989-274338 A 19891020
				JP 1990-66063 A 19900315
				JP 1990-105580 A 19900420
				JP 1990-181858 A 19900709
				JP 1991-182066 A 19910419
				US 1992-851541 A319920313
				US 1993-76804 A319930610
os	MARPAT 116:12868	26		US 1995-474544 A319950607
US	MAYLWI 110:17000	0		

8/29/2003> Patel

For diagram(s), see printed CA Issue. GΙ

Title compds. I [X = atoms required to complete a 6-8-membered ring optionally contg. other heteroatoms; R = substituted Ph; R1 = H, halogen, AB alkyl, NH2, substituted NH2, aminoalkoxy, (un) substituted BzO] (.apprx.1000 compds.) were prepd. by various methods. Benzazepines II (R2 = NMe2, R3 = 2-MeC6H4; R2 = OH, R3 = 3,5-Cl2C6H3; R2 = H, R3 = 2,3-Me2C6H3) tripled urine excretion in rats at 0.4-4.2 mg/kg i.v.

L7 ANSWER 179 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

<sup>1991:583340</sup> CAPLUS AN

DN115:183340

Preparation of (sulfonylcarbamoyl)pyrimidines as herbicides and plant TI

growth regulators IN Ort, Oswald; Willms, Lothar; Bauer, Klaus; Bieringer, Hermann; Schulz, Arno; Sachse, Burkhard; Braun, Peter Hoechst A.-G., Germany PΑ Ger. Offen., 94 pp. SO CODEN: GWXXBX DT Patent LΑ German FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE ----- ---- ----\_\_\_\_\_ DE 3935277 A1 19910502 DE 1989-3935277 19891024 PΙ CA 1990-2071815 19901018 CA 2071815 AA 19910425 DE 1989-3935277 19891024 WO 1990-EP1768 19901018 WO 9106541 A1 19910516 W: AU, BR, CA, HU, JP, SU, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE DE 1989-3935277 19891024 AU 9066395 A1 19910531 AU 1990-66395 19901018 DE 1989-3935277 19891024 WO 1990-EP1768 19901018 EP 497851 A1 19920812 EP 1990-916278 19901018 B1 EP 497851 19950104 R: DE, ES, FR, GB, IT DE 1989-3935277 19891024 WO 1990-EP1768 19901018 BR 1990-7776 BR 9007776 Α 19920915 19901018 DE 1989-3935277 19891024 WO 1990-EP1768 19901018 ZA 9008461 Α 19910828 ZA 1990-8461 19901023 DE 1989-3935277 19891024 US 5324710 A 19940628 US 1992-849034 19920421 DE 1989-3935277 19891024

OS MARPAT 115:183340

GI

WO 1990-EP1768 19901018

10009276.3 Page 353

$$L-Z_a-SO_2-NR^1-C-(CR^2R^3)_b$$
 $R^4$ 
 $R^5$ 
 $R^5$ 

$$\begin{array}{c} \text{R5} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{COR} \\ \text{11} \\ \end{array} \begin{array}{c} \text{NO}_2 \\ \text{O} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{C1} \\ \text{III} \\ \end{array}$$

AΒ Title compds. [I; R1 = H, alkyl, alkenyl, alkynyl; R2,R3 = H, alkyl, Ph; W = O, S, NR7, NOR7; Z = CHR2, O, NR7, NOR7; R4, R5 = H, HO, halo, (un) substituted alkyl (thio), alkoxy, NR8R9; R6 = H, halo, cyano, NO2, alkyl, etc.; R7 = H, (halo)alkyl, Ph; R8 = H, alkyl; R9 = R8, alkoxy, alkenyl; L = (hetero)cyclic moiety Q,Q1; R8,R9 = CH2CH2(CH2)cCH2CH2, CH2CH2OCH2CH2; R1 = H, halo, NO2, cyano, etc.; R11 = H, halo. etc.; R12 = H, (halo) alkyl, (un) substituted Ph; X = O, SOd; a, b, C = O, 1; d = O-2] were prepd., e.g., by amidation of pyrimidine-4-carboxylic acid derivs. (II; R13 = halo, OR10, OCh2Ph; R4-R6, R10 as above, with a proviso) (also claimed) with sulfonamides LZSO2NHR1. Thus, a mixt. of 2.3 g DCC, 120 mg 4-dimethylaminopyrimidine, and 1.9 g 6-chloro-2-methylpyrimidine-4carboxylic acid in 80 mL CH2Cl2 was stirred 0.5 h at 0.degree. with 2.2 g 2-O2NC6H4CH2SO2NH2 and the mixt. allowed to stand for 2 days at room temp. to give 1.55 g title compd. III. I [R1 = R6 = H, R4 = R5 = OMe, L =2-(MeO2C)C6H4, W = O, a = b = 0] at 0.3 kg/ha pre- and postemergence gave 80-100% control of Stellaria media and Sinapis alba.

L7 ANSWER 180 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1990:440711 CAPLUS

DN 113:40711

TI Preparation of pyrimidopyrimidine derivatives useful as bronchodilators, vasodilators, antiallergic agents, and phosphodiesterase inhibitors

IN Coates, William John

PA Smith Kline and French Laboratories Ltd., UK

SO Eur. Pat. Appl., 32 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	EP 351058	A1	19900117	EP 1989-305910	19890612	
	EP 351058	B1	19930602			

GB 1988-14352 19880616 AT 90099 E 19930615 AT 1989-305910 19890612 GB 1988-14352 19880616 EP 1989-305910 19890612 ES 2055056 T3 19940816 ES 1989-305910 19890612 GB 1988-14352 19880616 CA 1339573 A1 19971209 CA 1989-602442 19890612 GB 1988-14352 19880616 AU 8936358 A1 19900104 AU 1989-36358 19890614 AU 612853 B2 19910718  GB 1988-14352 19880616 DK 8902971 A 19891217 DK 1989-2971 19890615 GB 1988-14352 19880616 ZA 8904564 A 19910424 ZA 1989-4564 19890615 JP 02040388 A2 19900209 JP 1989-155561 19890616 JP 2744070 B2 19980428  GB 1988-14352 19880616 US 5162316 A 19921110 US 1991-669691 19910313 GB 1988-14352 19880616		R:	AT,	BE,	CH,	DE,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE	
GB 1988-14352 19880616 EP 1989-305910 19890612 ES 2055056 T3 19940816 ES 1989-305910 19890612 GB 1988-14352 19880616 CA 1339573 A1 19971209 CA 1989-602442 19890612 GB 1988-14352 19880616 AU 8936358 A1 19900104 AU 1989-36358 19890614 AU 612853 B2 19910718  GB 1988-14352 19880616 DK 8902971 A 19891217 DK 1989-2971 19890615 GB 1988-14352 19880616 ZA 8904564 A 19910424 ZA 1989-4564 19890615 JP 02040388 A2 19900209 JP 1989-155561 19890616 JP 2744070 B2 19980428  GB 1988-14352 19880616 US 5162316 A 19921110 US 1991-669691 19910313										GB	198	8-1	4352		19880	616
EP 1989-305910 19890612 ES 2055056 T3 19940816 ES 1989-305910 19890612 GB 1988-14352 19880616 CA 1339573 A1 19971209 CA 1989-602442 19890612 GB 1988-14352 19880616 AU 8936358 A1 19900104 AU 1989-36358 19890614 AU 612853 B2 19910718  GB 1988-14352 19880616 DK 8902971 A 19891217 DK 1989-2971 19890615 GB 1988-14352 19880616 ZA 8904564 A 19910424 ZA 1989-4564 19890615 JP 02040388 A2 19900209 JP 1989-155561 19890616 JP 2744070 B2 19980428  GB 1988-14352 19880616 US 5162316 A 19921110 US 1991-669691 19910313	ΑT	9009	9		Ε		1993	0615		ΑT	198	9-3	0591	0	19890	612
ES 2055056 T3 19940816 ES 1989-305910 19890612 GB 1988-14352 19880616 CA 1339573 A1 19971209 CA 1989-602442 19890612 GB 1988-14352 19880616 AU 8936358 A1 19900104 AU 1989-36358 19890614 AU 612853 B2 19910718 GB 1988-14352 19880616 DK 8902971 A 19891217 DK 1989-2971 19890615 GB 1988-14352 19880616 ZA 8904564 A 19910424 ZA 1989-4564 19890615 GB 1988-14352 19880616 JP 02040388 A2 19900209 JP 1989-155561 19890616 JP 2744070 B2 19980428 GB 1988-14352 19880616 US 5162316 A 19921110 US 1991-669691 19910313										GB	198	8-1	4352		19880	616
GB 1988-14352 19880616 CA 1339573 A1 19971209 CA 1989-602442 19890612 GB 1988-14352 19880616 AU 8936358 A1 19900104 AU 1989-36358 19890614 AU 612853 B2 19910718  GB 1988-14352 19880616 DK 8902971 A 19891217 DK 1989-2971 19890615 GB 1988-14352 19880616 ZA 8904564 A 19910424 ZA 1989-4564 19890615 GB 1988-14352 19880616 JP 02040388 A2 19900209 JP 1989-155561 19890616 JP 2744070 B2 19980428  GB 1988-14352 19880616 US 5162316 A 19921110 US 1991-669691 19910313										EP	198	9-3	0591	0	19890	612
CA 1339573 A1 19971209 CA 1989-602442 19890612 GB 1988-14352 19880616 AU 8936358 A1 19900104 AU 1989-36358 19890614 AU 612853 B2 19910718  GB 1988-14352 19880616 DK 8902971 A 19891217 DK 1989-2971 19890615 GB 1988-14352 19880616 ZA 8904564 A 19910424 ZA 1989-4564 19890615 GB 1988-14352 19880616 JP 02040388 A2 19900209 JP 1989-155561 19890616 JP 2744070 B2 19980428  GB 1988-14352 19880616 US 5162316 A 19921110 US 1991-669691 19910313	ES	2055	056		T	3	1994	0816		ES	198	9-3	0591	0	19890	612
GB 1988-14352 19880616 AU 8936358 A1 19900104 AU 1989-36358 19890614 AU 612853 B2 19910718  GB 1988-14352 19880616  DK 8902971 A 19891217 DK 1989-2971 19890615  GB 1988-14352 19880616  ZA 8904564 A 19910424 ZA 1989-4564 19890615  GB 1988-14352 19880616  JP 02040388 A2 19900209 JP 1989-155561 19890616  JP 2744070 B2 19980428  GB 1988-14352 19880616  US 5162316 A 19921110 US 1991-669691 19910313										GB	198	8-1	4352		19880	616
AU 8936358 A1 19900104 AU 1989-36358 19890614 AU 612853 B2 19910718  GB 1988-14352 19880616  DK 8902971 A 19891217 DK 1989-2971 19890615  GB 1988-14352 19880616  ZA 8904564 A 19910424 ZA 1989-4564 19890615  GB 1988-14352 19880616  JP 02040388 A2 19900209 JP 1989-155561 19890616  JP 2744070 B2 19980428  GB 1988-14352 19880616  US 5162316 A 19921110 US 1991-669691 19910313	CA	1339	573		A.	1	1997	1209		CA	198	9-6	0244	2	19890	612
AU 612853 B2 19910718 GB 1988-14352 19880616 DK 8902971 A 19891217 DK 1989-2971 19890615 GB 1988-14352 19880616 ZA 8904564 A 19910424 ZA 1989-4564 19890615 GB 1988-14352 19880616 JP 02040388 A2 19900209 JP 1989-155561 19890616 JP 2744070 B2 19980428 GB 1988-14352 19880616 US 5162316 A 19921110 US 1991-669691 19910313										GB	198	8-1	4352		19880	616
GB 1988-14352 19880616  DK 8902971 A 19891217 DK 1989-2971 19890615  GB 1988-14352 19880616  ZA 8904564 A 19910424 ZA 1989-4564 19890615  GB 1988-14352 19880616  JP 02040388 A2 19900209 JP 1989-155561 19890616  JP 2744070 B2 19980428  GB 1988-14352 19880616  US 5162316 A 19921110 US 1991-669691 19910313	AU	8936	358		A.	1	1990	0104		AU	198	9-3	6358		19890	614
DK 8902971 A 19891217 DK 1989-2971 19890615 GB 1988-14352 19880616 ZA 8904564 A 19910424 ZA 1989-4564 19890615 GB 1988-14352 19880616 JP 02040388 A2 19900209 JP 1989-155561 19890616 JP 2744070 B2 19980428 GB 1988-14352 19880616 US 5162316 A 19921110 US 1991-669691 19910313	AU	6128	53		B	2	1991	0718								
GB 1988-14352 19880616 ZA 8904564 A 19910424 ZA 1989-4564 19890615 GB 1988-14352 19880616 JP 02040388 A2 19900209 JP 1989-155561 19890616 JP 2744070 B2 19980428 GB 1988-14352 19880616 US 5162316 A 19921110 US 1991-669691 19910313										GB	198	8-1	4352		19880	616
ZA 8904564 A 19910424 ZA 1989-4564 19890615 GB 1988-14352 19880616 JP 02040388 A2 19900209 JP 1989-155561 19890616 JP 2744070 B2 19980428 GB 1988-14352 19880616 US 5162316 A 19921110 US 1991-669691 19910313	DK	8902	971		Α		1989	1217		DK	198	9-2	971		19890	615
GB 1988-14352 19880616  JP 02040388 A2 19900209 JP 1989-155561 19890616  JP 2744070 B2 19980428  GB 1988-14352 19880616  US 5162316 A 19921110 US 1991-669691 19910313										GB	198	8-1	4352		19880	616
JP 02040388       A2       19900209       JP 1989-155561       19890616         JP 2744070       B2       19980428       GB 1988-14352       19880616         US 5162316       A       19921110       US 1991-669691       19910313	ZΑ	8904	564		Α		1991	0424		ZA	198	9-4	564		19890	615
JP 2744070 B2 19980428  GB 1988-14352 19880616 US 5162316 A 19921110 US 1991-669691 19910313										GB	198	88-1	4352		19880	616
GB 1988-14352 19880616 US 5162316 A 19921110 US 1991-669691 19910313	JP	0204	0388		A:	2	1990	0209		JP	198	9-1	5556	1	19890	616
US 5162316 A 19921110 US 1991-669691 19910313	JΡ	2744	070		B:	2	1998	0428								
										GB	198	8-1	4352		19880	616
GB 1988-14352 19880616	US	5162	316		Α		1992	1110		US	199	1-6	6969	1	19910	313
										GB	198	8-1	4352		19880	616
US 1989-365341 19890613										US	198	9-3	6534	1	19890	613

OS MARPAT 113:40711

GΙ

Title compds. I and II [R1 = alkyl, alkenyl, cycloalkylalkyl, fluoroalkyl; R2 = alkylthio, alkylsulfonyl, alkoxy, OH, H, NHNH2, alkyl, Ph, NHCOR3, NR4R5; R3 = H, alkyl; R4,R5 = H, (substituted) alkyl or cycloalkyl; or NR4R5 = pyrrolidino, piperidino, hexahydroazepino, morpholino, piperazino] were prepd. Thus, cyclocondensation of 2-propoxybenzamidine with Et 4-chloro-2-methylthio-5-pyrimidinecarboxylate in isopropanol gave I (R1 = Pr, R2 = 7-SMe) (III). At 50 .mu.mol/kg i.v. in anesthetized rats, III increased hindquarter blood flow by 43.7%. over 40 compds., all I, were prepd. Two formulations and addnl. biol. data (bronchodilation and antiallergic activity in comparison to ovalbumen, and selective inhibition of calmodulin-insensitive cyclic GMP phosphodiesterase) are given.

- L7 ANSWER 181 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1988:565722 CAPLUS
- DN 109:165722
- TI Preparation of triazolinone herbicides
- IN Theodoridis, George
- PA FMC Corp., USA
- SO PCT Int. Appl., 37 pp.

Patel

8/29/2003>

10009276.3 Page 355

CODEN: PIXXD2 DT Patent LΑ English

FAN.			DATE	APPLICATION NO.	DATE	
PI		A1 JP, KR	19880225	WO 1987-US1928	19870805	
	RW. BE, CH,	DE, FR	•	US 1986-898453	19860820	
	EP 322413 R: BE, CH,		19890705		19870805	
	R. BB, CII,	DD, IR,	, GB, 11, B1	US 1986-898453	19860820	
	HU 48799	A2	19890728	HU 1987-4354		
				US 1986-898453	19860820	
				WO 1987-US1928	19870805	
	BR 8707779	Α	19890815	BR 1987-7779	19870805	
				US 1986-898453	19860820	
				WO 1987-US1928	19870805	
	JP 02500271	T2	19900201	JP 1987-505029	19870805	
				US 1986-898453		
				WO 1987-US1928		
	ZA 8706179	Α	19880427			
				US 1986-898453		
	CN 1032005	A	19890329	CN 1987-105742		
				US 1986-898453	19860820	
	NT FAMILY INFORMA	TION:				
FAN	1992:255620		D	1.00.1.01.01.01.01.01		
	PATENT NO.		DATE	APPLICATION NO.	DATE	
ΡI			10020120	US 1990-562544	19900803	
ЕŢ	05 3004003	A	19940140	US 1986-898453		
				US 1988-161348		
				00 1900-101940	17000217	

OS MARPAT 109:165722 GI.

QArn 
$$N=$$
 $R^{9}$ 
 $I$ 
 $R^{2}$ 
 $M$ 
 $R^{2}$ 
 $M$ 
 $R^{2}$ 
 $M$ 

AΒ Herbicidal compds. are described, characterized by the formula I [R1 = H, alkyl, halo, haloalkyl, NO2, alkoxy, alkylthio, cyano; R2 = H, halo, alkyl, haloalkyl, alkoxy, haloalkoxy, NO2, NH2, alkylthio, CO2H, CONHSO2R5, CONH2, CONHR5, CONHOR7, CO2CHR4CO2R3, NHSO2R7, N(SO2R7)2, SCHR6COR3, R3(COCHR4O)n, etc.; M = CH, N; Z = O, S, NH, alkylamino; R3 = OH, alkoxy, NH2, NHSO2R5, N(SO2R5)SO2R6, etc.; R4 = H, Me; R5, R6 = alkyl, haloalkyl, aryl; R7 = alkyl; Ar = substituted benzene ring; <math>n = 1, 2]. Ar, R8, and R9 are so chosen that when Q is MeO or propargyloxy instead of the formula given above, I is an herbicide. 1-[4-Chloro-2-fluoro-5-(4hydroxyphenoxy)phenyl]-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one (prepn. given in 3 steps) was refluxed for 6 days with Et

Patel

US 1989-449091 19891208

2-bromopropionate in K2CO3-contg. acetone to give Et 2-[4-[2-chloro-4-fluoro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]propionate (II). II (8 kg/ha postemergence) gave total control of velvetleaf (Abutilon theophrasti) and almost total control of green foxtail (Setaria viridis).

L7 ANSWER 182 OF 182 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1988:406320 CAPLUS

DN 109:6320

TI Preparation of 2-[(pyridinioamino)alkyl]penemcarboxylates as antibacterial agents

IN Schneider, Peter

PA Ciba-Geigy A.-G., Switz.

SO Eur. Pat. Appl., 23 pp.

CODEN: EPXXDW

DT Patent

LA German

FAN CNT 1

GΙ

FAN.	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 256990 R: AT, BE,	A1 CH, DE	19880224 , ES, FR, GB,	EP 1987-810462 GR, IT, LI, LU, NL	19870814 , SE
	FI 8703556	A	19880221	CH 1986-3346 FI 1987-3556	19860820 19870817
	DK 8704321	A	19880221	CH 1986-3346 DK 1987-4321	19860820 19870819
	NO 8703500	A	19880222	CH 1986-3346 NO 1987-3500 CH 1986-3346	19860820 19870819 19860820
	AU 8777217	A1	19880225	AU 1987-77217 CH 1986-3346	19870819 19860820
	JP 63051387	A2	19880304	JP 1987-204285 CH 1986-3346	19870819 19860820
	ZA 8706135	A	19880427	ZA 1987-6135 CH 1986-3346	19870819 19860820
OS	MARPAT 109:6320				

AB The title compds. [I; R1 = CH2OH, MeCHOH; Z = 2- and 4-pyridinio group, Q or Q1; R2 = (un)substituted alkyl, alkenyl, Ph, pyridyl, etherified OH; R3, R4 = H, (un)substituted alkyl, NH2, (un)derivatized CO2H, etherified or esterified OH; m = 1-4] were prepd. (5R,6S)-2-Aminomethyl-6-[(1R)-1-hydroxyethyl]-2-penemcarboxylic acid and 4-chloro-2-hydroxymethyl-1-methylpyridinium iodide (prepn. given) were stirred 5 h in ag. soln.

10009276.3 Page 357

maintained at pH 7.5-7.9 to give I [R1 = (1R)-MeCHOH, Z = Q, R2 = Me, R3 = 2-(CH2OH), R4 = H] (II). Dry ampuls were prepd. each contg. 0.5 g II and 0.5 g mannitol. I are effective against, e.g., Staphylococcus aureus at <0.01 to .apprx.16 .mu.g/mL, Pseudomonas aeruginosa at 0.01 to .apprx.64 .mu.g/mL, and Bacteroides fragilis at 0.01 to .apprx.2 .mu.g/mL.

=> log y COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 601.86 1050.89 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -119.13 -119.13

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10009276.1 Page 1

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LOGINID:ssspta1611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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                 "Ask CAS" for self-help around the clock
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        Feb 24
                PCTGEN now available on STN
NEWS 4
        Feb 24 TEMA now available on STN
NEWS 5
        Feb 26 NTIS now allows simultaneous left and right truncation
NEWS 6 Feb 26 PCTFULL now contains images
NEWS 7
        Mar 04 SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 8
        Mar 24
                PATDPAFULL now available on STN
        Mar 24 Additional information for trade-named substances without
NEWS 9
                 structures available in REGISTRY
NEWS 10
        Apr 11
                Display formats in DGENE enhanced
NEWS 11
                MEDLINE Reload
        Apr 14
NEWS 12
        Apr 17
                Polymer searching in REGISTRY enhanced
NEWS 13
        AUG 22
                Indexing from 1927 to 1936 added to records in CA/CAPLUS
NEWS 14
        Apr 21
                New current-awareness alert (SDI) frequency in
                 WPIDS/WPINDEX/WPIX
NEWS 15
        Apr 28
                RDISCLOSURE now available on STN
NEWS 16
        May 05
                Pharmacokinetic information and systematic chemical names
                 added to PHAR
NEWS 17
                MEDLINE file segment of TOXCENTER reloaded
        May 15
NEWS 18
        May 15
                Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 19
        May 19
                Simultaneous left and right truncation added to WSCA
NEWS 20
        May 19
                RAPRA enhanced with new search field, simultaneous left and
                 right truncation
NEWS 21
        Jun 06
                Simultaneous left and right truncation added to CBNB
NEWS 22
        Jun 06
                PASCAL enhanced with additional data
NEWS 23
        Jun 20
                2003 edition of the FSTA Thesaurus is now available
NEWS 24
        Jun 25 HSDB has been reloaded
NEWS 25
        Jul 16 Data from 1960-1976 added to RDISCLOSURE
NEWS 26
        Jul 21
                Identification of STN records implemented
NEWS 27
        Jul 21
                 Polymer class term count added to REGISTRY
NEWS 28
        Jul 22
                INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
                 Right Truncation available
NEWS 29
        AUG 05
                New pricing for EUROPATFULL and PCTFULL effective
                 August 1, 2003
NEWS 30
                 Field Availability (/FA) field enhanced in BEILSTEIN
        AUG 13
NEWS 31
        AUG 15
                PATDPAFULL: one FREE connect hour, per account, in
                 September 2003
                PCTGEN: one FREE connect hour, per account, in
NEWS 32
        AUG 15
                 September 2003
NEWS 33
        AUG 15
                RDISCLOSURE: one FREE connect hour, per account, in
                 September 2003
NEWS 34
        AUG 15
                TEMA: one FREE connect hour, per account, in
                 September 2003
NEWS 35 AUG 18 Data available for download as a PDF in RDISCLOSURE
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10009276.1 Page 2

NEWS 36 AUG 18 Simultaneous left and right truncation added to PASCAL NEWS 37 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right Truncation

NEWS 38 AUG 18 Simultaneous left and right truncation added to ANABSTR

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003

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L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

L1 STR

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FULL SEARCH INITIATED 10:07:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1275 TO ITERATE

100.0% PROCESSED 1275 ITERATIONS

65 ANSWERS

SEARCH TIME: 00.00.01

L2 65 SEA SSS FUL L1

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SINCE FILE TOTAL ENTRY SESSION 148.15 148.36

FULL ESTIMATED COST

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8/29/2003>

10009276.1 Page 4

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=> s 12
L3
            27 L2
=> d 13 fbib hitstr abs total
     ANSWER 1 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
L3
AN
     2001:693289 CAPLUS
DN
     135:257270
TΙ
     Preparation of aryl substituted pyridines, pyrimidines, pyrazines and
     triazines with anticonvulsant and sodium channel blocking activity
IN
     Hogenkamp, Derk J.; Nguyen, Phong; Shao, Bin
PA
     Cocensys, Inc., USA
SO
     PCT Int. Appl., 92 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                            APPLICATION NO. DATE
                                             -----
                      A2
PΙ
     WO 2001068612
                             20010920
                                             WO 2001-US7797 20010312
     WO 2001068612
                      A3
                             20020314
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
         RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                             US 2000-188188PP 20000310
     US 2002040025
                        A1
                             20020404
                                             US 2001-803659 20010312
                                             US 2000-188188PP 20000310
     EP 1265866
                             20021218
                        A2
                                             EP 2001-918558 20010312
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                             US 2000-188188PP 20000310
                                             WO 2001-US7797 W 20010312
     NO 2002004308
                                             NO 2002-4308
                        Α
                             20021108
                                                                20020909
                                             US 2000-188188PP 20000310
                                             WO 2001-US7797 W 20010312
     MARPAT 135:257270
OS
IT
     361436-95-5P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of arylpyridines, arylpyrimidines, arylpyrazines, and
        aryltriazines with anticonvulsant and anesthetic activities)
     361436-95-5 CAPLUS
RN
CN
     Pyrazinecarboxamide, 3,5-diamino-6-(4-phenoxyphenyl)- (9CI) (CA INDEX
     NAME)
```

$$\begin{array}{c|c} & \circ & \\ & \vdash & \\ \text{H}_2\text{N} & & \\ & \downarrow & \\ & \text{N} & \\ & & \\ & & \text{NH}_2 \end{array}$$
 OPh

IT 14236-57-8

RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. of arylpyridines, arylpyrimidines, arylpyrazines, and aryltriazines with anticonvulsant and anesthetic activities)

RN 14236-57-8 CAPLUS

CN Pyrazinecarboxamide, 3,5-diamino-6-chloro- (8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O \\ & C-NH_2 \\ \\ H_2N & NH_2 \end{array}$$

GI

AB The title aryl substituted heterocyclic compds. I and II [Q, Z, W = CR2, N; R1 = alkyl, H2N, alkylthio, R8CO, R8SO2, H2NCO2, 2-imidazolinyl,

3-pyrazolyl, etc; R2 = H, (un) substituted alkyl, alkenyl alkynyl, halo, HO, cycloalkyl, cyano, H2N, alkoxy, alkylaminocarbonyl; R1R2 together form heterocycle; R3, R4, R5, R6 = H, alkyl, alkenyl, halo, H0, NO2, H2N, cyano, H2NCO, ureido, azido, alkoxy, CO2H, etc; R7 = (un) substituted alkyl; R8 = alkyl, alkenyl, R9O, H2N, substituted H2N, cycloalkyl; R9 = H, alkyl, alkali metal; X = O, S, NH, CH2] and their pharmaceutically acceptable salts, prodrugs, or solvates were prepd. and were useful for the treatment of neuronal damage following ischemia, the treatment of amyotrophic lateral sclerosis, the treatment of acute or chronic pain, as antitinnitus agents, as anticonvulsants, as antimanic depressants, as local anesthetics, as antiarrhythmics, and for the treatment of diabetic neuropathy. Thus, K2CO3 induced substitution reaction of 4-FC6H4OH with 4-FC6H4COMe gave 80% 1-[4-(4-fluorophenoxy)phenyl]ethanone which underwent successive condensation with DMF di-Me acetal and cyclocondensation with acetamidine HCl to give the [(fluorophenoxy)phenyl]pyrimidine III. Selenium dioxide oxidn. of III and subsequent amidation with carbonyl diimidazole/NH4OAc in DMF gave the pyrimidinecarboxamide IV which blocked electroshock-induced seizures in mice with ED50 of 0.7 mg/kg i.v. IV also possessed sodium channel blocking activity with an apparent antagonist dissocn. const. for inactivated sodium channels of 0.49.mu.M.

- L3 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2001:522969 CAPLUS
- DN 135:299652
- TI Amiloride detection and excretion study under conditions of steroid screening procedure
- AU Karova, D.; Anguelova, M.; Halatcheva, N.
- CS Bulgarian Doping Control Laboratory, Sofia, 1172, Bulg.
- SO Recent Advances in Doping Analysis (8), Proceedings of the Manfred Donike Workshop, Cologne Workshop on Dope Analysis, 18th, Cologne, Germany, Feb. 20-25, 2000 (2000), 197-202. Editor(s): Schaenzer, W. Publisher: Verlag Sport und Buch Strauss, Cologne, Germany. CODEN: 69BNUX
- DT Conference
- LA English
- IT 14236-57-8

RL: ANT (Analyte); BSU (Biological study, unclassified); MFM (Metabolic formation); PRP (Properties); ANST (Analytical study); BIOL (Biological study); FORM (Formation, nonpreparative)

(amiloride - detection and excretion study under conditions of steroid screening procedure)

- RN 14236-57-8 CAPLUS
- CN Pyrazinecarboxamide, 3,5-diamino-6-chloro- (8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
C1 & O \\
 & C \\
 & N \\
 & NH_2
\end{array}$$

AB An extn. and anal. methods under the conditions of steroid screening procedure are described. A metabolite of amiloride was detected. The limit of detection of amiloride artifact by the screening procedure of steroids was 8 ng/mL. The results show a possibility of including amiloride in the routine screening procedure of anabolic steroids.

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RE.CNT 9

Page 7

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 3 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN L3 ΑN 2001:472472 CAPLUS DN135:81972 Formulations of adenosine Al agonists TI IN Bountra, Charanjit; Clayton, Nicholas Maughan; Naylor, Alan PA Glaxo Group Limited, UK SO PCT Int. Appl., 32 pp. CODEN: PIXXD2 DT Patent LΑ English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE \_ \_ \_ \_ ---------------PΙ A2 WO 2001045684 20010628 WO 2000-GB4888 20001219 Α3 WO 2001045684 20020314 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG GB 1999-30079 A 19991220 EP 1239880 Α2 20020918 EP 2000-985631 20001219 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR GB 1999-30079 A 19991220 WO 2000-GB4888 W 20001219 JP 2003518042 T2 20030603 JP 2001-546423 20001219 GB 1999-30079 A 19991220 WO 2000-GB4888 W 20001219 US 2003008842 Α1 20030109 US 2002-168196 20020618 GB 1999-30079 A 19991220 WO 2000-GB4888 W 20001219 IT 259828-60-9 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (formulations of adenosine Al agonists) RN 259828-60-9 CAPLUS CN Pyrazinecarboxamide, 3,5-diamino-6-(2,3,5-trichlorophenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & NH_2 \\ \hline & N \\ \hline & N \\ \hline & NH_2 \\ \hline & NH_$$

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AB A method of treating conditions assocd. with pain and alleviating the symptoms assocd. with it comprises administering to a mammal an adenosine Al agonist or a salt or solvate and a sodium channel blocker. The present invention also provides pharmaceutical formulations and patient packs comprising the combinations. Thus, (2S,3S,4R,5R)-2-(5-tert-butyl-[1,3,4]oxadiazol-2-yl)-5-[6-(4-chloro-2-fluorophenylamino)purin-9ylltetrahydrofuran-3,4-diol was prepd. in a series of steps by the reaction of (3aS, 4S, 6R, 6aR) -6-(6-chloropurin-9-yl) -2, 2dimethyltetrahydrofuro[3,4-d][1,3]dioxole-4-carboxylic acid with 2,2-dimethylpropionic acid hydrazide followed by the cyclization of the resulting compd., and subsequent treatment with 4-chloro-2-fluoroaniline and deprotection. L3 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN ΑN 2000:900621 CAPLUS DN 134:56683 Preparation of nitrogen-containing heterocyclic derivatives as remedies TΙ for complications of diabetes based on protein kinase C inhibition Suzuki, Takayuki; Onda, Kenichi; Murakami, Takeshi; Negoro, Kenji; Yahiro, IN Kiyoshi; Maruyama, Tatsuya; Shimaya, Akiyoshi; Ohta, Mitsuaki PΑ Yamanouchi Pharmaceutical Co., Ltd., Japan SO PCT Int. Appl., 62 pp. CODEN: PIXXD2 DTPatent LΑ Japanese FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_\_\_ ----WO 2000076980 A1 20001221 PΙ WO 2000-JP3768 20000609 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG JP 1999-163344 A 19990610 JP 1999-165217 A 19990611 OS MARPAT 134:56683 ΙT 313338-65-7P 313338-66-8P 313338-67-9P 313338-68-0P 313338-69-1P 313338-70-4P 313338-71-5P 313338-72-6P 313338-73-7P 313338-74-8P 313338-75-9P 313338-76-0P 313338-77-1P 313338-79-3P 313338-80-6P 313338-81-7P 313338-82-8P 313338-83-9P 313338-84-0P 313338-85-1P 313338-86-2P 313338-87-3P 313338-88-4P 313338-89-5P 313338-91-9P 313338-92-0P 313338-93-1P 313338-94-2P 313338-95-3P 313338-96-4P 313338-98-6P 313338-99-7P 313339-00-3P 313339-01-4P 313339-02-5P 313339-03-6P 313339-04-7P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of nitrogen-contg. heterocyclic derivs. as remedies for

complications of diabetes)

RN 313338-65-7 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-3-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

RN 313338-66-8 CAPLUS

CN Pyrazinecarboxamide, 3-[(3-chlorophenyl)amino]-5-[[2-(dimethylamino)ethyl]amino]- (9CI) (CA INDEX NAME)

RN 313338-67-9 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-3-[(3-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

RN 313338-68-0 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-3-[(3-nitrophenyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \operatorname{Me_2N-CH_2-CH_2-NH} & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\$$

RN 313338-69-1 CAPLUS

CN Pyrazinecarboxamide, 3-[(3-acetylphenyl)amino]-5-[[2-(dimethylamino)ethyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \operatorname{Me_2N-CH_2-CH_2-NH} & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\$$

RN 313338-70-4 CAPLUS

CN Benzoic acid, 3-[[3-(aminocarbonyl)-6-[[2-(dimethylamino)ethyl]amino]pyraz inyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 313338-71-5 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-3-[(9-oxo-9H-fluoren-2-yl)amino]- (9CI) (CA INDEX NAME)

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RN 313338-72-6 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-3-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

$$Me_2N-CH_2-CH_2-NH$$
 $N$ 
 $N$ 
 $C-NH_2$ 
 $Ph-CH_2-NH$ 

RN 313338-73-7 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)

RN 313338-74-8 CAPLUS

CN Pyrazinecarboxamide, 3-(cyclohexylamino)-5-[[2-(dimethylamino)ethyl]amino](9CI) (CA INDEX NAME)

RN 313338-75-9 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)propyl]amino]-3-[(3-nitrophenyl)amino]- (9CI) (CA INDEX NAME)

RN 313338-76-0 CAPLUS

CN Pyrazinecarboxamide, 5-[[(1R,2R)-2-(dimethylamino)cyclopentyl]amino]-3-[(3-nitrophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & H & N & N \\ \hline R & N & N & NH_2 \\ \hline O_2N & NH & O \end{array}$$

RN 313338-77-1 CAPLUS

CN Pyrazinecarboxamide, 6-benzoyl-5-[[2-(dimethylamino)ethyl]amino]-3-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH-CH_2-CH_2-NMe_2 \\ \hline Ph-C & N & NH-CH_2-CH_2-NMe_2 \\ \hline N & NH-CH_2-NMe_2 \\ \hline N & NH$$

RN 313338-79-3 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-6-(hydroxymethyl)-3-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

10009276.1

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$$\begin{array}{c|c} & \text{NH-CH}_2\text{-CH}_2\text{-NMe}_2\\ & \text{NO-CH}_2\\ & \text{NN-NH-Me}\\ & \text{NO-CH}_2\\ & \text$$

RN 313338-80-6 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-6-(1-hydroxyethyl)-3-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

RN 313338-81-7 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-6-(1-hydroxy-1-methylethyl)-3-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

RN 313338-82-8 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-6-(1,4-dioxan-2-yl)-3-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

RN 313338-83-9 CAPLUS

CN Pyrazinepentanoic acid, 6-(aminocarbonyl)-3-[[2-(dimethylamino)ethyl]amino]-5-[(3-methylphenyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 313338-84-0 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-3-[(3-methylphenyl)amino]-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 313338-85-1 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-6-methyl-3-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

RN 313338-86-2 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)propyl]amino]-6-methyl-3-[(3-nitrophenyl)amino]- (9CI) (CA INDEX NAME)

RN 313338-87-3 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-6-ethyl-3-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

RN 313338-88-4 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-3-[(3-methylphenyl)amino]-6-propyl- (9CI) (CA INDEX NAME)

RN 313338-89-5 CAPLUS

CN Pyrazinecarboxamide, 6-cyano-5-[[2-(dimethylamino)ethyl]amino]-3-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

RN 313338-91-9 CAPLUS

CN Pyrazinecarboxylic acid, 6-(aminocarbonyl)-3-[[2-(dimethylamino)ethyl]amino]-5-[(3-methylphenyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 313338-92-0 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-6-methyl-3-(phenylamino)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me}_2\text{N-CH}_2\text{-CH}_2\text{-NH} \\ \\ \text{N} \\ \\ \text{NHPh} \\ \text{O} \end{array}$$

RN 313338-93-1 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-6-phenyl-3-(phenylamino)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me}_2\text{N-CH}_2\text{-CH}_2\text{-NH} \\ \text{N} \\ \text{N} \\ \text{NHPh} \\ \text{O} \end{array}$$

RN 313338-94-2 CAPLUS

CN Pyrazinecarboxamide, 6-chloro-5-[[2-(dimethylamino)ethyl]amino]-3-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

RN 313338-95-3 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-6-fluoro-3-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

RN 313338-96-4 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-6-formyl-3-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me}_2\text{N-CH}_2\text{-CH}_2\text{-NH} \\ \text{OHC} \\ \hline \\ \text{N} \\ \text{N-NH-} \\ \text{Me} \\ \\ \text{O} \\ \end{array}$$

RN 313338-98-6 CAPLUS

CN Pyrazinepropanoic acid, 6-(aminocarbonyl)-3-[[2-(dimethylamino)ethyl]amino]-5-[(3-methylphenyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 313338-99-7 CAPLUS

CN Pyrazinepropanoic acid, 6-(aminocarbonyl)-3-[[2-(dimethylamino)ethyl]amino]-5-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{NH-CH}_2\text{-CH}_2\text{-NMe}_2\\ \text{NO}_2\text{C-CH}_2\text{-CH}_2\text{-NMe}_2\\ \\ \text{N}\\ \text{N}\\ \text{N}\\ \text{NH-CH}_2\text{-NH}_2\\ \\ \text{O}\\ \end{array}$$

RN 313339-00-3 CAPLUS

CN Pyrazinecarboxylic acid, 6-(aminocarbonyl)-3-[[2-(dimethylamino)ethyl]amino]-5-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me}_2\text{N}-\text{CH}_2-\text{CH}_2-\text{NH} \\ \text{HO}_2\text{C} \\ \text{N} \\ \text{Me} \\ \\ \text{N} \\ \text{N}$$

RN 313339-01-4 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-6-(hydroxyphenylmethyl)-3-[(3-methylphenyl)amino]-(9CI) (CA INDEX NAME)

RN 313339-02-5 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-6-(1-methylethenyl)-3-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{CH}_2 & \text{NH-CH}_2\text{-CH}_2\text{-NMe}_2 \\ \text{Me-C} & \text{N} & \text{NH-CH}_2\text{-CH}_2\text{-NMe}_2 \\ & \text{NH-CH}_2\text{-NH}_2 \\ & \text{O} \end{array}$$

RN 313339-03-6 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-3-[(3-methylphenyl)amino]-6-(phenylmethyl)- (9CI) (CA INDEX NAME)

Patel

8/29/2003>

RN 313339-04-7 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-6-(1-methylethyl)-3-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

IT 313340-35-1P 313340-36-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of nitrogen-contg. heterocyclic derivs. as remedies for complications of diabetes)

RN 313340-35-1 CAPLUS

CN Pyrazinecarboxamide, 3-amino-5-[[2-(dimethylamino)ethyl]amino]-6-methyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \operatorname{Me}_{2}\operatorname{N-CH}_{2}-\operatorname{CH}_{2}-\operatorname{NH} & \operatorname{Me} \\ & \operatorname{N} & \\ & \operatorname{N} & \\ & \operatorname{NH}_{2} & \operatorname{O} \end{array}$$

RN 313340-36-2 CAPLUS

CN Pyrazinecarboxamide, 3-amino-5-[[2-(dimethylamino)ethyl]amino]-6-phenyl-(9CI) (CA INDEX NAME)

10009276.1

GΙ

AB The title compds. I [Y and X together are N:N, C(R4):N, etc.; D = (un)substituted aryl, etc.; R1 = (un)substituted heteroaryl, etc.; A1, A2 = (un)substituted alkylene, etc.; R2, R3, R4 = H, OH, etc.; or R1A2NR3 = (un)substituted heteroaryl] are prepd. The title compd. II in vitro showed IC50 of 0.0049 .mu.mol against protein kinase C.

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RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ΙI

L3 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN

Ι

- AN 2000:881124 CAPLUS
- DN 134:42141
- TI Preparation of novel heterocyclic carboxamide derivatives as spleen tyrosine kinase inhibitors
- IN Hisamichi, Hiroyuki; Kawazoe, Souichirou; Tanabe, Kazuhito; Ichikawa, Atsushi; Orita, Akiko; Suzuki, Takayuki; Onda, Kenichi; Takeuchi, Makoto
- PA Yamanouchi Pharmaceutical Co., Ltd., Japan
- SO PCT Int. Appl., 36 pp.
- CODEN: PIXXD2
- DT Patent

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LΑ
     Japanese
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                              APPLICATION NO.
                                                                DATE
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PΙ
     WO 2000075113
                                              WO 2000-JP3767
                        A1
                              20001214
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         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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              CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                              JP 1999-162692 A 19990609
     JP 2001055378
                        A2
                              20010227
                                              JP 2000-171185
                                                               20000607
                                              JP 1999-162692 A 19990609
     EP 1184376
                              20020306
                                              EP 2000-935619 20000609
                        A1
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              IE, SI, LT, LV, FI, RO
                                              JP 1999-162692 A 19990609
                                              WO 2000-JP3767 W 20000609
OS
     MARPAT 134:42141
TΤ
     312736-60-0P 312736-79-1P 312736-84-8P
     312736-85-9P 312736-86-0P 312736-87-1P
     312736-88-2P 312736-89-3P 312736-90-6P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
         (prepn. of novel heterocyclic carboxamide derivs. as spleen tyrosine
        kinase inhibitors as preventives or remedies for diseases)
     312736-60-0 CAPLUS
RN
CN
     Pyrazinecarboxamide, 3-[[(1R,2S)-2-aminocyclohexyl]amino]-5-[(3-
     methylphenyl)amino]-, rel- (9CI) (CA INDEX NAME)
```

Relative stereochemistry.

RN 312736-79-1 CAPLUS
CN Pyrazinecarboxamide, 5-[[(1R,2S)-2-aminocyclohexyl]amino]-3-[(3-methylphenyl)amino]-, rel- (9CI) (CA INDEX NAME)

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Relative stereochemistry.

RN 312736-84-8 CAPLUS

CN Pyrazinecarboxamide, 5-[[(1R,2S)-2-aminocyclohexyl]amino]-3-[(3-methoxyphenyl)amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c|c} & NH_2 \\ \hline S \\ R \\ NH \\ N \\ N \\ N \\ N \\ N \\ N \\ O \\ O \\ \end{array}$$

RN 312736-85-9 CAPLUS

CN Pyrazinecarboxamide, 5-[[(1R,2S)-2-aminocyclohexyl]amino]-3-[(3-phenoxyphenyl)amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 312736-86-0 CAPLUS

CN Pyrazinecarboxamide, 5-[[(1R,2S)-2-aminocyclohexyl]amino]-3-[(3,5-dimethoxyphenyl)amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 312736-87-1 CAPLUS

CN Pyrazinecarboxamide, 5-[[(1R,2S)-2-aminocyclohexyl]amino]-3-[[3-(methylthio)phenyl]amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$NH_2$$
 $NH_2$ 
 $NH_2$ 

RN 312736-88-2 CAPLUS

CN Pyrazinecarboxamide, 5-[[(1R,2S)-2-aminocyclohexyl]amino]-3-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

$$NH_2$$
 $NH_2$ 
 $NH_2$ 

RN 312736-89-3 CAPLUS

CN Pyrazinecarboxamide, 5-[[(1R,2S)-2-aminocyclohexyl]amino]-3-[(3-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 312736-90-6 CAPLUS

CN Pyrazinecarboxamide, 5-[[(1R,2S)-2-aminocyclohexyl]amino]-3-[(3,5-dimethoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

## IT 312736-74-6P 312736-75-7P 312736-76-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of novel heterocyclic carboxamide derivs. as spleen tyrosine kinase inhibitors as preventives or remedies for diseases)

RN 312736-74-6 CAPLUS

CN Pyrazinecarboxamide, 3-[(3-methylphenyl)amino]-5-[[(1R,2S)-2-[[(1S)-1-phenylethyl]amino]cyclohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 312736-75-7 CAPLUS

CN Pyrazinecarboxamide, 3-[(3-methoxyphenyl)amino]-5-[[(1R,2S)-2-[[(1S)-1-phenylethyl]amino]cyclohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 312736-76-8 CAPLUS

CN Pyrazinecarboxamide, 3-[(3,5-dimethoxyphenyl)amino]-5-[[(1R,2S)-2-[[(1S)-1-phenylethyl]amino]cyclohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10009276.1

GI

$$R^{3}-A-X$$
 $Y = Z$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{3}-A-X$ 
 $R^{3}-A-X$ 
 $R^{3}-A-X$ 
 $R^{3}-A-X$ 

AB Nitrogenous six-membered heterocycle compds. bearing as the substituents -X-A-R3, -N-(R1)-(R2-substituted Ph) and -CONH2 [I; wherein A = (substituted) lower alkylene, (substituted) (hetero)arylene, cycloalkylene; X = NR4, CONR4, NR4CO, O, S; the dotted line between Y and Z represents the presence of a bond (Y:Z) or the absence of a bond (Y-Z); Y-Z = NR5-CO, CO-NR5, NR5-NR5, CO-CO; Y:Z = N:CR1, CR7:N, N:N, CR7:CR7; R4 = each H, lower alkyl, -CO-lower alkyl, or -SO2-lower alkyl; R2 = H, (halo-substituted) lower alkyl, -O-lower alkyl, -S-lower alkyl, -O-aryl, nitro, cyano, or the like; R3 = -CO2H, -CO2-lower alkyl, -lower alkylene-CO2H, -NH2, -alkylene-NH2, or the like; R5 = H, lower alkyl; R6 = lower alkyl, OH, -O-lower alkyl, -O-(substituted) aryl, -O-lower alkylene-(substituted) aryl, -NR1-(substituted) aryl, -CO-lower alkyl-(substituted) aryl; R7 = H, R6] salts or prodrugs thereof are prepd. Also claimed are spleen tyrosine kinase (Syk) inhibitors contg. the compds. I or the salts or the prodrugs thereof as the active ingredient. The compds. I are useful for the prevention or treatment of allergies, inflammations, autoimmune diseases, cancers, transplant rejection, graft-vs.-host diseases, and thrombosis. Thus, 2.76 mL cis-1,2-cyclohexanediamine was added to a mixt. of 605 mg 6-chloro-2-(3-methylanilino)pyridine-3-carboxamide and 10 mL MeCN and refluxed for 5 days to give 230 mg 6-(cis-2-aminohexylamino)-2-(3methylanilino)pyrazine-3-carboxamide (II). II showed IC50 of .ltoreq.0.05 .mu.M against Syk, good inhibition against passive cutaneous anaphylaxis (PCA) in mice sensitized by anti-dinitrophenyl-IgE (DNP-IgE), and IC50 of .ltoreq.0.1 .mu.M against serotonin release according to the assay described by Collado-Escobar (J. Immunol. 144, 1990).

Page 28

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD

Patel

8/29/2003>

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L3
    ANSWER 6 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
AN
    2000:161266 CAPLUS
DN
    132:194395
ΤI
    Preparation of pyrazines as anticonvulsants
    Cox, Brian; Healy, Mark Patrick; Wild, Deborah
IN
PΑ
    Glaxo Group Limited, UK
SO
    PCT Int. Appl., 40 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
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                                         APPLICATION NO. DATE
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    WO 2000012488
                    A1 20000309
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    MARPAT 132:194395
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

OS

TΤ 259828-60-9P

(prepn. of pyrazines as anticonvulsants)

RN 259828-60-9 CAPLUS

CN Pyrazinecarboxamide, 3,5-diamino-6-(2,3,5-trichlorophenyl)- (9CI) (CA INDEX NAME)

GΙ

$$R^{1}$$
 $R^{2}$ 
 $R^{4}$ 
 $R^{3}$ 
 $R^{2}$ 

The title compds. [I; R1 = Ph substituted by one or more halogen atoms; R2 = NH2; R3 = NH2, H; R4 = CXNRaRb, CXNH(CH2)yNRaRb (wherein X = O, S; y = O-2; Ra, Rb = H, alkyl; NRaRb = (un)substituted satd. 5-6 membered heterocycle contg. one or two N atoms)], useful in the treatment of CNS diseases such as epilepsy, were prepd. and formulated. E.g., a multi-step synthesis of pyrazine I [R1 = 2,3,5-Cl3C6H2; R2 = R3 = NH2; R4 = CONH2] was given. Compds. I showed ED50 of 1.4 mg/kg compared to 6.1 mg/kg for lamotrigine with a therapeutic index (ratio of the ataxia ED50 and MES ED50) of 21.6 compared to 3.3 for lamotrigine.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:124060 CAPLUS

DN 132:177733

TI Methods and compositions for enhancing sensitivity in the analysis of biological-based assays using cleavable tags

IN Van Ness, Jeffrey; Tabone, John C.; Howbert, J. Jeffry; Mulligan, John T.

PA Rapigene, Inc., USA

SO U.S., 79 pp., Cont.-in-part of U.S. Ser. No. 787,521, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 5

PATENT NO. KIND DATE APPLICATION NO. DATE

PI	US	6027890	A 2000	0222	US 1997-898501 19970722 US 1996-10436P P 19960123 US 1996-15402P P 19960321
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		9905319 9905319		0204 0514	US 1996-10436P P 19960123 US 1996-15402P P 19960321 EP 1997-903074 A319970123 WO 1998-US15008 19980722
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		990047 990047 R: AT, BE, IE, FI	B1 2003	0405 0514 ES, FR,	EP 1998-936928 19980722  GB, GR, IT, LI, LU, NL, SE, MC, PT,
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FAN	1999:96398 PATENT NO.		APPLICATION NO. DATE
PI	WO 9905319 WO 9905319	A2 19990204 A3 19990514	WO 1998-US15008 19980722
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	FI, FR,		SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, NE, SN, TD, TG US 1997-898180 A 19970722
	US 6027890	A 20000222	US 1997-898501 A 19970722 US 1997-898564 A 19970722 US 1997-898501 19970722 US 1996-10436P P 19960123
	US 6312893	B1 20011106	US 1996-10462P P 19960123
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	NZ 501919	A 20011130	WO 1998-US15008W 19980722 NZ 1998-501919 19980722 US 1997-898180 A 19970722 US 1997-898501 A 19970722
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FAN	2001:813415 PATENT NO.	KIND DATE	WO 1998-US15008W 19980722  APPLICATION NO. DATE
ΡΙ	US 6312893	B1 20011106	US 1997-898180 19970722 US 1996-10462P P 19960123 US 1997-786835 B219970122

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                                      US 1997-786835 B219970122
                                      US 1997-898180 All9970722
MARPAT 132:177733
14236-57-8
RL: ANT (Analyte); ANST (Analytical study)
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0S

IT

(detection of, by MALDI mass spectroscopy; methods and compns. for enhancing sensitivity in the anal. of biol.-based assays using cleavable tags)

RN 14236-57-8 CAPLUS

CN Pyrazinecarboxamide, 3,5-diamino-6-chloro- (8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
C1 & O \\
N & C-NH_2 \\
H_2N & NH_2
\end{array}$$

AB Methods are provided for detecting the binding of a first member to a second member of a ligand pair, comprising the steps of (a) combining a set of first tagged members with a biol. sample which may contain one or more second members, under conditions, and for a time sufficient to permit binding of a first member to a second member, wherein said tag is correlative with a particular first member and detectable by non-fluorescent spectrometry, or potentiometry, (b) sepg. bound first and second members from unbound members, (c) cleaving the tag from the tagged first member, and (d) detecting the tag by non-fluorescent spectrometry, or potentiometry, and therefrom detecting the binding of the first member to the second member. Texas Red-, Lissamine-, or fluorescein-tagged oligonucleotide probes were prepd. and used to assay gene expression.

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:152925 CAPLUS

DN 128:306453

 ${\tt TI}$  Cu2+ reveals different binding sites of amiloride and CDPC on the apical Na channel of frog skin

AU Flonta, M. L.; De Beir-Simaels, J.; Mesotten, D.; Van Driessche, W.

CS Laboratorium voor Fysiologie, K.U. Leuven, Campus Gasthuisberg, Louvain, B-3000, Belg.

SO Biochimica et Biophysica Acta (1998), 1370(1), 169-174 CODEN: BBACAQ; ISSN: 0006-3002

PB Elsevier Science B.V.

DT Journal

LA English

IT 14236-57-8, 6-Chloro-3,5-diaminopyrazine-2-carboxamide
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study);
PROC (Process)

(CDPC; Cu2+ reveals different binding sites of amiloride and CDPC on apical sodium channel of frog skin)

RN 14236-57-8 CAPLUS

CN Pyrazinecarboxamide, 3,5-diamino-6-chloro- (8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
C1 & O \\
N & C-NH_2 \\
NH_2N & NH_2
\end{array}$$

10009276.1 Page 37

AB The effect of Cu2+ ions, present in the mucosal bathing soln., on the transepithelial short-circuit current (Isc) and conductance (Gt) and on the blocker-induced noise of apical Na channels, was studied on the isolated ventral skin of the frog Rana temporaria. Cu2+ effects were concn.-dependent, the full effect being reached at 50 .mu.mol/l. Cu2+ increased Isc and Gt; this effect was eliminated by high concns. of amiloride (30 .mu.mol/l) and of CDPC (150 .mu.mol/l). Cu2+ markedly reduced the corner frequency (fc) of the Na channel noise, while having virtually no effect on the fc of CDPC-induced noise. Cu2+ reduces the assocn. rate const. of amiloride to the Na channel to one third; this effect is interpreted as indicating competition between Cu2+ and amiloride for the same (neg. charged) binding site on the channel, while CDPC appears to bind on a different site.

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L3 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1997:517585 CAPLUS

DN 127:173496

TI Methods and compositions for detecting binding of ligand pair using non-fluorescent label

IN Van Ness, Jeffrey; Tabone, John C.; Howbert, J. Jeffry; Mulligan, John T.

PA Darwin Molecular Corp., USA; Van Ness, Jeffrey; Tabone, John C.; Howbert, J. Jeffry; Mulligan, John T.

SO PCT Int. Appl., 146 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 5

FAN.		PATENT NO.								APPLICATION NO. DATE								
PI		97273 97273	327		A	2	1997	0731			0 19	97-U	S107	0	1997	0123		
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		RW:	ΙE,	LS, IT, NE,	LU,	MC,	SZ, NL, TG	UG, PT,	AT, SE,	BE, BF,	CH, BJ,	DE, CF,	DK, CG,	ES, CI,	FI, CM,	FR, GA,	GB, GN,	GR, ML,
											-				1996			
	CA	22439	89		A	A	1997	0731		C. U.	A 19 S 19	97-22 96-1	2439 0436	89 P P	19960 19970 19960 19960	0123 0123		
		97170 71733					1997 2000								1997			
										U	S 19	96-1	5402	PΡ	19960 19960 19970	0321		
		85032												-	1997			
	EP	85032 R:		BE,			1999 DK,		FR,						NL,		MC,	PT,
										-					19960			

Patel

WO 1997-US1070 W 19970123

		96246	54	BE,		19991208		CN 1997-192554 19970123 US 1996-10436P P 19960123 US 1996-15402P P 19960321 EP 1999-110813 19970123 GB, GR, IT, LI, LU, NL, SE, MC, PT,
		18750 97070	01		E A	19991215 19991228		US 1996-10436P P 19960123 US 1996-15402P P 19960321 EP 1997-903074 A319970123 AT 1997-903074 19970123 US 1996-10436P P 19960123 US 1996-15402P P 19960321 BR 1997-7060 19970123 US 1996-10436P P 19960123
	ES	21438	348		Т3	20000516		US 1996-10436P P 19960123 US 1996-15402P P 19960321 WO 1997-US1070 W 19970123 ES 1997-903074 19970123 US 1996-10436P P 19960123 US 1996-15402P P 19960321
		20005			Т2	20000613		JP 1997-526988 19970123 US 1996-10436P P 19960123 US 1996-15402P P 19960321 WO 1997-US1070 W 19970123
	MX	98059	951		A	20000331		MX 1998-5951 19980723 US 1996-10436P P 19960123 US 1996-15402P P 19960321 WO 1997-US1070 W 19970123
	199 PAT	7:51 ENT 1	7589 NO.		ATION: KIND	DATE		APPLICATION NO. DATE
PI	WO	97273 97273	331		A2 A3			WO 1997-US1304 19970123
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			EE, LR, RU,	ES, LS, SD,	AT, AU, FI, GB, LT, LU, SE, SG,	GE, HU, LV, MD,	IL, MG, TJ,	BR, BY, CA, CH, CN, CU, CZ, DE, DK, IS, JP, KE, KG, KP, KR, KZ, LC, LK, MK, MN, MW, MX, NO, NZ, PL, PT, RO, TM, TR, TT, UA, UG, US, UZ, VN, AM, TM
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		22435	EE, LR, RU, AZ, KE, IE, MR,	ES, LS, SD, BY, LS, IT,	AT, AU, FI, GB, LT, LU, SE, SG, KZ, MW, SD, LU, MC, SN, TD,	GE, HU, LV, MD, SI, SK, MD, RU, SZ, UG, NL, PT, TG	IL, MG, TJ, TJ, AT, SE,	IS, JP, KE, KG, KP, KR, KZ, LC, LK, MK, MN, MW, MX, NO, NZ, PL, PT, RO, TM, TR, TT, UA, UG, US, UZ, VN, AM, TM  BE, CH, DE, DK, ES, FI, FR, GB, GR, BF, BJ, CF, CG, CI, CM, GA, GN, ML,  US 1996-10462P P 19960123 US 1996-589260 A 19960123 US 1996-10462P P 19960123 US 1996-589260 A 19960123 US 1996-589260 A 19960123
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			· <b>3</b>
	CN 1212021	A 19990324	CN 1997-192557 19970123
			US 1996-10462P P 19960123
			US 1996-589260 A 19960123
	BR 9707056	A 19991228	
			US 1996-10462P P 19960123
			US 1996-589260 A 19960123
	ED 000E11	71 20000410	WO 1997-US1304 W 19970123
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	12, 11		US 1996-10462P P 19960123
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			WO 1997-US1304 W 19970123
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	JP 2001501449	T2 20010206	JP 1997-527085 19970123
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			WO 1997-US1304 W 19970123
FAN	1999:96398		
	PATENT NO.		APPLICATION NO. DATE
ΡI			WO 1998-US15008 19980722
	WO 9905319	A2 19990204 A3 19990514	WO 1990-0313000 19900722
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	KZ, LC,	LK, LR, LS, LT,	LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ,
	PL, PT,	RO, RU, SD, SE,	SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG,
	US, UZ,	VN, YU, ZW, AM,	AZ, BY, KG, KZ, MD, RU, TJ, TM
	RW: GH, GM,	KE, LS, MW, SD,	SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
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			US 1997-898501 A 19970722
			US 1997-898564 A 19970722
	US 6027890	A 20000222	US 1997-898501 19970722
			US 1996-10436P P 19960123
			US 1996-15402P P 19960321
			US 1997-787521 B219970122
	US 6312893	B1 20011106	US 1997-898180 19970722
			US 1996-10462P P 19960123
	AU 9885765	A1 19990216	US 1997-786835 B219970122
	AU 738237	B2 20010913	AU 1998-85765 19980722
	1.0 /3023/	D2 20010713	US 1997-898180 A 19970722
			US 1997-898501 A 19970722
			US 1997-898564 A 19970722
			US 1997-898564 A 19970722 WO 1998-US15008W 19980722
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EP 990047
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                                                AT 1998-936928 19980722
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                               20030515
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FAN 2000:124060
     PATENT NO.
                        KIND DATE
                                                APPLICATION NO. DATE
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                       A2 19990204
A3 19990514
                                                WO 1998-US15008 19980722
             AL, AM, AT, AU, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK,
              EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR,
              KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ,
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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
              CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                US 1997-898180 A 19970722
                                                US 1997-898501 A 19970722
                                                US 1997-898564 A 19970722
     AU 9885765
                       A1
                              19990216
                                                AU 1998-85765
                                                                 19980722
     AU 738237
                        B2
                               20010913
                                                US 1997-898180 A 19970722
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EP 990047 B1 20030514
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              IE, FI
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	JP 2001511359	T2 20010814	US 1997-898180 A 19970722 US 1997-898501 A 19970722 US 1997-898564 A 19970722 WO 1998-US15008W 19980722 JP 2000-504286 19980722 US 1997-898180 A 19970722 US 1997-898501 A 19970722 US 1997-898564 A 19970722
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	US 2003077595	A1 20030424	WO 1998-US15008W 19980722 US 2001-467 20011024 US 1996-10436P P 19960123 US 1996-15402P P 19960321 US 1997-787521 B219970122 US 1997-898501 A119970722
FAN		KIND DATE	US 1999-457048 B119991207  APPLICATION NO. DATE
PI	US 6312893		US 1997-898180 19970722 US 1996-10462P P 19960123
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	AU 9885765 AU 738237	A1 19990216 B2 20010913	US 1997-898564 A 19970722 AU 1998-85765 19980722 US 1997-898180 A 19970722
	EP 990047 EP 990047	A2 20000405 B1 20030514	US 1997-898501 A 19970722 US 1997-898564 A 19970722 WO 1998-US15008W 19980722 EP 1998-936928 19980722

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI US 1997-898180 A 19970722 US 1997-898501 A 19970722 US 1997-898564 A 19970722 WO 1998-US15008W 19980722 JP 2001511359 T2 20010814 JP 2000-504286 19980722 US 1997-898180 A 19970722 US 1997-898501 A 19970722 US 1997-898564 A 19970722 WO 1998-US15008W 19980722 NZ 501919 Α 20011130 NZ 1998-501919 19980722 US 1997-898180 A 19970722 US 1997-898501 A 19970722 US 1997-898564 A 19970722 WO 1998-US15008W 19980722 AT 240408 Ε 20030515 AT 1998-936928 19980722 US 1997-898180 A 19970722 US 1997-898501 A 19970722 US 1997-898564 A 19970722 WO 1998-US15008W 19980722 US 2002119456 **A**1 20020829 US 2001-855999 20010514 US 1996-10462P P 19960123 US 1997-786835 B219970122 US 1997-898180 A119970722

## IT 14236-57-8

RL: ANT (Analyte); PRP (Properties); ANST (Analytical study) (ligand pair binding detection using nonfluorescent labels)

RN 14236-57-8 CAPLUS

CN Pyrazinecarboxamide, 3,5-diamino-6-chloro- (8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
C1 & O \\
 & C-NH_2 \\
 & NH_2
\end{array}$$

AB Methods are provided for detecting the binding of a first member to a second member of a ligand pair, comprising the steps of (1) combining a set of first tagged members with a biol. sample which may contain .gtoreq.l s members, under conditions, and for a time sufficient to permit binding of a first member to a second member, wherein said tag is correlative with a particular first member and detectable by non-fluorescent spectrometry or potentiometry; (2) sepg. bound first and second members from unbound members; (3) cleaving the tag from the tagged first member; and (4) detecting the tag by non-fluorescent spectrometry or potentiometry, and therefrom detecting the binding of the first member to the second member. Novel compns. are provided that may be used in a wide variety of nucleic acid-based or protein (e.g., antibody)-based assays.

- L3 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1991:405922 CAPLUS
- DN 115:5922
- TI Blocker-related changes of channel density. Analysis of a three-state model for apical sodium channels of frog skin

AU Helman, Sandy I.; Baxendale, Lynn M.

CS Dep. Physiol. Biophys., Univ. Illinois, Urbana, IL, 61801, USA

SO Journal of General Physiology (1990), 95(4), 647-78

CODEN: JGPLAD; ISSN: 0022-1295

DT Journal

LA English

IT 14236-57-8, 6-Chloro-3,5-diaminopyrazine-2-carboxamide

RL: BIOL (Biological study)

(sodium channels of skin response to, model for)

RN 14236-57-8 CAPLUS

CN Pyrazinecarboxamide, 3,5-diamino-6-chloro- (8CI, 9CI) (CA INDEX NAME)

$$C1$$
 $N$ 
 $C-NH_2$ 
 $NH_2$ 

AΒ Blocker-induced noise anal. of apical membrane Na channels of epithelia of frog (Rana pipiens) skin was carried out with an electroneutral blocker (CDPC, 6-chloro-3,5-diamino-pyrazine-2-carboxamide) that permitted detn. of the changes of single-channel Na currents and channel densities with minimal inhibition of the macroscopic rates of Na transport. Expts. were designed to resolve changes of channel densities due to mass law action (and hence the kinetic scheme of blocker interaction with the Na channel) and to autoregulation of Na channel densities that occur as a consequence of inhibition of Na transport. Mass law action changes of channel densities conformed to a kinetic scheme of closed, open, and blocked states where blocker interacts predominantly if not solely with open channels. Such behavior was best obsd. in pulse protocol expts. that minimized the time of exposure to blocker and thus minimized the contribution of much longer time const. autoregulatory influences on channel densities. Anal. of data derived from pulse, staircase, and other exptl. protocols using both CDPC and amiloride as noise-inducing blockers and interpreted within the context of a 3-state model revealed that Na channel open probability in the absence of blocker averaged near 0.5 with a wide range among tissues between 0.1 and 0.9.

L3 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1991:228957 CAPLUS

DN 114:228957

TI Preparation and formulation of 4(3H)-pteridinones as allergy inhibitors

IN Ferrand, Gerard; Dumas, Herve; Depin, Jean Claude; Quentin, Yvette

PA LIPHA, Lyonnaise Industrielle Pharmaceutique, Fr.

SO Fr. Demande, 35 pp.

CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 1

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	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
E	PI FR 2645152	A1	19901005	FR 1989-4193	19890330
	FR 2645152	B1	19910531		
	AU 9052218	A1	19901004	AU 1990-52218	19900326
	AU 630178	B2	19921022		

							FR	1989-4193	Α	19890330	
	399856		A1	1990	1128		EP	1990-400827		19900327	
EΡ	399856		B1	19950	0809						
	R: AT,	BE,	CH, DE	, DK,	ES,	FR,	GB, C	GR, IT, LI,	LU,	NL, SE	
					•	·		1989-4193			
ES	2078324		Т3	1995	1216		ES	1990-400827		19900327	
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ZA	9002397		Α	1991	0130			1990-2397		19900328	
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CZ	284679		В6	19990	0217			1990-1520		19900328	
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NO	9001430		A	1990	1001			1990-1430		19900329	
NO	175100		В	19940	0524						
	175100		С	19940	0831						
							FR	1989-4193	Α	19890330	
HU	53646		A2	1990	1128			1990-1898		19900329	
HU	208826		В	1994(	0128						
							FR	1989-4193	Α	19890330	
DD	296927		<b>A</b> 5	1991	1219		DD	1990-339197		19900329	
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US	5167949		A	1992	1201		US	1990-501104		19900329	
							FR	1989-4193	Α	19890330	
SU	1836344		A3	19930	0823		SU	1990-474354	5	19900329	
							FR	1989-4193	Α	19890330	
JΡ	02304089		A2	1990	1217		JP	1990-81429		19900330	
JP	07025761		B4	19950	0322						
							FR	1989-4193	Α	19890330	
US	5270465		A	1993	1214		US	1992-970839		19921103	
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							US	1990-501104	A3	319900329	

OS CASREACT 114:228957; MARPAT 114:228957

IT 39870-67-2

RL: RCT (Reactant); RACT (Reactant or reagent)

Ι

(reaction of, in prepn. of pteridine derivs. as allergy inhibitors)

RN 39870-67-2 CAPLUS

CN Pyrazinecarboxamide, 3,5-diamino- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

GI

$$\mathbf{Y} = \mathbf{N} \mathbf{N} \mathbf{N} \mathbf{C} \mathbf{H}_2 - \mathbf{X} - \mathbf{R}^1$$

$$\begin{array}{c|c} & & & \\ & & & \\ Y & & & \\ & & & \\ N & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\$$

AB Title compds. [I; X = O, S; Y = H, alkyl, OH; R1 = H, alkyl, etc.; R2 = H, alkyl] and their pharmaceutically acceptable salts, were prepd., e.g., via cyclocondensation of 3-amino-2-pyrazinecarboxamides with Et orthoethoxyacetates. A mixt. of 3-amino-2-pyrazinecarboxamide, EtOCH2C(OEt)3, and Ac2O was refluxed for 3 h to give 44% I [X = O, Y = R2 = H, R1 = Et], which effected 50% desensitization of ovalbumin antiserum homolog-sensitized rat skin at 7 mg/kg i.p. Capsules, aerosols, tablets, injections, etc., contq. I were formulated.

L3 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN

Ι

AN 1984:505696 CAPLUS

DN 101:105696

TI Studies on herbicidal 2,3-pyrazinedicarbonitriles (2,3-dicyanopyrazines). Part IV. Synthesis and herbicidal activity of 6-phenyl-5-propylamino-2-pyrazinecarbonitriles and related compounds

AU Nakamura, Akira; Ono, Matsuo; Segawa, Hirozo; Takematsu, Tetsuo

CS Res. Lab., Kyowa Gas Chem. Ind. Co., Ltd., Nakajo, 959-26, Japan

SO Agricultural and Biological Chemistry (1984), 48(4), 1009-16 CODEN: ABCHA6; ISSN: 0002-1369

DT Journal

LA English

IT 90688-06-5P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as herbicide)

RN 90688-06-5 CAPLUS

CN Pyrazinecarboxamide, 6-phenyl-3,5-bis(propylamino)- (9CI) (CA INDEX NAME)

GI

AB 2-Pyrazinecarbonitriles, I (R1 = H, CN, or NHPr; R2 or R3 = NHPr or

10009276.1

substituted Ph) were prepd. and their herbicidal activity was related to their structure. For example, 6-phenyl-5-propylamino-2pyrazinecarbonitrile (II) [82825-73-8] was prepd. by hydrolysis of 6-phenyl-5-propylamino-2,3-pyrazinedicarbonitrile [72113-09-8] with NaOH, followed by decarboxylation and treatment with POCl3. II (20 g/are) completely killed barnyard grass and broadleaf weeds. The compds. having no CN group on the pyrazine ring were inactive even at 200 g/are, e.g., 3,5-bis(propylamino)-6-phenyl-2-pyrazinecarboxamide [90688-06-5

Page 46

- L3 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
- 1979:120880 CAPLUS AN
- DN 90:120880
- Proton, carbon-13, and nitrogen-15 nuclear magnetic resonance and CNDO/2 TΙ studies on the tautomerism and conformation of amiloride, a novel acylquanidine
- ΑU Smith, Robert L.; Cochran, David W.; Gund, Peter; Cragoe, Edward J., Jr.
- Merck Sharp and Dohme Res. Lab., West Point, PA, USA CS
- SO Journal of the American Chemical Society (1979), 101(1), 191-201 CODEN: JACSAT; ISSN: 0002-7863
- DT Journal
- English LΑ
- ·IT 14236-57-8
  - RL: PRP (Properties)
    - (NMR of)
  - RN 14236-57-8 CAPLUS
- CNPyrazinecarboxamide, 3,5-diamino-6-chloro- (8CI, 9CI) (CA INDEX NAME)

$$C1 \qquad \qquad C-NH_2$$

$$H_2N \qquad \qquad N$$

$$NH_2$$

GI

AB The favored ground-state structures were detd. for the novel acylguanidine diuretic, amiloride (I), and its free base using natural-abundance 1H, 13C, and 15N NMR techniques and CNDO/2 theor. calcns. I existed primarily in the acylamino tautomer form as planar conformer F1, whereas the free

base preferred the acylimino tautomer form as planar conformer Al (and/or A4). The dynamic mechanism(s) for the exptl. obsd. rapid equil. of the terminal amino groups in I and the free base and, when N-substituted, their substituents were explored by the CNDO/2 method. Of the six possible pathways considered for effecting N-10-N-11 interconversion in the free base a novel mechanism involving a synchronous rotation around .vphi.2 and .vphi.3 was calcd. to have the lowest barrier to interconversion. Exptl. verification of this novel mechanism was attempted, but not found, by prepn. of an appropriate model, II and subsequent detn. of the .DELTA.G.++. values (14.7-14.8 kcal/mol) for II and pyrazine analogs using the dynamic 13C NMR technique in Me2SO-d6-CD3OI). The free base is likely to undergo N-10-N-11 interconversion via simple .vphi.3 rotation and/or .vphi.2 rotation plus inversion. Accordingly, I must equilibrate by a .vphi.3 rotation mechanism.

- L3 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1973:487342 CAPLUS
- DN 79:87342
- TI 6-Substituted 5-chloro-1,3-dihydro-2H-imidazo[4,5-b]pyrazin-2-ones with hypotensive activity
- AU Jones, James H.; Holtz, Wilbur J.; Cragoe, Edward J., Jr.
- CS Merck Sharp and Dohme Res. Lab. Div., Merck and Co., Inc., West Point, PA, USA
- SO Journal of Medicinal Chemistry (1973), 16(5), 537-42 CODEN: JMCMAR; ISSN: 0022-2623
- DT Journal
- LA English
- IT 50665-18-4P

- RN 50665-18-4 CAPLUS
- CN Pyrazinecarboxamide, 3-amino-6-chloro-5-(ethylamino)- (9CI) (CA INDEX NAME)

Title compds. substituted in the 6 position with alkylamino, dialkylamino, alkylaminoethylamino, or pyridylalkylamino groups were potent hypotensive agents in dogs because of the peripheral vasodilatatory properties. Most were also inhibitors of beef heart cyclic AMP phosphodiesterase [9036-21-9] in vitro. Thus, 5-chloro-6-ethylamino-1,3-dihydro-2H-imidazo[4,5-b]pyrazin-2-one (I) [27604-23-5] at 20 mg/kg i.v. produced >50 mm Hg decrease in carotid arterial blood pressure in anesthetized dogs, and at 10-3 M produced 70% inhibition of cyclic AMP phosphodiesterase in vitro. Most compds. also possesed bronchodilatatory and cardiac stimulant properties. 5-Chloro-6-[[2-(dimethylamino)ethyl]amino]-1,3-dihydro-2H-imidazo[4,5-b]pyrazin-2-one [27604-38-2] produced hypotension and bronchodilation, but had no cardiac stimulant properties and was a poor inhibitor of cyclic AMP phosphodiesterase. To synthesize I, 3-amino-5,6-dichloropyrazine-2-carboxylic acid Me ester was converted to

du Pont de Nemours, E. I., and Co.

1973:30463 CAPLUS

Aminocyanopyrazines

Donald, Dennis Scott

78:30463

L3 AN

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SO

ANSWER 15 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN

the 5-ethylamino deriv. by the method of K. L. Shepard, et al. (1969), converted to the hydrazide, then to the azide, and submitted to thermal Curtius rearrangement with intramol. cyclization.

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Ger. Offen., 49 pp.
    CODEN: GWXXBX
DΤ
    Patent
LΑ
    German
FAN.CNT 2
    PATENT NO. KIND DATE
                                      APPLICATION NO. DATE
    DE 2216925 A 19721019
PΙ
                                       DE 1972-2216925 19720408
                                       US 1971-133724 19710413
                                       US 1971-184578
                                                     19710928
                                       US 1972-232206
                                                     19720306
                                       US 1972-232207
                                                      19720306
    US 3814757
                                       US 1972-232207
                   A 19740604
                                                      19720306
                                       US 1971-133724
                                                      19710413
    US 3948895
              Α
                         19760406
                                       US 1972-232206
                                                      19720306
                                       US 1971-184578
                                                      19710928
    BE 781991
              A1
                         19721012
                                       BE 1972-116219
                                                      19720412
                                       US 1971-133724 19710403
                                       US 1971-184578 19710928
                                       US 1972-232206 19720306
                                       US 1972-232207 19720306
    FR 2132870
              A5 19721124
                                       FR 1972-12786
                                                     19720412
                                       US 1971-133724 19710413
    NL 7204981
                  A 19721017
                                       NL 1972-4981
                                                     19720413
                                       US 1971-133724
                                                     19710413
                                       US 1971-184578 19710928
                                       US 1972-232206 19720306
                                       US 1972-232207 19720306
PATENT FAMILY INFORMATION:
FAN 1976:122557
    PATENT NO.
                   KIND DATE
                                       APPLICATION NO. DATE
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PΙ
    US 3928351
                    Α
                         19751223
                                       US 1973-403867
                                                      19731005
                                       US 1971-133724
                                                      19710413
                                       US 1972-232207
                                                      19720306
    US 3814757
                   Α
                         19740604
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                                       US 1971-133724 19710413
    FR 2132870
                   A5
                         19721124
                                       FR 1972-12786
                                                      19720412
                                       US 1971-133724 19710413
IT
    39870-64-9P 39870-66-1P 39870-67-2P
    RL: PREP (Preparation)
       (prepn. of)
RN
    39870-64-9 CAPLUS
CN
    Pyrazinecarboxylic acid, 3,5-diamino-6-(aminocarbonyl)- (9CI) (CA INDEX
    NAME)
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RN 39870-66-1 CAPLUS

CN 2,6-Pyrazinedicarboxamide, 3,5-diamino- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
0 & 0 \\
H_2N-C & N & C-NH_2\\
\hline
H_2N & NH_2
\end{array}$$

RN 39870-67-2 CAPLUS

CN Pyrazinecarboxamide, 3,5-diamino- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
0\\
C-NH_2\\
N\\
NH_2
\end{array}$$

Twenty-three title compds. [I, R = CN, NH2, NMe2, OMe, NHMe, NHCH2CH:CH2, NMePh, or 1-piperazinyl; R1 = R, NHCH2Ph, NHPh, OCHETMe, or CH2NO2.NEt3; R2 = R3 or H; R3 = CN, CONH2, or CO2H] were prepd., used as fluorescent brighteners, hardeners for epoxy resins, or intermediates for polymers, and useful as intermediates for diuretics. Thus, HN:C(CN)C(CN):NH reacted successively with p-MeC6H4SO3H.H2O and H2NC(CN):C(CN)NH2 to give 25.4% tetracyanopyrazine (II) [33420-37-0]. II reacted with Me2NH in THF at 0.deg. to give 92.7% 2-(dimethylamino)-3,5,6-tricyanopyrazine [38050-94-1]. This gave on treatment with NH3 in THF 89% 2-(dimethylamino)-3,5-dicyano-6-aminopyrazine [38050-95-2]. I (R = R1 = 1-piperazinyl, R2 = R3 = CN) was copolymerized with, e.g., 2,4-(OCN)2C6H3Me to give 2,6-dipiperazinyl-3,5-dicyanopyrazine-2,4-diisocyanatotoluene copolymer [37953-12-1].

L3 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1969:512983 CAPLUS

DN 71:112983

TI (3,5-Diamino-6-halopyrazinoyl) guanidines

IN Pollak, Peter I.; Tull, Roger J.

PA Merck and Co., Inc.

SO Fr., 8 pp.

CODEN: FRXXAK

DT Patent

LA French

FAN.CNT 1

PATENT NO.

KIND DATE

APPLICATION NO. DATE

PI FR 1525692 19680517 US 19660825

IT 14236-57-8P 17231-60-6P

RN 14236-57-8 CAPLUS

CN Pyrazinecarboxamide, 3,5-diamino-6-chloro- (8CI, 9CI) (CA INDEX NAME)

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RN 17231-60-6 CAPLUS

CN Pyrazinecarboxamide, 3-amino-6-chloro-5-(isopropylamino)- (8CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

The title compds. (I) are prepd. by reacting a 3,5-diamino-6-AB halopyrazinoylcyanamide (II) with NH3 or an amine and are useful as diuretics. Thus, 1 mole methyl 6-chloro-3,5-diaminopyrazinecarboxylate in MeOH is treated with 1 mole sodium cyanamide and refluxed 3 hrs., the solvent evapd. and the residue dissolved in 1 l. concd. NH4OH contg. 3 moles NH4Cl and heated 3 hrs. (pH = 8), to yield I (R1 = R2 = R3 = R4 = H, R = Cl), m. 240.5-1.56.degree. (decompn.); HCl salt m. 293.5.degree.. Similarly was prepd. the following I (R = Cl, R1 = R2 = R4 = H) (R3 and m.p. given): Me, 252-4.degree.; CH2CH2OH, - (HCl salt m. 228.5-9.5.degree.); benzyl, 215-16.degree.; o-ClC6H4CH2, 220-3.degree.; p-FC6H4CH2, 216-19.5.degree.; p-MeC6H4CH2, 210-12.degree.; p-MeOC6H4CH2, 175.5-9.5.degree.; 2,4-Me2C6H3CH2, 220-2.degree.; Ph-CHMe, 152-60.degree.; PhCH2CH2, 219-21.5; 3-pyridylmethyl, - (2HCl salt m. 280.5-3.5.degree.. Also the following I (R = Cl, R1 = Me, R3 = R4 = H) (R2 and m.p. given);Me, 216-17.degree.; Et, 229-30.degree.; Pr, 214-15.degree.; iso-Pr, 207-8.degree.. Also I (R = Cl, R1 = H, R3 = R4 = Me (same data given): H, - (HCl.H2O m. 277.degree.); iso-Pr, 238.5-40.degree.; allyl, 213-15.degree.; Bu, 187-5.degree.. Also I (R = Cl, R1 = R4 = H) (R2, R3, and m.p. given): iso-Pr, Me, 300.degree.; iso-Pr, CH2CH2OH, - (HC1 semihydrate 185-6.degree.); iso-Pr, PhCH2, 200.5-4.5.degree.; allyl, H, 213-14.degree.; cyclopropylmethyl, H, 220-1.5.degree.. Also the following I (R, R1, R2, R3, R4, and m.p. given); Cl, iso-Pr, H, Me, Me, 238.5-40.degree.; Br, H, H, H, H, 232.5-5.5.degree.; Cl, H, H, Et, Et, 265.degree.; Cl, H, H, Me, PhCH2, - (HCl salt m. 274.5.degree.); Cl, Me, iso-Pr, Me, Me, 209-11.degree.; Cl, Et, Et, Me, Me, 212-14.degree...

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ANSWER 17 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
1.3
ΑN
     1969:491530 CAPLUS
DN
     71:91530
ΤI
     (3,5-Diamino-6-halopyrazinoyl)guanidines
     Pollak, Peter I.; Tull, Roger J.
IN
    Merck and Co., Inc.
PA
     Fr., 9 pp.
SO
     CODEN: FRXXAK
DT
     Patent
LΑ
     French
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                           APPLICATION NO. DATE
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                                           _____
PΙ
     FR 1528217
                            19680607
                                           US
                                                            19660825
ΙT
     14236-57-8P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of)
RN
     14236-57-8 CAPLUS
     Pyrazinecarboxamide, 3,5-diamino-6-chloro- (8CI, 9CI) (CA INDEX NAME)
CN
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H_2N & & & \\
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GI For diagram(s), see printed CA Issue.

I compds. are prepd. Thus, Me 3,5-diamino-6-chloropyrazinoate is converted to 3,5-diamino-6-chloropyrazinamide which is dehydrated to II (R = CN) (III), m. 295.degree.. III (1 mole) is treated with 1.1 moles EtOH and 1.1 moles HCl at 0.degree. to give II [R = C(OEt):NH]-HCl which is heated with EtOH to give II [R = C(OEt)3] (IV). A mixt. of 1 mole IV, 1 mole guanidine, and 2 moles Ac20 is heated 1 hr. at 140.degree. to give II [R = C(OEt):NC(:NH)NH2] which is heated 5 hrs. with 2N HCl to give (3,5-diamino-6-chloropyrazinoyl)guanidine-HCl, m. 293.5.degree. (decompn.) Similarly prepd. are the following I (n = 0, R4 = H) [R, R1, R2, R3, and m.p. (decompn.) given]: H, H, Me, H 252-4.degree.; H, H, Me, Me, - (HCl salt monohydrate m. 277.degree.); H, H, Et, Et, 265.degree.; H, H, Me, PhCH2, - (HCl salt m. 274.5.degree.); H, H, CH2CH2OH, H, - (HCl salt m. 228.5-9.5.degree.); H, H, PhCH2, H, 215-16.degree.; H, H, m-ClC6H4CH2, H, 220-3.degree.; H, H, p-FC6H4CH2, H, 216-19.5.degree.; H, H, p-MeC6H4CH2, H, 210-12.degree.; H, H, p-MeOC6H4CH2, H, 175.5-9.5.degree.; H, H, 3,4-Me2C6H3CH2, H, 220-2.degree.; H, H, PhCHMe, H, 152-60.degree.; H, H, PhCH2CH2, H, 219-21.5.degree.; H, H, 3-pyridylmethyl, H, - (2HCl salt m. 280.5-3.5.degree.); H, iso-Pr, Me, H, >300.degree.; H, iso-Pr, Me, Me, 238.5-40.degree.; H, iso-Pr, CH2CH2OH, H, - (HCl salt hemihydrate m. 185-6.degree.); H, iso-Pr, PhCH2, H, 200.5-4.5.degree.; H, allyl, H, H, 213-14.degree.; H, allyl, Me, Me, 213-15.degree.; H, Bu, Me, Me, 187.5.degree.; H, cyclopropyl, H, H, 220-1.5.degree.; Me, Me, H, H, 216-17.degree.; Me, Et, H, H, 229-30.degree.; Me, Pr, H, H, 214-15.degree.; Me, iso-Pr, H, H, 207-8.degree.; Me, iso-Pr, Me, Me, 209-11.degree.; Et, Et, Me, Me, 212-14.degree.; (3,5-diamino-6pyrazinamido) guanidine-HCl, m. 281-2.degree. (decompn.); I (n = 1, R = R1 = Me, R2 = R3 = R4 = H), m. 221.degree. (decompn.); I (n = 1, R = R1 = R4

= H, R2 = R3 = Me)-HCl, m. 279-80.degree. (decompn.); (3,5-diamino-6-bromopyrazinoyl)guanidine, m. 232.5-5.5.degree.; I [n = 0, R = R1 = R2 = H, (R3R4 =) CH2CH2], m. 222.5-3.5.degree..

L3 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1969:481416 CAPLUS

DN 71:81416

TI (3,5-Diamino-2-pyrazinol) - and (3,5-diaminopyrazinamido) quanidines

IN Pollak, Peter I.; Tull, Roger J.

PA Merck and Co., Inc.

SO Fr., 6 pp. CODEN: FRXXAK

DT Patent

LA French

FAN.CNT 1

PΙ

IT 14236-57-8P

RN 14236-57-8 CAPLUS

CN Pyrazinecarboxamide, 3,5-diamino-6-chloro- (8CI, 9CI) (CA INDEX NAME)

US

19660825

$$\begin{array}{c|c}
C1 & O \\
 & C-NH_2 \\
 & NH_2
\end{array}$$

GI For diagram(s), see printed CA Issue.

AB Compds. I are prepd. from pyrazinamides and pyrazinehydrazides and 1-amidino-3,5-dimethylpyrazole (II). Thus,  $\overline{III}$  (X =  $\overline{Cl}$ , Y =  $\overline{OMe}$ ) is converted to III (X = Cl, Y = NH2) (IV), m. 218.5-20.5.degree.. IV (1 mole) in iso-PrOH is treated with 1 mole KOH, 1 mole II nitrate is added, and the mixt. is agitated in ice 1 week to give (3,5-diamino-6chloropyrazinoyl)guanidine-HCl, m. 293.5.degree. (decompn.). Similarly prepd. are the following I (X = Cl, n = o) (R, R1, R2, R3, and m.p. given): H, H, Me, H, 252-4.degree.; H, H, Me, Me, -, HCl monohydrate m. 277.degree.; H, H, CH2CH2OH, H, - (HCl salt m. 228.5-9.5.degree.); H, H, PhCH2, H, 215-16.degree.; H, H, PhCH2CH2, H, 219-21.5.degree.; H, iso-Pr, Me, H, >300.degree.; H, iso-Pr, Me, Me, 238.5-40.degree.; H, iso-Pr, CH2CH2OH, H, - (HCl hemihydrate m. 185-6.degree.); H, iso-Pr, PhCH2, H, 200.5-4.5.degree.; H, allyl, H, H, 213-14.degree.; H, allyl, Me, Me, 213-15.degree.; H, Bu, Me, Me, 187.5.degree.; H, cyclopropylmethyl, H, H, 200-21.5.degree.; Me, Me, H, H, 216-17.degree.; Me, Et, H, H, 229-30.degree.; Me, Pr, H, H, 214-15.degree.; Me, iso-Pr, H, H, 207-8.degree.; Me, iso-Pr, Me, Me, 209-11.degree.; Et, Et, Me, Me, 212-14.degree.; and (m.p. given): I (n = 0, X = Br, R = R1 = R2 = R3 = H), 232.5-5.5. degree.; I (n = 1, X = Cl, R = R1 = R2 = R3 = H)-HCl. 281-2.degree..

L3 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1968:105237 CAPLUS

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DN
     68:105237
ΤI
     3-Amino-6-halopyrazinecarbonitriles
     Cragoe, Edward J., Jr.; Jones, James Holden
IN
     Merck and Co., Inc.
PΑ
SO
     U.S., 6 pp.
     CODEN: USXXAM
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
                                          -----
     US 3341540
PΙ
                           19670912
                                           US
                                                            19651004
IT
     14236-57-8P 17231-60-6P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of)
RN
     14236-57-8 CAPLUS
CN
     Pyrazinecarboxamide, 3,5-diamino-6-chloro- (8CI, 9CI) (CA INDEX NAME)
```

$$\begin{array}{c|c}
C1 & O \\
N & C-NH_2 \\
H_2N & NH_2
\end{array}$$

RN 17231-60-6 CAPLUS CN Pyrazinecarboxamide, 3-amino-6-chloro-5-(isopropylamino)- (8CI) (CA INDEX

GI For diagram(s), see printed CA Issue.

The title compds. (I), mostly 5-substituted (variety of substituents shown by examples), are intermediates for prepg. 2,4-diamino-6-halo-7-(substituted or not)-pteridines which are diuretics and saluretics effective on oral and parenteral administration in the usual forms. synthetic methods are illustrated by the examples. Thus, 25 g. Me 3-amino-6-chloropyrazinecarboxylate (II) in 500 ml. concd. NH4OH stirred 1 hr. on a steam bath, cooled, filtered, washed with water and dried yielded 88% 3-amino-6-chloropyrazinecarboxamide, m. 231-2.degree. (EtOH-water), 17.2 g. of which in 170 ml. HCONMe2 (DMF) was treated with 17 ml. POC13 and the mixt. stirred 10 min. on a steam bath, cooled, and neutralized with NH4OH to yield 69% N, N-dimethyl-N'-(3-cyano-6-chloro-2pyrazinyl) formamidine, m 114-16.degree. (cyclohexane); heating 4 g. of this in 100 ml. 5% aq. HCl 10 min. on a steam bath gave on cooling and filtering 95% I (R1 = Cl,R-H), m. 151-3.degree. (cyclohexane). A soln. of 11.1 g. 3-aminopyrazinecarbonitrile in 92 ml. AcOH at 60.degree. was stirred 15 min. with 16 g. Br in 7 ml. AcOH and the mixt. cooled and poured into 300 ml. ice water to ppt. 85% I (R = H, R1 = Br), m.

181-3.degree. (C6H6, EtOH). A mixt. of 11.1 g. Me 3-amino-5,6dichloropyrazinecarboxylate (III) and 100 ml. lig. NH3 was kept at 25.degree. in an autoclave 24 hrs., the NH3 expelled, and MeOH used to remove 4.0 g. 3-amino-5,6-dichloropyrazinecarboxamide (IV), m. 291.5-3.5.degree. (DMF), 22 g. of which in 220 ml. DMF was stirred with 22 ml. POCl3 and the mixt. heated to 80.degree., stirred 10 min., cooled, and poured into 500 ml. water to give 48% N, N-dimethyl-N'-(3-cyano-5,6dichloro-2-pyrazinyl) formamidine, m. 117-19.degree. (methylcyclohexane); heating 2.5 g. of this with 100 ml. water and 10 ml. 6N HCl 1 hr. on a steam bath yielded 95% I (R = R1 = C1) (V), m. 213-15.degree. (C6H6). (0.0625 mole) in 50 ml. Me2SO at 65.degree. stirred with 0.05 mole MeONH2 15 min. yielded in 150 ml. water I (R = MeONH, R1 = C1). Me 3-amino-6-bromopyrazinecarboxylate (4.6 g.) and 3.4 g. 3-ClC6H4CO2OH in 75 ml. CHCl3 was refluxed 1 hr. and chilled to yield 98% Me 3-amino-6-bromopyrazinecarboxylate 4-oxide (VI), m. 200-2.degree. (EtOH), 2.0 g. of which in 20 ml. DMF was stirred with 2.0 ml. POCl3 and poured into 100 ml. water to yield, after several hrs., 71% Me 3-amino-5-chloro-6-bromopyrazinecarboxylate (VII), m. 225-8.degree. (MeCN). III (22.2 g.) heated with 200 ml. liq. NH3 at 100.degree. 12 hrs. in an autoclave yielded 90% 3,5-diamino-6-chloropyrazinecarboxylate, m. 218.5-20.5.degree., 2.0 g. of which in 20 ml. DMF was treated with 2.0 ml. excess POCl3, the mixt. kept at 80.degree. 10 min., the solvent distd. in vacuo, and the residue added to 50 ml. boiling water to yield 77% I (R =  $^{\circ}$ NH2, R1 = C1), m. 295.degree. (water). IV (12.4 g.) in 160 ml. Me2SO was heated with 7.1 g. iso-PrNH2 at 65.degree. 0.5 hr. and the mixt. poured into 300 ml. water to give 60% 3-amino-5-isopropylamino-6chloropyrazinecarboxamide, m. 140-1.degree. (iso-PrOH), 7.2 g. of which gave 54% N, N-dimethyl-N'-(3-cyano-5-chloro-6-isopropylamino-2pyrazinyl) formamidine, m. 144-5.degree. (iso-PrOH); 2.6 g. of this yielded 60% I (R = iso-PrNH, R1 = C1), m. 126-8.degree. (methylcyclohexane). IV (10.0 g.) in 150 ml. Me2SO heated on a steam bath 0.5 hr. with 20 ml. 25% aq. Me2NH yielded, on pouring into 200 ml. water, 86% 3-amino-5dimethylamino-6-chloropyrazinamide, m. 181-3.degree. (EtOH), 8.0 q. of which, treated like its analogs, yielded 55% I (R = Me2N, R1 = Cl), m. 120-2.degree.. V (10 g.) in 70 ml. Me2SO was heated to 60.degree. and treated with 3.5 g. allylamine and the mixt. stirred 20 min., cooled, and poured into 200 ml. water to yield 56% I (R = allylamino, R1 = C1), m. 103-5.degree. (BuCl); similarly, 5.0 g. V with 3.5 ml. 70% aq. EtNH2 yielded 62% I (R = EtNH, R1 = Cl), m. 107-9.degree. (iso-PrOH); 8.0 q. V in 80 ml. EtOH refluxed 18 hrs. with 6.2 g. Et2NH yielded 70% I (R = Et2N, R1 = Cl), m. 114-16.degree. (methylcyclohexane). V with HOCH2CH2NH2 (stirring 24 hrs.) gave I (R = HOCH2CH2NH, R1 = C1), and V with furylamine gave I(R = furylamino, R1 = C1). IV (20.7 g.) was heated on a steam bath 0.5 hr. with MeONa (from 2.3 g. Na and 100 ml. MeOH) in DMF to yield by vacuum distn. 3-amino-5-methoxy-6-chloropyrazinamide. A soln. of 10 g. V, 14.9 g. PhNH2, and 13.7 g. PhNH3Cl in 100 ml. Me2SO yielded, after 3 hrs. at 65.degree. and diln. with 100 ml. water, I (R = PhNH2, R1 = C1).

L3 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1968:78309 CAPLUS

DN 68:78309

- TI 2-(3-Amino-6-halopyrazinecarboxamidino)-2-imidazoline derivatives
- IN Cragoe, Edward J., Jr.; Jones, James Holden
- PA Merck and Co., Inc.
- SO U.S., 8 pp.

CODEN: USXXAM

- DT Patent
- LA English

FAN.CNT 1

PΙ

PATENT NO. KIND DATE APPLICATION NO. DATE
US 3299063 19670117 US 19651004

IT 14236-57-8P 17231-60-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 14236-57-8 CAPLUS

CN Pyrazinecarboxamide, 3,5-diamino-6-chloro- (8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
C1 & 0 \\
 & C-NH_2 \\
 & NH_2
\end{array}$$

RN 17231-60-6 CAPLUS

CN Pyrazinecarboxamide, 3-amino-6-chloro-5-(isopropylamino)- (8CI) (CA INDEX NAME)

AB The title compds. (I) were prepd. Thus, 300 g. Me 3-amino-6chloropyrazinecarboxylate was added to 21. concd. NH4OH, stirred at room temp. for 16 hrs. to give 260 g. II (R = H, R1 = C1, R2 = CONH2) (III), m. 227-30.degree.. Similarly prepd. were II (R2 = CONH2, R, R1, and m.p. given): C1, C1, 290-2.degree. (decompn.); NH2, C1, 218.5-20.5.degree.; iso-PrNH, Cl, 140-1.degree.; Me2N, Cl, 181-3.degree.; MeO, Cl, -. III (2 g.) in 20 ml. Me2NCHO was treated with 2 ml. POCl3, heated on a steam bath for 10 min. to give 1.5 g. N, N-dimethyl-N'-(3-cyano-5-chloro-2pyrazinyl) formamidine (IV), m. 114-16.degree. (cyclohexane). N, N-Dimethyl-N'-(3-cyano-5-chloro-6-isopropylamino-2pyrazinyl) formamidine, m. 144-5.degree. (iso-PrOH), was also prepd. similarly. IV (4. g.) in 100 ml. 2.5% HCl was heated on a steam bath for 15 min. to give, on cooling, 2.8 g. II (R = H, R1 = C1, R2 = CN) (V), m. 151.5-3.5.degree. (cyclohexane). Similarly prepd. were II (R2 = CN, R, R1, and m.p. given): Cl, Cl (VI), 213-15.degree.; H, Br, 181-3.degree.; Cl, Br, -; Br, Br, -; NH2, Cl, 290-5.degree.; iso-PrNH, Cl, 126-8.degree.; Me2NH, Cl, 120-2.degree.; allylamino, Cl, 103-5.degree.; EtNH, Cl, 107-9.degree.; Et2N, Cl, 114-6.degree.; MeO, Cl, -; MeS, Cl, -; EtS, Br, -; NH2, Br, -; HOCH2CH2NH, Cl, -; furfurylamino, Cl, -; PhNH, Cl, -; Ph, Br, -; p-tolyl, Cl, -; p-ClC6H4, Cl, -; Me, Br, -; PhCH2O, Cl, -; PhCH2S, Cl, -; p-MeC6H4NH, Cl, -; p-ClC6H4NH, Cl, -. Stirring of 0.0625 mole VI in 50 ml. Me2SO with 0.13 mole MeONH2 at 65.degree. for 15 min. gave II (R = MeONH, R1 = C1, R2 = CN). A soln. of 4.6 q. Me 3-amino-6bromopyrazinecarboxylate and 3.4 g. m-chloroperbenzoic acid in 75 ml. CHCl3 was refluxed for 1 hr. to give, on cooling, 5 g. Me 3-amino-6-bromopyrazinecarboxylate 4-oxide (VII), m. 200-2.degree.. VII

10009276.1

Page 56

(2 g.) in 20 ml. POCl3, stirred for 30 min. and poured into 100 ml. H2O gave 1.5 g. Me 3-amino-5-chloro-6-bromopyrazinecarboxylate, m. 225-8.degree., which was converted into II (R = Cl, R1 = Br, R2 = CN) by a similar method. V (5 g.) in 100 ml. warm abs. EtOH was treated with 2.5 g. gaseous MeSH and with 2 drops 5% NaOH, stirred for 15 min., treated with 100 ml. H2O, and filtered to give 6.2 g. Me 3-amino-6chlorothiopyrazinecarboximidate (VIII), m. 193.degree. (decompn.). 3-amino-6-chloropyrazinecarboximidate-HCl (IX) was similarly prepd. by replacing MeSH by an excess of HCl and omitting the NaOH. Na (460 mg.) in 50 ml. MeOH was treated with 2.4 g. 2-amino-2-imidazoline-HCl, refluxed for 30 min. treated with 2 g. VIII, refluxed for 30 min. to give 900 mg. I (R = H, Rl = Cl) (X), m. 150.5.degree.. X was also obtained by using IX instead of VIII. Na (460 mg.) in 50 ml. MeOH was treated with 2.4 g. 2-amino-2-imidazoline-HCl, refluxed for 30 min. treated with 2 g. VI and refluxed for 10 min. to give 1.3 g. I (R = R1 = C1), m. >290.degree.. Similarly prepd. were I (R and R1 given): H, Br; MeONH, C1; C1, Br; Br, Br; NH2, Cl; iso-PrNH, Cl; Me2N, Cl; allylamino, Cl; EtNH, Cl; Et2N, Cl; MeO, Cl; MeS, Cl; EtS, Br; NH2, Br; HOCH2CH2NH, Cl; furfurylamino, Cl; PhNH, Cl; Ph, Br; PhCH2O, Cl; PhCH2S, Cl; p-MeC6H4NH, Cl; p-ClC6H4NH, Cl.

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L3 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1968:59614 CAPLUS

DN 68:59614

TI Pyrazine derivatives

PA Merck and Co., Inc.

SO Neth. Appl., 21 pp. CODEN: NAXXAN

DT Patent

LA Dutch

FAN.CNT 1

ΡI

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6613934		19670405		
			US	19651004

IT 14236-57-8P 17231-60-6P

RN 14236-57-8 CAPLUS

CN Pyrazinecarboxamide, 3,5-diamino-6-chloro- (8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
C1 & O \\
 & C-NH_2 \\
 & NH_2
\end{array}$$

RN 17231-60-6 CAPLUS

CN Pyrazinecarboxamide, 3-amino-6-chloro-5-(isopropylamino)- (8CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

AΒ Reaction of 3-amino-5-(X-substituted)-6-halopyrazine-2-carboxamide (Ia) with HCONMe2 and POCl3 or SOCl2 gives N, N-dimethyl-N'-(3-cyano-5-halo-6-(Xsubstituted)-2-pyrazinyl) formamidine (Ib), which is hydrolyzed to 3-amino-5-(x-substituted)-6-pyrazinecarbonitrile (I) which is an intermediate in the prepn. of 7-substituted 2,4-diamino-6-halopteridines. Thus, 25 g. methyl 3-amino-6-chloropyrazine-2-carboxylate in 500 ml. concd. NH4OH was heated1 hr. on a steam bath with stirring to yield 88% 3-amino-6-chloropyrazine-2-carboxamide (II), m. 231-2.degree. (alc.-H20). A suspension of 17.2 g. II in 170 ml. HCONMe2 was treated with 17 ml. POCl3, the mixt. heated 10 min. on a steam bath, cooled, poured into ice-water and neutralized with NH4OH to yield 69% N,N-dimethyl-N'-(3-cyano-5-chloro-2-pyrazinyl) formamidine (III), m. 114-16.degree. (cyclohexane). A soln. of 4 g. III in 100 ml. 5% HCl soln. in H2O was heated 10 min. on a steam bath, cooled, and filtered, to yield 95% 3-amino-6chloropyrazinecarbonitrile (IV), m. 151-3.degree. (cyclohexane). A soln. of 11.1 g. 3-aminopyrazinecarbonitrile in 92 ml. AcOH was prepd. by heating at 60.degree., 16 g. Br in 7 ml. AcOH added, the mixt. stirred 15 min., cooled, and poured into 300 ml. ice water to yield 85% 3-amino-6-bromopyrazinecarbonitrile (V), m. 181-3.degree. (C6H6, EtOH). Similarly prepd. were pyrazine-2-carbonitriles and m.p. given): 95% 3-amino-5,6-dichloro (VI), 213-15.degree. (C6H6); 77% 3,5-diamino-6chloro, 295.degree. (H2O); 60% 3-amino-5-isopropylamino-6-chloro, 126-8.degree. (methylcyclohexane); 55% 3-amino-5-dimethylamino-6-chloro, -; 56% 3-amino-5-allylamino-6-chloro, 103-5.degree. (BuCl); 62% 3-amino-5-ethylamino-6-chloro, 107-9.degree. (iso-PrOH); 70% 3-amino-5-diethylamino-6-chloro, 114-16.degree. (methylcyclohexane).

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L3 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1968:49653 CAPLUS

DN 68:49653

TI Derivatives of pyrazine

IN Pollak, Peter I.; Tull, Roger J.

PA Merck and Co., Inc.

SO U.S., 4 pp. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

IT 14236-57-8P

RN 14236-57-8 CAPLUS

CN Pyrazinecarboxamide, 3,5-diamino-6-chloro- (8CI, 9CI) (CA INDEX NAME)

10009276.1

$$C1$$
 $N$ 
 $C-NH_2$ 
 $NH_2$ 

GΙ For diagram(s), see printed CA Issue.

AΒ (3,5-Diamino-6-halopyrazinoyl) guanidine and (3,5-diamino-6halopyrazinamido) guanidine compds. of structure I possess diuretic properties and selectively enhance the excretion of Na and Cl and suppress the excretion of K. Thus, 0.1 mole II (R = R1 = R2 = H, R3 = Me) (IIa) heated 12 hrs. at 100.degree. in 200 ml. liq. NH3 gives 90% 3,5-diamino-6-chloropyrazinamide (III), m. 218.5-20.6.degree. (MeOH) (Step A). III (0.0115 mole) in 20 ml. HCONMe2 and 2 ml. POC13 heated 10 min. at 80.degree. gives 77% 3,5-diamino-6-chloropyrazinonitrile, m. 295.degree. (H2O), which (1 mole) in 1.1 moles abs. EtOH and 500 ml. Et2O is satd. with 1.1 moles HCl gas at 0.degree. and kept 4 days at 0.degree.. The formed Et 3,5-diamino-6-chloropyrazinimidate-HCl is heated 16 hrs. at 40.degree. in 1 l. EtOH with 2 moles HNMe2 to give N,N-dimethyl-3,5diamino-6-chloropyrazinamidine. This is refluxed 1 hr. with 1 mole guanidine in EtOH, the mixt. evapd., and the residue refluxed 5 hrs. in 500 ml. 2N HCl to give (3,5-diamino-6-chloropyrazinoyl)quanidine-HCl, m. 293.5.degree. (decompn.). (Step B). The 6-bromo analog is prepd. similarly the as free base, m. 232.5-5.5.degree.. Replacing guanidine by aminoguanidine in B gives (3,5-diamino-6-chloropyrazinamido) guanidine, m. 281-2.degree. (decompn.). (Step C). Replacing IIa in A by Me 3-amino-5-dimethylamino-6-chloropyrazinoate and following the other steps gives (3-amino-5-dimethylamino-6-chloropyrazinamido)quanidine, m. 221.degree. (decompn.). Replacing aminoguanidine by 1-amino-3,3dimethylguanidine in C gives 1-(3,5-diamino-6-chloropyrazinamido)-3,3dimethylguanidine-HCl, m. 279-80.degree. (decompn.). With these methods and using the appropriate Me 3-amino-5-NR1R2-substituted-6chloropyrazinoate and the appropriate guanidine the following I (R = Cl,R5 = H) are prepd. [R1, R2, R3, R4, and m.p. (all with decompn.) given]: H, H, Me, H, 252-4.degree.; H, H, Me, Me, - (HCl.H2O salt m. 277.degree.); H, H, Et, Et, 265.degree.; H, H, Me, PhCH2, - (HCl salt m. 274.5.degree.); H, H, CH2CH2OH, H, - (HCl salt m. 228.5-9.5.degree.); H, H, PhCH2, H, 215-16.degree.; H, H, o-ClC6H4CH2, H, 220-3.degree.; H, H, p-FC6H4CH2, H, 216-19.5.degree.; H, H, p-MeC6H4CH2, H, 210-12.degree.; H, H, p-MeOC6H4CH2, H, 175.5-9.5.degree.; H, H, 2,5-Me2C6H3CH2, H, 220-2.degree., H, H, PhCHMe, H, 152-60.degree.; H, H, PhCH2-CH2, H, 219-21.5.degree.; H, H, 3-pyridylmethyl,, -H (di-HCl salt m. 280.5-3.5.degree.); H, H, H, (R4R5) = CH2CH2, 222.5-23.degree.; H, iso-Pr, Me, H, >300.degree.; H, iso-Pr, Me, Me, 238.5-40.degree.; H, iso-Pr, CH2CH2OH, H, -(HCl.0.5H2Osalt m. 185-6.degree.); H, iso-Pr, PhCH2, H, 200.5-4.5.degree.; H, CH2:CHCH2, H, H, 213-14.degree.; H, CH2:CHCH2, Me, Me, 213-15.degree.; H, Bu, Me, Me, 187.5.degree.; H, cyclopropylmethyl, H, H, 220-1.5.degree.; Me, Me, H, H, 216-17.degree.; Me, Et, H, H, 229-30.degree.; Me, Pr, H, H, 214-15.degree.; Me, iso-Pr, H, H, 207-8.degree.; Me, iso-Pr, Me, Me, 209-11.degree.; Et, Et, Me, Me, 212-14.degree..

Page 58

L3 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1967:37887 CAPLUS

DN 66:37887

TIPyrazine diuretics. II. N-amidino-3-amino-5-substituted

6-halopyrazinecarboxamides

AU Cragoe, Edward J., Jr.; Woltersdorf, Otto W., Jr.; Bicking, John B.; Kwong, Sara F.; Jones, James Holden

CS Div. of Merck and Co., Inc., Merck Sharp and Dohme Res. Labs., West Point, PA, USA

SO Journal of Medicinal Chemistry (1967), 10(1), 66-75 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

IT 14236-57-8P

RN 14236-57-8 CAPLUS

CN Pyrazinecarboxamide, 3,5-diamino-6-chloro- (8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
C1 & O \\
 & C-NH_2 \\
 & NH_2
\end{array}$$

GI For diagram(s), see printed CA Issue.

AB The synthesis of a series of N-amidino-3-amino-5-substituted-6-halopyrazinecarboxamides (I) is described In rats and dogs, these compds. cause diuresis and saluresis while K excretion is unaffected or repressed Compds. with a variety of 5 substituents including hydroxy, alkoxy, mercapto, alkylmercapto, amino, and substitute amino were prepd. The latter 2 tupes embrace compds. with the highest activity. Several routes for the synthesis of Me 3-amino-5,6-dichloropyrazinoate, a key intermediate, are presented. 23 references.

L3 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1965:51646 CAPLUS

DN 62:51646

OREF 62:9131f-q

TI Pteridine studies. XXIX. The methylation of 7-amino- and 4,7-diamino-pteridine

AU Brown, D. J.; Jacobsen, N. W.

CS Australian Natl. Univ., Canberra

SO Journal of the Chemical Society, Abstracts (1965), (Feb.), 1175-82 CODEN: JCSAAZ; ISSN: 0590-9791

DT Journal

LA English

RN 704-46-1 CAPLUS

CN Pyrazinecarboxamide, 5-amino-3-(methylamino)- (7CI, 8CI, 9CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

AB cf. CA 62, 6487e. Methylation of 7-aminopteridine gave a mixt. of 1- and 3-Me derivs. (I and II), which were degraded for structural purposes to appropriate pyrazinecarboxaldehydes. 4,7-Diaminopteridine gave only 4(7)-amino-1,7(1,4)-dihydro-7(4)-imino-1-methylpteridine, the first iminopteridine to be isolated as a stable solid (free base). Remethylation of this (free) imine gave a 4-methylimino derivative which was a unique example of direct extranuclear N-methylation in this series. The degradation of the imines and the unambiguous syntheses of the products via 5-cyanomethylaminopyrimidines were described. Ionization consts. and uv spectra of the pteridines and other relevant compds. were recorded and discussed.

L3 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1963:82406 CAPLUS

DN 58:82406

OREF 58:14196d-f

TI Optical and chemical bleaching

PA J. R. Geigy A.-G.

SO 15 pp.

DT Patent

LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		<b>-</b>			
ΡI	BE 622465		19630314	BE	
				CH	19610915
	CH 371427			CH	

RN 39870-66-1 CAPLUS

CN 2,6-Pyrazinedicarboxamide, 3,5-diamino- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O & O \\
 & \parallel & \parallel \\
 & H_2N - C & NH_2 \\
 & & NH_2
\end{array}$$

GI For diagram(s), see printed CA Issue.

AB Cellulosic materials and acrylonitrile copolymers are blued and bleached in baths contg. a H2O-sol. salt of HClO2, H2O2 or a salt of a peracid, and a bluing agent, such as a triazinylaminostilbene, phenylpyrazoline, aminocoumarin, or an aminopyrazine. Thus, 100 kg. polyacrylonitrile is placed in a bath contg. 2 g. 80% NaClO2 and 2 g. oxalic acid/l. The bath is heated at 85.degree. for 16 min., 5 ml. 30% H2O2/l. is added, and the bleaching is continued for 15 min. The bath is cooled to 60.degree., and

0.1% (by wt. of material) I and 1% polyglycol ether of a fatty alc. are added. The material is treated at 60.degree. for 15 min. and the bath is heated to 95.degree. in 30 min. and kept at 90.degree. for 45 min. The bath is slowly cooled to 60.degree., and the material is rinsed and dried to give a polyacrylonitrile with a bright bluing effect. Nylon 66 was similarly treated.

L3 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1963:53333 CAPLUS

DN 58:53333

OREF 58:9094g-h,9095a-g

TI 3,5-Diaminopyrazine-2,6-dicarboxamides

IN Daglish, Anthony F.; Vonderwahl, R.; Tillotson, G. A.

PA J. R. Geigy A.-G.

SO 8 pp.

DT Patent

LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		<b>-</b>			
ΡI	DE 1087609		19600825	DE	
				СН	19570529
	CH 358807			CH	
	CH 358808			CH	
	US 3043780		1962	US	
	US 3175980		1965	US	
	US 3201315		1965	US	
T (T)		- '			

IT 39870-66-1, 2,6-Pyrazinedicarboxamide, 3,5-diamino-(derivs.)

RN 39870-66-1 CAPLUS

CN 2,6-Pyrazinedicarboxamide, 3,5-diamino- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & \\ & & & \\ & &$$

IT 94784-95-9, 2,6-Pyrazinedicarboxamide, N2-ethyl-3-(ethylamino)-5-(methylamino)-

(prepn. of)

RN 94784-95-9 CAPLUS

CN 2,6-Pyrazinedicarboxamide, N2-ethyl-3-(ethylamino)-5-(methylamino)- (7CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

AB 1,3-Diethyl-4-amino-5-nitrosouracil (I) 212 and 1,3-diethyl-4-aminouracil

183 in AcOH 750 refluxed 3 hrs. with stirring, cooled, and filtered yielded 3,2;5,6-bis[(1,3-diethyl-2,4-dioxo-1,2,3,4-tetrahydro)-1,4pyrimidino] pyrazine 320 parts (II), m. 235.5-36.degree. (75% AcOH). 10, EtOH 200 parts, and N NaOH 300 vol. parts. refluxed 2.5 hrs., cooled, and filtered gave 3,5-bis(ethylamino)pyrazine-2,6-bis(N-ethylcarboxamide) 7.5 parts, m. 133-4.degree. (EtOH). In the same manner as II were prepd. the following IV (R1, R2, R3, R4 and m.p. given): Pr, Pr, Pr, Pr, 150-1.degree.; Bu, Bu, Bu, Bu (V), 115-16.degree.; Me, Me, Me, Me (VI), 390.degree.. Sapon. of IV gave the corresponding VII (R1, R2, R3, R4, and m.p. given): Pr, Pr, Pr, Pr, 96-7.degree.; Bu, Bu, Bu, Bu, 89-91.degree.; Me, Me, Me (VIIa), 232-3.degree.. I 42 and 1,3-dipropyl-4-aminouracil 42 in AcOH 150 refluxed 3 hrs. with stirring, cooled, dild. with H2O, and filtered gave IV (R1 = R2 = Et, R3 = R4= Pr) 70 parts, m. 150-1.degree. (EtOH); a portion 10 sapond. in the usual manner gave VII (R1 = R2 = Et, R3 = R4 = Pr) 7.2 parts, m. 91-2.degree.. In the same manner were prepd. IV (R1 = R2 = Me, R3 = R4 = Pr), m. 169-9.5.degree., and IV <math>(R1 = R2 = Me)R3 = R4 = Et) (VIII), m. 253-4.degree., and sapond. to VII (R1 = R2 = Me, R3 = R4 = Pr), m. 136-7.degree. and VII (R1 = R2 = Me, R3 = R4 = Pr), m. 169-70.degree., resp. 1,3-Dimethyl-4-aminouracil (IX) 31 and 5-NO deriv. 40 of IX in AcOH 200 refluxed 3 hrs. gave VI 51 parts, m. 390.degree. (75% EtOH). VI 51 and a soln. 152 of KOH 200 in EtOH 2400 refluxed 6 hrs. yielded VIIa.0.5H2O 117 parts, m. 214.degree. (decompn.). VIIa.0.5H2O 20 and SOC12 150 kept 45 min. at room temp. and evapd., the residue added slowly with cooling to PhNH2 10 and dry C5H5N 400 parts, stirred overnight, steam distd. to remove the C5H5N, and filtered yielded X (R1 = R2 = R3 = Me, R4 = NHPh), light yellow crystals, m. 198-8.5.degree. (EtOH). Similarly were prepd. the following X with R1 = R2 = R3 = Me) (R4, m.p., and color of fluorescence given): NH2, 290-2.degree., violet blue; NHCH2CH2OH, 210-10.5.degree., violet-blue; NHPr, 218-19.degree., violet-blue; NHEt, 197-8.5.degree., violet-blue; NHCH2Ph, 218.5-20.degree., blue-violet; NHCH2CH2Ph, 76-8.degree., blue-violet; m-NHC6H4-OMe, 126.5-27.degree., blue; NHBu, 194-6.degree., violet-blue; p-NHC6H4OPh, 252-4.degree., blue; NHCH2CH:CH2, 194-5.5.degree., violet-blue; NHC8H17, 121-21.5.degree., violet-blue; PhNH, 237-8.degree., blue-violet; NMe2, 128-9.degree., violet; NHCHEtMe, 188-90.degree., violet-blue; 2-pyridylamino, 223-4.degree., blue-violet; NHCMe3, 204-5.degree., violet-blue; p-NHC6H4Me, 211-12.5.degree., blue-violet; o-NHC6H4Me, 194-5.degree., blue-violet; m-NHC6H4Me, 172-3.degree., blue-violet; p-ClC6H4NH, 261-2.5.degree., blue-violet; m-ClC6H4NH, 185-7.degree., blue-violet; 3,4-Cl2C6H3NH, 216-17.degree., violet-blue; m-HO2CC6H4NH, 268-70.degree.; m-HO3SC6H4NH, -, violet-blue; p-HO3SC6H4NH, -, violet-blue; m-(p-MeC6NH4SO2NH)C6H4NH, 226-7.degree. violet-blue; m-H2NO2SC6H4NH, 234-6.degree., violet-blue; morpholino, 155-6.degree., violet-blue; NHCHMe2, 175-7.degree., violet-blue; NH(CH2)30H, 147-9.degree., violet blue; 3-pyridylamino, 209-11.degree., blue-violet; 3,4-dimethyl-1-phenylpyrazolylamino, 267-9.degree., blue-violet; 2-thiazolylamino, 262-3.degree., blue-violet; 1-phenyl-3-pyrazolylamino, 236-8.degree., blue-violet; 6-quinolylamino, 232-4.degree., blue-violet; NHCONHPh, 233-4.degree., blue; NHCONHCH2Ph, 190-1.degree., violet-blue; NHCONHMe, 215-17.degree., violet-blue. Similarly were prepd. the following XII (R1, R2, R3, and m.p. given): PhCH2, PhCH2, PhCH2, 161-2.degree.; Et, Et, Et (XIII), 174-5.degree.. XIII was converted in the usual manner to the anilide, m. 146.5-7.5.degree., and to the N-(2-pyridyl)amide, m. 108-9.degree.. VIII 57, KOH 45, and EtOH 500 refluxed 6 hrs. and evapd., and the residue acidified with dil. HCl gave XII (R1 = R2 = Et, R3 = Me) (XIV) 43 parts, m 160-2.degree.. XIV 20 treated 45 min. with SOCl2 100 and evapd., and the residue stirred overnight with concd. NH4OH 300 and EtOH 100 and filtered gave amide of

XIV 16 parts, m. 223-4.degree. (EtOH). Similarly were prepd. the N-Et, N-Pr, and N-PhCH2 amides, m. 162-4.degree., 84-6.degree., and 87-9.degree., resp., of XIV. VI 10 and PhCH2NH2 300 refluxed 24 hrs., cooled, dild. with H2O, and filtered yielded 3,2-[(1,3-dimethyl-2,4-dioxo-1,2,3,4-tetrahydro)-1,4-pyrimidino]-5-methylamino-6 - (Ar. benzylcarboxamido)pyrazine 9 parts, m. 204-5.degree. (EtOH). 1,3-Dibutyl-4-aminouracil (XV) 48 and 5-NO deriv. 54 of XV in 2N H2SO4 300 refluxed 3 hrs. with stirring, cooled, and filtered, and the residue in EtOH 1200 refluxed 2 hrs. with N NaHCO3 1800 and filtered gave V 66 parts, needles, m. 115-16.degree. (EtOH).

L3 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1961:147221 CAPLUS

DN 55:147221

OREF 55:27906b-i

TI Optical bleaching agents

IN Daglish, Anthony Fenwick; Vonderwahl, Rodolphe; Tillotson, George A.

PA J. R. Geigy Akt.-Ges.

DT Patent

LA Unavailable

FAN.CNT 1

RN

T TATA . C	-14 I I				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
•					
ΡI	DE 1102695		19610323	DE	
	GB 892234			GB	
	US 3017412		1962	US	
IT	100144-24-9, 2,6-bis (methylamino)	-	inedicarboxamide	e, N-methyl-3,5-	

(prepn. of) 100144-24-9 CAPLUS

CN 2,6-Pyrazinedicarboxamide, N-methyl-3,5-bis(methylamino)- (6CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O \\ \parallel & \parallel & C \\ \hline MeNH & N \end{array}$$

N-Substituted amides (I) of 3,5-bis(methylamino)-2-(N-methylcarbamoyl)-6-AB pyrazinecarboxylic acid fluoresce violet-blue, blue to green-blue in ultraviolet light are, therefore, useful optical bleaching agents for textiles, cosmetic prepns., and polymers. Poly(vinyl chloride) powder 67, dioctyl phthalate 33, Bu2Sn dilaurate 2, and Na pentaoctyl tripolyphosphate 0.3 mixed during 15 min. with bis(methylamide) (II) 0.07 part, m. 232-3.degree., of 3,5-bis(methylamino)pyrazine-2,6-dicarboxylic acid (III) and extruded into films gave whiter products than obtained without II; opaque, white films are obtained by the addn. of TiO2 7 parts. 3,5-Bis(propylamino)pyrazine-2,6-dicarboxylic acid bis(propylamide) 0.05 and dry granular high-pressure polyethylene 100 parts mixed at room temp. and extruded at 120-30.degree. into a tube gave a much whiter product than without the brightener; opaque products are obtained by the further addn. of TiO2. Bis(ethylamide) 0.2 of the 3,5-bis(ethylamino) analog of III, o-C6H4(CO2Et)2 25, acetylcellulose 75, and Me2CO 900 poured onto glass plates and evapd. gave transparent films which are much more brilliant

than without the agent; opaque films are obtained by the addn. of TiO2. II 0.1 in cetyl alc. 25 homogenized with paraffin oil 55, bleached beeswax, and lanolin 10 parts gave a brilliant white fatty skin cream. Pale yellowish polyamide textile 50 washed 0.5 hr. at 70.degree. in H2O 2500 contg. dodecylbenzenesulfonate 6.3 and 3-propylamino-5 methylamino-2-propylcarbamoylpyrazine-6-carboxylic acid methylamide (IV) 0.005 parts, m. 136-7.degree., rinsed, and dried in air gave the textile a much brighter appearance. 3-Methylamino-5-ethylamino-2-(Nmethylcarbamoyl)-6-(N-ethylcarbamoyl)pyrazine, m. 169-70.degree., and 3-propylamino-5-ethylamino-2-(N-propylcarbamoyl)-5-(Nethylcarbamoyl)pyrazine (V), m. 91-2.degree., gave similar results. V 0.03 in HCONMe2 30 added with stirring to a paste of Na dodecanesulfonatedodecylbenzenesulfonate detergent 100 and H2O 220 parts, mixed, and dried at 50-60 degree. gave a white detergent. The following I (N-substituent, m.p., and fluorescence color given) are useful optical bleaching agents: none, 290-2.degree., violet-blue; HOCH2CH2, 210-10.5.degree., violet-blue; Pr, 218-19.degree., violet-blue; Et, 197-8.5.degree., violet-blue; PhCH2, 218.5-20.degree., blue-violet; PhCH2CH2, 76-8.degree., blue-violet; m-MeOC6H4, 126.5-27.degree., blue; Bu, 194-6.degree., violet-blue; p-PhOC6H4, 252-4.degree., blue; CH2:CHCH2, 194-5.5.degree., violet-blue; C8H17, 121-1.5.degree., violet-blue; cyclohexyl, 237-8.degree., blue-violet; di-Me, 128-9.degree., violet; Me, Et, 188-90.degree., violet-blue; 2-pyridyl, 223-4.degree., blue-violet; Me3C, 204-5.degree., violet-blue; p-MeC6H4, 211-12.5.degree., blue-violet; o-MeC6H4, 194-5.degree., blue-violet; m-MeC6H4, 172-3.degree., blue-violet; p-ClC6H4, 261-2.5.degree., blue-violet; m-ClC6H4, 186-7.degree., blue-violet; 3,4-Cl2C6H3, 216-17.degree., violet-blue; m-HO2CC6H4, 268-70.degree., violet-blue; m-HO3SC6H4, -, violet-blue; p-HO3SC6H4, -, violet-blue; m-(p-MeC6H4SO2NH)C6H4, 226-7.degree., violet-blue; m-H2NO2SC6H4, 234-6.degree., violet-blue; iso-Pr, 175-7.degree., violet-blue; 3-pyridyl, 209-11.degree., blue-violet; 1-phenyl-3,4-dimethyl-5-pyrazolyl, 267-9.degree., blue-violet; 2-thiazolyl, 234-6.degree., blue-violet; 5-methyl-2-thiazolyl, 262-3.degree., blue-violet; 1-phenyl-3-pyrazolyl, 236-8.degree., blue-violet; 6-quinolyl, 232-4.degree., blue-violet; PhNHCO, 233-4.degree., blue; PhCH2NHCO, 190-1.degree., violet-blue; MeNHCO, 215-17.degree., violet-blue; and the morpholide analog, 155-6.degree., violet-blue. Similarly, for the 3,5-bis(ethylamino)-2-ethylcarbamoyl analog of I:Ph, 146.5-7.5.degree., -; and 2 pyridyl, 108-9.degree., -.

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                MEDLINE Reload
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        Apr 17
                Polymer searching in REGISTRY enhanced
NEWS 13
        AUG 22
                Indexing from 1927 to 1936 added to records in CA/CAPLUS
NEWS 14 Apr 21
                New current-awareness alert (SDI) frequency in
                WPIDS/WPINDEX/WPIX
NEWS 15
        Apr 28
                RDISCLOSURE now available on STN
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        May 05
                Pharmacokinetic information and systematic chemical names
                 added to PHAR
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                MEDLINE file segment of TOXCENTER reloaded
        May 15
NEWS 18
        May 15
                Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 19
        May 19
                Simultaneous left and right truncation added to WSCA
NEWS 20
        May 19
                RAPRA enhanced with new search field, simultaneous left and
                 right truncation
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        Jun 06 Simultaneous left and right truncation added to CBNB
NEWS 22
        Jun 06 PASCAL enhanced with additional data
NEWS 23
        Jun 20 2003 edition of the FSTA Thesaurus is now available
NEWS 24
        Jun 25 HSDB has been reloaded
NEWS 25
        Jul 16 Data from 1960-1976 added to RDISCLOSURE
NEWS 26
        Jul 21
                Identification of STN records implemented
NEWS 27
        Jul 21
                 Polymer class term count added to REGISTRY
NEWS 28
        Jul 22
                INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
                 Right Truncation available
NEWS 29
        AUG 05
                New pricing for EUROPATFULL and PCTFULL effective
                August 1, 2003
NEWS 30
        AUG 13
                Field Availability (/FA) field enhanced in BEILSTEIN
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        AUG 15
                PATDPAFULL: one FREE connect hour, per account, in
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                 September 2003
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                 September 2003
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Page 2

NEWS 36 AUG 18 Simultaneous left and right truncation added to PASCAL NEWS 37 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right Truncation

NEWS 38 AUG 18 Simultaneous left and right truncation added to ANABSTR

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L1 STR

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US 6596259 22 JUL 2003 DE 20300703 31 JUL 2003

EP 1331259 30 JUL 2003

JP 2003207510 25 JUL 2003

WO 2003061387 31 JUL 2003

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=> s l1 sss full

FULL SEARCH INITIATED 10:50:56 FILE 'MARPAT'

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16.1% PROCESSED	2129 ITERATIONS	(	3 INCOMPLETE)	4 ANSWERS
26.4% PROCESSED	3494 ITERATIONS	( 1	3 INCOMPLETE)	15 ANSWERS
41.5% PROCESSED	5481 ITERATIONS	( 2	6 INCOMPLETE)	32 ANSWERS
61.9% PROCESSED	8172 ITERATIONS	( 4	6 INCOMPLETE)	53 ANSWERS

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67.9%	PROCESSED	8972	ITERATIONS	(	51	INCOMPLETE)	59	ANSWERS
74.0%	PROCESSED	9778	ITERATIONS	(	58	INCOMPLETE)	66	ANSWERS
82.3%	PROCESSED	10871	ITERATIONS	(	65	INCOMPLETE)	76	ANSWERS
87.5%	PROCESSED	11560	ITERATIONS	(	75	INCOMPLETE)	87	ANSWERS
90.3%	PROCESSED	11925	ITERATIONS	(	78	INCOMPLETE)	90	ANSWERS
92.5%	PROCESSED	12225	ITERATIONS	(	86	INCOMPLETE)	98	ANSWERS
94.1%	PROCESSED	12430	ITERATIONS	(	91	INCOMPLETE)	103	ANSWERS
95.6%	PROCESSED	12626	ITERATIONS	(	95	INCOMPLETE)	107	ANSWERS
97.2%	PROCESSED	12844	ITERATIONS	(	96	INCOMPLETE)	108	ANSWERS
97.9%	PROCESSED	12932	ITERATIONS	(	99	INCOMPLETE)	111	ANSWERS
98.6%	PROCESSED	13027	ITERATIONS	(	99	INCOMPLETE)	111	ANSWERS
99.3%	PROCESSED	13116	ITERATIONS	(	102	INCOMPLETE)	114	ANSWERS
99.6%	PROCESSED	13157	ITERATIONS	(	103	INCOMPLETE)	115	ANSWERS
99.7%	PROCESSED	13166	ITERATIONS	(	104	INCOMPLETE)	116	ANSWERS
99.7%	PROCESSED	13168	ITERATIONS	(	104	INCOMPLETE)	116	ANSWERS
99.7%	PROCESSED	13168	ITERATIONS	(	104	INCOMPLETE)	116	ANSWERS
99.8%	PROCESSED	13180	ITERATIONS	(	104	INCOMPLETE)	116	ANSWERS
	PROCESSED TIME: 00.07		ITERATIONS	(	104	INCOMPLETE)	116	ANSWERS

L3 116 SEA SSS FUL L1

=> s L3 and Syk

L4 0 L3 AND SYK

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 114.59 262.95

FILE 'CAPLUS' ENTERED AT 11:03:45 ON 29 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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10009276.4 Page 5

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FILE COVERS 1907 - 29 Aug 2003 VOL 139 ISS 10 FILE LAST UPDATED: 28 Aug 2003 (20030828/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s 13
L5
           116 L3
=> s 15 and syk
             1 L5 AND SYK
1.6
=> d l6 fbib hitstr abs total
L6
     ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
ΑN
     2000:881124 CAPLUS
DN
     134:42141
TI
     Preparation of novel heterocyclic carboxamide derivatives as spleen
     tyrosine kinase inhibitors
IN
     Hisamichi, Hiroyuki; Kawazoe, Souichirou; Tanabe, Kazuhito; Ichikawa,
     Atsushi; Orita, Akiko; Suzuki, Takayuki; Onda, Kenichi; Takeuchi, Makoto
PΑ
     Yamanouchi Pharmaceutical Co., Ltd., Japan
SO
     PCT Int. Appl., 36 pp.
     CODEN: PIXXD2
DΤ
     Patent
     Japanese
LΑ
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                            APPLICATION NO. DATE
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PΙ
     WO 2000075113
                      A1 20001214
                                            WO 2000-JP3767 20000609
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             ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
             LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
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             ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                             JP 1999-162692 A 19990609
     JP 2001055378
                             20010227
                        Α2
                                             JP 2000-171185 20000607
                                             JP 1999-162692 A 19990609
                                             EP 2000-935619 20000609
     EP 1184376
                       A1
                             20020306
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                             JP 1999-162692 A 19990609
                                             WO 2000-JP3767 W 20000609
OS
     MARPAT 134:42141
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Patel

GΙ

$$R^3-A-X$$
 $Y=Z$ 
 $CONH_2$ 
 $I$ 

AB Nitrogenous six-membered heterocycle compds. bearing as the substituents -X-A-R3, -N-(R1)-(R2-substituted Ph) and -CONH2 [I; wherein A = (substituted) lower alkylene, (substituted) (hetero)arylene, cycloalkylene; X = NR4, CONR4, NR4CO, O, S; the dotted line between Y and Z represents the presence of a bond (Y:Z) or the absence of a bond (Y-Z); Y-Z = NR5-CO, CO-NR5, NR5-NR5, CO-CO; Y:Z = N:CR1, CR7:N, N:N, CR7:CR7; R4 = each H, lower alkyl, -CO-lower alkyl, or -SO2-lower alkyl; R2 = H, (halo-substituted) lower alkyl, -O-lower alkyl, -S-lower alkyl, -O-aryl, nitro, cyano, or the like; R3 = -CO2H, -CO2-lower alkyl, -lower alkylene-CO2H, -NH2, -alkylene-NH2, or the like; R5 = H, lower alkyl; R6 = lower alkyl, OH, -O-lower alkyl, -O-(substituted) aryl, -O-lower alkylene-(substituted) aryl, -NR1-(substituted) aryl, -CO-lower alkyl-(substituted) aryl; R7 = H, R6] salts or prodrugs thereof are prepd. Also claimed are spleen tyrosine kinase (Syk) inhibitors contg. the compds. I or the salts or the prodrugs thereof as the active ingredient. The compds. I are useful for the prevention or treatment of allergies, inflammations, autoimmune diseases, cancers, transplant rejection, graft-vs.-host diseases, and thrombosis. Thus, 2.76 mL cis-1,2-cyclohexanediamine was added to a mixt. of 605 mg 6-chloro-2-(3-methylanilino)pyridine-3-carboxamide and 10 mL MeCN and refluxed for 5 days to give 230 mg 6-(cis-2-aminohexylamino)-2-(3methylanilino)pyrazine-3-carboxamide (II). II showed IC50 of .ltoreq.0.05 .mu.M against Syk, good inhibition against passive cutaneous anaphylaxis (PCA) in mice sensitized by anti-dinitrophenyl-IqE (DNP-IqE), and IC50 of .ltoreq.0.1 .mu.M against serotonin release according to the assay described by Collado-Escobar (J. Immunol. 144, 1990).

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 10:49:56 ON 29 AUG 2003)

FILE 'REGISTRY' ENTERED AT 10:50:11 ON 29 AUG 2003

L1 STRUCTURE UPLOADED

L2 0 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 10:50:48 ON 29 AUG 2003

L3 116 S L1 SSS FULL

L4 0 S L3 AND SYK

FILE 'CAPLUS' ENTERED AT 11:03:45 ON 29 AUG 2003

L5 116 S L3

L6 1 S L5 AND SYK

=> d 15 fbib hitstr abs total

10009276.4 Page 7

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L5
     ANSWER 1 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
ΑN
     2003:376725 CAPLUS
DN
     138:387140
ΤI
     Heterogeneous Diels-Alder reaction zeolitic catalysts
IN
     Caplan, Neil Aubrey; Hancock, Frederick Ernest
     Johnson Matthey PLC, UK
PA
SO
     PCT Int. Appl., 23 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                           APPLICATION NO. DATE
     -----
                                           ______
PΙ
     WO 2003039746
                     A1 20030515
                                          WO 2002-GB4928 20021031
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             NE, SN, TD, TG
                                           GB 2001-26935 A 20011109
     MARPAT 138:387140
OS
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H

Ι

GΙ

AB A process for performing a catalytic Diels-Alder reaction by reacting a diene with a dienophile in the presence of a heterogeneous catalyst comprising a zeolitic material exchanged or impregnated with ions of a Lewis acidic metal is described. The catalyst, for example, copper-exchanged zeolite Y, may be treated with chiral bis(imine) compds. to direct the chirality of the reaction products. The catalyst can be sepd. from the reaction mixt. and re-used in further Diels Alder reactions. Thus, 0.025 g acrylimide(3-(2-propenoyl)-2-oxazolidinone) in 4.0 mL DCM and 0.90 g freshly distd. cyclopentadiene were agitated at -78.degree. for 3 h in the presence of copper-exchanged zeolite Y and 2,2'-isopropyldiene bis[4(S)-4-tert-butyl-2-oxazoline] to give the desired product (I).

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:242278 CAPLUS

DN 138:271682

TI Preparation of cyclic hydroxamic acids as inhibitors of matrix metalloproteinases and/or TNF-.alpha. converting enzyme for treatment of inflammatory disorders

IN Ott, Gregory; Chen, Xiao-Tao; Duan, Jingwu; Lu, Zhonghui

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 344, pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

ran.	PATENT NO.			KI	ND	D DATE			APPLICATION NO. DATE									
ΡI	WO	2003	0248	99	A:	2	2003	0327		W	20	02-U	S296	85	2002	0916		
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			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,
			UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,
			RU,	ТJ,	TM													
		RW:					MW,											
			CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	ΝL,
			PT,	SE,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,
			ΝE,	SN,	TD,	TG												
										U:	S 20	01-3	2263	OPP :	2001	0917		
	UŞ	2003	1393	88	A	1	2003	0724		-				_	2002			
										US 2001-322630PP 20010917								

OS MARPAT 138:271682

GI

AB Title compds. I [wherein ring B = (un) substituted 4-7 membered (hetero)cyclic ring contg. 0-2 O, N, NR1, or SOp atoms and 0-3 carbonyl groups; R1 and R2 = independently Q, alk(en/yn)ylene-Q, or (un)substituted

10009276.4 Page 9

> alkylene-Q interrupted by O, NRa, CO, CO2, CONRa, NRaCO, NRaCO2, NRaCONRa, SOp, NRaSO2, or SO2NRa; or R1 = (un)substituted alkylene-Q interrupted by OCO, OCO2, or OCONRa; Q = H or (un) substituted (hetero) cyclyl; R3 = Q1, Cl, F, alk(en/yn)ylene-Q1, or (un)substituted alkylene-Q1 interrupted by O, NR1, NRaCO, CONRa, CO, CO2, SOp, or SO2NRa; Q1 = H or (un) substituted Ph, naphthyl, or heterocyclyl; Za = (un) substituted benzimidazolyl, indolyl, imidazopyridinyl, pyrazolylpyridinyl, benzofuranyl, benzothiazinyl, quinolinyl, etc.; Ra = independently H, alkyl, Ph, or benzyl; p = 0-2; or stereoisomers or pharmaceutically acceptable salts thereof] were prepd. as inhibitors of matrix metalloproteinases (MMP), TNF-a converting enzyme (TACE), aggrecanase, or a combination thereof. For example, reaction of benzyl Me maleate with paraformaldehyde and glycine gave benzyl Me (cis)-3,4-pyrrolidinedicarboxlyate (100%). BOC-protection (64%), debenzylation (96%), resoln. of the (3S,4S)-isomer with (S)-.alpha.-methylbenzylamine, conversion to the carbamate with DPPA and PhCH2OH (76%), and Pd catalyzed hydrogenation (100%) provided Me (3S, 4S) -4-amino-1-(tert-butoxycarbonyl) -3-pyrrolidinecarboxylate. Coupling of the amine with 4-[(2-methylthio-1H-benzimidazol-1yl)methyl]benzoic acid (prepn. given) afforded the amide (99%), which was treated with NH2OH.bul.HCl/MeONa to give the hydroxamic acid (3S,4S)-II (33%). A no. of the compds. of the invention inhibited MMP-1, 2, 3, 7, 8, 9, 10, 12, 13, 14, 15, and/or 16 with Ki values of .ltoreq. 10 .mu.M. Thus, I are useful for the treatment of a wide variety of inflammatory disorders (no data).

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ANSWER 3 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
L5
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ΑN 2003:202622 CAPLUS

DN 138:238028

- ΤI Preparation of substituted indeno[1,2-c]isoquinoline derivatives for the treatment of inflammatory disease or reperfusion disease
- IN Jagtap, Prakash G.; Baloglu, Erkan; Van Duzer, John H.; Szabo, Csaba; Salzman, Andrew L.
- Inotek Pharmaceuticals Corporation, USA PA
- SO PCT Int. Appl., 52 pp. CODEN: PIXXD2
- DT Patent
- LΑ English

FAN.CNT 1

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PATENT NO.
                                  KIND DATE
                                                                    APPLICATION NO. DATE
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PΙ
        WO 2003020700
                                   A2
                                                                   WO 2002-US27585 20020830
                                             20030313
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                     PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
                    NE, SN, TD, TG
                                                                     US 2001-944524 A 20010831
                                                                     US 2001-944524
                                                                                              20010831
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US 2003096833 20030522 Α1 OS

MARPAT 138:238028

GΙ

AB Novel indeno[1,2-c]isoquinoline derivs. of formula I [X = CO, CH2, CH(halo), O, NH, S, etc.; R1-R4, R7-R10 = H, halo, OH, alkoxy, aryl, NH2, etc.; R5 = O, NH, S; R6 = H, alkyl] are prepd. for treating or preventing inflammatory disease or reperfusion disease. Thus, II was prepd. and inhibited poly(ADP-ribose) synthase 84% at 300nM.

L5 ANSWER 4 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:154238 CAPLUS

DN 138:204941

TI Preparation of indol-5-ylureas and relate compounds for the treatment of obesity and type II diabetes

IN Schwink, Lothar; Stengelin, Siegfried; Gossel, Matthias

PA Aventis Pharma Deutschland G.m.b.H., Germany

SO PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

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PATENT NO.
                         KIND
                                DATE
                                                  APPLICATION NO.
                                                                      DATE
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PΙ
     WO 2003015769
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                                 20030227
                                                 WO 2002-EP8686
                                                                      20020803
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               NE, SN, TD, TG
                                                  DE 2001-10139416A 20010817
     DE 10139416
                                 20030306
                                                  DE 2001-10139416 20010817
                          A1
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OS MARPAT 138:204941

GΙ

10009276.4 Page 11

$$A-X \xrightarrow{E-D} B-CO-N \xrightarrow{R^5} R^1$$

$$Q=L \xrightarrow{R^7} R^6$$

$$R^3 \xrightarrow{R^7} R^7$$

$$Ph-O$$
 $NH-CO-NH$ 
 $CH_2-CH_2-NMe_2$ 

ΙI

Ι

AB Title compds. I [A = alkyl, alkylen-aryl (sic), mono or bicyclic ring; X = CR8R9, C(OR10)R11, O, etc.; R8, R9, R10, R11 = H, alkyl; D = N, CR41; E = N, CR42; G = N, CR43; L = N, CR44; R1, R2, R3, R41, R42, R43, R44 = H, halo, OH, etc.; B = O, NR24; R24 = H, alkyl; R5 = H, alkyl; W = N, CR25; R25 = H, alkyl aryl, bond to Y; T = N, CR26; R26 = H, alkyl, aryl, etc.; U = O, S, NR27; R27 = H, alkyl, bond to Y; Y = substituted alkylene, e.g, O, S, SO, etc.; R6, R7 = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts were prepd. For example, three component coupling of 1-dimethylaminoethyl-5-aminoindole, carbonyldimidazol and 4-aminodiphenylether provided indolylurea II. In human melanin-concg. hormone receptor assays, 41-specific examples of compds. I exhibited IC50 values ranging from 4.25-0.10 .mu.M, e.g., indolylurea II IC50 = 0.15 .mu.M. Compds. I are said useful as anorexic agents.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:888554 CAPLUS

DN 137:384751

TI 7,8-Fused 4(H)-chromenes as activators of caspases and inducers of apoptosis

IN Cai, Sui Xiong; Xu, Lifen; Storer, Richard; Attardo, Giorgio

PA Cytovia, Inc., USA

SO PCT Int. Appl., 56 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2002092083 A1 20021121 WO 2002-US15398 20020516

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2001-290976PP 20010516
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OS MARPAT 137:384751

GΙ

$$R^2$$
 $R^3$ 
 $R^4$ 
 $R^5$ 
 $R^5$ 
 $R^5$ 
 $R^5$ 
 $R^5$ 
 $R^5$ 
 $R^5$ 

AΒ Title compds. I [X = 0, S, (un)] substituted NH; Y = CN, (un) substituted CHO, CO2H, CONH2; Z = (un) substituted NH2; R1, R2 = H, halo, haloalkyl, aryl, carbocyclic, heterocyclic, heteroaryl, (un) substituted alkyl, alkenyl, alkynyl, NH2, NO2, CN, OH, SH, acyloxy, N3, alkoxy, CO2H, OCH2O, carbamoyl, alkylthio; R3R4 = atoms required to complete a thiazole, oxazole, 2-iminoimidazole, 2-oxo-2,1,3-thiadiazole, 2-oxothiazole, 2-oxooxazole, 2-thioxooxazole, 2-thioxoimidazole, 2-thioxothazole, imidazoline, oxazoline, thiazoline, triazole, oxazine, 2,3-dioxooxazine, or piperazine ring; R5 = H, alkyl; A = (un)substituted aryl, heteroaryl, carbocyclic, heterocyclic, aralkyl] were prepd. for use as activators of caspases and inducers of apoptosis. Therefore, they can be used to induce cell death in a variety of clin. conditions in which uncontrolled growth and spread of abnormal cells occurs. Thus, 2-amino-3-cyano-4-(3-bromo-4,5dimethoxyphenyl)-7-hydroxy-8-amino-4H-chromene was treated with carbonyldiimidazole to give I [X = 0, Y = CN, Z = NH2, A =3,4,5-Br(MeO)2C6H2, R1, R2, R5 = H, R3R4 = OC(O)NH] which had EC50 against T-47D and ZR-75-1 cell lines of 566.6 and 365.6 nM resp.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L5 ANSWER 6 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2002:814122 CAPLUS

DN 137:326554

TI Pyrazole azo dyes, their production and coupling agents therefor

IN Fujiwara, Toshiki; Hanaki, Naoyuki; Tanaka, Shigeaki; Omatsu, Tadashi; Yabuki, Yoshiharu

PA Fuji Photo Film Co., Ltd., Japan

Ι

SO PCT Int. Appl., 137 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE

APPLICATION NO. DATE

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WO 2002083662
                        A2
                              20021024
                                              WO 2002-JP3491
ΡI
                                                                 20020408
     WO 2002083662
                        A3
                              20030306
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              CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
              BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                              JP 2001-110458 A 20010409
                                              JP 2001-126239 A 20010424
                                              JP 2002-12108 A 20020121
     JP 2002322151
                        A2
                              20021108
                                              JP 2001-126239
                                                                 20010424
     JP 2002371079
                        A2
                              20021226
                                              JP 2002-12108
                                                                 20020121
                                              JP 2001-110458 A 20010409
     MARPAT 137:326554
OS
GI
```

$$\begin{array}{c|c}
R1 & R2 \\
N & N = N \\
N & N = N
\end{array}$$

$$\begin{array}{c|c}
A1 - A2 & NR4R5 \\
NR4R5 & NR4R5
\end{array}$$

Aminopyrazole diazo component-based azo dyes (I; A1, A2 = N, optionally substituted -CH=; R1 = H, org. group; R2 = H, halogen, CN; R3 = H, org. group; R4, R5, R6, R7 = H, org. group, carboxy, sulfo, carbamoyl) are obtained from novel diamino heterocyclic coupling components. I are suitable for image formation and recording and have excellent ozone resistance. In an example, 5-amino-3-tert-butyl-4-cyanopyrazole was diazotized and coupled with 3-cyano-4-methyl-2,6-bis(p-octylanilino)pyridine and the product was condensed with 2-chlorobenzothiazole to give a dye (.lambda.max 545 nm in DMF).

- L5 ANSWER 7 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2002:793609 CAPLUS
- DN 137:310927
- TI Preparation of pyrimidinyl-amido-aryl(thio)oxy carboxylic acids as hypolipidemic agents
- IN Iqbal, Javed; Gurram, Ranga Madhavan; Das, Saibal Kumar; Bhuniya, Debnath; Chakrabarti, Ranjan; Ramanujam, Rajagopalan
- PA Reddy's Laboratories Ltd., India
- SO PCT Int. Appl., 147 pp.

CODEN: PIXXD2

- DT Patent
- LA English

FAN.CNT 1

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003013729 A1 20030116 US 2002-119300 20020408

IN 2001-MA301 A 20010409
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OS MARPAT 137:310927 GI

$$X R^3$$

Title compds. I [X = 0, S; R1-3= H, halo, OH, NO2, CN, CHO, etc.; R3 when attached to nitrogen atom = H, OH, CHO, etc.; W = O, S, amino, C(O), OCO, etc.; m, n = 0-4; Ar= divalent single or fused arom. or heterocyclic group; R4-5 = H, OH, alkoxy, halo, etc.; R6 = H, alkyl, cycloalkyl, etc.; Y = O, NR8; R8 = H, alkyl, aryl, etc.; R6,R8 together may form a (un)substituted 5-6-membered (hetero)cycle; Q= O, S, SO, SO2, etc.; p = 0-1] were prepd. For instance, 2-(2-ethyl-6-oxo-4-phenyl-1,6-dihydro-1-pyrimidinyl)acetic acid and Et 3-methyl-2-(4-heptylaminophenylthio)butanoa te (prepn. of starting materials given) were coupled (CH2Cl2, DIC, HOBt) to afford II. Selected example compds. at 3 mg/kg (mice) orally reduced triglycerides in mice by 36-44%. I are useful for the treatment of, e.g., obesity.

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:754376 CAPLUS

DN 137:279419

TI Preparation of neuraminic acids and analogs useful for inhibiting paramyxovirus neuraminidase

Ι

```
Chand, Pooran; Babu, Yarlagadda S.; Rowland, Scott R.; Lin, Tsu-Hsing
IN
PΑ
     Biocryst Pharmaceuticals, Inc., USA
SO
     PCT Int. Appl., 92 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
                     ---
ΡI
     WO 2002076971
                     A1
                            20021003
                                         WO 2002-US7052 20020308
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
            HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
            LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                           US 2001-273952PP 20010308
OS
     MARPAT 137:279419
GΙ
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AΒ Neuraminic acids and analogs, e.g. I, wherein X is CHR, O, NR, N-OR, NR(O), S, S(O) and SO2; R is H, alkyl, alkene, alkyne, CN, NO2, N3, halo, substituted amine; R1 is H, (CH2)n-CO2R6, (CH2)n-tetrazol, (CH2)nSO3H, (CH2)nSO2H, (CH2)nPO3H2, (CH2)nCO-NHR6, (CH2)nNO2, and (CH2)nCHO; R2 is H, halo, CN, (CH2)n-CO2R6, (CH2)n-amine, (CH2)n-OR6; each of R3 and R3' are independently H, NHSO2R6, N(O)-SO2R6, NR6SO2R7, (CH2)mYR6; at least one of R3 and R3' should be other than H; Y is O, NH, NHC(O), C(O)NH, S, S(O), S(0)0, NHS(0)0, S(0)0NH, NHC(0)NH and heterocycle; R3 and R3' together may be O, CHR6, NR6 and N-OR6; R4 and R4' is independently selected from the group consisting of: H, (CH2)mYR6 and (CH2)mYR6; R4 and R4' together may be O, CHR6, NR6 and N-OR6; R5 and R5' are independently alkyl, ether, alkylamine, amide; R6 and R7 are individually H, alkyl, substituted alkyl, aryl, arylalkyl, heterocycle, alkenyl, alkynyl; m and n are individually 0-4, were prepd. useful for inhibiting paramyxovirus neuraminidase (no data). Thus, (2R,3R,4S)-3-(acetylamino)-4-[(thien-2-ylsulfonyl)amino]-2-((1R,2R)-1,2,3-trihydroxypropyl)-3,4-dihydro-2H-pyran-6-carboxylic acid was prepd. as paramyxovirus neuraminidase inhibitor (no data).

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN AN 2002:736225 CAPLUS

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DN
     137:262960
     Preparation of spiro-cyclic .beta.-amino acid derivatives as inhibitors of
TI
     matrix metalloproteinases and TNF-.alpha. converting enzyme (TACE)
IN
     Ott, Gregory R.; Chen, Xiaotao; Duan, Jingwu; Voss, Matthew E.
PΑ
     Bristol-Myers Squibb Company, USA
SO
     PCT Int. Appl., 187 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                   KIND DATE
                                          APPLICATION NO. DATE
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                                          ΡI
    WO 2002074738 A2 20020926
                                          WO 2002-US7652 20020312
     WO 2002074738
                     A3 20030403
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                          US 2001-275898PP 20010315
     US 2003087882
                      A1
                            20030508
                                          US 2002-96804
                                          US 2001-275898PP 20010315
OS
    MARPAT 137:262960
     Novel spiro-cyclic .beta.-amino acid derivs. C-B-NR1CO-Z-Ua-Xa-Ya-Za [C-B
AΒ
     represents a spiro-cyclic ring system, where rings B and C are 3-13
     membered carbocycles or heterocycles; ring B is bonded to NR1 via
     ACR2aCR2b-; A = alkanoyl, CO2H or ester, CH2CO2H, CONHOH, SH, CH2SH, etc.;
     R2a = H, alkyl, OH, alkoxy, an amino group, S(0)p (p = 0-2), etc.; R2b = 1
     H, alkyl; R1 = H, alkyl, Ph, PhCH2; Z is absent or is a carbocycle or
     heterocycle; Ua is absent or is O, NH, alkylimino, CO, CO2, O2C, CONH,
     S(0)p, etc.; Xa is absent or is alkylene, alkenylene, or alkynylene; Ya is
     absent or is O, NH, alkylimino, S(O)p, CO; Za = H, carbocycle, or
     heterocycle] or their pharmaceutically-acceptable salts were prepd. as
     matrix metalloproteinases (MMP), TNF-.alpha. converting enzyme (TACE),
     and/or aggrecanase inhibitors. Thus, (7S,8R)-N-hydroxy-8-[[4-[(2-methyl-4-
     quinolinyl) methoxy] benzoyl] amino] -1,4-dioxaspiro[4.4] nonane-7-carboxamide
     was prepd. by a multistep synthesis starting from (1S,2R)-1-Me
     cis-1,2,3,6-tetrahydrophthalate. The latter underwent sequential
     esterification with benzyl alc., oxidative ring opening with KMnO4, and
     recyclization with Ac20/NaOAc to yield intermediate benzyl Me
     (1S, 2R) -4-oxo-1, 2-cyclopentanedicarboxylate.
    ANSWER 10 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     2002:695980 CAPLUS
     137:232544
DN
ΤI
     Tricycloalkatrienes as non-nucleoside reverse transcriptase inhibitors
IN
     Lindstroem, Stefan; Sahlberg, Christer; Wallberg, Hans; Kalyanov, Genaidy;
     Oden, Lourdes; Naeslund, Lotta
PA
     Medivir AB, Swed.
SO
     PCT Int. Appl., 106 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
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PATENT NO.
                         KIND
                                DATE
                                                  APPLICATION NO.
                                                                      DATE
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                                                  ______
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PΙ
     WO 2002070516
                          A2
                                20020912
                                                  WO 2002-EP2328
                                                                      20020304
     WO 2002070516
                          Α3
                                20030206
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
               CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
              PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
               CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
               BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                  SE 2001-733
                                                                   A 20010305
                                                  US 2002-92752
     US 2003069224
                          A1
                                20030410
                                                                      20020305
                                                  SE 2001-733
                                                                   A 20010305
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OS MARPAT 137:232544

GI

$$\mathbb{R}^7$$
 $\mathbb{R}^6$ 
 $\mathbb{R}^1$ 
 $\mathbb{R}^2$ 

 $R^{5}$   $R^{4}$   $R^{2}$   $R^{3}$ 

AB Title compds. I [R1 = 0, S; R2 = (un) substituted nitrogen-contg. heterocycle, wherein the nitrogen is located at the 2 position relative to the (thio) urea bond; R3 = H, alkyl; R4-R7 = H, alkyl, alkenyl, alkynyl, haloalkyl, alkanoyl, haloalkanoyl, alkoxy, haloalkoxy, alkyloxyalkyl, haloalkyloxylkyl, hydroxyalkyl, aminoalkyl, carboxyalkyl, cyanoalkyl, amino, carboxy, carbamoyl, cyano, halo, hydroxy, keto; X = (CHR8)nD(CHR8)m; D = NR9, O, S, S(=0), SO2; R8 = H, alkyl, haloalkyl; R9 = H, alkyl; n, m = 0, 1, 2] and prodrugs and pharmaceutically acceptable salts thereof, have utility as inhibitors of HIV-1 reverse transcriptase, particularly drug escape mutants. Thus, benzothiophene was treated with N2CHCO2Et to give Et cis-la,6b-dihydro-1H-benzo[b]cyclopropa[d]thiophene-1-carboxylate which was hydrolyzed to the acid and treated with (PhO)2PN3 and 2-amino-6-cyanopyridine to give the urea II. II had ED50 in the XTT assay with wild-type HIV-1IIIB of 2 nM.

L5 ANSWER 11 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN AN 2002:656053 CAPLUS

```
DN
    137:187172
    Ink-jet ink composition comprising metal complex of 8-heterocyclylazo-5-
TI
    hydroxy-quinoline and anti-kogation materials
    Erdtmann, David; Lopez, Edgardo; Van Hanehem, Richard C.; Evans, Steven
IN
PΑ
    Eastman Kodak Company, USA
SO
    Eur. Pat. Appl., 14 pp.
    CODEN: EPXXDW
    Patent
DТ
    English
LΑ
FAN.CNT 1
    PATENT NO.
                   KIND DATE
                                         APPLICATION NO. DATE
     -----
                                         -----
    EP 1234860
                    A1 20020828
                                        EP 2002-75634 20020215
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                         US 2001-794608 A 20010227
                                         US 2001-794608 20010227
    US 2002157567
                     Α1
                          20021031
    US 6527844
                     B2
                          20030304
    JP 2002294125
                     A2
                          20021009
                                         JP 2002-47856
                                                         20020225
                                         US 2001-794608 A 20010227
    MARPAT 137:187172
OS
    An ink-jet ink compn. comprises water, a humectant, a polyvalent
AΒ
    transition metal complex of an 8-heterocyclylazo-5-hydroxy-quinoline and
    an anti-kogation material comprising an alkali metal salt of a monobasic
    org. or inorg. acid. The ink jet ink compn. has both good light stability
    and bright hue, and is able to provide consistent d. when printed in a
    thermal ink jet printer.
RE.CNT 4
             THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 12 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
L5
AN
    2002:574921 CAPLUS
DN
    137:119703
    Use of noncompetitive and selective GluR5 antagonists as glutamate
ΤI
    receptor-modulating compounds, and therapeutic use
IN
    Peters, Dan; Nielsen, Elsebet Ostergaard; Gouliaev, Alex Haahr
PA
    Neurosearch A/S, Den.
SO
    PCT Int. Appl., 30 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 1
                   KIND DATE
    PATENT NO.
                                        APPLICATION NO. DATE
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                                         -----
                                       WO 2002-DK46 20020123
PΤ
    WO 2002058691
                    A1 20020801
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
            UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
            TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                         DK 2001-117 A 20010123
OS
    MARPAT 137:119703
GI
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AΒ The invention discloses the use of chem. compds. showing noncompetitive and selective GluR5 antagonist or partial agonist activity for treating diseases that are responsive to modulation of an aspartate or a glutamate receptor. Moreover the invention provides chem. compds. for use according to the invention, as well as pharmaceutical compns. comprising the chem. compds., and methods of treating diseases or disorders or conditions responsive to modulation of an aspartate or a glutamate receptor. A preferred example compd. of the invention is I.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L_5
    ANSWER 13 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2002:465767 CAPLUS

DN 137:51985

ΤI Oxidative hair dyes containing oxidative enzymes

IN Rozzell, David; Sauter, Guido; Braun, Hans-Juergen

PΑ Wella Aktiengesellschaft, Germany

SO PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.	AN.CNT 1																	
	PA	CENT I	NO.		KI	ND	DATE			A	PPLI	CATI	ON NO	o. :	DATE			
										-								
ΡI	WO	2002	0476	33	A:	2	2002	0620		W	20	01-E	P114:	93	2001	1005		
	WO	2002	0476	33	A.	3	2003	0313										
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
															GB,			
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC.	LK.	LR.
															NO,			
															TT,			
												•			RU,	•	•	,
		RW:													AT,			CY.
															PT,			
															SN,			D1 ,
			20,	<b></b> ,		O-,	C ,	O21,	O11,						200	-		
	ישרו	1006	2006		A	1	2002	0704							2000		>	
	ΑU	2002	0235	90	A.	5	2002	0624							2001			
										D)	E 20	00-1	0062	086A	200	01213	3	
									W	20	01-E	P114:	93W	2001	1005			
	BR 2001008212			A 20030305			BR 2001-8212 20011005											
										DI	E 20	00-1	0062	086A	200	01213	3	
										W(	20	01-E	P114	93W	2001	1005		

US 2003041391 A1 20030306 US 2002-181572 20020718
DE 2000-10062086A 20001213
WO 2001-EP11493W 20011005

OS MARPAT 137:51985

AB The invention relates to an agent for dyeing keratin fibers. Said agent contains at least one compd. having a nucleophilic reaction center, at least one alc. from the group consisting of aryl alc. derivs. and benzyl alc. derivs., and at least one appropriate oxidn. enzyme. The invention also relates to a method for dyeing keratin fibers using the inventive agent. Thus the following ingredients were mixed to receive a hair dye: vanillyl alc. 1.2 mL (final conc. 10 mmol/L); galactose oxidase 30 mg (200 Units); 1,2,3,3-tetramethyl-3-H-indolium hydrogen sulfate 80 mg (final conc. 100 mmol/L); potassium hydrogen phosphate buffer 6 mL (final conc. 100 mmol/L); water 22.8 mL.

L5 ANSWER 14 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:400330 CAPLUS

DN 136:401769

TI Preparation of 4-heterocyclylphenylacetohydrazide derivatives having blood lipid-lowering activity

IN Suga, Akira; Imanishi, Naoki; Kubota, Hideki; Miura, Toshinori; Moritani, Hiroshi; Matsuda, Kouyou

PA Yamanouchi Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 21 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 2002155080	A2	20020528	JP 2000-355446	20001122
				JP 2000-355446	20001122

OS MARPAT 136:401769

GI

AB The title compds. [I; R1-R6 = H,halo, (un)substituted hydrocarbyl or heterocyclyl, CO2H, lower alkoxycarbonyl, CHO, lower alkylcarbonyl, lower alkylthio; R7, R8, R9 = H, (un)substituted hydrocarbyl, Z2-Q; or NR8R9 = N-contg. heterocyclyl; ring A = (un)substituted benzene, pyridine, or cyclohexene; Q = (un)substituted hydrocarbyl or heterocyclyl; Z1 = lower alkylene, O, (un)substituted NH, SO2, (un)substituted CONH; Z2 = bond, O, N, S, CO; X, Y = N, C, CH] or pharmacol. acceptable salts thereof, which

possess apoprotein B (apo B)-related lipoprotein secretion-inhibitory activity, prepd. These compds. possess blood cholesterol-lowering and triglyceride-lowering activity and are useful for the treatment of hyperlipidemia, arteriosclerosis, obesity, and pancreatitis. Thus, 2-cyclopentyl-2-[4-[(2,4-dimethyl-9H-pyrido[2,3-b]indol-9-yl)methyl]phenyl]acetic acid was condensed with phenylhydrazine using 1-hydroxybenzotriazole, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, and Et3N in CHCl3 at room temp. overnight to give N-[2-cyclopentyl-2-[4-[(2,4-dimethyl-9H-pyrido[2,3-b]indol-9-yl)methyl]phenyl]acetyl]-N'-phenylhydrazine (II). (S)-II showed ED50 of 0.15 mg/kg for lowering non-HDL cholesterol in rats.

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L5 ANSWER 15 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2002:345978 CAPLUS

DN 136:340696

TI Preparation of substituted quinazoline derivatives

IN Gletsos, Constantine

PA American Home Products Corporation, USA

SO U.S., 9 pp., Cont. of U.S. Ser. No. 363,521, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡI	US 6384223	B1	20020507	US 2000-564491 20000504
				US 1998-112023PP 19980730
				US 1999-363521 B119990729

OS CASREACT 136:340696; MARPAT 136:340696

GΙ

## \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The title compds. [I; X = substituted Ph; R, R1 = H, halo, alkyl, etc.; R2 = H, alkyl, alkoxy, etc.; Y = II, III (wherein R3 = H, alkyl, CO2H, etc.; n = 2-4)], useful as antineoplastic agents (no data), were prepd. by acylating aniline IV with an acid halide or mixed anhydride V or VI (wherein Z = OR4, SR4, halo, etc.; R4 = alkyl, cycloalkyl, Ph; L = Cl, Br, OCOR6; R6 = alkyl, cycloalkyl, Ph) followed by reacting the acetylated compd. with H2NX, and treating the resulting intermediate with a mild base or Lewis acid.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L5 ANSWER 16 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2002:293656 CAPLUS

DN 136:325565

TI Preparation of 3,4-dihydropyrimido[1,2-a]pyrimidines and 3,4-dihydropyrazino[1,2-a]pyrimidines as analgesics

IN Gerlach, Matthias; Maul, Corinna; Jagusch, Utz-Peter

PA Gruenenthal Gmbh, Germany

SO PCT Int. Appl., 60 pp.

CODEN: PIXXD2

DT Patent

LA German

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FAN.CNT 1
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	PATEN	T NO.		KI	ND :	DATE		APPLICATION NO.					٥.	DATE			
				- <del>-</del>		<b></b>			-			- <b></b> -					
ΡI	WO 20	020309	34	A	1 .	2002	0418		W	0 20	01-E	P117	02	2001	1010		
	W	: AE	AG,	AL,	AM,	ΑT,	AU,	ΑŻ,	ΒA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,
		HR,	HU,	ID,	ΙL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚŻ,	LC,	LK,	LR,	LS,
		$\operatorname{LT}$	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PH,	PL,	PT,
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,
			VN,														
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		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
			CF,														
									D:	E 20	00-1	0050	661A	200	01013	3	
	DE 10	050663	L	Α	1	2002	0418		D:	E 20	00-1	0050	661	2000	1013		
	AU 20	020140	07	A5 20020422					. A	U 20	02-1	4007		2001	1010		
									DE 2000-10050661A 20001013							3	
									W	0 20	01-E	P117	02W	2001	1010		
	EP 13	25010		Α	1	2003	0709		E	P 20	01-9	8241	7	2001	1010		
	R	: AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
									D.	E 20	00-1	0050	661A	200	01013	3	
									W	0 20	01-E	P117	02W	2001	1010		
	NO 2003001588			Α		20030408 NO 2003-158					588	20030408					
									D:	E 20	00-1	0050	661A	200	0001013		
							WO 2001-EP11702W 2001					2001	1010				

OS MARPAT 136:325565 GI

Title compds. [I; Y = CR8; Z = N; or Y = N; Z = CR9; R1, R2 = H, AB (branched) (unsatd.) (substituted) alkyl, (unsatd.) (substituted) cycloalkyl, (unsatd.) (substituted) heterocyclyl, (substituted) (hetero)aryl, (substituted) alkylaryl, etc.; R3, R4 = H, H, (branched) (unsatd.) (substituted) alkyl, (unsatd.) (substituted) cycloalkyl, (substituted) (hetero)aryl, (substituted) alkylaryl, etc.; R5 = (branched) (unsatd.) (substituted) alkyl, (unsatd.) (substituted) cycloalkyl, (unsatd.) (substituted) heterocyclyl, (substituted) (hetero)aryl, (substituted) alkylaryl, etc.; R6-R9 = H, F, Cl, Br, iodo, cyano, amino, aminoalkyl, aminodialkyl, etc.] and salts thereof were prepd. Several I showed .mu.-opiate receptor binding with Ki = 1.4-2.5 .mu.M and inhibited at 10 .mu.M NMDA/MK801 binding position with 40-47%. The invention relates also to a method for the prodn. of the title compds., substance libraries contg. said compds., medicaments which contain said compds., the use of said compds. in the prodn. of medicaments for treating pain, urinary incontinence, pruritus, tinnitus aurium and/or diarrhea and pharmaceutical prepns. contg. said compds.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 17 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
L5
     2002:293655 CAPLUS
AN
DN
     136:309934
     Preparation of 3,4-dihydropyrido[1,2-a]pyrimidines as analgesics
ΤI
     Gerlach, Matthias; Maul, Corinna; Jagusch, Utz-Peter
IN
     Gruenenthal Gmbh, Germany
PA
SO
     PCT Int. Appl., 139 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     German
FAN.CNT 1
     PATENT NO.
                       KIND
                             DATE
                                             APPLICATION NO.
PΙ
     WO 2002030933
                        A1
                              20020418
                                             WO 2001-EP11700 20011010
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM,
             HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                             DE 2000-10050662A 20001013
     DE 10050662
                        Α1
                              20020418
                                             DE 2000-10050662 20001013
     AU 2002010526
                        A5
                              20020422
                                             AU 2002-10526
                                                                20011010
                                             DE 2000-10050662A 20001013
                                             WO 2001-EP11700W 20011010
     BR 2001014734
                        Α
                              20030701
                                             BR 2001-14734
                                                                20011010
                                             DE 2000-10050662A 20001013
                                             WO 2001-EP11700W 20011010
     EP 1326866
                        A1
                              20030716
                                             EP 2001-978402
                                                              20011010
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                             DE 2000-10050662A 20001013
                                             WO 2001-EP11700W 20011010
     NO 2003001412
                        Α
                              20030422
                                             NO 2003-1412
                                                                20030327
                                             DE 2000-10050662A 20001013
                                             WO 2001-EP11700W 20011010
OS
     MARPAT 136:309934
GΙ
                 R5
      Ŕ8
                     Ι
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Title compds. [I; R1, R2 = H, OR10, SH, SR10, (branched) (unsatd.) (substituted) alkyl, (unsatd.) (substituted) cycloalkyl, (unsatd.),

(substituted) (hetero)aryl, (substituted) alkylaryl, etc.; R3, R4 = H, H,

(branched) (unsatd.) (substituted) alkyl, (unsatd.) (substituted) cycloalkyl, (substituted) (hetero)aryl, (substituted) alkylaryl, etc.; R5 = (branched) (unsatd.) (substituted) alkyl, (unsatd.) (substituted) cycloalkyl, (substituted) (hetero)aryl, (substituted) alkylaryl, etc.; R6-R9 = H, F, Cl, Br, I, cyano, amino, aminoalkyl, aminodialkyl, etc.; R10 = (branched) (unsatd.) (substituted) alkyl, (unsatd.) (substituted) cycloalkyl, (substituted) (hetero)aryl, (substituted) alkylaryl, etc.] and salts thereof were prepd. as analgesics (no data). The invention relates also to a method for the prodn. of the title compds., substance libraries contg. said compds., medicaments which contain said compds., the use of said compds. in the prodn. of medicaments for treating pain, urinary incontinence, pruritus, tinnitus aurium and/or diarrhea and pharmaceutical prepns. contg. said compds.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L5
    ANSWER 18 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
    2002:256250 CAPLUS
ΑN
DN
    136:279340
TI
    Preparation of cannabichromenes as antivirals
IN
    Travis, Craig R.
PΑ
    Immugen Pharmaceuticals, Inc., USA
    PCT Int. Appl., 39 pp.
SO
    CODEN: PIXXD2
DT
    Patent
ĿA
    English
FAN.CNT 1
    PATENT NO.
                    KIND DATE
                                         APPLICATION NO. DATE
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    WO 2002026728 A2
ΡI
                           20020404
                                         WO 2001-US42368 20010928
    WO 2002026728
                    A3 20020906
           AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
            PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
            US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
            BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                         US 2000-236425PP 20000928
    AU 2002013429
                      Α5
                           20020408
                                         AU 2002-13429
                                                          20010928
                                         US 2000-236425PP 20000928
                                         WO 2001-US42368W 20010928
    US 2002068738
                      Α1
                           20020606
                                         US 2001-967341
                                                          20010928
    US 6541510
                      B2
                           20030401
                                         US 2000-236425PP 20000928
OS
    MARPAT 136:279340
GI
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$$\begin{array}{c|c}
R^{121} & R^7 \\
R^7 & R^2 \\
R^6 & R^3
\end{array}$$

AB Title compds. [I; R1 = H, alkyl, CO2H, OH, (substituted) alkoxy, alkanoyl, morpholinoalkylcarbonyloxy, etc.; R2 = H, OH, CO2H, halo, alkoxy, etc.; R3 = (substituted) alkyl, haloalkyl, CO2H, alkenyl, alkynyl, etc.; R6 = H, OH, halo, alkoxy, alkylthio, alkyl, haloalkyl, cyano, N3, CO2H, etc.; R7 = H, OH, halo, alkoxy, alkylthio, alkyl, haloalkyl, cyano, N3, CO2H, alkoxycarbonyl, O, S, etc.; R12, R121 = H, OH, halo, alkoxy, alkylthio, alkyl, haloalkyl, cyano, N3, CO2H, alkoxycarbonyl, etc.; R12R121 = O, S; Q = O, S, NW; W = H, alkoxycarbonyl, alkyl, haloalkyl, alkoxy, haloalkyl, etc.], were prepd. Thus, 1-(1,1,5-trimethylhexyl)-3,4,5-trimethoxybenzene (prepn. given), geraniol, and TsOH were refluxed 2 h in PhMe to give 20% 3,4-dihydro-2-methyl-2-(4-methyl-3-pentenyl)-7-(1,1,5-trimethylhexyl)-2H-1benzopyran-5-ol (IG-08). IG-08 inhibited HIV-1 attachment and fusion to HeLa CD4 cells with suppression of .mu.-galactosidase activity.

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ANSWER 19 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
L5
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Ι

2002:220534 CAPLUS AN

DN 136:263165

ΤI Preparation of 1,2,3,4-tetrahydronaphthalenecarboxamide, 1,2,3,4-tetrahydroquinolinecarboxamide, indanecarboxamides, thiochromancarboxamide, and chromancarboxamide derivatives as C5a receptor antagonists and medicinal use thereof

IN Nakamura, Mitsuharu; Kamahori, Takao; Ishibuchi, Seigo; Naka, Yoichi; Sumichika, Hiroshi; Itoh, Katsuhiko

PΑ Mitsubishi Pharma Corporation, Japan

SO PCT Int. Appl., 415 pp.

CODEN: PIXXD2

DT Patent

LΑ Japanese

FAN.CNT 1

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PATENT NO.
                            KIND DATE
                                                       APPLICATION NO. DATE
                           ----
                                                       ----
PΙ
      WO 2002022556
                            A1 20020321
                                                      WO 2001-JP7977 20010914
           W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
                CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
           RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
                 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
                 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                        JP 2000-280540 A 20000914
                                                        JP 2000-386813 A 20001220
      AU 2001088045
                             Α5
                                    20020326
                                                        AU 2001-88045
                                                                             20010914
                                                        JP 2000-280540 A 20000914
                                                        JP 2000-386813 A 20001220
                                                        WO 2001-JP7977 W 20010914
      EP 1318140
                             A1
                                    20030611
                                                        EP 2001-967682
                                                                              20010914
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2000-280540 A 20000914 JP 2000-386813 A 20001220 WO 2001-JP7977 W 20010914

OS MARPAT 136:263165

GI

AΒ Amide derivs. represented by the following general formula [I; R1, R2, R3, R4 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, arylalkyl, heteroarylalkyl, or alkoxy, aryloxy, arylalkyloxy, (un) substituted acyloxy, halo, NO2, cyano, acyl SH, alkylthio, alkylsulfinyl, NH2, alkylamino, dialkylamino, cyclic amino, (un) substituted CONH2, alkoxycarbonyl, CO2h, acylamino, (un) substituted SO2NH2, haloalkyl; or any two of R1, R2, and R3 together with adjacent carbon atom form a ring; all a, b, c, d, and e is a carbon atom; or one or two of a, b, c, d, and e represent one or two nitrogen atom and the other represent C atoms; R4, R5, R6 = haloalkyloxy, groups listed in R1 - R4; A = H, (un) substituted cycloalkyl, aryl, heteroaryl, or cyclic amino; W1, W2 = a bond, (un) substituted C1-3 alkylene; Y = a single bond, O, CO, NR7, S, SO, SO2, CONR8, NR9CO (wherein R7, R8, R9 = H, (un)substituted alkyl); Z = a single bond, (un) substituted alkylene] or optically active isomers thereof or pharmaceutically acceptable salts thereof are prepd. compds. are useful as preventives and remedies for diseases or syndromes caused by inflammation induced by C5a, e.g. immunol. diseases such as rheumatism and systemic lupus erythematosus, allergic diseases such as sepsis, adult respiratory distress syndrome, chronic obstructive pulmonary disease and asthma, atherosclerosis, heart infarction, brain infarction, psoriasis, Alzheimer's disease and important organistic breakdown (e.g. pneumonia, nephritis, hepatitis, pancreatitis) induced by leukocyte activation caused by ischemic reperfusion, burn or surgical invasion. Moreover, they are useful as preventives and remedies for infection with bacteria and viruses mediated by C5a receptor. Thus, to a soln. of 3.3 g 1,2,3,4-tetrahydronaphthalene-1-carboxylic acid in 20 mL CH2Cl2 was added 2.1 mL SO2Cl2 and the resulting mixt. was refluxed for 3 h, concd. under reduced pressure, dissolved in 10 mL CH2Cl2, treated with a soln. of 5.1 g N-[(4-dimethylaminophenyl)methyl](4-isopropylphenyl)amine in 10 mL CH2Cl2 under ice-cooling, warmed to room temp., and stirred overnight to give N-[(4-dimethylaminophenyl)methyl]-N-(4-isopropylphenyl)-1,2,3,4tetrahydronaphthalene-1-carboxamide (II). II inhibited the binding of [125I]-human C5a receptor to human histiocystic lymphoma cell line (U-937) with IC50 of 104 nm/mL. A tablet, a capsule, an injection soln., and an eyedrop formulation contg. II were prepd.

Ι

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 20 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
L5
     2001:923779 CAPLUS
AN
DN
     136:53771
ΤI
     Preparation of cyclic urea compounds
IN
     Rodriguez, Marc; Guichard, Gilles; Plaue, Serge; Semetey, Vincent;
     Schaffner, Arnaud-Pierre; Briand, Jean-Paul
     Centre National de la Recherche Scientifique, Fr.; Neosystem;
PA
     Galas-Rodriguez, Marie-Christine; Rodriguez, Pierre; Rodriguez, Elisa;
     Rodriguez, Romain
SO
     PCT Int. Appl., 103 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     French
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                              APPLICATION NO. DATE
PΙ
     WO 2001096318
                        A1
                              20011220
                                              WO 2001-FR1837
                                                                 20010613
     WO 2001096318
                       C1 20030501
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
              HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
              LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
              RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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              BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                              FR 2000-7507
                                                             A 20000613
     FR 2810039
                        A1
                              20011214
                                              FR 2000-7507
                                                               20000613
     EP 1289968
                        A1 20030312
                                              EP 2001-945420 20010613
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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                                              FR 2000-7507 A 20000613
                                              WO 2001-FR1837 W 20010613
OS
     MARPAT 136:53771
GΙ
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AB The invention concerns a method for prepg. cyclic urea compds. from an activated carbamic acid deriv. contg. an unprotected primary or secondary amine function, by reaction between the primary or secondary amine function and the carbamic acid function of the carbamic acid deriv. Thus, the protected amine I was de-tert.-butoxycarbonylated and cyclized with EtN(CHMe2)2 to give the cyclic urea II.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 21 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:833289 CAPLUS

DN 135:371756

TI Preparation of prodrugs of HIV replication inhibiting pyrimidines

IN Kukla, Michael Joseph; Ludovici, Donald William; Kavash, Robert W.; De Corte, Bart Lieven Daniel; Heeres, Jan; Janssen, Paul Adriaan Jan; Koymans, Lucien Maria Henricus; De Jonge, Marc Rene; Van Aken Koen, Jeanne Alfons; Krief, Alain

PA Janssen Pharmaceutica N.V., Belg.

SO PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.			KI	ND	DATE			A	PPLI	CATI	ои ис	o. :	DATE				
PI		2001		-		-	2001 2002			W	0 20	01-E	P499	0	2001	0503		
	,,,,	W:	AE, CO, HR, LT, RU, VN, GH, DE,	AG, CR, HU, LU, SD, YU, GM, DK,	AL, CU, ID, LV, SE, ZA, KE, ES,	AM, CZ, IL, MA, SG, ZW, LS,		AU, DK, IS, MG, SK, AZ, MZ, GB,	DM, JP, MK, SL, BY, SD, GR,	DZ, KE, MN, TJ, KG, SL, IE, GW,	EE, KG, MW, TM, KZ, SZ, IT, ML,	ES, KP, MX, TR, MD, TZ, LU, MR,	FI, KR, MZ, TT, RU, UG, MC, NE,	GB, KZ, NO, TZ, TJ, ZW, NL, SN,	GD, LC, NZ, UA, TM AT, PT,	GE, LK, PL, UG, BE, SE, TG	GH, LR, PT, US,	LS, RO, UZ, CY,
	EP	1282 R:	AT,			DE,	2003 DK, FI,	ES,	FR,	GB, CY, U	P 20 GR, AL, S 20	01-9 IT, TR 00-2	3392 LI, 0247	LU,		0503 SE, 0508	MC,	PT,

OS MARPAT 135:371756

GI

AΒ

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(un) substituted Ph, (un) satd. heterocyclyl; A1A2N- is the covalently
     bonded form of the corresponding intermediate of the formula A1A2NH, which
     is a HIV replication inhibiting pyrimidine II (wherein a1:a2a3:a4 =
     CH:CHCH:CH, N:CHCH:CH, N:CHN:CH, N:CHCH:N, N:NCH:CH; n = 0-5; R2 = OH,
     halo, alkyl, etc.; L = alkyl, alkenyl, cycloalkyl, etc.; Q = H, alkyl,
     halo, etc.; Y = H, OH, halo, etc.)], were prepd. Thus, reacting
     4-{[5-bromo-4-(4-cyano-2,6-dimethylphenoxy)-2-
     pyrimidinyl]amino}benzonitrile (prepn. given) with (chloromethoxy)ethane
     in the presence of NaH in THF afforded 19% III. Anti-HIV activity of
     compds. I was tested and results were given.
L5
     ANSWER 22 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
     2001:798220 CAPLUS
ΑN
DN
     135:344472
TI
     Preparation of 6-(5-oxazolyl)-4(1H)-quinolinones as inhibitors of IMPDH
     enzyme
     Iwanowicz, Edwin J.; Watterson, Scott H.; Dhar, T. G. Murali; Pitts,
IN
     William J.; Gu, Henry H.
     Bristol-Myers Squibb Company, USA
PΑ
     PCT Int. Appl., 263 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
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                    A2
     WO 2001081340
                            20011101
PΙ
                                           WO 2001-US12900 20010419
     WO 2001081340
                      A3
                            20020523
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
             HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
             RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
             VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                           US 2000-199420PP 20000424
     EP 1276739
                      A2
                            20030122
                                           EP 2001-928708 20010419
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                           US 2000-199420PP 20000424
                                           WO 2001-US12900W 20010419
     US 2002040022
                       Α1
                            20020404
                                           US 2001-840503
                                                           20010423
                                           US 2000-199420PP 20000424
OS
     MARPAT 135:344472
GI
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The title compds. A1A2NR1 [I; R1 = alkyl, SOR8, SO2R8, etc.; R8 = alkyl,

AB Title compds. I [wherein X1 = CO, SO, or SO2; X2 = CR3 or N; X3 = NH, O, or S; X4 = CR4 or N; X5 = CR5 or N; X6 = CR6 or N] were prepd. were prepd. as inosine monophosphate dehydrogenase (IMPDH) enzyme inhibitors. For example, acetalization of 4-nitro-2-methoxytoluene with AcOH (51%), redn. to the aldehyde (91%), and cycloaddn. with (p-tolylsulfonyl) methyl isocyanate gave 5-(4-nitro-2-methoxyphenyl)oxazole (84%), which was reduced to the amine (95%). Alkylation with Et benzoylacetate and cyclization afforded the 6-(5-oxazolyl)-4(1H)-quinolinone II. Thus, I are useful as therapeutic agents for IMPDH-assocd. disorders, such as allograft rejection (no data).

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ANSWER 23 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
L5
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AN 2001:747745 CAPLUS

DN 135:289060

Preparation of peptides as inhibitors of serine proteases, particularly TIhepatitis C virus NS3 protease

IN Perni, Robert; Court, John; O'malley, Ethan; Bhisetti, Govinda Rao

PΑ Vertex Pharmaceuticals Incorporated, USA

SO PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DT Patent

English LΑ

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FAN.CNT 1
     PATENT NO.
                        KIND DATE
                                               APPLICATION NO. DATE
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                              _____
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PΙ
     WO 2001074768
                         A2
                               20011011
                                               WO 2001-US10367 20010329
     WO 2001074768
                        A3
                               20020606
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
              HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
              LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
              SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
              YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                               US 2000-194563PP 20000403
                                               US 2000-198330PP 20000418
     EP 1268519
                               20030102
                                               EP 2001-924516 20010329
                         A2
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                               US 2000-194563PP 20000403
                                               US 2000-198330PP 20000418
                                               WO 2001-US10367W 20010329
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OS MARPAT 135:289060

GΙ

AB Peptides Q-CO-A1-NHCHR1COCOR3 [R1 is C1-6 alkyl or C2-6 alkenyl or alkynyl, optionally substituted by 1-4 halogen atoms and SH or OH at the terminal position; R3 is (un)substituted 1-aziridinyl or 1-azetidinyl; A1 is a proline residue which may be substituted, e.g., by Z-X- at the 4-position, where X is O, imino, CO, CO2, etc. and Z is H, alkyl, a cyclic ring system, etc.; Q is OH, alkoxy, an amino group, etc.] were prepd. as serine protease inhibitors, particularly as hepatitis C NS3 protease inhibitors. Thus, peptide I was prepd. by solid-phase coupling using a THP resin and showed Ki < 1 .mu.M for inhibition of hepatitis C NS3 protease.

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L5 ANSWER 24 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2001:712792 CAPLUS

DN 135:258549

TI Black trisazo metal complex dyes, their production and their use

IN Geisenberger, Josef; Wuzik, Andreas

PA Clariant GmbH, Germany

SO Ger. Offen., 12 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND DATE	APPLICATION NO. DATE
PI	DE 10015004 WO 2001072906 WO 2001072906		DE 2000-10015004 20000325 WO 2001-EP2487 20010306
	W: BR, CA, RW: AT, BE, PT, SE,	CH, CY, DE, DK, ES,	FI, FR, GB, GR, IE, IT, LU, MC, NL,
	EP 1268674 R: AT, BE, IE, FI,	CH, DE, DK, ES, FR,	DE 2000-10015004A 20000325 EP 2001-925375 20010306 GB, GR, IT, LI, LU, NL, SE, MC, PT,
	BR 2001009552	A 20030610	DE 2000-10015004A 20000325 WO 2001-EP2487 W 20010306 BR 2001-9552 20010306 DE 2000-10015004A 20000325
	US 2001027734	A1 20011011	WO 2001-EP2487 W 20010306 US 2001-816180 20010323 DE 2000-10015004A 20000325

OS MARPAT 135:258549 GI

$$R^{1}-N=N$$
 $R^{2}$ 
 $N=N$ 
 $N=N-R^{6}$ 
 $R^{4}$ 
 $R^{5}$ 

The black dyes (I; R1 = org. group; R2 = OH, C1-6-alkoxy, CO2M, SO3M, where M = H, metal cation; R3, R4, R5 = H or a substituent; R6 = optionally substituted arom. group) are obtained as black dyes esp. suitable for water-thinned jet-printing inks. Thus, 1-hydroxy-7-amino-3-naphthalenesulfonic acid.fwdarw.3-carboxy-5-hydroxy-1-(4-sulfophenyl)-4-pyrazole was prepd. and was coupled with diazotized 2-[(4-amino-3-methoxyphenyl)azo]naphthalene-6,8-disulfonic acid to give a trisazo compd. which was complexed with copper to give a black dye (.lambda.max 412, 582 nm). The dye was used in a water-thinned jet-printing ink with good optical and application properties.

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L5 ANSWER 25 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2001:661399 CAPLUS

DN 135:226826

TI Synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug resistant phenotype

IN Danishefsky, Samuel J.; Lee, Chul Bom; Chappell, Mark; Stachel, Shawn; Chou, Ting-chao

PA Sloan-Kettering Institute for Cancer Research, USA

SO PCT Int. Appl., 234 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PATENT NO.
                                 KIND DATE
                                                                  APPLICATION NO.
                                                                                             DATE
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                                  _ _ _ _
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PΙ
       WO 2001064650
                                   A2
                                           20010907
                                                                  WO 2001-US6643
                                                                                             20010301
       WO 2001064650
                                   Α3
                                           20020510
             W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
              RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
                    DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
                    BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                                  US 2000-185968PP 20000301
                                                                  US 2000-250447PP 20001130
       US 2002058817
                                   A1
                                           20020516
                                                                  US 2001-796959
                                                                                             20010301
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US 2000-185968PP 20000301
EP 1259490 A2 20021127 EP 2001-916335 20010301
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
US 2000-185968PP 20000301
US 2000-250447PP 20001130
WO 2001-US6643 W 20010301

OS CASREACT 135:226826; MARPAT 135:226826

GI

$$[R^{1} (W)m]q - CY$$

$$Me$$

$$R^{6}$$

$$Me$$

$$Me$$

$$Me$$

$$OR^{2}$$

$$Me$$

$$OR^{3}$$

$$R^{5}$$

$$R^{4}$$

$$I$$

AB The present invention provides convergent processes for prepg. epothilones, desoxyepothilones, and analogs, e.g., I [M = NH, O; CY =  $\frac{1}{2}$ aryl, heteroaryl; q = 1-5; W = absent, NH, CO, CS, O, S, C(V)2; V = H, halogen, OH, SH, amino, (un) substituted alkyl, heteroalkyl, aryl, heteroaryl; m = 1-5; bond W.cntdot..cntdot.R1 = single bond, double bond; R1 = OR, SR, NR2; CO2R, COR, CONHR, N3, N2, N2R; halogen, un(substituted) cyclic or acyclic aliph., heteroaliph., aryl or heteroaryl, polymer, carbohydrate; R = H, un(substituted) cyclic or acyclic aliph., heteroaliph., aryl or heteroaryl, protecting group; R2, R3 = H, un(substituted) aliph., heteroaliph., aryl, heteroaryl, acyl, aroyl, benzoyl; R4, R5 = H, un(substituted) cyclic or acyclic aliph., heteroaliph., aryl or heteroaryl, optionally substituted by one or more of OH, alkoxy, carboxy, carboxaldehyde, N-alkoxyimino, N-alkoxyimino; R6 = H, OR, SR, NR2; CO2R, COR, CONHR, N3, N2, N2R, cyclic acetal, halogen, un(substituted) cyclic or acyclic aliph., aryl, heteroaryl; Z = O, N(ORE), NNRFRG; RE, RF, RG = un(substituted) cyclic or acyclic aliph.; n = 0-3], for the treatment of cancer. Biol. activities of novel compds. based on I and methods for the treatment of cancer and cancer which has developed a multi-drug phenotype are presented. Thus, 21-oxo-12,13-desoxyepothilone B and 15-azaepothilone B were active vs leukemia CCRF-CEM cells (IC50 =  $0.027 \, .mu.M; \, IC50 = 0.021 \, .mu.M, \, resp.).$ 

- L5 ANSWER 26 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2001:545696 CAPLUS
- DN 135:122505
- TI Preparation of imidazopyridines and related azacyclic compounds as selective modulators of bradykinin B2 receptors
- IN Peterson, John M.; Hutchison, Alan; Shaw, Kenneth; Hodgetts, Kevin J.;
  Maynard, George D.; Lew, Richard

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PA Neurogen Corporation, USA
SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1
PATENT NO. KIND DATE
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APPLICATION NO. DATE -----PΙ 20010726 WO 2001053298 Α1 WO 2001-US1601 20010117 C2 WO 2001053298 20021017 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2000-176701PP 20000118
US 6420365
B1 20020716
US 2001-765159 20010117
US 2000-176701PP 20000118

Ι

OS MARPAT 135:122505 GI

AB Title compds. [I; .ltoreq.2 of a, b, c, d = N, the others = C; R1 = (substituted) aralkyl, heteroarylalkyl; ring contg. a, b, c, d may be substituted; R3 = alkyl; R4 = halo, CF3; R5, R6, R61 = H, CF3, OCF3, NO2, cyano, alkyl, halo, aminomethyl, (substituted) alkoxy, etc.; R4R5 = atoms to form 5-7 membered (substituted) carbocyclic or heterocyclic ring; Y = bond, (substituted) CH2], were prepd. as BK-2 receptor ligands (no data). I are useful in the diagnosis and treatment of renal disease, heart failure, hypertension, Meniere's disease, vaginal inflammation and pain, peripheral circulatory disorders, climacteric disturbance, retinochoroidal

ΙI

circulatory disorders, myocardial ischemia, myocardial infarction, postmyocardial infarction syndrome, angina pectoris, restenosis after percutaneous transluminal coronary angioplasty, hepatitis, liver cirrhosis, pancreatitis, ileus, diabetes, diabetic complications, male infertility, glaucoma, pain, asthma, and rhinitis and for the increase of permeability of the blood-brain barrier or the blood-brain-tumor barrier. Thus, isoamylamine and 4-bromo-2-[2-(chloromethyl)(3a-hydroimidazolo[1,2-a]pyridin-3-yl)methyl]-1-methoxybenzene (prepn. given) were stirred 4 h in MeCN to give 95% title compd. (II).

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 27 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:355084 CAPLUS

DN 134:353297

TI Preparation of thienopyridines and thienopyrimidines as cell adhesion-inhibiting antiinflammatory compounds

IN Stewart, Andrew O.; Boyd, Steven A.; Arendsen, David L.; Bhatia, Pramila; Condroski, Kevin R.; Freeman, Jennifer C.; Gunawardana, Indrani W.; Zhu, Gui-dong; Lartey, Kraig; Mccarty, Catherine M.; Mort, Nicholas A.; Patel, Meena V.; Staeger, Michael A.; Stout, David M.

PA Abbott Laboratories, USA

SO U.S., 117 pp. CODEN: USXXAM

DT Patent

LA English

FAN. CNT 1

T. TATA	CNII				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6232320	B1	20010515	US 1999-325336	19990603
				US 1998-87907P	19980604
	US 2001020	030 A1	20010906	US 2001-799729	20010306
	US 6579882	B2	20030617		
				US 1998-87907P	19980604
				US 1999-325336 I	4319990603

OS MARPAT 134:353297

GI

AB The title compds. [I; E, F, and G = C, N, N(:O); Y, Z = C, N, O, S(O)n; n = 0-2; LA = covalent bond, O, S(O)n, etc.; XA = halo, (un)substituted alkyl, etc.; LB = covalent bond, O, S(O)n, etc.; XB = H, alkyl, alkenyl, etc.; R1-R5 = absent, H, halo, etc.] were prepd. as antiinflammatory compds. I inhibited the expression of e-selectin and ICAM-1 relative to

VCAM-1 and are useful for the treatment or prophylaxis of diseases caused by expression of adhesion mols. Examples include syntheses for over 300 invention compds. and e-selectin, ICAM-1, and VCAM-1 inhibition potencies for approx. 90 representative compds. For instance, 4-chlorophenol was treated with KOBu-t in THF and added to 3,5-dichloropyridine-4-carboxaldehyde in THF. Cycloadditon with Me thioglycolate in the presence of Cs2CO3, followed by conversion to the amide by heating to 45.degree.C in methanolic NH3 for 18 h, afforded 4-(4-chlorophenoxy)thieno[2,3-c]pyridine-2-carboxamide (II). II inhibited e-selectin, ICAM-1, and VCAM-1 by 82%, 74%, and 50%, resp., at concns. of 1 .mu.M.

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L5 ANSWER 28 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2001:241784 CAPLUS

DN 134:265905

TI Catalytic asymmetric cycloaddition reactions of dienes and aldehydes

IN Jacobsen, Eric N.; Schaus, Scott E.; Dossetter, Alexander G.; Jamison, Timothy F.

PA Harvard University, USA

SO U.S., 39 pp., Cont.-in-part of U.S. 6,130,340.

KIND DATE

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND DATE	APPLICATION NO. DATE
ΡI	US 6211370	B1 20010403	US 1999-255480 19990223
			US 1998-6104 A219980113
	US 6130340	A 20001010	US 1998-6104 19980113
	WO 2000050365	A1 20000831	WO 2000-US4742 20000223
	W: AU, CA,	JР	
	RW: AT, BE,	CH, CY, DE, DK, ES,	FI, FR, GB, GR, IE, IT, LU, MC, NL,
	PT, SE		
			US 1999-255480 A 19990223
	US 2002004602	A1 20020110	US 2001-755612 20010104
	US 6369223	B2 20020409	
			US 1998-6104 A219980113
			US 1999-255480 A119990223

PATENT FAMILY INFORMATION:

FAN 1999:464250 PATENT NO

		10111	D.1.1.	mildication No.	DAIL
ΡI	WO 9936375	A1	19990722	WO 1998-US24971	19981120
	W: AU, CA,	JP			
	RW: AT. BE.	CH. CY	DE DK ES	FT FR GR GR IF	דיד דוד איר

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

				US	1998-6104 A	19980113
US	6130340	Α	20001010	US	1998-6104	19980113
ΑU	9915990	A1	19990802	ΑU	1999-15990	19981120
				US	1998-6104 A	19980113
				WO	1998-US24971W	19981120

FAN 2000:608693

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	WO 2000050365	A1	20000831	WO 2000-US4742	20000223

W: AU, CA, JP

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,

ΔΡΡΙ.Τ CΑΤΙΟΝ ΝΟ ΒΑΤΕ

10009276.4

Page 37

PT, SE

US 1999-255480 A 19990223 US 6211370 B1 20010403 US 1999-255480 19990223 US 1998-6104 A219980113

OS MARPAT 134:265905

GI

AB Stereoselective cycloaddn. reactions which generally comprise a cycloaddn. reaction between a pair of substrates, each either chiral or prochiral, that contain reactive .pi.-systems, in the presence of a nonracemic chiral catalyst produced stereoisomerically enriched products. Thus, Cr complex I (R3 = 1-adamantyl) catalyzed the hetero Diels-Alder reaction of Me3CMe2SiOCH2CHO with MeCH:CHC(OSiEt3):CHMe to give 93% pyran II in 98% ee.

RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 29 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:152935 CAPLUS

DN 134:193349

TI Preparation and antimicrobial activities of combinatorial libraries of 4-unsubstituted dihydroisoquinolinone derivatives

IN Motesharei, Kianoush; Lebl, Michal; Krchnak, Viktor; Ni, Yidong

PA Trega Biosciences, Inc., USA

SO PCT Int. Appl., 162 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE PΙ WO 2001014879 WO 2000-US20774 20000728 A1 20010301 RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT. SE US 1999-378569 A 19990819 US 6452009 В1 20020917 US 1999-378569 19990819 EP 1210598 Α1 20020605 EP 2000-955287 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY US 1999-378569 A 19990819

OS MARPAT 134:193349

GI

WO 2000-US20774W 20000728

Dihydroisoquinolinones I [R1, R2 = H, alkyl, alkenyl, Ph, etc.; R3 = H, alkyl, heteroaryl, etc.; R4 = -, DWE and W = -, cycloalkyene, arylene, etc. and D and E = -, alkylene, alkynylene, etc.; R5 = -, O, S, amino; R6 = -, alkylene, alkenylene; R7 = H, halide, OR13, CO2R13, etc.; X, Y, Z = H, halo, OH, cyano, nitro, etc.; m, n, p = 0, 1 and when 0 the absent carbonyl can be replaced with SO2] were prepd. Thus, bromoacetic acid was coupled to a resin and the resulting compds. were coupled with 1,4-Boc-NH-CH2-Ph-COOH, deprotected, and reacted with an aldehyde. The resulting compds. were then reacted with 4-nitrohomophthalic acid, reduced with tin chloride, and the compds. were reacted with a carboxylic acid. The resulting compds. were then cleaved and extd. The melanocortin receptor assay and antimicrobial activity of I were investigated.

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RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L5 ANSWER 30 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2001:31501 CAPLUS

DN 134:100887

TI Preparation of tricyclic compounds having spiro-piperidine as inhibitors of blood coagulation factor X (FXa) and anticoagulants

IN Nishida, Hidemitsu; Saitoh, Fumihiko; Harada, Kousuke; Shiromizu, Ikuya

PA Mochida Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 305 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 2

	PATENT		KIND DATE					Al	PPLI	CATI	٥.	DATE					
PI	WO 2001	100239	7	A1	- 2	2001	0111		W	20	00-J	P4374	 4	20000	0630		
	W :	AE,	AL, A	M,	ΑT,	AU,	ΑZ,	ΒA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
		CZ,	DE, D	OK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,
		IN,	IS, J	JP,	KΕ,	KG,	KΡ,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,
	MD, MG			ΊK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,
		SK,	SL, I	IJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW,	AM,
		AZ,	BY, K	Œ,	KZ,	MD,	RU,	TJ,	TM								
	RW:	GH,	GM, K	Œ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
			DK, E														
		CF,	CG, C	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG			
														19990	0630		
	EP 1191		A1	. 2	20020	0327		E	P 20	00-94	4091	2	20000	0630			
	R: AT, BE			CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
	IE, SI		SI, L	ΔT,	LV,	FI,	RO								-	•	
	16, 51								J	P 19	99-22	22883	3 A	19990	0630		

				WO	2000-JP4374	W	20000630				
BR	2000012093	A	20020716	BR	2000-12093		20000630				
				JΡ	1999-222883	Α	19990630				
				WO	2000-JP4374	W	20000630				
US	2003045520	A1	20030306	US	2001-26606		20011227				
				JР	1999-222883	Α	19990630				
	,			WO	2000-JP4374	A	220000630				
				JР	2000-399998	Α	20001228				
NO	2001006402	A	20020227	NO	2001-6402		20011228				
				JΡ	1999-222883	Α	19990630				
				WO	2000-JP4374	W	20000630				
ATENT FAMILY INFORMATION:											
AN 200	02:521746										

FA

	PATENT NO.			KIND DATE				A)	PPLI	CATI	N NC	Э.	DATE					
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OS MARPAT 134:100887 GΙ

AΒ Arom. compds. having cyclic amino which are represented by general formula (I) or salts thereof [wherein A = H, (un) substituted (un) satd. 5- to 6-membered cyclic hydrocarbyl or heterocyclyl, (un) substituted NH2, (un) substituted imidoyl; B = single bond, CO, SO, (un) substituted C1-2 alkylene; D = H, (un) substituted CHO, (un) substituted C1-6 alkyl; X = N, (un) substituted methine; Y = 0, S(0)y (wherein y = 0,1,2), (un) substituted NH; Z = CH2, CO, C(S); T = S(O)z (wherein z = 0,1,2), CO, (un) substituted C1-2 alkylene; Q = (un) substituted hydrocarbyl or heterocyclyl; m, n, q = (un)0, 1,2; p = 0,1; the three rings contg. X, Y, or Z is optionally

II

> substituted; the bond represented by a dotted and solid line in the ring contg. Z is a single bond or a double bond when p = 0] are prepd. These compds. are useful as drugs, in particular, activated blood coagulation factor X inhibitors for the prevention and treatment of diseases caused by thrombus or embolism, influenza virus infection, or periodontosis, exert a potent anticoagulation effect, and can be orally administered. A pharmacophore derived from the above compds. is also useful in mol. designing Fxa inhibitors. Thus, 4-(aminomethyl)-1-benzyl-4hydroxypiperidine was cyclocondensed with Et 2-[N-(3-acetoxy-2-oxopropan-1yl)-N-(6-chloronaphthalene-2-ylsulfonyl)amino]acetate under reflux in the presence of p-MeC6H4SO3H.H2O using a Dean-Stark trap to give 6-acetoxy-1,4-diaza-1'-benzyl-4-(6-chloronaphthalene-2-ylsulfonyl)-7oxaspiro[bicyclo[4.3.0]nonan-8,4'-piperidine]-2-one which underwent sapon. with a mixt. of aq. NaOH and MeOH, methylation by di-Me sulfate, and debenzylation with 1-chloroethyl chloroformate to give 1,4-diaza-4-(6-chloronaphthalene-2-ylsulfonyl)-6-(methoxymethyl)-7oxaspiro[bicyclo[4.3.0]nonan-8,4'-piperidine]-2-one hydrochloride. The latter compd. was condensed with 4-chloropyridine hydrochloride in the presence of diisopropylethylamine in 2-ethoxyethanol under reflux for 2 h to give 1,4-diaza-4-(6-chloronaphthalene-2-ylsulfonyl)-6-(methoxymethyl)-7oxo-1'-(4-pyridyl)-spiro[bicyclo[4.3.0]nonan-8,4'-piperidine]-2-one (II; R = CH2OMe). II (R = CH2OMe) and II (R = CO2Et) showed IC50 of 0.0032 and 0.0015 .mu.M, resp., against Fxa.

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 5 ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L5
    ANSWER 31 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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- ΤI Methods of treating fungal infections with inhibitors of NAD synthetase
- ΙN Brouillette, Wayne J.; Brouillette, Christie G.; Delucas, Lawrence J.
- PA The UAB Research Foundation, USA
- SO PCT Int. Appl., 149 pp.

CODEN: PIXXD2

DT Patent

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      MARPAT 134:80806
      The invention provides methods of treating or preventing fungal infections
      in a host comprising administering a yeast NAD synthetase inhibitor.
      invention further provides a method of killing yeast comprising
      administering a yeast NAD synthetase compd. that selectively binds to
      catalytic sites in yeast whereby the yeast is killed.
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      Atsushi; Orita, Akiko; Suzuki, Takayuki; Onda, Kenichi; Takeuchi, Makoto
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 $R^2$ 
 $R^2$ 
 $R^3-A-X$ 
 $Y = Z$ 

AB Nitrogenous six-membered heterocycle compds. bearing as the substituents -X-A-R3, -N-(R1)-(R2-substituted Ph) and -CONH2 [I; wherein A = (substituted) lower alkylene, (substituted) (hetero)arylene, cycloalkylene; X = NR4, CONR4, NR4CO, O, S; the dotted line between Y and Z represents the presence of a bond (Y:Z) or the absence of a bond (Y-Z); Y-Z = NR5-CO, CO-NR5, NR5-NR5, CO-CO; Y:Z = N:CR1, CR7:N, N:N, CR7:CR7; R4 = each H, lower alkyl, -CO-lower alkyl, or -SO2-lower alkyl; R2 = H, (halo-substituted) lower alkyl, -O-lower alkyl, -S-lower alkyl, -O-aryl, nitro, cyano, or the like; R3 = -CO2H, -CO2-lower alkyl, -lower alkylene-CO2H, -NH2, -alkylene-NH2, or the like; R5 = H, lower alkyl; R6 = lower alkyl, OH, -O-lower alkyl, -O-(substituted) aryl, -O-lower alkylene-(substituted) aryl, -NR1-(substituted) aryl, -CO-lower alkyl-(substituted) aryl; R7 = H, R6] salts or prodrugs thereof are prepd. Also claimed are spleen tyrosine kinase (Syk) inhibitors contg. the compds. I or the salts or the prodrugs thereof as the active ingredient. The compds. I are useful for the prevention or treatment of allergies, inflammations, autoimmune diseases, cancers, transplant rejection, graft-vs.-host diseases, and thrombosis. Thus, 2.76 mL cis-1,2-cyclohexanediamine was added to a mixt. of 605 mg 6-chloro-2-(3-methylanilino)pyridine-3-carboxamide and 10 mL MeCN and refluxed for 5 days to give 230 mg 6-(cis-2-aminohexylamino)-2-(3methylanilino)pyrazine-3-carboxamide (II). II showed IC50 of .ltoreq.0.05 .mu.M against Syk, good inhibition against passive cutaneous anaphylaxis (PCA) in mice sensitized by anti-dinitrophenyl-IgE (DNP-IgE), and IC50 of .ltoreq.0.1 .mu.M against serotonin release according to the assay described by Collado-Escobar (J. Immunol. 144, 1990).

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 33 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:842099 CAPLUS

DN 134:29403

TI Preparation of heterocycle-contq. phenylacetodrazide derivatives as

10009276.4

hypolipidemics

IN Suga, Akira; Imanishi, Naoki; Kubota, Hideki; Miura, Masanori; Umemoto, Kenji; Moritani, Hiroshi; Matsuda, Koyo

Page 46

PA Yamanouchi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 42 pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE -----PΙ WO 2000071502 A1 20001130 WO 2000-JP3289 20000523 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG JP 1999-144617 A 19990525

OS MARPAT 134:29403

GΙ

AΒ Hydrazide derivs. represented by general formula [I; R1 - R6 = H, halo, (un) substituted hydrocarbyl or heterocyclyl, CO2H, lower alkyloxy-carbonyl, CHO, lower alkyl-carbonyl, lower alkyl-thio; R7, R8, R9 = H, (un) substituted hydrocarbyl, Z2-Q; or R8 and R9 form (un) substituted N-contg. heterocyclic ring; R10 = H, (un)substituted lower alkyl; ring A =(un) substituted benzene, pyridine, or cyclohexene; Q = (un) substituted hydrocarbyl or heterocyclyl; Z1 = lower alkylene, S, (un) substituted NH, SO2, (un) substituted CONH; Z2 = bond, CO, (un) substituted CONH; W = bond, O, NH, S, CO; X, Y = N. CH], which have an inhibitory effect on apo B-assocd. lipoprotein secretion, are prepd. The above compds. are useful as drugs for lowering blood lipid, cholesterol, or triglyceride level or treating arteriosclerosis, obesity, or pancreatitis. Thus, 2-cyclopentyl-2-[4-[(2,4-dimethyl-9H-pyrido[2,3-b]indol-9yl)methyl]phenyl]acetic acid (prepn. given) was suspended in CHCl3, followed by successively adding 1-hydroxybenzotriazole, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride,

phenylhydrazine, and Et3N under ice-cooling, and the resulting mixt. was gradually warmed to room temp. and stirred overnight at room temp. to give 2-cyclopentyl-2-[4-[(2,4-dimethyl-9H-pyrido[2,3-b]indol-9-yl)methyl]phenyl]-2'-phenylacetohydrazide (II). II at 0.5% methylcellulose suspension per day for 7 days lowered serum non-HDL cholesterol with ED50 of 0.15 mg/kg in rats fed with high lipid food contg. 1.5% cholesterol, 0.5% cholic acid, and 10% coconut oil.

RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT L5 ANSWER 34 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN AN2000:814310 CAPLUS DN 133:359255 ΤI Nitrosated and nitrosylated potassium channel activators, compositions, and methods of use IN Garvey, David S.; Saenz De Tejada, Inigo Nitromed, Inc., USA PASO PCT Int. Appl., 112 pp. CODEN: PIXXD2 DTPatent LΑ English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE ----**--**--------WO 2000-US12957 20000512 WO 2000067754 ΡI A1 20001116 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,

ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 1999-133888PP 19990512 US 6417207 В1 20020709 US 2000-570727 20000512 US 1999-133888PP 19990512 US 2002143188 Α1 20021003 US 2002-154916 20020528

> US 1999-133888PP 19990512 US 2000-570727 A320000512

## OS MARPAT 133:359255

AB The invention describes nitrosated and/or nitrosylated potassium channel activators, as well as compns. comprising at least one nitrosated and/or nitrosylated potassium channel activator and, optionally, at least one compd. that donates, transfers or releases nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor, stimulates endogenous synthesis of nitric oxide, or is a substrate for nitric oxide synthase, and/or at least one vasoactive agent. The invention also provides compns. comprising at least one potassium channel activator and at least one compd. that donates, transfers or releases nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor, stimulates endogenous synthesis of nitric oxide, or is a substrate for nitric oxide synthase, and/or at least one vasoactive agent. The invention further provides methods for treating or preventing sexual dysfunction in males and females, for enhancing sexual response in males and females, and for treating or preventing cardiovascular disorders, cerebrovascular disorders, hypertension, asthma, baldness, urinary incontinence, epilepsy, sleep disorders, gastrointestinal disorders,

migraines, irritable bowel syndrome, and sensitive skin.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 35 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
L5
AN
     2000:790466 CAPLUS
DN
     133:350058
ΤI
     Preparation of 6-[[(aryl and heteroaryl)oxy]methyl]naphthalene-2-
     carboximidamide derivatives and their antithrombotic activity
IN
     Alcouffe, Chantal; Bellevergue, Patrice; Dellac, Genevieve; Latham,
     Christopher; Lassalle, Gilbert; Mallart, Sergio; Martin, Valerie; Masson,
     Christine; Mccort, Gary
     Sanofi-Synthelabo, Fr.
PΑ
SO
     PCT Int. Appl., 85 pp.
     CODEN: PIXXD2
     Patent
DT
LΑ
     French
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                                  APPLICATION NO. DATE
                                -----
                                20001109
                                                  WO 2000-FR1087 20000425
PΙ
     WO 2000066545
                        A1
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
               CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
               ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
          LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CC, CL, CM, CA, CM, CM, ME, ME, NE, CM, TED, TCC
               CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                  FR 1999-5632
                                                                  A 19990504
     FR 2793247
                                 20001110
                          A1
                                                  FR 1999-5632
                                                                      19990504
     FR 2793247
                          B1
                                 20010622
     EP 1177169
                          A1
                                 20020206
                                                  EP 2000-922738
                                                                      20000425
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
               IE, SI, LT, LV, FI, RO
                                                  FR 1999-5632
                                                                    A 19990504
                                                  WO 2000-FR1087 W 20000425
     BR 2000010230
                           Α
                                 20020213
                                                  BR 2000-10230
                                                                      20000425
                                                  FR 1999-5632
                                                                  A 19990504
                                                  WO 2000-FR1087 W 20000425
     JP 2002543176
                           Т2
                                 20021217
                                                  JP 2000-615376
                                                                      20000425
                                                  FR 1999-5632
                                                                  A 19990504
                                                  WO 2000-FR1087 W 20000425
     EE 200100579
                           Α
                                 20030217
                                                  EE 2001-579
                                                                       20000425
                                                  FR 1999-5632
                                                                  A 19990504
                                                  WO 2000-FR1087 W 20000425
     BG 106048
                           Α
                                 20020531
                                                  BG 2001-106048
                                                                      20011024
                                                  FR 1999-5632 A 19990504
                                                  WO 2000-FR1087 W 20000425
     NO 2001005387
                          Α
                                20020107
                                                  NO 2001-5387
                                                                      20011102
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OS MARPAT 133:350058

GΙ

WO 2000-FR1087 W 20000425

FR 1999-5632

A 19990504

The title compds. I [R1 = H, amino, C1-C4 alkyl, C1-C6 alkoxycarbonyl, OH; R2 = C1-C6 alkyl, Ph, benzyl, CH2Q wherein Q is a heterocyclic group; R3 and R5 = H, C1-C4 alkyl, COOH; R4 = H, C1-C4 alkyl, (CH2)pCOOR8; Z = CH, N], antithrombotic agents, were prepd. E.g., 6-[[[8-[[(thiazol-4-ylmethyl)sulfonyl]amino]methyl]-5,6,7,8-tetrahydronaphthalen-2-ylloxy]methyl]naphthalene-2-carboxycarboxylmethyl]naphthalene-2-carboxycarboxylmethylloxylm

Ι

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L5 ANSWER 36 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
```

AN 2000:725595 CAPLUS

DN 133:266596

TI Preparation of amino acids and derivatives as LTA4 hydrolase inhibitors

IN Danvy, Denis; Monteil, Thierry; Plaquevent, Jean-Christophe; Duhamel,
 Pierre; Duhamel, Lucette; Noel, Nadine; Gros, Claude; Chamard, Olivier;
 Schwartz, Jean-Charles; Lecomte, Jeanne-Marie; Piettre, Serge

PA Institut National de la Sante et de la Recherche Medicale (Inserm), Fr.; Bioprojet; et al.

SO PCT Int. Appl., 108 pp.

CODEN: PIXXD2

DT Patent

LA French

FAN.CNT 1

PA	TENT NO.	KIND DAT	Ξ	APPLICATION NO	DATE
PI WO	2000059864 W: CA, JP,			WO 2000-FR876	20000406
				FI, FR, GB, GR,	E, IT, LU, MC, NL,
				FR 1999-4271	A 19990406
FR	2791982	A1 200	01013	FR 1999-4271	19990406
FR	2791982	B1 200	21227		
EP	1165491	A1 200	20102	EP 2000-917145	20000406
	R: AT, BE, IE, FI	CH, DE, DK	, ES, FR,	GB, GR, IT, LI, I	LU, NL, SE, MC, PT,
				FR 1999-4271 WO 2000-FR876	A 19990406 W 20000406
JP	2003506317	T2 200	30218	JP 2000-609377	20000406
				FR 1999-4271	A 19990406
JP	2003506317	T2 200	30218		

OS MARPAT 133:266596

GΙ

WO 2000-FR876 W 20000406

$$R^{2}$$
 $CH_{2}$ 
 $n^{2}-Y-R^{1}$ 
 $CH_{2}$ 
 $N^{2}-Y-R^{1}$ 
 $CH_{2}$ 
 $N^{2}-Y-R^{1}$ 
 $CH_{2}$ 
 $N^{2}-Y-R^{1}$ 
 $CH_{3}$ 
 $N^{2}-Y-R^{1}$ 
 $CH_{3}$ 
 $N^{2}-Y-R^{1}$ 
 $CH_{3}$ 
 $N^{2}-Y-R^{1}$ 
 $N^{2}-Y-Y-R^{1}$ 
 $N^{2}-Y-Y-R^{1}$ 
 $N^{2}-Y-Y-R^{1}$ 
 $N^{2}-Y-Y-R^{1}$ 
 $N^{2}-Y-Y-R^{1}$ 
 $N^{2}-Y-Y-R^{1}$ 
 $N^{2}-Y-Y-R^{1}$ 
 $N^{2}-Y-Y-R^{1}$ 
 $N^{2}-Y-Y-Y-R^{1}$ 
 $N$ 

$$O \longrightarrow CH_2 - Ph$$

(CH<sub>2</sub>)<sub>4</sub>

HBr . NH<sub>2</sub>
 $CO_2H$ 

II

AB The invention concerns LTA4 hydrolase-inhibiting compds. I [ R1 = H, alkyl, cycloalkyl, (un)substituted Ph, naphthyl, anthracene, heterocycle; R2, R3 = independently H, alkyl, CF3, halogen; n1 and n3 = same or 0-1; n2 = 0-10; X = NH2, N:CR4R5; R4, R5 = H, alkyl, (un)substituted phenyl; Y = O, CH2, S, OCH2, NH; Z = carboxylate, phosphate, phosphite, heterocycle, SO3H, sulfonamide, aminosulfonyl]; and their isomers, diastereomers, enantiomers, and pharmaceutically acceptable salts. The invention also concerns their therapeutic, and particularly anti-inflammatory, applications. Thus, amino acid II was prepd. and tested in mice for its inhibitory activity against LTA4 hydrolase and as antiarthritics and antipsoriatics.

Ι

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L5 ANSWER 37 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
```

AN 2000:666731 CAPLUS

DN 133:237998

TI Preparation of tricyclic benzoylpyrazoles as herbicides.

IN Witschel, Matthias; Kudis, Steffen; Langemann, Klaus; Baumann, Ernst; Von
Deyn, Wolfgang; Mayer, Guido; Misslitz, Ulf; Neidlein, Ulf; Otten,
Martina; Westphalen, Karl-Otto; Walter, Helmut

PA BASF Aktiengesellschaft, Germany

SO PCT Int. Appl., 168 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

KIND DATE PATENT NO. APPLICATION NO. DATE -----WO 2000055158 PΙ A1 20000921 20000308 WO 2000-EP2010 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW,

AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

DE 1999-19911219A 19990312 EP 1163240 A1 20011219 EP 2000-915171 20000308

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, LT, LV, FI, RO

DE 1999-19911219A 19990312

WO 2000-EP2010 W 20000308 JP 2002539211 T2 20021119

JP 2000-605587 20000308 DE 1999-19911219A 19990312

WO 2000-EP2010 W 20000308

OS MARPAT 133:237998

GΙ

Title compds. [I; X = O, S, SO, SO2, CR6R7, NR8, bond; Y = atoms to form a AB satd., partially satd. or unsatd. 5- or 6-membered heterocycle; R1, R2, R6, R7 = H, alkyl, haloalkyl, alkoxy, haloalkoxy; R3 = halo, alkyl, haloalkyl, alkoxy, haloalkoxy; R4 = H, NO2, halo, cyano, alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, haloalkylsulfinyl, alkylsulfonyl, haloalkylsulfonyl, (substituted) aminosulfonyl; R5 = H, alkyl, halo; m = 0, 1, 2; R8 = H, alkyl, haloalkyl, alkylcarbonyl, formyl, alkoxycarbonyl, haloalkoxycarbonyl, alkylsulfonyl, haloalkylsulfonyl; R9 = substituted pyrazole-4-ylcarbonyl, 5-oxopyrazolin-4-ylmethylides], were prepd. Thus, (5-hydroxy-1-methyl-1Hpyrazol-4-yl) (8-methylsulfonyl-3a,4-dihydro-3H-indeno[1,2-c]isoxazol-5yl)methanone (prepn. given) in THF was treated with Et3N and PhCOC1 in THF followed by stirring overnight to give 31% (5-phenylcarbonyloxy-1-methyl-1H-pyrazol-4-yl) (8-methylsulfonyl-3a,4-dihydro-3H-indeno[1,2-c]isoxazol-5yl) methanone. The latter at 0.25-0.5 kg/ha showed very good postemergent herbicidal activity.

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L5 ANSWER 38 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
- AN2000:608693 CAPLUS
- DN 133:207808
- ΤI Asymmetric cycloaddition reactions using transition metal chiral Schiff base complexes
- IN Jacobsen, Eric N.; Schaus, Scott E.; Dossetter, Alexander G.; Jamison, Timothy F.

```
President and Fellows of Harvard College, USA
PA
    PCT Int. Appl., 100 pp.
SO
    CODEN: PIXXD2
DT
    Patent
    English
LΑ
FAN.CNT 3
    PATENT NO. KIND DATE APPLICATION NO. DATE
WO 2000050365 A1 20000831 WO 2000-US4742 20000223
PΙ
        W: AU, CA, JP
        RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
            PT, SE
                                         US 1999-255480 A 19990223
    US 6211370
                    B1 20010403
                                         US 1999-255480 19990223
                                         US 1998-6104 A219980113
PATENT FAMILY INFORMATION:
FAN 1999:464250
                                         APPLICATION NO. DATE
    PATENT NO. KIND DATE
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                                         -----
    WO 9936375 A1 19990722 WO 1998-US24971 19981120
PΤ
        W: AU, CA, JP
        RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
            PT. SE
                                         US 1998-6104
                                                       A 19980113
    US 6130340 A 20001010
AU 9915990 A1 19990802
                                         US 1998-6104 19980113
AU 1999-15990 19981120
                                                         19981120
                                         US 1998-6104 A 19980113
                                         WO 1998-US24971W 19981120
FAN 2001:241784
    PATENT NO. KIND DATE
                                   APPLICATION NO. DATE
    US 6211370 B1 20010403 US 1999-255480 19990223
PΙ
                                         US 1998-6104 A219980113
    US 6130340
    US 6130340 A 20001010
WO 2000050365 A1 20000831
                                       US 1998-6104 19980113
                                       WO 2000-US4742 20000223
        W: AU, CA, JP
        RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
            PT, SE
                                         US 1999-255480 A 19990223
    US 2002004602
                    A1
                           20020110
                                         US 2001-755612 20010104
    US 6369223
                     B2
                           20020409
                                         US 1998-6104 A219980113
                                         US 1999-255480 A119990223
OS
    MARPAT 133:207808
    The present invention relates to a process for stereoselective cycloaddn.
AB
    reactions which generally comprises a cycloaddn. reaction between a pair
    of substrates (1,3-diene and aldehyde), each either chiral or prochiral,
    that contain reactive .pi.-systems, in the presence of a nonracemic
    transition metal Schiff base chiral complex catalyst, to produce a
    stereoisomerically enriched product. The present invention also relates
    to novel asym. catalyst complexes comprising a metal and an asym.
    tridentate ligand.
RE.CNT 4
             THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
L5
    ANSWER 39 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
```

AN

DN

2000:607388 CAPLUS

133:207886

ΤI Preparation of alkyliminoindanothiazoles and analogs as anorectic agents

Jaehne, Gerhard; Geisen, Karl; Lang, Hans-jochen; Bickel, Martin IN

Aventis Pharma Deutschland Gmbh, Germany PΑ

Ger. Offen., 16 pp. SO

CODEN: GWXXBX

DTPatent

German LА

FAN.	CNT	1																
	PA	rent :	NO.		KI	ND	DATE			A	PPLI	CATI	ON NO	٥.	DATE			
PI	DE	1990 2000	8536 0519	96	A A	1 1	2000 2000	0831 0908		D: W	E 19 O 20	99-1: 00-E	P926		2000	0205		
		W:	CZ, IN, MD, SK,	DE, IS, MG, SL,	DK, JP, MK, TJ,	DM, KE, MN, TM,	EE, KG, MW,	ES, KP, MX, TT,	FI, KR, NO, TZ,	GB, KZ, NZ,	GD, LC, PL,	GE, LK, PT,	GH, LR, RO,	GM, LS, RU,	CH, HR, LT, SD, ZA,	HU, LU, SE,	ID, LV, SG,	IL, MA, SI,
		RW:	DK,	ES,	FI,	FR,	MW, GB, GN,	GR,	ΙE,	IT, MR,	LU, NE,	MC, SN,	NL, TD,	PT, TG	BE, SE,	BF,	ВJ,	DE, CF,
	EP	1157 R:	AT,	BE,	CH,	DE,		ES,		E GB,	P 20 GR,	00-9 IT,	0628 LI,	6 LU,		0205 SE,	MC,	PT,
	BR	2000	0085	59	А		2001	1218		W B	O 20 R 20	00-E	P926 559	W	2000 2000 2000	0205 0205		
	JP	2002	5381	49	T	2	20021112			W J D	O 20 P 20 E 19	00-E 00-6 99-1	P926 0222 9908	W 3 536A	2000 2000 199	0205 0205 9022		
	US	6207	07689		В	1	2001	0327		U.	S 20	00-5	0046	4	20000 20000 . 1999	0209	6	
	US	6288	093		В	1	2001	0911		D:	E 19	99-1	9908	536A	2000: 199: 2000:	9022	5	
	US US	2001 6288	0110 094	96	A B	1 2	2001 2001	0802 0911		U	S 20	01-7	7405	3	2001	0131		
00	N/ 7. T	IS 6288094		2070	0.6										1999 2000		5	

$$\mathbb{R}^3$$
 $\mathbb{N}^{\mathbb{N}^2}$ 
 $\mathbb{S}$ 
 $\mathbb{S}$ 
 $\mathbb{S}$ 

MARPAT 133:207886

AΒ Title compds. [I; R1 = 1 or 2 of halo, alkyl, alkoxy, acyl, etc.; R2,R3 =

Patel

OS

GI

ΙI

10009276.4

(carboxy)alkyl, CH2Ph, pyridinyl(alkyl), etc.; R2R3 = (CH2)2-4 or CH2CMe2; Z = 0, S, CH2, CHPh; Z1 = bond, CH2, CH2CH2] were prepd. Thus, 2-bromo-5-chloro-1-indanone was cyclocondensed with (MeHN)2CS and the product treated with HOAc to give title compd. II.HBr. Data for biol. activity of I were given.

Page 54

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L5 ANSWER 40 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2000:349132 CAPLUS

DN 132:330878

TI Combinations of herbicides and safeners.

IN Ziemer, Frank; Willms, Lothar; Bieringer, Hermann; Hacker, Erwin

PA Aventis Cropscience G.m.b.H., Germany

SO Ger. Offen., 28 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

FAN.CN			ıΩ		ידע	d D	רוא יחיבי			7.	ם דתם	⇔n m т /	ONT NI	^	DATE			
-		 EM I I					DAIE								DAIL			
															1998: 1999:			
		W:	EE, LR, SK,	GD, LT,	GE, LV, TM,	HR, MA, TR,	HU, MD,	ID, MG,	IL, MK,	IN, MN,	IS, MX,	JP, NO,	KG, NZ,	KP, PL,	CR, KR, RO, AZ,	KZ, RU,	LC, SG,	LK, SI,
		RW:	GH, DK,	GM, ES,	KE, FI,	LS, FR,		GR,	ΙE,	IT, MR,	LU, NE,	MC, SN,	NL, TD,	PT, TG	BE, SE,	BF,	ВJ,	
E	BR 9	99159	516		A		2001	0717		B)	R 19: E 19:	99-19 98-19	5516 9853	827A	1999 1999	1105 8112:		
E			AT,	BE,	CH,	DE,		ES,		GB,	GR, E 19:	IT, 98-1	LI, 9853	LU, 827A	1999 NL, 1998	SE, 8112:		PT,
J	JP 2	20025	5303(	01	Т2		2002	0917		J: Di	P 20 E 19	00-58 98-19	8334! 9853	5 827A	1999 1999	1105 3112	L	
E	BG 105474		A 20011130			D	E 19	98-19	9853	827A	2001 199 1999	3112	L					

$$\mathbb{R}^{1}$$

MARPAT 132:330878

OS

GΙ

AB Safened herbicidal compns. are described contg. at least one herbicide ad

> one antidote. The herbicide is a benzoyl deriv. I [R = isoxazol-4-yl, pyrazol-4-yl, cyclohexan-1,3-dion-2-yl or 3-oxopropionitril-2-yl; R1 = (un) substituted nitro, amino, halo, etc., q = 0, 1-4]. The antidote is e.g. 2,4-D, cyometrinil, dicamba, dymron, fenclorim, flurazole, fluxofenim, lactidichlor, MCPA, mecoprop, MG-191, oxabetrinil, Me diphenylmethoxyacetate, 1-[4-(N-2-methoxybenzoylsulfamoyl)phenyl]-3methylurea, 1,8-naphthalic anhydride, 1-[4-(N-2methoxybenzoylsulfamoyl)phenyl]-3,3-dimethylurea, 1-[4-(4,5dimethylbenzoylsulfamoyl)phenyl]-3-methylurea, 1-[4-(Nnaphthoylsulfamoyl)phenyl]-3,3-dimethylurea, (4-chlorphenoxy)acetic acid, 4-(2,4-dichlorophenoxy) butyric acid, 4-(4-chloro-o-tolyloxy) butyric acid, 4-(4-chlorophenoxy) butyric acid, free, esterified, or salts, N-acylsulfonamides, N-acylsulfamoylbenzoic acid amides as well as substituted 1-phenylpyrazoline, 1-phenylpyrazole, 1-phenyltriazole, 5-phenylisoxazoline, 5-phenylmethylisoxazolin-3-carboxylic acid and 2-(8-quinolinyloxy)acetic acid derivs.

- ANSWER 41 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN L5
- AN2000:335393 CAPLUS
- DN 132:347578
- Preparation of arylaminopyrimidines as inhibitors of HIV replication. TI
- IN De Corte, Bart; De Jonge, Marc Rene; Heeres, Jan; Ho, Chih Yung; Janssen, Paul Adriaan Jan; Kavash, Robert W.; Koymans, Lucien Maria Henricus; Kukla, Michael Joseph; Ludovici, Donald William; Van Aken, Koen Jeanne Alfons
- PΑ Janssen Pharmaceutica N.V., Belg.; et al.
- PCT Int. Appl., 49 pp. SO
- CODEN: PIXXD2 DTPatent
- English
- LΑ

FAN.	CNT 1 PATENT NO.	KIND DATE	APPLICATION NO. DATE
ΡI	WO 2000027825		WO 1999-EP7417 19990924
	CZ, DE IN, IS	, DK, DM, EE, ES, , JP, KE, KG, KP,	BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
		, TM, TR, TT, TZ, , KZ, MD, RU, TJ,	UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, TM
	DK, ES	, FI, FR, GB, GR,	SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, ML, MR, NE, SN, TD, TG  US 1998-107792PP 19981110 US 1999-143962PP 19990715
		A1 20000529 B2 20030626	AU 1999-62008 19990924
			US 1998-107792PP 19981110 US 1999-143962PP 19990715 WO 1999-EP7417 W 19990924
	BR 9915552	A 20010814	US 1998-107792PP 19981110 US 1999-143962PP 19990715
	EE 200100252	A 20021015	WO 1999-EP7417 W 19990924 EE 2001-252 19990924 US 1998-107792PP 19981110 US 1999-143962PP 19990715 WO 1999-EP7417 W 19990924

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	R: AT, B		DK, ES, FI	R, GB, GR, IT, LI, LU, NL, SE, MC, PT,
				US 1998-107792PP 19981110
				US 1999-143962PP 19990715
				WO 1999-EP7417 W 19990924
EP				EP 2002-18455 19991101
				R, GB, GR, IT, LI, LU, NL, SE, MC, PT,
	IE, S	I, LT, LV,	FI, RO, M	K, CY, AL
				US 1998-107792PP 19981110
				US 1999-143962PP 19990715
				WO 1999-EP7417 W 19990924
		_		EP 1999-203590 A319991101
AT	233740	E	20030315	
				US 1998-107792PP 19981110
				US 1999-143962PP 19990715
	2002114470	- 1	222222	WO 1999-EP7417 W 19990924
US	2003114472	Al	20030619	
				US 1998-107792PP 19981110
				US 1999-143962PP 19990715
uр	2001000161	7.1	20020228	WO 1999-EP7417 A 19990924
1110	2001000101	AI	20020226	HR 2001-161 20010307 US 1998-107792PP 19981110
				US 1999-143962PP 19990715
				WO 1999-EP7417 W 19990924
NO	2001001696	Δ	20010404	
2.0	2001001000	**	20010104	US 1998-107792PP 19981110
				US 1999-143962PP 19990715
				WO 1999-EP7417 W 19990924
BG	105418	А	20011130	BG 2001-105418 20010406
	<del></del>			US 1998-107792PP 19981110
				US 1999-143962PP 19990715
	•			WO 1999-EP7417 A 19990924
MAF	PAT 132:34	7578		

OS MARPAT 132:347578

$$\begin{array}{c|c} L & N & R^1 & b^1 \\ & N & M & b^4 & b^2 \\ & & & & R^2 \end{array}$$

Title compds. [I; b1:b2CR2a:b3b4 = CH:CHCR2a:CHCH, N:CHCR2a:CHCH, CH:NCR2a:CHCH, N:NCR2a:CHCH, CH:NCR2a:CHCH, N:NCR2a:CHCH, CH:NCR2a:NCH, etc.; q = 0-4; R1 = H, aryl, CHO, formylalkyl, alkylcarbonyl alkyl, alkoxycarbonyl, etc.; R2a = cyano, aminocarbonyl, cyanoalkyl, cyanoalkenyl, cyanoalkynyl, etc.; R2 = OH, halo, (substituted) alkyl, cycloalkyl, alkenyl, alkynyl, alkoxy, etc.; L = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, XR3; R3 = (substituted) Ph, pyridyl, pyrimidnyl, pyrazinyl, pyridazinyl; X = NR1, NHNH, N:N, O, CO, S, SO, SO2, CHOH; Q = H, alkyl, halo, polyhaloalkyl, amino; Y = OH, halo, cycloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, substituted alkyl, etc.], were prepd. Thus, 5-bromo-4-chloro-N-(2,4,6-

trimethylphenyl)-2-pyrimidineamine (prepn. given) was treated with HCl in Et2O followed by solvent evapn.; 4-aminobenzonitrile and 1,4-dioxane were added and the mixt. was refluxed 4 days to give 4-[[5-chloro-2-[(2,4,6-trimethylphenyl)amino]-4-pyrimidinyl]amino]benzonitrile. The latter inhibited HIV-1 infection of MT-4 cells with IC50 = 0.004 .mu.M.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L5 ANSWER 42 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2000:277989 CAPLUS

DN 132:313703

TI Heterocyclic condensed ring compounds in treatment and/or prevention of conditions mediated by peroxisome proliferator-activated receptors.

IN Jeppesen, Lone; Bury, Paul Stanley; Sauerberg, Per

PA Novo Nordisk A/S, Den.; Reddy's Research Foundation

SO PCT Int. Appl., 59 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.			KIND	DATE		APPLICATION NO. DATE
		- <b></b>				
ΡI	WO	2000023451	A1	20000427		WO 1999-DK573 19991019
		CZ, D	E, DK, DM	I, EE, ES,	FI,	BB, BG, BR, BY, CA, CH, CN, CR, CU, GB, GD, GE, GH, GM, HR, HU, ID, IL, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
		SK, S	L, TJ, TM		TZ,	NZ, PL, PT, RO, RU, SD, SE, SG, SI, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ,
		RW: GH, G DK, E	M, KE, LS S, FI, FR	S, MW, SD, R, GB, GR,	SL, IE,	SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, MR, NE, SN, TD, TG
	7.11	0063357	7.1	20000500		DK 1998-1354 A 19981021
	AU	9963257	AI	20000508		AU 1999-63257 19991019 DK 1998-1354 A 19981021
						WO 1999-DK573 W 19991019
	EP					EP 1999-950503 19991019
			BE, CH, DE, DK, ES, FI SI, LT, LV, FI, RO		FR,	GB, GR, IT, LI, LU, NL, SE, MC, PT,
		·		, , , , -		DK 1998-1354 A 19981021 WO 1999-DK573 W 19991019
	US	6365586	B1	20020402		US 1999-420347 19991019 DK 1998-1354 A 19981021
						US 1998-105913PP 19981021
	JP	2002527520	T2	20020827		JP 2000-577177 19991019
						DK 1998-1354 A 19981021 WO 1999-DK573 W 19991019
	US	2002055502	A1	20020509		US 2001-994986 20011127
						DK 1998-1354 A 19981021 US 1998-105913PP 19981028
						US 1999-420347 A319991019
	US	2002061876	A1	20020523		US 2001-995177 20011127 DK 1998-1354 A 19981021
						US 1998-105913PP 19981028
						US 1999-420347 A319991019
	US	2002061880	A1	A1 20020523		US 2001-995324 20011127
						DK 1998-1354 A 19981021
						US 1998-105913PP 19981028

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US 1999-420347 A319991019
    US 2002065267
                      A1
                                           US 2001-994971 20011127
                           20020530
                                           DK 1998-1354 A 19981021
                                           US 1998-105913PP 19981028
                                           US 1999-420347 A319991019
    US 2002065268
                      Α1
                            20020530
                                           US 2001-995137 20011127
                                           DK 1998-1354 A 19981021
                                           US 1998-105913PP 19981028
                                           US 1999-420347 A319991019
OS
    MARPAT 132:313703
AB
    Heterocyclic arom. compds. such as 3-[4-[2-(8,9-dihydro-3,5-dithia-4-
     azacyclopenta {f}azulen-4-yl)ethoxy]phenyl]-2-ethoxypropionic acid are
     useful in the treatment and/or prevention of conditions mediated by
     nuclear receptors, in particular the Peroxisome Proliferator-Activated
     Receptors (PPAR).
RE.CNT 6
             THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 43 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
L5
     2000:205644 CAPLUS
AN
DN
     132:237105
TI
     Preparation of 2-[(alpha-substituted)alkylthio(and alkoxy)]pyrimidines as
     inhibitors of viral reverse transcriptase
     Nugent, Richard A.; Schlachter, Stephen T.; Murphy, Michael J.; Morris,
IN
     Joel; Thomas, Richard C.; Wishka, Donn G.; Cleek, Gary J.; Graber, David
     Pharmacia & Upjohn Company, USA
PΑ
     U.S., 97 pp., Cont.-in-part of U.S. Ser. No. 436,708, abandoned.
SO
     CODEN: USXXAM
DT
     Patent
LΑ
     English
FAN.CNT 2
                     KIND DATE
                                          APPLICATION NO. DATE
     PATENT NO.
                           -----
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                      ____
                                           -----
PΙ
     US 6043248
                      Α
                            20000328
                                           US 1997-945153 19971017
                                           US 1995-436708 B219950508
                                           WO 1996-US6119 W 19960503
     WO 9635678
                      A1
                            19961114
                                           WO 1996-US6119 19960503
         W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,
             ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU,
             LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
             SI, SK
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR
                                          US 1995-436708 A219950508
PATENT FAMILY INFORMATION:
FAN 1997:41865
                                          APPLICATION NO. DATE
     PATENT NO.
                     KIND DATE
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                           -----
                                          -----
                     A1 19961114
PΙ
    WO 9635678
                                         WO 1996-US6119 19960503
         W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,
             ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU,
             LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
             SI, SK
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
             IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR
                                          US 1995-436708 A219950508
     ZA 9603281
                      Α
                            19971024
                                           ZA 1996-3281
                                                           19960424
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										US	199	95-43	6708	Α	1995	0508		
	CA	22160	099		AA	1	1996	1114							1996			
										US	199	95-43	6708	A	1995	0508		
	ΑU	96563	353		A1		1996	1129				96-56						
	AU	71240	04		B2	2	1999	1104										
										US	199	95-43	6708	Α	1995	0508		
										WO	199	96-US	6119	W	1996	0503		
	EP	82452	24		A1	_	1998	0225		EP	199	96-91	3306		1996	0503		
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR.	GB, (	GR,	IT.	LI.	LU.	NL,	SE,	MC,	PT.
			•	SI,				•			,				•	•	•	•
				,	,	•				US	199	95-43	6708	Α	1995	0508		
															1996			
	CN	11837	773		Α		1998	0603							1996			
															1995			
	BŔ	96082	265		Α		1999	0202							1996			
										US	199	95-43	6708	Α	1995	0508		
															1996			
	JР	11507	7017		Т2	?	1999	0622		JP	199	96-53	4120	)	1996	0503		
										US	199	95-43	6708	Α	1995	0508		
										WO	199	96-US	6119	W	1996	0503		
	RU	21671	155		C2	2	2001	0520		RU	199	97-12	0116	-	1996	0503		
										US	199	95-43	6708	Α	1995	0508		
										WO	199	96-US	6119	W	1996	0503		
	TW	45096	52		В		2001	0821		TW	199	96-85	1054	32	1996	0507		
										US	199	95-43	6708	A	1995	0508		
	US	60432	248		Α		2000	0328		US	199	97-94	5153	}	1997	1017		
										US	199	95-43	6708	B2	1995	0508		
										WO	199	96-US	6119	W	1996	0503		
	NO	97051	129		Α		1998	0107		NO	199	7-51	.29		1997	1107		
										US	199	95-43	6708	A	1995	0508		
										WO	199	96-US	6119	W	1996	0503		
)S	MAF	RPAT 1	132:2	23710	)5													

Page 59

GI

AΒ The title compds. [I; m = 0-1; R1 = C.tplbond.CH, CO2R53, CONR54R55, etc.; R53 = H, alkyl, cycloalkyl, etc.; R54, R55 = H, alkyl, allyl, etc.; R41, R42 = H, alkyl, etc.; R12 = H, alkyl, cycloalkyl, etc.; R13 = H, alkyl, CF3; Y = S, SO, SO2, O; R4 = H, OH, halo, etc.; R5 = H, C2H4OH, halo, etc.; R6 = H, OH, halo, etc.], useful in the treatment of individuals who are HIV pos., were prepd. Thus, treatment of 4-amino-6-hydroxy-2mercaptopyrimidine in 50% EtOH with solid NaOH followed by addn. of 2,6-difluorobenzyl bromide afforded the title compd. II. Biol. data for compds. I were given.

RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L5
    ANSWER 44 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
AN
    2000:190760 CAPLUS
DN
    132:222437
    Method for the radical alkylation of arenes
TI
    Murphy, John; Graham, Stephen
IN
    Merck Patent G.m.b.H., Germany
PA
SO
    Eur. Pat. Appl., 27 pp.
    CODEN: EPXXDW
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
                KIND DATE
                                      APPLICATION NO. DATE
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                                       -----
    EP 987235 A1 20000322
PΙ
                                       EP 1999-116091 19990817
    EP 987235
                    B1 20030312
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
                                        EP 1998-115971 A 19980825
OS
    CASREACT 132:222437; MARPAT 132:222437
AB
    The title process comprises a method for the conversion of alkenes or
    arenes with iodoalkenes, aryl iodides or arenediazonium salts in the
    presence of hypophosphorous acid or its derivs. and a radical initiator.
    Thus, O-allyl-3,5-diiodosalicylic acid was refluxed with H3PO2/AIBN/H2O to
    give 3-methyl-2,3-dihydrobezofuran-7-carboxylic acid.
RE.CNT 4
           THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
            ALL CITATIONS AVAILABLE IN THE RE FORMAT
L5
    ANSWER 45 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
AN
    2000:161257 CAPLUS
DN
    132:194294
    Preparation of hydroxamic acid derivatives as proteinase inhibitors
ΤI
IN
    Martin, Fionna Mitchell
PΑ
    British Biotech Pharmaceuticals Limited, UK
SO
    PCT Int. Appl., 41 pp.
    CODEN: PIXXD2
    Patent
DT
T.A
    English
FAN.CNT 1
                                 APPLICATION NO. DATE
                KIND DATE
    PATENT NO.
     -----
                                       -----
    WO 2000012477 A1 20000309 WO 1999-GB2826 19990827
PΙ
        W: AU, BR, CA, CN, CZ, GB, HU, IL, JP, KR, MX, NO, NZ, PL, RU, SG,
            SK, TR, US, ZA
        RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
            PT, SE
                                        GB 1998-18830 A 19980829
                                        GB 1998-28525 A 19981223
    AU 9956349
                   A1
                          20000321
                                        AU 1999-56349
                                                       19990827
                                        GB 1998-18830 A 19980829
                                        GB 1998-28525 A 19981223
                                        WO 1999-GB2826 W 19990827
                    A1
    EP 1107953
                          20010620
                                       EP 1999-943064 19990827
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, FI
                                        GB 1998-18830 A 19980829
                                        GB 1998-28525 A 19981223
                                        WO 1999-GB2826 W 19990827
    JP 2002523492 T2
                          20020730
                                        JP 2000-567510 19990827
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GB 1998-18830 A 19980829 GB 1998-28525 A 19981223 WO 1999-GB2826 W 19990827 US 6479502 В1 20021112 US 2001-763424 20010221 GB 1998-18830 A 19980829 GB 1998-28525 A 19981223 WO 1999-GB2826 W 19990827 US 2003050310 20030313 A1 US 2002-242739 20020912 GB 1998-18830 A 19980829 GB 1998-28525 A 19981223 US 2001-763424 A320010221

OS MARPAT 132:194294 GI

$$HO_2C$$
 $S$ 
 $O$ 
 $IV$ 

The title compds. WSO2CHR1CHR2X [I; X = CO2H, CONHOH; R2 = R3(ALK)m(Q)p(ALK)n (wherein R3 = H, (un)substituted cycloalkyl, cycloalkenyl, etc.; ALK = (un)substituted divalent alkylene; Q = O, S, SO, etc.; m, n, p = 0-1); R1 = R2, except that R1 is not H; W = II, III (wherein Y = O, S, SO, etc., and R4-R7 = R2, and R4a, R7a = H, alkyl; R4, R4a and R5 taken together with the carbon atoms to which they are attached form (un)substituted benzene or pyridine ring fused to cyclic amine ring, and R7a = H, alkyl, and R6 and R7 = R2; etc.)], useful in treating diseases resulting from over prodn. of, or over responsiveness to, MMPs (no data), were prepd. E.g., a multi-step synthesis of the title compd. IV was given.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L5 ANSWER 46 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2000:98533 CAPLUS
- DN 132:122631
- TI Preparation of substituted quinazoline derivatives
- IN Gletsos, Constantine
- PA American Home Products Corporation, USA
- SO PCT Int. Appl., 23 pp.

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CODEN: PIXXD2
    Patent
DT
LΆ
    English
FAN.CNT 1
    PATENT NO.
                     KIND DATE
                                         APPLICATION NO. DATE
     ______
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                                          -----
                                        WO 1999-US17035 19990728
    WO 2000006555
                     A1 20000210
ΡI
        W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
            DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
            JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
            MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
            TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,
            RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
            ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
            CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          US 1998-126292 A 19980730
    CA 2336802
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                      Α1
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                                          US 1998-126292 A 19980730
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OS
    CASREACT 132:122631; MARPAT 132:122631
GI
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## \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

- AB The title compds. [I; X = substituted Ph; R, R1 = H, halo, alkyl, etc.; R2 = H, alkyl, alkoxy, etc.; Y = II, III (wherein R3 = H, alkyl, CO2H, etc.; n = 2-4)], useful as antineoplastic agents (no data), were prepd. by acylating aniline IV with an acid halide or mixed anhydride V or VI (wherein Z = OR4, SR4, halo, etc.; R4 = alkyl, cycloalkyl, Ph; L = Cl, Br, OCOR6; R6 = alkyl, cycloalkyl, Ph) followed by reacting the acetylated compd. with H2NX, and treating the resulting intermediate with a mild base or Lewis acid.
- RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L5 ANSWER 47 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2000:65473 CAPLUS
- DN 132:107948
- TI Preparation of fused thiazolidinimines as appetite suppressants and antidiabetics.

```
Jaehne, Gerhard; Geisen, Karl; Lang, Hans Jochen
IN
     Hoechst Marion Roussel Deutschland G.m.b.H, Germany
PA
SO
     Ger. Offen., 44 pp.
     CODEN: GWXXBX
DT
     Patent
     German
LΑ
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     AU 9950308
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OS
    MARPAT 132:107948
GI
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$$\begin{array}{c}
R^4 \\
R^2 O \\
R^1 \\
R^{11}
\end{array}$$

Ι

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AΒ
    Title compds. [I; e.g., Y = bond, CH2, CH2CH2; X = CH2, CHMe, CHEt, CHPr;
    R1 = cyano, CO2H, alkoxycarbonyl, CONH2, alkyl, alkenyl, etc.; R2 = H,
    alkyl, cycloalkyl, (substituted) phenyl(alkyl), thienyl(alkyl),
    pyridyl(alkyl), etc.; R3 = H, alkyl, F, cyano, N3, alkoxy, (substituted)
    phenyl(alkyl), thienyl(alkyl), pyridyl(alkyl), etc.; R4 = alkyl,
    cycloalkyl, (substituted) phenyl(alkyl), thienyl(alkyl), pyridyl(alkyl),
    etc.; R5 = alkyl, cycloalkyl, (substituted) phenyl(alkyl), thienyl(alkyl),
    pyridyl(alkyl), furyl(alkyl); R4R5 = CH2CH2, CH2CMe2, (CH2)3, (CH2)4; R11
     = H, F, Cl, Br, iodo, Me, CF3, alkoxy, NO2, SO2Me, etc.], were prepd.
    Thus, 2-bromo-5-(2,2,3,3,4,4,4-heptafluorobutoxy)-1-indanone reacted with
    N,N'-dimethylthiourea in EtOAc to give 5-(2,2,3,3,4,4,4-heptafluorobutoxy)-
    3-methyl-2-methylimino-2,3,8,8a-tetrahydroindeno[1,2-d]thiazol-3a-ol. The
    latter at 50 mg/kg orally gave 98% inhibition in milk consumption by mice.
             THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 2
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
L5
    ANSWER 48 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
AN
    1999:722857 CAPLUS
DN
    131:350871
TI
    Chiral non-racemic catalysts containing Main-group metals and tridentate
    or tetradentate ligands for asymmetric nucleophilic addition reactions to
     .pi. bonds
    Jacobsen, Eric N.; Sigman, Matthew S.
IN
    President and Fellows of Harvard College, USA
PA
    PCT Int. Appl., 90 pp.
SO
    CODEN: PIXXD2
DT
    Patent
    English
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                                         APPLICATION NO. DATE
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            IE, FI
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    JP 2002513734
                      T2
                           20020514
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MARPAT 131:350871

OS GΙ US 1998-71842 A 19980501 WO 1999-US9570 W 19990430

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 $X^{1}$ 
 $X^{2}$ 
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 $X^{7}$ 
 $X^{7$ 

III

AΒ The present invention relates to a method and catalysts for the stereoselective addn. of a nucleophile to a reactive .pi.-bond of a substrate. Claimed is a stereoselective nucleophilic addn. reaction of a .pi.-bond-contg. substrate with a nucleophile in the presence of a chiral, non-racemic catalyst to produce a stereoisomerically enriched addn. product. The substrate comprises a C-C or C-heteroatom .pi.-bond, and the nucleophile comprises at least one pair of Lewis basic electrons. chiral, non-racemic catalysts of the invention constitute the first examples of catalysts for nucleophilic addns. that comprise a Main-group metal and a tri- or tetradentate ligand. One of a no. of preferred chiral non-racemic catalysts of the invention includes metallosalenates I (R1, R2, Y1, Y2, X1-X4 = H, halo, alkyl, alkenyl, alkynyl, OH, alkoxy, siloxy, amino, nitro, SH, amines, imines, amides, phosphonates, phosphines, carbonyls, carboxyls, silyls, ethers, thioethers, sulfonyls, selenoethers, ketones, aldehydes, esters, etc., or any two or more taken together form a 4-8 membered carbocycle or heterocycle which may be a fused ring, with a proviso that requires the .beta.-iminocarbonyls as tetradentate ligand). Other preferred chiral non-racemic catalysts of the invention include various metalloporphyrinates or porphyrin-like complexes, complexes of the tridentate chiral Schiff base ligand II (R106 = H, halo, alkyl, etc.; each R112, R'112 is absent or represents one or more covalent substitutions of the heterocycle to which it is attached), or complexes of various tetradentate azamacrocycles. Catalysts may contain a Main-group metal selected from Groups 1, 2, 12, 13, or 14 of the periodic table. The catalyst may be immobilized on an insol. matrix. The nucleophilic addn. reaction may be enantioselective, diastereoselective, or a diastereoselective reaction which is a kinetic resoln. The .pi.-bond-contg. substrate may include, e.g., aldehydes, conjugated enals, thioaldehydes, conjugated thioenals, selenoaldehydes, conjugated selenoenals, ketones, conjugated enones, thioketones, conjugated thioenones, selenoketones, conjugated selenoenones, imines, oximes, hydrazones, glyoxylates, pyruvates, conjugated enoates, .alpha.,.beta.-unsatd. amides, .alpha.,.beta.-unsatd. imides, lactones,

thionolactones, thiolactones, dithiolactones, lactams, and thiolactams. The reacting nucleophiles may include conjugate bases of weak Bronsted acids, e.g., cyanide, azide, isocyanate, thiocyanate, alkoxide, thioalkoxide, carboxylate, thiocarboxylate, and carbanions. A highly enantioselective hydrocyanation reaction is achieved by this method. Treatment of N-allylbenzaldimine with HCN in the presence of chiral (salen)Al(III) complex III (toluene, -70.degree., 15 h) followed by workup with TFAA affords (S)-(+)-trifluoroacetamide IV in 91% yield, 95% ee. The asym. Strecker-type reaction catalyzed by III provides a straightforward entry into enantiomerically enriched .alpha.-amino acid derivs. Also claimed are chiral catalysts comprising a main-group metal atom or ion, and an asym. tetradentate or tridentate ligand wherein the catalyst catalyzes at least one asym. reaction. The asym. reactions may comprise epoxidn., aziridination, cycloaddn., sigmatropic rearrangement, addn. of nucleophiles to .pi. bonds, ring-opening reactions, hetero-Diels-Alder or hetero-ene reactions, Claisen rearrangements, carbonyl redns., and addn. of nucleophiles to carbonyl groups or to C:N .pi. bonds.

```
L5
    ANSWER 49 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
AN
    1999:690954 CAPLUS
DN
     131:307106
ΤI
    Use of vitamin PP compounds as cytoprotective agents in chemotherapy
    Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter,
IN
    Friedemann; Schein, Barbara; Schemainda, Isabel; Seibel, Klaus; Vogt,
     Klaus; Wosikowski, Katja
     Klinge Pharma GmbH, Germany
PΑ
    PCT Int. Appl., 145 pp.
SO
     CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 1
     PATENT NO. KIND DATE
                                         APPLICATION NO. DATE
                    A1 19991028 WO 1999-EP2686 19990421
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            MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
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            MD, RU, TJ, TM
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                                         DE 1998-19818044A 19980422
     DE 19818044
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    EP 1031564
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                           20000830
                                         EP 1999-103814 19990226
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    AU 9939282
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                           19991108
                                          AU 1999-39282 19990421
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                                                          19990421
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WO 2000050399
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                                  20000831
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                                                                                 20000228
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CL, CM, GA, CN, CW, ML, MB, NE, SN, TD, TC
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US 2002160968
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US 6506572
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                                  20030114
                                                        EP 1999-103814 A 19990226
                                                        WO 2000-EP1628 A120000228
MARPAT 131:307106
nicotinamide (niacin-amide, vitamin PP, vitamin B3) for the redn.,
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OS

AB The invention relates to the use of vitamin PP compds. and/or compds. with anti-pellagra activity such as for example nicotinic acid (niacin), and elimination or prevention of side-effects of different degrees as well as for neutralization of acute side-effects in immunosuppressive or cancerostatic chemotherapy or diagnosis, esp. with substituted pyridine carboxamides, as well as combination medicaments with an amt. of compds. with vitamin B3 and/or anti-pellagra activity and chemotherapeutic agents are esp. considered in the mentioned chemotherapies and indications. Nicotinamide at 500 mg/kg twice daily protected mice treated i.p. with antitumor N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3yl)propionamide. There were no deaths in the nicotinamide-treated mice and the strong redn. of leukocytes was completely prevented.

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L5
    ANSWER 50 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
```

AN 1999:640847 CAPLUS

DN 131:257572

ΤI Preparation of benzoxazinones and -thiazinones as serine protease inhibitors

Berryman, Kent Alan; Downing, Dennis Michael; Dudley, Danette Andrea; ΙN Edmunds, Jeremy John; Narasimhan, Lakshmi Sourirajan; Rapundalo, Stephen

PA Warner-Lambert Company, USA

SO PCT Int. Appl., 175 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9950257	A1	19991007	WO 1998-US26708	19981215

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				RU,			an.			GT.1	3.00	DE	CI.I	ΟV	DE	שע	ъc
	RW:					MW,											
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		CM,	GA,	GN,	GW,	ML,	MK,				98-8	11/21	ס כ	1998	าจจา		
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										S 19	98-8	0142	P P	1998	0331		
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BR	9815	784		Α		2000	1121		B!	R 19	98-1	5784		1998	1215		
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JP	2002	5099	25	Τ.	2	2002	0402				98-8						
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77	9902	11E		А		1999	1001				99-2						
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									W	0 19	98-U	S267	W80	1998	1215		

OS MARPAT 131:257572

GΙ

AB Title compds. [I; R1 = cycloalkyl(alkyl), heterocyclyl(alkyl),
 aryl(alkyl), etc.; R2 = H or alkyl; R3R4 = (un)substituted CH:CHCH:CH,
 -N:CHCH:CH, -CH:NCH:CH, etc.; X = O, S, NH; Z = Z2Z3R5; R5 = H,
 (un)substituted (heteroatom-interrupted) alkyl or -cycloalkyl(alkyl); Z1 =
 O, S00-2, OCH2, SCH2, etc.; Z2 = bond or (heteroatom-interrupted)
 (cyclo)alkylene; Z3 = bond, (un)substituted heterocyclylene, -arylene]
 were prepd. Thus, 4-(MeO)C6H4CH2CO2Me was .alpha.-brominated and the
 product etherified by 2-(O2N)C6H4OH to give, after reductive cyclization,
 I [R1 = C6H4(OMe)-4, R2 = H, R3R4 = CH:CHCH:CH, X = Z1 = O](II; Z = NH)
 which was N-alkylated by Br(CH2)Br and the product aminated by
 cis-2,6-dimethylpiperidine to give II [Z = N(CH2)5R5, R5 =
 cis-2,6-dimethyl-1-piperidinyl]. Data for biol. activity of I were given.
 RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD

## ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 51 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
L5
ΑN
    1999:468087 CAPLUS
DN
    131:129576
    Stereoselective epoxy ring opening reactions using chiral transition
TI
    metal-salen complexes
    Jacobsen, Eric N.; Leighton, James L.; Martinez, Luis E.
TN
    President and Fellows of Harvard College, USA
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    U.S., 45 pp.
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FAN.CNT 4
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    US 5929232
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PATENT FAMILY INFORMATION:
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                                        WO 1996-US3493 19960314
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             SG, SI
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
             IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML
                                          US 1995-403374 A 19950314
    US 5665890
                      Α
                           19970909
                                          US 1995-403374 19950314
    CA 2213007
                      AA
                           19960919
                                          CA 1996-2213007 19960314
                                          US 1995-403374 A 19950314
    AU 9653639
                      Α1
                           19961002
                                          AU 1996-53639 19960314
    AU 708622
                      B2
                           19990805
                                          US 1995-403374 A 19950314
                                          WO 1996-US3493 W 19960314
    EP 817765
                     A1
                         19980114
                                          EP 1996-910448 19960314
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, FI
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	JP 11502198	T2 1999022	3 JP 1996-527817 19960314 US 1995-403374 A 19950314
	PL 184857	B1 2003013	WO 1996-US3493 W 19960314
	NO 9704234	A 1997111:	WO 1996-US3493 W 19960314
FAN	PATENT NO.		APPLICATION NO. DATE
PI		A1 2000022	4 WO 1999-US18305 19990813
	W: AU, CA, RW: AT, BE, PT, SE		, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
	US 6262278	B1 2001071	US 1995-403374 A219950314
	CA 2339618	AA 2000022	US 1998-134393 A 19980814
	AU 9956732	A1 2000030	WO 1999-US18305W 19990813 6 AU 1999-56732 19990813 US 1998-134393 A 19980814 WO 1999-US18305W 19990813
			6 EP 1999-943685 19990813 , FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
	·	T2 2002072:	US 1998-134393 A 19980814 WO 1999-US18305W 19990813 JP 2000-564918 19990813 US 1998-134393 A 19980814 WO 1999-US18305W 19990813
FAN		KIND DATE	APPLICATION NO. DATE
PI	US 6262278		7 US 1998-134393 19980814 US 1995-403374 A219950314
	US 5665890 US 5929232	A 19970909 A 1999072	
	CA 2339618	AA 2000022	4 CA 1999-2339618 19990813 US 1998-134393 A 19980814
	WO 2000009463 W: AU, CA,	JP, US	
	RW: AT, BE, PT, SE	CH, CY, DE, DK	, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
	AU 9956732	A1 2000030	US 1998-134393 A 19980814 6 AU 1999-56732 19990813 US 1998-134393 A 19980814 WO 1999-US18305W 19990813
		A1 20010606 CH, DE, DK, ES	
	, <u>-</u>		US 1998-134393 A 19980814

				WO	1999-US18305W 19990813
JP	2002522515	T2	20020723	JΡ	2000-564918 19990813
				US	1998-134393 A 19980814
				WO	1999-US18305W 19990813
US	2002032338	A1	20020314	US	2001-899516 20010705
IIC	6448414	B2	20020910		
OD	0110111	DZ	20020710		
				US	1995-403374 A219950314
				US	1996-622549 A219960325
				HC	1998-134393 A119980814
				US	1330-134333 H113300014
US	2003139614	A1	20030724	US	2002-206143 20020726
				US	1995-403374 A219950314
				US	1996-622549 A219960325
				110	1000 124202 311000014
				US	1998-134393 A119980814
				US	2001-899516 A120010705
				US	1996-622549 A219960325 1998-134393 A119980814 2001-899516 A120010705

OS CASREACT 131:129576; MARPAT 131:129576 GI

AΒ The present invention relates to a kinetic resoln. process for stereoselective or regioselective chem. synthesis which generally comprises reacting a nucleophile and a chiral or prochiral cyclic substrate in the presence of a non-racemic chiral catalyst to produce a stereoisomerically or regioselectively enriched product. Said chiral catalyst comprises an asym. tetradentate ligand complexed with a metal atom, which complex has a rectangular planar or rectangular pyramidal geometry, e.g. metal-salen complexes (I; R1, R2, Y1, Y2, X1, X2, X3, X4 = hydrogen, halogen, alkyl, alkenyl, alkynyl, hydroxyl, amino, nitro, thiol, amines, imines, amides, phosphoryls, phosphonates, phosphines, carbonyls, carboxyls, silyls, ethers, thioethers, sulfonyls, seleno ethers, ketones, aldehydes, esters, or (CH2) mR7, or any two or more of the substituents taken together form a carbocyle or heterocycle ring having from 4 to 8 atoms in the ring structure; wherein R7 = aryl, cycloalkyl, cycloalkenyl, heterocycle, polycycle; m = 0 or an integer in the range of 1 to 8; M = the late transition metal; A = a counterion or a nucleophile; provisos given). The substrates are epoxides, thioepoxides, aziridines, or cyclopropanes represented by general formula [II; Y = O, S, NR50, C(R52)(R54), A-B-C; wherein R50 = hydrogen, alkyl, carbonyl-substituted alkyl, carbonyl-substituted aryl, a sulfonate; R52, R54 = an electron-withdrawing group; A, C = absent, C1-5 alkyl, O, S, carbonyl, or NR50; B = carbonyl, thiocarbonyl, phosphoryl, sulfonyl; R30, R31, R32, R33 = org. or inorg. substituent which form a covalent bond with the C1 or C2 carbon atoms of 1-8, and which permit formation of a stable ring structure including Y]. Thus, cyclohexene oxide was added to a mixt. of

chromium-salen complex, (R,R)-[1,2-bis(3,5-di-tert-butylsalicylideneamino)cyclohexane]-chromium (III) chloride (prepn. given) (2 mol%), and Et2O and stirred for 15 min, followed by adding Me3SiN3. The resulting brown soln. was stirred at room temp. for 28 h to give 80% 2-azidocyclohexanol (III) of 94% ee.

RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 - ANSWER 52 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:457919 CAPLUS

DN 131:116229

TI Preparation of thiazolecarboxamides as vitronectin receptor antagonists

IN Alig, Leo; Edenhofer, Albrecht; Hilpert, Kurt; Weller, Thomas

PA F. Hoffmann-La Roche AG, Switz.

SO Eur. Pat. Appl., 87 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

PΙ

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PA	TENT	NO.		KI	ND	DATE			AP	PLI	CATI	ON NO	).	DATE				
						19990								1998	1224			
						20030												
						DK,		FR.	GB.	GR.	IT.	T.T.	TIU.	NT.	SE.	MC.	PT.	
						FI,		,	,	,	,	,	,	,	,	,	,	
									EP	199	98-1	00006	A	1998	0102			
US	6100	282		Α		20000	8080		US	199	98-2	18567	,	1998	1222			
						20000			EP	199	98-1	00006	A	1998	0102			
	3335					20000	0526		NZ	199	98-3	33590	)	1998	1224			
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NZ	3335	91		A		20000	0526					33591						
												00006						
AT	2337	46		Ε		2003	0315		ΑT	199	98-1	24670	)	1998	1224			
									EP	199	98-1	00006	A	1998	0102			
NO	9806	159		A		19990	0705		NO			159						
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ZA	9811	925		A		20000	1629					1925						
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	9896			A		19990			ΑU	19	98-9	6144		1998.	1230			
AU	7206	18		B	2	20000	1608		מים	100	20 1	0000		1000	0100			
ec.	7468	6		A	1	2000	2022		EP CC	19	20 E	00006	) A	1000	1220			
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BR	9900	006		A		20000	0411							1999				
												00006						
MX	9900	215		Α		20000	0630					15						
												00006						
HK	1020	953		A	1	20020	0726		HK	199	99-1	06136	;	1999	1228			
									EP	199	98-1	00006	A	1998	0102			
US	6320	054		B	1	2001	1120		US	200	00-5	26033		2000	0315			
									EP	199	98-1	00006	Α	1998	0102			
												18567						
			16			20020			US	200	01-8	78704	:	2001	0611			
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									EP	199	98-1	00006	A	1998	0102			

US 1998-218567 A319981222 US 2000-526033 A320000315

OS MARPAT 131:116229

R1(CH2)aZ(CONR9)cZ1(CH2)e(NB)fAm(NH)g(CH2)n[CH[(CO)k(NH)lR10]]i(CH2)jCO2H
[I; A = CO or SO2; B,R9 = H or (cyclo)alkyl; R1 = NR6CONR5(CH2)bR4, NR5R6, NHC(:NR8)NHR7, etc.; R4 = H, (cyclo)alkyl, (hetero)aryl; R5,R6 = H, (cyclo)alkyl, aryl, etc.; R7,R8 = H, (ar)alkyl, etc.; R7R8 = atoms to complete a ring; R10 = H, OH, (ar)alkyl, carboxy(alkyl), alkoxycarbonyl, etc.; Z = (un)substituted thiazole-2,4- or -2,5-diyl; Z1 = bond or arylene; a,j = 0-2; b = 0-4; c,f,g,h,i,k,l,m = 0 or 1; e = 0-3; h = 0-5] were prepd. Thus, H2NC(:NH)NHCSNH2 was cyclocondensed with BrCH2COCO2Et and the sapond. product amidated by H2NCH2CH2CONHCH2CH2CO2Et to give, after sapon., H2NC(:NH)NHZ(CONHCH2CH2)2CO2H (Z = thiazole-2,4-diyl). Data for biol. activity of I were given.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 53 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:405036 CAPLUS

DN 131:60019

- TI Preparation of rigidized trimethine cyanine dyes and their use as fluorescent markers
- IN Waggoner, Alan S.; Mujumdar, Ratnakar B.
- PA Carnegie Mellon University, USA
- SO PCT Int. Appl., 79 pp.
- CODEN: PIXXD2
- DT Patent
- LA English

FAN CNT 1

FAN.						KIND DATE					APPLICATION NO. DATE								
ΡI	WO	7 9931181			Δ	1999	WO 1998-US26665 19981216												
	***	W: AL, AM,															CZ	חבי	
		** .					GB,												
							LK,												
							RO,												
							VN,												
		RW:					MW,	-				-	-		•	•			
							IE,												
							ML,						,	,	-0,	0.,	00,	0_,	
				011, 011, 112, 1111,					US 1997-992212 A219971217										
	CA	A 2314188			AA 19990624				CA 1998-2314188 19981216										
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										WO 1998-US26665W 19981216									
	AU	9918	288		A1					A	U 19	99-1	19981216						
	ΑU	7605	98		B2		20030515												
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										W	0 19	98-U	S266	65W	1998	1216			
	EΡ	1042	407					1011		EP 1998-963218 19981216									
	EΡ	1042	407																
		R:	ΑT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,	
	IE, FI			FΙ															
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															1998				
	AT	AT 205515			E 20010915				AT 1998-963218 19981216										
										US 1997-992212 A 19971217									
		S 2165711													1998				
	ES				T.	3	2002	0316		ES 1998-963218 19981216									

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Ι

OS MARPAT 131:60019

GΙ

$$\mathbb{Z}^{a}$$
 $\mathbb{Z}^{b}$ 
 $\mathbb{Z}^{b}$ 
 $\mathbb{Z}^{b}$ 
 $\mathbb{Z}^{b}$ 
 $\mathbb{Z}^{b}$ 

AB Trimethine cyanine dyes, which are useful for imparting fluorescent properties to target materials by covalent and non-covalent assocn., have general I [X, Y = bis-C1-4 alkyl- or C4-5 spiroalkyl-substituted C, O, S, Se, CH:CH, NW; W = H, (CH2) nR12; n = 1-26; R12 = H, (substituted) amino, aldehyde, acetal, halogen, cyano, (hetero) aryl, OH, sulfonate, sulfate, carboxylate, quaternary amino, NO2, amide, reactive group to amino, OH, CO, phosphoryl, sulfuryl; Za, Zb = bond, atoms necessary to complete one, two fused or three fused arom. rings each ring having five or six atoms and contg. .ltoreq.2 O, S, N; A = O, S, NR11; R11 = substituted amino radical; R1 = H, (hetero)aryl, CN, NO2, CHO, halogen, OH, (substituted)amino, acetal, ketal, phosphoryl, sulfuryl, quaternary amino, water-solubilizing group, (substituted) alkyl; R2-5 = water soly.-reducing neutral group, water-solubilizing polar group, functional group that is reactive in labeling reaction, electron donating or withdrawing for shifting the absorption and emission wavelength of the fluorescent mol, lipid- and hydrocarbon-solubilizing group]. The dyes are used in binding assays, such as immunoassays, nucleic acid hybridization assays, DNA-protein binding assays, hormone receptor binding assays, and enzyme assays.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 54 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:390408 CAPLUS

DN 131:45047

TI Preparation of sialyl Lewisx and sialyl Lewisa glyco-mimetics as selectin inhibitors

IN Anderson, Mark B.; Kobayashi, Yoshiyuki; Itoh, Kazuhiro; Holme, Kevin R.;
Cui, Jingrong; Fugedi, Peter; Peto, Csaba F.; Wang, Li; Vazir, Harish

PA Glycomed Incorporated, USA; Sankyo Co., Ltd.

SO PCT Int. Appl., 184 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN CNT 1

1744 - CN1 - 1												
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE							
ΡI	WO 9929705	A2	19990617	WO 1998-US25783	19981204							
	WO 9929705	A3	19990819									

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 1997-67971P P 19971208
AU 1998-US25783W 19981204
WO 1998-US25783W 19981204

OS MARPAT 131:45047

$$R^7$$
  $R^6$   $R^6$   $R^6$   $R^7$   $R^7$   $R^6$   $R^6$   $R^7$   $R^7$ 

AΒ The present invention provides a series of compds. in the form of chem. and physiol. stable glyco-mimics or glyco-epitopes I-III and MO2C(CH2)nNHC(0) YG wherein W is a covalent bond, -C(=0) -, -C(=0) -CH2-, -C(=O)-CH2-CH2-, -C(=O)-CH=CH-, -C(=O)-CH(-NHAc)-CH2-, -C(=O)-CH2-CHOH-, -C(=O)-CH(-NH-C(=O)-O-t-Bu)-CH2-, -C(=S)-, -C(=S)-S-, -C(=S)-S-CH2-, -C(=S)-CH2-CH2-, -C(=S)-NH-, -CH2-CH2-O-, -CH2-CH(CH3)-CH2-, -CH2-CH(CH2OH)-CH2-, -CH2-C(=CH2)-CH2-; X is -NR3-, -C(R8)2-, -NR8-, CH-S-sialic acid, CH-O-sialic acid, -O- or -S-; Y is a covalent bond, -(CH2)n-, -CH2-NH-C(=0)-, or -NH-C(=0)-; R1-R9 are independently selected from the group consisting of -H, -OH, alkyl, -CO2M, -CH2-CO2M, -CO2Me, -CH2-CO2Me, -CO2Et, -CH2CO2Et, -CH2-CH=CH-CO2M, -CH2-CH=CH-CO2Me, -CH2-CH=CH-CO2Et, -OSO3M, -CH2-OSO3M, -OPO3M2, -CH2-OPO3M2 with the proviso that at least one of R1-R9 is not -H or -OH; G is heterocycle; M is a metal, n is 1-3, that serve to functionally mimic the active features of biol important oligosaccharides, such as but not limited to sialyl Lewisx and sialyl Lewisa. These structural glyco-mimetics are useful in the treatment of acute and chronic diseases and asthma. These compds. also are useful in the treatment of other selectin-mediated disorders. such as inflammation, cancer, diabetes, obesity, lung vasculitis, cardiac injury, reperfusion injuries, thrombosis, tissue rejection, arthritis, inflammatory bowel disease and pulmonary inflammation. Thus, carboxymethyl-piperidine-N-isopropenyl-C-fucoside IV was prepd. and tested

as selectin inhibitor (IC50 > 2500 .mu.M).

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ANSWER 55 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
L5
     1999:375546 CAPLUS
AN
DN
     131:18932
TI
     Preparation and formulation of heterocyclic compounds as cyclic GMP
     phosphodiesterase inhibitors
IN
     Ohashi, Masayuki; Nishida, Hidemitsu; Shudo, Toshiyuki
PΑ
     Mochida Pharmaceutical Co., Ltd., Japan
SO
     PCT Int. Appl., 253 pp.
     CODEN: PIXXD2
DT
     Patent
     Japanese
LΑ
FAN.CNT 1
     PATENT NO.
                    KIND DATE
                                           APPLICATION NO. DATE
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PΙ
    WO 9928319
                     A1 19990610
                                          WO 1998-JP5350 19981127
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,
             KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO,
             NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA,
             UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                            JP 1997-344164 A 19971128
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                       Α
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                       AA
                            19990610
                                            JP 1997-344164 A 19971128
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                                           AU 1999-12617
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    AU 746883
                       B2
                            20020502
                                           JP 1997-344164 A 19971128
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     BR 9815070
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                            20001003
                                            BR 1998-15070
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     EP 1048666
                       A 1
                            20001102
                                            EP 1998-955965 19981127
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, FI
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    NO 2000002696
                       Α
                            20000724
                                           NO 2000-2696
                                                           20000526
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                                           WO 1998-JP5350 W 19981127
    US 6476021
                       В1
                            20021105
                                           US 2000-580657
                                                           20000526
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JP 1997-344164 A 19971128 WO 1998-JP5350 A119981127

GI

MARPAT 131:18932

OS

$$\begin{array}{c} N = \\ CH_2 - O \\ \end{array}$$

AΒ The title compds. I [A = single bond, methylene, etc.; R1 = H, halo, etc.; R2 = H, halo, (protected) amino; etc.; R3 = H, halo, (protected) OH, etc.; R4 = H, halo, etc.; R5 = H, methyl; Y1 - Y3, Z1 - Z4 = methine, N] are prepd. I are useful as preventives and/or remedies for pulmonary hypertension, ischemic heart diseases, erectile insufficiency, female sexual dysfunction or diseases against which cGMP-PDE inhibitory effects are efficacious. The title compd. II in vitro showed IC50 of 0.0018 .mu.M against cyclic GMP phosphodiesterase.

ΙI

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 56 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:271339 CAPLUS

DN 130:282082

TI Preparation of alkylthiopyrimidines as viral reverse transcriptase inhibitors

Morris, Joel; Wishka, Donn G.; Adams, Wade J.; Friis, Janice M. IN

PΑ Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 100 pp. CODEN: PIXXD2

DT Patent

LΑ English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE ---------\_\_\_\_\_\_ ΡI WO 9919304 A2 19990422 WO 1998-US18507 19980921 WO 9919304 **A**3 20011220 AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,

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NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
    UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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                                           WO 1998-US18507W 19980921
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                                           EP 1998-966441
                                                             19980921
    R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
         IE, SI, LT, FI
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NZ 503586
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                                           NZ 1998-503586
                                           US 1997-59656P P 19970925
                                           WO 1998-US18507W 19980921
JP 2002526378
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                                           WO 1998-US18507W 19980921
MARPAT 130:282082
R6ZYCR12R13(CR41:R42)mR1 [I; R1 = C.tplbond.CH, alkoxycarbonyl,
pyridyl(carbonyl), etc.; R6 = alkylthio; R12 = H, alkyl, CONH2, CH2NH2,
etc.; R13 = H, CF3, alkyl; R41,R42 = H or alkyl; Y = O or SOO-2; Z = O
(un) substituted pyrimidine-4,2-diyl; m - 0 or 1] were prepd.
Thus, (S) - (-) -4-amino-6-chloro-2-[1-(furo[2,3-c]pyridin-5-
yl)ethylthio]pyrimidine was converted to (S)-(-)-4-amino-6-methylthio-2-[1-
(furo[2,3-c]pyridin-5-yl)ethylthio]pyrimidine. Data for biol. activity of
2 I were given.
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- L5 ANSWER 57 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1999:104522 CAPLUS
- DN 130:163203

OS

AΒ

- TI 5-HT-2 antagonists, and preparation thereof, for treating or ameliorating the symptoms of common cold or allergic rhinitis
- IN Johnson, Kirk Willis; Nelson, David Lloyd Garver; Phebus, Lee Alan
- PA Eli Lilly and Company, USA
- SO U.S., 16 pp. CODEN: USXXAM
- DT Patent
- LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
ΡI	US 5869497	Α	19990209		19970307		
				US 1997-813472	19970307		

OS MARPAT 130:163203

AB Methods are provided for the treatment or amelioration of the symptoms of the common cold or allergic rhinitis which comprises administering to a mammal in need thereof a 5-HT2 antagonist. Prepn of e.g. 6-methyl-1-[(2-chloro-3,4-dimethoxyphenyl)-methyl]-1,2,3,4-tetrahydro-9H-

pyrido[3,4-b] indole hydrochloride is described. RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 58 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN L5 1999:77555 CAPLUS ΑN DN 130:139335 ΤI Preparation of tricyclically substituted oxazolidinones as bactericides Bartel, Stephan; Guarnieri, Walter; Riedl, Bernd; Habich, Dieter; Stolle, IN Andreas; Ruppelt, Martin; Raddatz, Siegfried; Rosentreter, Ulrich; Wild, Hanno; Endermann, Rainer; Kroll, Hein-peter Bayer Aktiengesellschaft, Germany; et al. PA SO PCT Int. Appl., 98 pp. CODEN: PIXXD2 DT Patent LΑ German FAN.CNT 1 KIND DATE PATENT NO. APPLICATION NO. DATE ---------WO 9903846 A1 19990128 WO 1998-EP4252 19980708 PΙ W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG DE 1997-19730847 19970718 DE 19730847 19990128 DE 1997-19730847 19970718 A1AU 9884417 Α1 19990210 AU 1998-84417 19980708 DE 1997-19730847 19970718 WO 1998-EP4252 19980708 ZA 9806360 Α 19990127 ZA 1998-6360 19980717 DE 1997-19730847 19970718 OS MARPAT 130:139335 GΙ

$$Q = L \xrightarrow{A^{1}} A$$

$$Q = L \xrightarrow{A^{2}} A^{3} = 0$$

$$O = A^{1} = 0$$

AB Title compds. [I; R1= N3, OH, OMe, OSO2Me, NH2, NHCOCH3, etc.; E = O, S, CO, SO, SO2, NC2H5, etc.; A, A1, A2, A3 are independently CH, N, with no more than one N; L and M are independently H, OH, CO, CN, NO2, CHO, etc.; dotted bonds = one single bond to I and the other single bond to a H] are prepd. as antibacterial medicaments. Thus, compd. II was prepd. from cycloaddn. of 2-benzyloxycarbonylaminofluorene and (R)-2,3-epoxypropyl butanoate in the presence of Bu lithium in hexane.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 59 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:789149 CAPLUS

DN 130:38390

TI Preparation of azolidinediones as antidiabetics

IN Lohray, Braj Bhushan; Lohray, Vidya Bhushau; Bajji, Ashok Channaveerappa; Kalchar, Shivaramayya; Alla, Sekar Reddy; Ramanujam, Rajagopalan; Vikramadithyan, Reeba K.

PA Reddy's Research Foundation, India; Reddy-Cheminor Inc.

SO PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PATENT NO.
                      KIND DATE
                                            APPLICATION NO. DATE
                      <del>-</del> - - -
PΙ
     WO 9852946
                      A1
                            19981126
                                          WO 1998-US10612 19980526
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,
             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
             NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
             UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, ML, MR, NE, SN, TD, TG
                                            US 1997-982910 A 19971202
     US 6011031
                       Α
                            20000104
                                            US 1997-982910
                                                            19971202
                                            IN 1997-MA1153 A 19970530
```

AU 9875952	A1	19981211	AU 1998-75952 19980526 US 1997-982910 A 19971202 WO 1998-US10612W 19980526
EP 977753	A1	20000209	EP 1998-923730 19980526
•		, DK, ES, F , FI, RO	FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
·		•	US 1997-982910 A 19971202
			WO 1998-US10612W 19980526
JP 2002515042	T2	20020521	JP 1998-507379 19980526
			US 1997-982910 A 19971202
			WO 1998-US10612W 19980526
US 6159966	Α	20001212	US 1998-134348 19980814
			IN 1997-MA1153 A 19970530
			US 1997-982910 A319971202
MARPAT 130:383	90		

$$R^{1}$$
 $R^{2}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{6}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{2}$ 
 $R^{7}$ 
 $R^{8}$ 
 $R^{8}$ 
 $R^{8}$ 
 $R^{8}$ 
 $R^{9}$ 
 $R^{1}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{7}$ 
 $R^{8}$ 
 $R^{8}$ 
 $R^{9}$ 
 $R^{1}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{1}$ 

OS GI

AB The title compds. [I; R1-R6 = H, halo, OH, etc.; R1R2 along with carbon atoms to which they are attached = (un)substituted arom. ring contg. 5-6 ring atoms; X = O, S, NH, etc.; Ar = (un)substituted divalent single or fused arom. or heterocyclic; R7 = H, OH, alkoxy, etc.; R8 = H, OH, alkoxy, etc.; R8 may form a bond together with R7; B = O, S; Y = O, S; n = 1-4; m = 0-1] and their pharmaceutically acceptable salts, useful for the treatment of diabetes, dyslipidemia and hypertension, were prepd. and formulated. Thus, reaction of 4-[2-(2,3-dihydro-1,4-benzoxazin-4-yl)ethoxy]benzaldehyde (prepn. described) with 2,4-thiazolidinedione in the presence of piperidine and benzoic acid in PhMe followed by treatment

of the resulting 5-{4-[2-(2,3-dihydro-1,4-benzoxazin-4yl)ethoxy]phenylmethylene}thiazolidine-2,4-dione with Mg in MeOH, and treatment of the intermediate with NaOMe in MeOH afforded the title compd. II as sodium salt which showed 62% redn. in blood glucose level and 55% triglyceride lowering at 30 mg/kg. RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 60 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN 1998:745043 CAPLUS 129:343502 Preparation of 3-amino-1,4-benzoxazines and analogs as nitric oxide synthase inhibitors Holscher, Peter; Rehwinkel, Hartmut; Suelzle, Detlev; Burton, Gerardine; Hillmann, Margrit; Pribilla, Iris; Davey, David Daniel Schering A.-G., Germany PCT Int. Appl., 28 pp. CODEN: PIXXD2 Patent German FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE ---------\_\_\_\_\_ -----WO 1998-DE1241 19980430 WO 9850372 A1 19981112 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

DE 1997-19720155A 19970502 AU 9883308 Α1 19981127 AU 1998-83308 19980430 DE 1997-19720155A 19970502 WO 1998-DE1241 W 19980430 EP 980362 20000223 Α1 EP 1998-933446 19980430 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI DE 1997-19720155A 19970502

WO 1998-DE1241 W 19980430 JP 2001524115 T2 20011127 JP 1998-547629 19980430 DE 1997-19720155A 19970502 WO 1998-DE1241 W 19980430 US 6191127 В1 20010220 US 1999-423072 19991101 DE 1997-19720155A 19970502 WO 1998-DE1241 W 19980430

OS MARPAT 129:343502

GΙ

L5

AN

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TI

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PA

SO

DT

LΑ

PΙ

AΒ Title compds. [I; R1,R2 = H, halo, alkyl, alkoxy, etc.; R3,R4 = H, alkyl, Ph, CONH2, etc.; R5 = halo, alkyl, alkoxy, Ph, etc.; R6 = H; R5R6 = atoms to complete a ring; R3R7, R7R8 = bond; R8 = H; Z = O or SO0-2] were prepd. Thus, 2-(H2N)C6H4OH was cyclocondensed with MeCHClCN to give 3-amino-2-methyl-2H-1,4-benzoxazine. Data for biol. activity of I were given.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 61 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
L5
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1998:621100 CAPLUS AN

DN 129:239901

TIAnti-epileptogenic agents, and preparation thereof

INWeaver, Donald F.; Milne, Paul H.; Tan, Christopher Y. K.; Carran, John R.

Queen's University At Kingston, Can. PA

SO PCT Int. Appl., 91 pp.

CODEN: PIXXD2

Patent DT

LA English FAN.CNT 1																		
	PATENT NO.			KI	KIND DATE			APPLICATION NO.						DATE				
PI		9840055 9840055							W	0 19	98-C	A244	-	1998	0312			
		W :	DK,	EE,	ES,	FI	AZ, GB,	GE,	GH,	HU,	IL,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,
			PT,	RO,	RU,	SD	, LT, , SE, , AM,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,			
		RW:	GH,	GM,	KE,	LS	MW,	SD,	SZ,	UG,	ZW,	AT,	BE,	CH,	DE,			
					ML, MR, NE, SN,					MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, TD, TG US 1997-41140P P 19970312								,
	US	US 6306909			B1 20011023													
	211								US 1997-41140P P 19970312 US 1998-73536P P 19980203									
	AU	MU 9864923			A1 19980929				AU 1998-64923 19980312 US 1997-41140P P 19970312 US 1998-73536P P 19980203									
	EP	IP 969823			72 200001			<b>0112</b>		WO 1998-CA244 W 19980 EP 1998-910555 19980					0312	12		
			ΑT,	BE,	CH,	DE	DK,	ES,									MC,	PT,
									US 1997-41140P P 19970312 US 1998-73536P P 19980203									
	NZ 337849				A 20000128					WO 1998-CA244 W 19980312 NZ 1998-337849 19980312								

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US 1997-41140P P 19970312
                                     US 1998-73536P P 19980203
                                     WO 1998-CA244 W 19980312
JP 2001515483
                T2
                    20010918
                                     JP 1998-539010
                                                      19980312
                                     US 1997-41140P P 19970312
                                     US 1998-73536P P 19980203
                                     WO 1998-CA244 W 19980312
US 2002025949
                 A1
                      20020228
                                     US 2001-932676 20010816
                                     US 1997-41140P P 19970312
                                     US 1998-73536P P 19980203
                                     US 1998-41371 A319980311
```

- OS MARPAT 129:239901
- AΒ Methods and compds. useful for the inhibition of convulsive disorders, including epilepsy, are disclosed. The methods and compds. of the invention inhibit or prevent ictogenesis and epileptogenesis. Methods for prepg. the compds. of the invention are also described.
- L5 ANSWER 62 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1998:583022 CAPLUS
- DN 129:202864
- ΤI Preparation of benzocycloheptanesulfonamides, tetrahydrobenzoxepinsulfonamides, and related compounds as potassium channel blockers.
- Brendel, Joachim; Lang, Hans Jochen; Gerlach, Uwe IN
- Hoechst A.-G., Germany PA
- Ger. Offen., 24 pp. SO CODEN: GWXXBX
- DTPatent
- LΑ
- German FA

FAN.C	CNT 1			
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡI	DE 19707656	A1	19980827	DE 1997-19707656 19970226
	CN 1169429	A	19980107	CN 1997-111540 19970513
				DE 1997-19707656A 19970226
	EP 861836	A1	19980902	EP 1998-102952 19980220
				GB, GR, IT, LI, LU, NL, SE, MC, PT,
			, FI, RO	
			•	DE 1997-19707656A 19970226
	BR 9800207	A	19990518	BR 1998-207 19980220
				DE 1997-19707656A 19970226
	CA 2230349	AA	19980826	CA 1998-2230349 19980224
				DE 1997-19707656A 19970226
	ZA 9801562	A	19980826	ZA 1998-1562 19980225
				DE 1997-19707656A 19970226
	NO 9800785	A	19980827	NO 1998-785 19980225
				DE 1997-19707656A 19970226
	AU 9856333	A1	19980903	
	AU 737461		20010823	
				DE 1997-19707656A 19970226
	CN 1193017	A	19980916	CN 1998-105329 19980225
	CN 1110490	В	20030604	2. 1990 100019 19900110
				DE 1997-19707656A 19970226
	JP 10287641	A2	19981027	
				DE 1997-19707656A 19970226
	TW 452574	В	20010901	TW 1998-87102578 19980327
		_		DE 1997-19707656A 19970226
	US 2002072514	<b>A</b> 1	20020613	US 2001-983670 20011025
	00 00000011		20020013	05 2001 9030,0 20011025

DE 1997-19707656A 19970226 US 1998-28452 B219980224 US 1999-342597 A119990629

OS MARPAT 129:202864 GI

Title compds. [I; X1 = 0, S, S0, C0, (substituted) imino, methylene; X2, X3 = 0, S, S0, S02, (substituted) methylene, imino; X4 = (substituted) methylene, imino, Y1-Y4 = N, (substituted) methine; R3 = R17CxH2xNR18, R17CxH2x, etc.; x = 0-10; R17 = H, Me, cycloalkyl, CF3, C2F5, C3F7; R18 = H, alkyl; R4 = CrH2rR20, etc.; r = 0-20; R20 = H, Me, CF3, C2F5, C3F7, cycloalkyl, amino, etc.; R5 = H, etc; with provisos], were prepd. as potassium channel blockers (no data). Thus, 4,5-epoxy-7-nitro-2,3,4,5-tetrahydro-1-benzoxepin (prepn. given) and Me(Me3Si)NSO2Et (prepn. given) were treated with Bu4NF to give trans-7-nitro-5-(N-ethylsulfonyl-N-methylamino)-2,3,4,5-tetrahydro-1-benzoxepin-4-ol.

L5 ANSWER 63 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:509113 CAPLUS

DN 129:144857

TI Phalloidin derivatives and analogs to treat congestive heart failure or other cardiomyopathies

IN Boukatina, Anna E.; Campbell, Kenneth B.; Kunz, Lawrence L.; Kasina, Sudhakar; Theodore, Louis J.; Fritzberg, Alan R.

PA Washington State University Research Foundation, USA; Neorx Corp.

SO PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

LATIN.	CIVI																
	PATENT	NO.		KII	ND	DATE			A.	PPLI	CATI	N NC	0. :	DATE			
									-				<b>-</b> -				
PI	WO 9831	380		A.	1	1998	0723		W	0 19	98-U	S952		1998	0116		
	W:	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
		DK,	EE,	ES,	FΙ,	GB,	GE,	GH,	GM,	GW,	HU,	ID,	IL,	IS,	JP,	KE,	KG,
		KΡ,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,
		NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,
		UA,	UG,	UZ,	VN,	YU,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM	
	RW:	GH,	GM,	KΕ,	LS,	MW,	SD,	SZ,	UG,	ZW,	AT,	BE,	CH,	DE,	DK,	ES,	FI,
		FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,
		GA,	GN,	ML,	MR,	ΝE,	SN,	TD,	TG								
									U:	S 19:	97-3	5452	PΡ	1997	0116		
	AU 9860	300		A:	1	1998	0807		A	U 19	98-6	0300		1998	0116		
									U:	S 19	97-3	5452	PΡ	1997	0116		

Patel

WO 1998-US952 W 19980116

OS MARPAT 129:144857

AB A method to treat congestive heart failure with an analog or deriv. of phalloidin is provided. Also provided is a method to treat other cardiopathologies assocd. With reduced heart muscle contractile strength, as well as novel analogs or derivs. of phalloidin, pharmaceutical compns. comprising analogs or derivs. of phalloidin, and intermediates useful for prepg. analogs or derivs. of phalloidin.

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 64 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:455466 CAPLUS

DN 129:142535

TI Method for processing silver halide photographic material using a mercapto compound

IN Yoshida, Tetsuo; Watanabe, Harumi

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 41 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 10186598	A2	19980714	JP 1996-350838	19961227
				JP 1996-350838	19961227

OS MARPAT 129:142535

GI

Ρ

$$\begin{array}{c|c}
L^{3} \\
D \\
L^{1} \\
E \\
L^{2} \\
I
\end{array}$$

Claimed method for processing photog. materials having surface pH of .ltoreq.6.0 comprises exposure followed by the development in presence of a mercapto compd. I (D, E = CH:, CR0:, N; R0 = substituent; L1-3 = H, halo, a group linked to the 6-membered ring through C, N, O, S, or P atom; at least one of substituents is SM; M = H, alkali metal atom, ammonium). Preferably, the developer soln. does not contain hydroquinone and does contain a reductone selected from ascorbic acid and related compds. The processing method provides high speed and high contrast, and generates little sludge during processing. Thus, a Ag(Br, Cl) photog. film contg. cross-linked acrylic acid/epoxy methacrylate copolymer (pH-controlling compd.) in the surface layer was processed by a developer soln. contg. 2,4-dimercapto-4-(N-carboxymethyl-N-methyl-aminomethyl)pyrimidine.

L5 ANSWER 65 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:455465 CAPLUS

DN 129:142534

TI Method for processing silver halide photographic material using a developer containing a mercaptopyrimidine

IN Fukui, Kota; Sasaoka, Senzo; Yamada, Kosaburo

Patel

8/29/2003>

PA Fuji Photo Film Co., Ltd., Japan SO Jpn. Kokai Tokkyo Koho, 44 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	<del></del>				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 10186596	A2	19980714	JP 1996-340246	19961219
	US 5976758	Α	19991102	US 1997-995146	19971219
				JP 1996-340246	19961219

OS MARPAT 129:142534

GI

AΒ Claimed method for processing photog. material contg. a hydrazine deriv. in an emulsion layer or other hydrophilic colloid layer comprises imagewise exposure followed by development with a developer soln. of pH 9.0-10.5 contg. ascorbic acid, a 1-phenyl-3-pyrazolidone deriv. (auxiliary developing agent), a pyrimidine deriv. I (R1-4 = H, halo, a group linking with the pyrimidine nucleus through C, N, S, or P atom; at least one of R1-4 is mercapto group; R1 and R3 are not OH) and not contq. dihydroxybenzene. The process is free of dihydroxybenzene (hydroquinone) which is environmentally toxic, and provides high contrast images by a low pH and low replenishment process. Preferable nucleator is a polyiminothioether deriv. having dialkylamino group at both terminals. Preferable developer soln. has the pH of .ltoreq.11.0 with the replenishment rate of .ltoreq.180 mL/m2. It provides a black-and-white Ag image with extremely high contrast and good tonal reprodn. quality. Thus, a graphic arts film contg. an 1-(2-carboxyethylcarbonyl)-2-[4-[3-(hexylthioethylureido)phenylsulfoamino]phenyl]hydrazine and bis(piperidin-1-yl-ethoxyethyl)thioether was developed by a developer soln. contg. Na erythorbate, 1-phenyl-4-methyl-4-hydroxymethyl-3pyrazolidone and 2,6-dimercaptopyrimidine, and showed the mentioned advantages.

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L5 ANSWER 66 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1998:424140 CAPLUS

DN 129:100033

TI Pharmaceutical composition for oral administration

IN Takahashi, Masayuki; Morita, Hiromi; Kikuchi, Hiroshi

PA Daiichi Pharmaceutical Co., Ltd., Japan; Takahashi, Masayuki; Morita, Hiromi; Kikuchi, Hiroshi

SO PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

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A1 19980625 WO 1997-JP4650 19971217
     WO 9826803
ΡI
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,
              FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
              GA, GN, ML, MR, NE, SN, TD, TG
                                                JP 1996-339638 A 19961219
     AU 9877357
                               19980715
                                                AU 1998-77357 19971217
                         A1
     AU 719076
                         B2
                               20000504
                                                JP 1996-339638 A 19961219
                                                WO 1997-JP4650 W 19971217
     EP 953359
                         A1 19991103
                                                EP 1997-949114 19971217
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE. FI
                                                 JP 1996-339638 A 19961219
                                                WO 1997-JP4650 W 19971217
     CN 1240363
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                                                JP 1996-339638 A 19961219
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     JP 10231254
                         A2
                               19980902
                                                JP 1997-349161 19971218
                                                JP 1996-339638 A 19961219
     NO 9902999
                         Α
                               19990818
                                                NO 1999-2999 19990618
                                                JP 1996-339638 A 19961219
                                                WO 1997-JP4650 W 19971217
OS
     MARPAT 129:100033
AB
     The invention relates to a pharmaceutical compn. for oral administration
     comprising a basic medicine and a lipophilic material and/or a
     cyclodextrin compd. This compn. can improve peroral absorption of a basic
     medicine which is less likely to be absorbed by oral administration.
RE.CNT 2
               THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
L5
     ANSWER 67 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     1998:407864 CAPLUS
DN
     129:128919
TI
     Processing of silver halide photographic material for printing platemaking
IN
     Yoshida, Tetsuo; Watanabe, Harumi
     Fuji Photo Film Co., Ltd., Japan
PΑ
SO
     Jpn. Kokai Tokkyo Koho, 28 pp.
     CODEN: JKXXAF
DT
     Patent
LΑ
     Japanese
FAN.CNT 1
     PATENT NO.
                    KIND DATE
                                              APPLICATION NO. DATE
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PΙ
     JP 10171079 A2 19980626
                                                JP 1996-336133 19961216
                                                JP 1996-336133 19961216
OS
     MARPAT 129:128919
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Patel 8/29/2003>

GΙ

$$\mathbb{R}^3$$
  $\mathbb{R}^4$   $\mathbb$ 

AB The title material, possessing .gtoreq.1 Ag halide emulsion layer and .gtoreq.1 protective layer contg. gelatin at .ltoreq.1.5 g/cm2 on a reflective support, is processed with a developing soln. contg. a pyrimidine deriv. I [R1-4 = H, halo, substituent which links to the ring by C, N, O, S or P atom, R1 and R3 are not OH and .gtoreq.1 of R1-4 is SM (M = H, alkali metal, ammonium)]. The material shows high contrast and low residual color stain, and Ag sludge formation is suppressed even if the replenishment rate of the developing soln. is low.

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L5 ANSWER 68 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1998:333590 CAPLUS

DN 129:41380

TI Processes for the diastereoselective synthesis of nucleoside analogs

IN Mansour, Tarek; Tse, Allan H. L.

PA Biochem Pharma Inc., Can.

SO U.S., 13 pp., Cont.-in-part of U.S. Ser. No. 703,379, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 4

ΡI

1.(	CNT	4															
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	RU	2105009		C1	1	19980	0220		RU	J 19	93-5	8554		1992	0520		
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	JP 2001354667	A2	20011225	US 1991-703379 A 19910521
	US 5744596	A	19980428	JP 1992-129155 A319920521 US 1995-464960 19950605 US 1991-703379 B219910521 US 1994-142389 A319940513
	FI 9600286	A	19960119	FI 1996-286 19960119 US 1991-703379 A 19910521 WO 1992-CA211 W 19920520
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	ZA 9203041	Α.	19930224	US 1991-703379 A 19910521
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	CA 2069063	С	19970715	
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	NO 7201767	A	19921123	US 1991-703379 A 19910521
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				US 1991-703379 A 19910521
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	IL 101931	A1	19961205	IL 1992-101931 19920520 US 1991-703379 A 19910521
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	IL 116176	A1	19980208	IL 1992-116176 19920520

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	CA 2069063	AA	19921122		991-703379 . 992-2069063		
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CZ	285220	В6	19990616		1993-2492		19920520
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CN	1038591	В	19980603		1001 702270	70	10010501
.TD	05186465	A2	19930727		1991-703379 1992-129155	А	
	3229013	B2	20011112	ŲΡ	1992-129155		19920521
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JΡ	05186463	A2	19930727		1992-129163		19920521
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JP	2001354667	A2	20011225		2001-136217		19920521
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CN	1050603	В	20000322		1001 503350	7	10010505
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				FI 1993-5151 A 19931119
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	CDI 10000E0	-	1000000	US 1991-703379 A 19910521
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	CN 1097049	₿	20021225	HC 1001 702270 A 10010F21
וא אם	1998:8173			US 1991-703379 A 19910521
FAN			DATE	APPLICATION NO. DATE
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				WO 1992-CA211 W 19920520
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				CH, CS, DE, DK, ES, FI, GB, HU, JP, KP,
				NL, NO, PL, RO, RU, SD, SE, US
				CH, CI, CM, DE, DK, ES, FR, GA, GB, GN,
				NL, SE, SN, TD, TG
	OR, 11,	шо, мс	, ны, нк, і	US 1991-703379 A219910521
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				US 1991-703379 A 19910521
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				US 1991-703379 A 19910521
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				US 1991-703379 B219910521
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OS CASREACT 129:41380; MARPAT 129:41380 GI

R<sup>1</sup>OCH<sub>2</sub> W R<sup>2</sup>

$$R^{1OCH_2}$$
  $R^2$   $X-Y$ 

AB The present invention relates to highly diastereoselective processes for prodn. of cis-nucleosides and nucleoside analogs I (R1 = H, acyl; R2 = nucleobase, W = S, SO, SO2O, NR, CH2; R = H, OH, alkyl, acyl; X = O, S, SO, SO2O, NR, CH2, CH, CHN3, CHOH; Y = O, S, CH2, CH, CHF, CHOH; Z = H, OH, alkyl, acyl) in high optical purity, and intermediates useful in those processes. Thus, asym. prepn. of .beta.-L-2',3'-dideoxycytidine from 5-oxo-2R-tetrahydrofurancarboxylic acid via coupling with N4-acetylcytosine, is reported.

RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 69 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:246683 CAPLUS

DN 128:283084

TI Preparation of piperidine-keto-carboxylic acid derivatives and their use as inhibitors of cysteine proteases

IN Lubisch, Wilfried; Moeller, Achim; Delzer, Juergen

PA BASF A.-G., Germany

SO Ger. Offen., 16 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

FAN.	CMT	Τ																	
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	WO	9816	512		A	1	1998	0423		W	0 19:	97-E	P520	2	1997	0923			
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			DE 1996-19642591A 19961015
US 6380220	В1	20020430	US 1999-284543 19990415
			DE 1996-19642591A 19961015
			WO 1997-EP5202 W 19970923

OS MARPAT 128:283084 GI

Title compds. [(I); R = COR3; SO2R3; CONHR3; COOR3; C(:N)R3; CONHR3; CSNHR3; R3 = (un)branched (un)substituted alkyl; R1 = (un)branched alkyl, which can be substituted with (un)substituted Ph, pyridine, or naphthyl rings; R2 = OR5; NHR5; R5 = H, (un)substituted Ph] are prepd. for use as inhibitors of cysteine proteases such as calpain and cathepsins B and L (no data). Thus, piperidin-4-carboxylic acid is treated with cinnamic acid chloride, the product treated with L-valine Me ester hydrochloride; after de-esterification, the intermediate is condensed with oxalic acid Et ester chloride to yield I (R = (E)-PhCH:CHCO; R1 = CH(CH3)2; R2 = OEt ) (36%).

- L5 ANSWER 70 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1998:208540 CAPLUS
- DN 128:257333
- TI Preparation of heterocyclic compounds as new antidotes in herbicidal compositions
- IN Tobler, Hans; Szczepanski, Henry; Fory, Werner
- PA Novartis A.-G., Switz.; Tobler, Hans; Szczepanski, Henry; Fory, Werner
- SO PCT Int. Appl., 82 pp.
  - CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

	PATENT NO.	KIND DATE	APPLICATION NO. DATE	DATE			
ΡΙ	W: AL, AM, DK, EE, KZ, LC, PL, PT, US, UZ, RW: GH, KE, GB, GR,	AT, AU, AZ, BA ES, FI, GB, GI LK, LR, LS, LT RO, RU, SD, SI VN, YU, ZW, AN LS, MW, SD, SZ	02 WO 1997-EP5252 19970924 A, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE E, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR T, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ E, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG M, AZ, BY, KG, KZ, MD, RU, TJ, TM Z, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR CC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA D, TG	2, 2, 3,			
	AU 9747780  EP 929543 EP 929543	Al 1999072 Bl 2001103	CH 1996-2359 A 19960926 WO 1997-EP5252 W 19970924 21 EP 1997-910351 19970924				
	R: DE, FR, ZA 9708579 US 6294504	A 1998032	CH 1996-2359 A 19960926 WO 1997-EP5252 W 19970924 26 ZA 1997-8579 19970925 CH 1996-2359 A 19960926 25 US 1999-269453 19990624 CH 1996-2359 A 19960926 WO 1997-EP5252 W 19970924				
OS GI	MARPAT 128:2573	33	2557 213232 11 25570521				

The title compds. [I; R1 = H, C1-4 alkyl, NO2, etc.; R2 = H, halo, CF3, AB etc.; R3 = H, halo, C1-4 alkyl; U, V, W and Z = O, S, C(O), etc., with the proviso that at least one of U, V, W or Z = C(0), and one ring member which is adjacent to this or these ring members signifies the group C:CHOC(R4)(R5)C(O)A; and two adjacent ring members U and V, V and W, and W and Z can not simultaneously signify O; R4, R5 = H, C1-8 alkyl; R4R5 = C2-6 alkylene; A = YR7, NR18R19; Y = O, S; R7 = H, C1-8 alkyl, C1-8-haloalkyl, etc.; R18 = H, C1-8 alkyl, Ph, etc.; R19 = H, C1-8 alkyl, C3-6 alkenyl, C3-6 alkynyl; R18R19 = C4-5 alkylene; m = 0-2], useful as antidotes in herbicidal compns. for the control of weeds and grasses in useful plant cultivations, as well as compns. having selective herbicide activity, which contain the compd. I, and as herbicides the compds. of formulas II-VII (wherein W0, R21, Z0, B, n, R22-R24, E, R31-R35, A1, B1, A2, B2, R36, G, R48 and R49 have the significances given in the description), were prepd. Treatment of 3H-2-benzopyran-3-one-1,4-dihydro-4-hydroxymethylene with NaH in DMF followed by addn. of bromoacetic acid Me ester afforded compd. I [R1-R3 = H; U = CH2; V = O; m = 1; W = C(O); Z= C:CHOCH2CO2Me] which showed post-emergent phytotoxic activity of 6 in a nine-stage appraisal scale (1 = complete damage, 9 = no effect) when used as antidote at 250 g/ha in mixt. with clodinafop (5 g/ha) on maize.

RE CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 71 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN AN 1997:761738 CAPLUS

<sup>\*</sup> STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

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DN
    128:48245
ΤI
    Preparation of benzamidine derivatives as anticoagulants
IN
    Buckman, Brad O.; Davey, David D.; Guilford, William J.; Morrissey,
    Michael M.; Ng, Howard P.; Phillips, Gary B.; Wu, Shung C.; Xu, Wei
    Berlex Laboratories, Inc., USA
PΑ
SO
    U.S., 46 pp., Cont.-in-part of U.S. Ser. No. 401,829, abandoned.
    CODEN: USXXAM
    Patent
DT
LΑ
    English
FAN.CNT 2
    PATENT NO. KIND DATE
                                       APPLICATION NO. DATE
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PΤ
    US 5691364
                   A 19971125
                                        US 1995-473385 19950607
                                        US 1995-401829 B219950310
    CA 2214685
               AA 19960919
                                        CA 1996-2214685 19960308
                                        US 1995-401829 A 19950310
                                        US 1995-473385 A 19950607
    WO 9628427
                    A1 19960919
                                        WO 1996-US2641 19960308
        W: AU, CA, JP, US
        RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
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                                        US 1995-473385 A219950607
    AU 9652994 A1 19961002
AU 707323 B2 19990708
                                        AU 1996-52994 19960308
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                                        US 1995-473385 A 19950607
                                        WO 1996-US2641 W 19960308
    EP 813525 A1 19971229
                                        EP 1996-909536 19960308
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, FI
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                    A 19990316
                                        US 1997-910614
                                                       19970813
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                                        US 1997-910609 19970813
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                                        US 1995-473385 A319950607
    US 6306884
                    B1 20011023
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                                        US 1995-401829 B219950310
                                        US 1995-473385 A219950607
                                        WO 1996-US2641 W 19960308
                                        US 1997-913241 A319971208
    US 6350746 B1
                          20020226
                                        US 1999-457457 19991208
                                        US 1995-401829 B219950310
                                        US 1995-473385 A319950607
                                        US 1997-910609 A319970813
PATENT FAMILY INFORMATION:
FAN 1996:701501
    PATENT NO.
                   KIND DATE
                                        APPLICATION NO. DATE
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ΡI	WO 9628427		19960919	WO 1996-US2641 19960308
	W: AU, CA,			
	RW: AT, BE,	CH, DE,	DK, ES, F	FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
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				US 1995-473385 A219950607
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				US 1995-401829 B219950310
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	AU 707323		19990708	
				US 1995-401829 A 19950310
				US 1995-473385 A 19950607
				WO 1996-US2641 W 19960308
	EP 813525	A1	19971229	EP 1996-909536 19960308
				FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
	IE, FI	J., J.,	211, 22, 1	, es, ex, 11, 21, 20, 112, 62, 116, 11,
				US 1995-401829 A 19950310
				US 1995-473385 A 19950607
				WO 1996-US2641 W 19960308
	JP 2000515846	T2	20001128	JP 1996-527640 19960308
				US 1995-401829 A 19950310
				WO 1996-US2641 W 19960308
	US 6004981	A	19991221	US 1997-913241 19971208
				WO 1996-US2641 W 19960308
	US 6306884	B1	20011023	US 1999-436399 19991108
				US 1995-401829 B219950310
				US 1995-473385 A219950607
				WO 1996-US2641 W 19960308
				US 1997-913241 A319971208
	US 2002028820	A1	20020307	US 2001-924893 20010807
				WO 1996-US2641 W 19960308
				US 1997-913241 A319971208
				US 1999-436399 A319991108
	US 2002035109	A1	20020321	US 2001-924413 20010807
	US 6479485	B2	20021112	
				WO 1996-US2641 W 19960308
				US 1997-913241 A319971208
				US 1999-436399 A319991108
	US 2002032223	A1	20020314	US 2001-924412 20010808
	US 6465459		20020314	00 2001 )24412 20010000
	33 0103437	22	20021013	WO 1996-US2641 W 19960308
				US 1997-913241 A319971208
				US 1997-913241 A319971208 US 1999-436399 A319991108
os	MARPAT 128:48245	;		OS 1977-430377 A317771100
GI	PERCENT 120.40243	,		
01				

$$R^{5}$$
 $R^{4}$ 
 $R^{1}$ 
 $R^{7}$ 
 $R^{7}$ 
 $R^{3}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{1}$ 
 $R^{2}$ 

AB The title compds. [I-III; A = N; Z1, Z2 = O, S; R1, R3 = H, halo, alkyl, haloalkyl, etc.; R2 = H, halo, alkyl, haloalkyl, etc.; R4, R7 = H, halo, alkyl, NO2, etc.; R5 = C(:NH)NH2, C(:NH)NHOR8, etc.; R6 = (un)substituted (1,2)-imidazolyl or (1,2)-imidazolinyl; R8 = H, alkyl, aryl, etc.] are prepd. I-III are useful as anticoagulants for treatment of disease-states characterized by thrombotic activity. Thus, 3,3'-[2,6-pyridinylbis(oxy)]bis(benzonitrile) (prepn. given) was treated with HCl to give the title compd. 3,3'-[2,6-pyridinylbis(oxy)]bis(benzamidine).2HCl. A formulation contg. I-III were prepd.

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L5 ANSWER 72 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1997:752814 CAPLUS

DN 128:19713

TI Synergistic antimicrobial enzymic peroxidase compositions

IN Johansen, Charlotte

PA Novo Nordisk A/s, Den.; Johansen, Charlotte

SO PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE ----------PΙ WO 9742825 A119971120 WO 1997-DK205 19970506 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,

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GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,
        ML, MR, NE, SN, TD, TG
                                      DK 1996-559
                                                     A 19960509
                                      DK 1996-785
                                                     A 19960715
AU 9726933
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                       19971205
                                      AU 1997-26933
                                                        19970506
                                      DK 1996-559
                                                     A 19960509
                                                     A 19960715
                                      DK 1996-785
                                      WO 1997-DK205 W 19970506
EP 912097
                  A1
                       19990506
                                      EP 1997-920611
                                                       19970506
EP 912097
                  В1
                       20020807
    R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI
                                      DK 1996-559
                                                    A 19960509
                                      DK 1996-785
                                                     A 19960715
                                      WO 1997-DK205 W 19970506
JP 2000512267
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                                      DK 1996-559
                                                     A 19960509
                                      DK 1996-785
                                                     A 19960715
                                      WO 1997-DK205 W 19970506
AT 221729
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                                                     A 19960509
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US 2002119136
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                                      US 2001-815848
                                                        20010323
                                      DK 1996-559
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                                      DK 1996-785
                                                      A 19960715
                                      WO 1997-DK205 A119970506
                                      US 1998-174956 B319981019
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OS MARPAT 128:19713

AB Enzymic compns. comprising a Coprinus peroxidase, hydrogen peroxide or a source of hydrogen peroxide, and an enhancing agent such as an electron donor, e.g. phenothiazine-10-propionic acid; 2,2'-azino-bis(3-ethylbenzothiazoline-6-sulfonate); acetosyringate; C1-8-alkylsyringate; or a water-sol. halide or thiocyanate salt, such as potassium iodide, have synergistic antimicrobial properties, useful e.g. for inhibiting or killing microorganisms present in laundry, on human or animal skin, hair, mucous membranes, oral cavities, teeth, wounds, bruises; and on hard surfaces; and can be used as a disinfectant, a preservative for cosmetics, and for cleaning, disinfecting or inhibiting microbial growth on process equipment, used for e.g. water treatment, food processing, chem. or pharmaceutical processing, paper pulp processing, and water sanitation. A recombinantly-produced peroxidase from C. macrorrhizus or C. cinereus is esp. useful. The DNA sequence for this peroxidase, is given.

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L5 ANSWER 73 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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CODEN: EPXXDW

DT Patent

LA French

FAN.CNT 1

PATENT NO. KIND DATE

APPLICATION NO. DATE

AN 1997:720114 CAPLUS

DN 128:13253

TI Fused pyridine N-hydroxy carboxamide derivatives and analogs as inhibitors of metalloproteases, process for their preparation, and pharmaceutical compositions containing them

IN De Nanteuil, Guillaume; Paladino, Joseph; Remond, Georges; Atassi, Ghanem; Pierre, Alain; Tucker, Gordon; Bonnet, Jacqueline; Sabatini, Massimo

PA Adir Et Compagnie, Fr.

SO Eur. Pat. Appl., 31 pp.

		<b>-</b> -										- <b>-</b>							
PΙ	EΡ	8035	05		A.	1	1997	1029		EΡ	199	97-4	0091	3	19970	)423			
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, G	GR,	IT,	LI,	LU,	NL,	SE,	PT,	ΙE,	FI
										FR	199	96-5	321	Α	19960	0426			
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	FR	2748	026		B	1	1998	0605											
	NO	9701	.862		Α		1997	1027		NO	199	97-1	862		19970	0423			
										FR	199	96-5	321	Α	19960	0426			
	CA	2203	618		A	Ą	1997	1026		CA	199	97-2	2036	18	19970	)424			
	CA	2203	618		C		2002	0528											
										FR	199	96-5	321	Α	19960	0426			
	AU	9719	121		A.	1	1997	1030		AU	199	97-1	9121		19970	0424			
	ΑU	7136	088		B	2	1999	1209											
										FR	199	96-5	321	Α	19960	0426			
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										FR	199	96-5	321	Α	19960	0426			
	CN	1165	817		Α		1997	1126		CN	199	97-1	0972	8	19970	0425			
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	JΡ	1005	9936		A:	2	1998	0303		JР	199	97-1	0895	4	19970	0425			
										FR	199	96-5	321	Α	19960	0426			
	US	5866	587		Α		1999	0202		US	199	97-8	4298	2	19970	0425			
										FR	199	96'-5	321	Α	19960	0426			
OC	C7.	מספים כי	יחיי	. 125	153	MAT	שעת	100	1225	2									

OS CASREACT 128:13253; MARPAT 128:13253

GI

$$R^3$$
 $R^4$ 
 $R^1$ 
 $R^2$ 
 $R^2$ 
 $R^3$ 
 $R^5$ 
 $R^5$ 
 $R^1$ 
 $R^2$ 
 $R^5$ 
 $R^5$ 
 $R^6$ 
 $R^6$ 

AB Title compds. I are disclosed [wherein m, n = 0, 1, 2; R1, R2 = H, alkyl, aralkyl, aryl; or R1R2 = O, alkylene; R3 = H, alkyl, OH, alkoxy, or aryl; R4 = CONR6OR6', CSNR6OR6', C(:NH)NR6OR6', CO2R7, NHCONHOH, NHCH2CO2R7, CH(NHR7')CO2R7, CH(CO2R7)2; X = SO2, CO, SO2NH; R5 = alkyl (optionally bearing halo, OH, alkoxy, aryl, or CO2R7), cycloalkyl, aryl, or heterocyclyl; R6, R6' = H or alkyl; R7, R7' = H, alkyl, aralkyl; A = fused arom. (with provisos) or heterocyclic ring]. I are metalloprotease inhibitors, potentially useful for treatment of cancer, rheumatoid arthritis, atherosclerosis, etc. Examples include 30 syntheses of I, 19 prophetic compds., 4 biol. screens for selected compds., and a formulation. For instance, (R)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine-6-carboxylic acid hydrochloride underwent a sequence of N-sulfonylation with 4-MeOC6H4SO2Cl, amidation with H2NOCH2CH:CH2.HCl, and Pd-mediated deallylation, to give preferred title compd. II. In tests for protection of guinea pig cartilaginous matrix against IL-1.beta.-induced degrdn., II gave 98% protection of collagens and 45% protection of proteoglycans.

L5 ANSWER 74 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:699013 CAPLUS

DN 128:28562

TI Developer and method for processing of silver halide photographic material

IN Watanabe, Harumi; Sasaki, Hirotomo

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 40 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI JP 09274290 A2 19971021 JP 1996-325522 19961205

JP 1996-21280 19960207

OS MARPAT 128:28562

GΙ

$$R^1$$
 $R^2$ 
 $R^4$ 
 $R^3$ 
 $R^3$ 

AB The title developer soln. contains 0.3-1.5 mol/L a carbonate as main developer and .gtoreq.1 I (R1-4 = substituent; at least 1 of R1-R4 is mercapto group) preferably 0.01-10 mmol/L. The invention can reduce Ag pollution without affecting photog. properties.

L5 ANSWER 75 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:549379 CAPLUS

DN 127:162011

TI Preparation of heterocycle-condensed morphinoid derivatives for use as analgesics

IN Dondio, Giulio; Ronzoni, Silvano; Gatti, Pier Andrea; Graziani, Davide

PA Smithkline Beecham S.P.A., Italy; Dondio, Giulio; Ronzoni, Silvano; Gatti, Pier Andrea; Graziani, Davide

SO PCT Int. Appl., 49 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE ---------PΙ WO 9725331 A1 19970717 WO 1997-EP120 19970108 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

IT 1996-MI29 A 19960110

C	A 2242609	AA	19970717		CA IT	1996-MI 1997-22 1996-MI 1996-MI	4260 29	9 A	199701 199601	108 110		
A	U 9714410	A1	19970801			1997-14						
	บ 706370	B2	19990617									
					ΙT	1996-MI	29	Α	19960	110		
					ΙT	1996-MI	2291	Α	199613	105		
					WO	1997-EF	120	W	19970	108		
Ē	P 880526	A1	19981202		ΕP	1997-90	1009		19970	108		
E	P 880526		20021218									
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	IE, SI, F	I, RO										
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В	R 9707136	A	19990831			1997-71			19970			
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	<b>-</b> 206221	_				1997-EF						
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						1997-EF						
E	S 2188888	Т3	20030701			1997-90			19970			
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Z	A 9700172	A	19980709			1997-17			19970			
					ΙT	1996-MI	29	Α				
N	O 9803169	A	19980909			1998-31			19980			
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					IT	1996-MI	2291	Α	19961	105		
					WO	1997-EF	2120	W	19970	108		
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					ΙT	1996-MI	2291					
					WO	1997-E	2120	W	19970	108		
OS M GI	ARPAT 127:162011											

AB Substituted mono heterocycle-condensed morphinoid derivs. I [R1 = H, alkyl, cycloalkyl, alkenyl, aryl, aralkyl; R2 = H, OH, alkoxy, halogen, NO2, amino, SH; R3 = H, alkyl, OH, alkoxy, halogen; R4 = R5 = H, OH, alkoxy, OPh; or R4R5 = O; R6 = carboxamide, acyl, thioacyl, carboxyl; R7 = H, alkyl, alkenyl, halogen; R8 = H, alkyl; X = Y = CH, O, S, NR1; n = 0, 1], potent and selective delta opioid agonists and antagonists, were prepd for use as analgesics and for treating pathol. conditions which, customarily, can be treated with agonists and antagonists of the delta opioid receptor. Thus, morphinoid II [R6 = CON(CHMe2)CH2Ph] was prepd. by cyclization of 7,8-dihydrocodeinone and N-benzyl-N-isopropyl-2-phenylhydrazone. The morphinoid compds. showed affinities for the delta receptor ranging from 0.5 to 200 nM with delta selectivity ranging from 20 - 1500 times with respect to other opioid receptor types.

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L5 ANSWER 76 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1997:499188 CAPLUS

DN 127:161844

TI Preparation of pyrido-1,2,4-thiadiazines and pyrido-1,4-thiazines as openers of the KATP-regulated potassium channels

IN Pirotte, Bernard; Lebrun, Philippe; De Tullio, Pascal; Somers, Fabian; Delarge, Jacques Elie; Hansen, Holger Claus; Nielsen, Flemming Elmelund; Hansen, John Bondo

PA Novo Nordisk A/S, Den.

SO PCT Int. Appl., 46 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.									_		~		_				
	PATENT	NO.		KII	. עוג	DATE			A	PPLI	CATI	ON NO	٥.	DATE			
ΡI	WO 9726	264	<b>-</b>		 1	1997	0724		- TAT/			 v10		1007	0116		
11																	
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		DK,	EE,	ES,	FΙ,	GB,	GE,	HU,	ΙL,	IS,	JP,	KΕ,	KG,	KΡ,	KR,	ΚZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	TJ,	TM,	TR,	TT,	UA,	UG,	UZ,	VN,	AM,
						MD,									•	•	•
	RW:	KE,	LS,	MW,	SD,	SZ,	UG,	AT,	ΒE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,
		ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,
				SN,												·	•
									D:	K 19	96-43	2	Α	1996	0117		
									D:	K 19	96-2	46	Α	1996	0305		
									D:	K 19:	96-2	47	Α	1996	0305		
									D)	K 19	96-2	48	Α	1996	0305		
									D)	K 19:	96-2	49	Α	1996	0305		
	CA 2241	565		A	A	1997	0724		C	A 19	97-2	2415	65	1997	0116		
									D)	K 19	96-43	2	Α	1996	0117		

	9714370 727905	A1 B2	19970811 20010104		DK 1996-246 DK 1996-247 DK 1996-248 DK 1996-249 AU 1997-14370	A A	19960305 19960305		
					DK 1996-246	A A A	19960305 19960305 19960305		
ZA	9700353	A	19980218		ZA 1997-353 DK 1996-42		19970116		
EP	877748	A1	19981118		EP 1997-900933		19970116		
	R: AT, BE, IE, SI,			FR,	GB, GR, IT, LI, I			MC,	PT,
					DK 1996-246 DK 1996-247 DK 1996-248 DK 1996-249	A A A	19960117 19960305 19960305 19960305 19960305		
CN	1208418	A	19990217		DK 1996-246 DK 1996-247	A A A	19970116 19970116 19960117 19960305 19960305		
BR	9707004	A	19990720		DK 1996-248 DK 1996-249 BR 1997-7004 DK 1996-42 DK 1996-246 DK 1996-247	A A A	19960305 19960305 19970116 19960117 19960305 19960305		
JP	2000503651	T2	20000328		DK 1996-248 DK 1996-249 WO 1997-DK18 JP 1997-525608 DK 1996-42 DK 1996-246 DK 1996-247	A W A A	19960305 19960305 19970116 19970116 19960117 19960305 19960305		
RU	2193564	C2	20021127		DK 1996-248 DK 1996-249 WO 1997-DK18 RU 1998-115386 DK 1996-42 DK 1996-246 DK 1996-247	A W A A	19960305 19960305 19970116 19970116 19960117 19960305 19960305		
US	5792764	A	19980811		DK 1996-248 DK 1996-249 WO 1997-DK18 US 1997-785435 DK 1996-42 DK 1996-246 DK 1996-247 DK 1996-248	A W A A	19960305 19960305 19970116 19970117 19960117 19960305 19960305		
МО	9803285	A	19980916		DK 1996-249 NO 1998-3285 DK 1996-42	Α	19960305 19980716 19960117		

DK 1996-246 A 19960305 DK 1996-247 A 19960305 DK 1996-248 A 19960305 DK 1996-249 A 19960305 WO 1997-DK18 W 19970116

OS MARPAT 127:161844

GI

The title compds. [I; B = NR5, CR5R6 (wherein R5, R6 = H, OH, C1-6 alkoxy, etc.; R5R4 = a bond); D = S(O2), S(O); DB = S(O)(R10):N (wherein R10 = C1-6 alkyl, (un)substituted aryl, heteroaryl); R1 = H, OH, C1-6 alkoxy, etc.; R2 = H, OH, C1-6 alkyl, etc.; R3 = aryl, heteroaryl, bicycloalkyl, etc.; R2R3 = 3-12 membered mono- or bicyclic system; A together with carbon atoms forms a pyridine ring selected from II, III, IV, V (wherein R7-R9 = H, halo, C1-12 alkyl, etc.)], useful in the treatment of diseases of the central nervous system, the cardiovascular system, pulmonary system, the gastrointestinal system and the endocrinol. system (such as hyperinsulinemia and diabetes), were prepd. and formulated. Thus, reaction of 3-methylsulfanyl-4H-pyrido[4,3-e]-1,2,4-thiadiazine 1,1-dioxide.H2O with N2H4.H2O afforded the title compd. VI which showed 75% residual insulin released from incubated pancreatic islets isolated by the collagenase method from fed female albino Wistar rats at 50 .mu.M.

- L5 ANSWER 77 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1997:397336 CAPLUS
- DN 127:17703
- TI Preparation of (hetero)aromatic compounds for treating bone deficit conditions.
- IN Petrie, Charles; Orme, Mark W.; Baindur, Nand; Robbins, Kirk G.; Harris, Scott M.; Kontoyianni, Maria; Hurley, Laurence H.; Kerwin, Sean M.; Mundy, Gregory R.
- PA Zymogenetics, Inc., USA; Osteoscreen, Inc.; University of Texas At Austin
- SO PCT Int. Appl., 99 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE
PI WO 9715308 A1 19970501 WO 1996-US17019 19961023

Page 107

	W :	IS,	JP,	KG,	ΚP,	KR,	LC,	LK,	LR,	LT,	LV,	MD,	MG,	FI, MK,	MN,	MX,	NO,
			PL, RU,			SI,	SK,	TR,	TT,	UA,	UZ,	VN,	AM,	AZ,	BY,	KG,	KZ,
	RW:	KΕ,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,
			NE,				ΡΙ,	SE,	Br,	во,	CF,	CG,	CI,	CM,	GA,	GN,	ML,
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CA	22354	481		A	A	1997	0501										
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	9674			A:	1	1997			ΙA	J 19	96-74	4710		1996	1023		
AU	70626	52		B:	2	1999	0610						_				
														1995			
מים	8667	10		70 .	1	1000	0000							1996			
EF														1996: NL,		MC	חשת
	κ.	IE,		Cn,	DE,	DK,	بر دی	rk,	GD,	GR,	11,	ш,	ъυ,	иL,	SE,	MC,	PI,
		,							U.S	3 19	95-51	330P	Þ	1995	1023		
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CN	12013	393		Α		1998:	1209							1996			
														1995			
BR	96112	210		Α		1999:	1228		BI	R 19	96-1	1210		1996	1023		
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				_										1996			
US	60082	208		A		1999:	1228							1997			
														1995			
NO	98018	210		A		1000	2022							1996			
NO	20010	310		Ą		1998	1622		M	J 19:	0E E	310	ъ	1998	1000		
														1995: 1996:			
US	64139	998		В:	1 .	20020	7702							1999			
0.5	0115.	,,,		٠.	<u>.</u>	20021	3702							1995			
														1996			
														1997			
MAF	RPAT :	127:	17703	3							_						

AB A method for treating deficient bone growth and/or undesirable bone resorption comprises administration of compds. comprising 2 (substituted) arom. systems spaced apart by a linker of 1.5-15 .ANG., is claimed. Thus, dithizone was refluxed in EtOH/HOAc for 18 h to give 25% title compd. (I). In a calvarial bone growth assay, I induced a 4-fold increase in width of new calvarial bone vs. controls.

L5 ANSWER 78 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN AN 1997:375288 CAPLUS

Patel

OS

GΙ

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DN
    127:81360
ΤI
    Preparation of dibenz[de,h]isoquinoline-1,3-diones antitumor agents
    Alberts, David S.; Dorr, Robert T.; Remers, William A.; Sami, Salah M.
ΙN
    Research Corporation Technologies, Inc., USA
PA
    U.S., 39 pp., Cont.-in-part of U.S. Ser. No. 943,634, abandoned.
SO
    CODEN: USXXAM
DT
    Patent
LΑ
    English
FAN.CNT 3
                  KIND DATE
    PATENT NO.
                                       APPLICATION NO. DATE
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    US 5635506 A
PΙ
                         19970603
                                       US 1993-142283 19931118
                                       US 1990-543596 B119900626
                                       US 1991-803314 B219911204
                                       US 1992-943634 B219920911
                                       WO 1993-US8640 W 19930913
                                       WO 1993-US8640 19930913
    WO 9406771
                   A1
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        W: AU, CA, JP, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                                       US 1992-943634 A219920911
PATENT FAMILY INFORMATION:
FAN 1992:214369
    PATENT NO.
                KIND DATE
                                       APPLICATION NO. DATE
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    WO 9200281
                         19920109
PΤ
                   A1
                                       WO 1991-US4364 19910619
        W: AU, CA, JP
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE
                                       US 1990-543596 A 19900626
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                         19911227
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                                       US 1990-543596 A 19900626
    AU 9180501
                   A1 19920123
                                       AU 1991-80501 19910619
    AU 643539
                   B2 19931118
                                       US 1990-543596 A 19900626
                                       WO 1991-US4364 A 19910619
    EP 536208 A1 19930414
                                       EP 1991-911663 19910619
    EP 536208
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    JP 05508639
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                                       JP 1991-511780 19910619
    JP 2992769
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                         19991220
                                       US 1990-543596 A 19900626
                                       WO 1991-US4364 W 19910619
    AT 162526
                   E
                         19980215
                                       AT 1991-911663 19910619
                                       US 1990-543596 A 19900626
    ES 2113886
                   T3 19980516
                                       ES 1991-911663 19910619
                                       US 1990-543596 A 19900626
FAN
   1995:319736
    PATENT NO.
                 KIND DATE
                                      APPLICATION NO. DATE
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PΤ
    WO 9406771
                   A1
                         19940331
                                      WO 1993-US8640 19930913
        W: AU, CA, JP, US
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                                       US 1992-943634 A219920911
    AU 9351278 A1 19940412
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                                       US 1992-943634 A 19920911
                                       WO 1993-US8640 W 19930913
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EP 660824
                       19950705
                                      EP 1993-922191 19930913
                 A1
    R: AT, BE, CH, DE, DK, ES, FR, GB, IE, IT, LI, NL, SE
                                      US 1992-943634 A 19920911
                                      WO 1993-US8640 W 19930913
JP 08501312
                  T2
                       19960213
                                      JP 1993-508237
                                                       19930913
                                      US 1992-943634 A 19920911
                                      WO 1993-US8640 W 19930913
US 5635506
                  Α
                       19970603
                                      US 1993-142283
                                                       19931118
                                      US 1990-543596 B119900626
                                      US 1991-803314 B219911204
                                      US 1992-943634 B219920911
                                      WO 1993-US8640 W 19930913
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OS MARPAT 127:81360 GI

AB Title compds. [I; R = Z1Z1NR12R13; R6,R8,R10 = H, halo, alkyl, alkoxy, etc.; R7,R9,R11 = H or alkyl; R9R11,R9R10,R7R10 = CH:CHCH:CH; R12,R13 = H or (un)substituted Ph; NR12R13 = heterocyclyl; Z1 = bond, alkylene, arylene; Z2 = bond; Z2R12 = atoms to form a heterocyclic ring] were prepd. Thus, anthracene-1,9-dicarboxylic acid was treated with acetic anhydride and the product cyclocondensed with H2NCH2CH2NMe2 to give I (R = CH2CH2NMe2, R6-R11 = H). Data for biol. activity of I were given.

L5 ANSWER 79 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

Ι

AN 1997:278986 CAPLUS

DN 126:251151

TI Preparation and formulation of benzodioxoleacetic acid and phenylacetic acid derivatives as endothelin antagonists

IN Hayashi, Kunio; Yamamori, Teruo; Kanda, Yasuhiko

PA Shionogi and Co., Ltd., Japan; Hayashi, Kunio; Yamamori, Teruo; Kanda, Yasuhiko

SO PCT Int. Appl., 104 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

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PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 9710214 A1 19970320 WO 1996-JP2607 19960912

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI

JP 1995-262337 19950914 AU 9669446 A1 19970401 AU 1996-69446 19960912

JP 1995-262337 19950914 WO 1996-JP2607 19960912

OS MARPAT 126:251151

GI

$$R^{5}$$
 $R^{6}$ 
 $R^{7}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{4}$ 
 $R^{1}$ 

AB The title compds. I [R1 to R7 represent each hydrogen, halogeno, optionally substituted lower alkyl, etc.; and X represents O, S or NR15; R15 represents hydrogen or optionally substituted lower alkyl; Y = OH, NHSO2Z; Z = (un)substituted aryl, etc.] are prepd. In the in vitro test for endothelin A receptor antagonism, the title compd. II showed IC50 of 2.4 nM. In the test for endothelin B receptor antagonism, the title compd. II showed IC50 of 290 nM.

ΙΙ

Ι

L5 ANSWER 80 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:94070 CAPLUS

DN 126:103115

TI Peptide analogs and their use as haptens to elicit catalytic antibodies

IN Hansen, David E.

PA Igen, Inc., USA

SO PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE

APPLICATION NO. DATE

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PΙ
     WO 9639443
                       A1 19961212
                                             WO 1996-US9450 19960605
         W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD,
              SE, SG
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
              IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN
                                              US 1995-471140 19950606
     AU 9661001
                        Α1
                              19961224
                                              AU 1996-61001
                                                                 19960605
                                              US 1995-471140
                                                                 19950606
                                              WO 1996-US9450
                                                                 19960605
OS
     MARPAT 126:103115
     Haptens capable of eliciting antibodies which can catalyze chem. reactions
AΒ
     comprise a hapten or a hapten and a suitable carrier mol. In particular,
     spiro[4.4] nonane contg. dipeptide analogs, which mimic both a
     torsionally-distorted peptide ground state and the transition state for
     peptide bondhydrolysis, are described, along with methods of their
     synthesis and their coupling with amino acids of the D-configuration are
     described. Antibodies which are catalytically active for chem. reactions,
     in particular, the cleavage or formation of a selected peptide bond, and
     which are elicited by such antigens are disclosed as well as methods for
     producing the antibodies and methods for catalyzing the cleavage or
     formation of a peptide bond in a mol.
     ANSWER 81 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
L5
ΑN
     1997:41865 CAPLUS
DN
     126:59967
     Preparation of 2-pyrimidino alkyl ethers and thioethers as inhibitors of
ΤI
     viral reverse transcriptase
ΙN
     Nugent, Richard A.; Wishka, Donn G.; Cleek, Gary J.; Graber, David R.;
     Schlachter, Stephen Thomas; Murphy, Michael J.; Morris, Joel; Thomas,
     Richard C.
PA
     Upjohn Co., USA; Nugent, Richard A.; Wishka, Donn G.; Cleek, Gary J.;
     Graber, David R.; Schlachter, Stephen Thomas; Murphy, Michael J.; Morris,
     Joel; Thomas, Richard C.
SQ
     PCT Int. Appl., 252 pp.
     CODEN: PIXXD2
DT
     Patent
ΤιA
     English
FAN.CNT 2
     PATENT NO.
                     KIND DATE
                                              APPLICATION NO. DATE
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                                                                _____
     WO 9635678
PΙ
                       A1 19961114
                                             WO 1996-US6119 19960503
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              SI, SK
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
              IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR
                                              US 1995-436708 A219950508
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                              19971024
                                              ZA 1996-3281
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     CA 2216099
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     AU 712404
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	CN 1183773 A	19980603	US 1995-436708 A 19950508 WO 1996-US6119 W 19960503 CN 1996-193791 19960503 US 1995-436708 A 19950508
	BR 9608265 A	19990202	BR 1996-8265 19960503 US 1995-436708 A 19950508 WO 1996-US6119 W 19960503
	JP 11507017 T2	19990622	JP 1996-534120 19960503 US 1995-436708 A 19950508 WO 1996-US6119 W 19960503
	RU 2167155 C2	20010520	RU 1997-120116 19960503 US 1995-436708 A 19950508
	TW 450962 B	20010821	WO 1996-US6119 W 19960503 TW 1996-85105432 19960507 US 1995-436708 A 19950508
	US 6043248 A	20000328	US 1997-945153 19971017 US 1995-436708 B219950508
	NO 9705129 A	19980107	WO 1996-US6119 W 19960503 NO 1997-5129 19971107 US 1995-436708 A 19950508 WO 1996-US6119 W 19960503
PATE FAN	NT FAMILY INFORMATION: 2000:205644		" 1990-050119 W 19900303
PAIN	PATENT NO. KIND	DATE	APPLICATION NO. DATE
PI	US 6043248 A		US 1997-945153 19971017 US 1995-436708 B219950508 WO 1996-US6119 W 19960503
•	WO 9635678 A1		WO 1996-US6119 19960503
			BR, BY, CA, CH, CN, CZ, DE, DK, EE, KE, KG, KP, KR, KZ, LK, LR, LT, LU,
			NO, NZ, PL, PT, RO, RU, SD, SE, SG,
	RW: KE, LS, MW, SD		BE, CH, DE, DK, ES, FI, FR, GB, GR, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR US 1995-436708 A219950508
OS GI	MARPAT 126:59967		32 1773 130700 11217730300

$$R^{5}$$
 $R^{7}$ 
 $R^{8}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{1}$ 

AB The title compds. [I; R1 = C.tplbond.CH, 2-pyridylcarbonyl, benzoyl, etc.; R2, R3 = H, C1-4 alkyl; R4 = H, OH, NH2, etc.; R5 = H, C2H4OH, halo, etc.; R6 = H, OH, halo, etc.; R7 = H, C1-6 alkyl, C3-6 cycloalkyl, etc.; R8 = H,

C1-6 alkyl, CF3; Y = S, SO, SO2, O; m = 0-1], useful as anti-AIDS drugs, were prepd. Thus, treatment of 4-amino-6-chloro-2-thiopyrimidine in EtOH with 3.25N NaOH followed by addn. of 4-chloro-2-chloromethylpyridine afforded I [R1 = 4-chloro-2-pyridyl; R4 = Cl; R5, R7, R8 = H; R6 = NH2; m = 0] which showed IC50 of 0.03 .mu.M against P236L reverse transcriptase.

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L5 ANSWER 82 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1996:731810 CAPLUS

DN 126:8707

TI Preparation of beta-sheet mimetics of peptides or proteins as inhibitors of biologically active peptides or proteins

IN Kahn, Michael

PA Molecumetics Ltd., USA

SO PCT Int. Appl., 158 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 3

FAN.	PA'	rent no.		KIND	APPLICATION NO. DATE	
PI	WO	9630035 W: AL ES LU	, AM, , FI,	A1 AT, AU GB, GE	19961003 , AZ, BB, , HU, IS,	WO 1996-US4044 19960325 BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
		RW: KE	, LS,			AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, SE, BF, BJ, CF, CG, CI, CM, GA, GN US 1995-410518 A 19950324 US 1995-549006 A 19951027
	CA	2215695		AA	19961003	CA 1996-2215695 19960325 US 1995-410518 A 19950324 US 1995-549006 A 19951027
		2215720			19961003	
		9653714 712581				US 1995-410518 A 19950324
	EP					US 1995-549006 A 19951027 WO 1996-US4044 W 19960325 EP 1996-910547 19960325 FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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	PATENT NO.	KIND DATE	APPLICATION NO. DATE
ΡΙ	ES, FI,	AT, AU, AZ, BB, I GB, GE, HU, IS,	WO 1996-US4115 19960325 BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
	RW: KE, LS,	MW, SD, SZ, UG, A LU, MC, NL, PT, S	AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, SE, BF, BJ, CF, CG, CI, CM, GA, GN US 1995-410518 A 19950324
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	JP 10508035	T2 19980804	US 1995-410518 A 19950324 US 1995-549006 A 19951027 WO 1996-US4115 W 19960325 JP 1996-529594 19960325 US 1995-410518 A 19950324 US 1995-549006 A 19951027 WO 1996-US4115 W 19960325
	JP 2000319295	A2 20001121	JP 2000-79170 19960325 US 1995-410518 A 19950324 US 1995-549006 A 19951027
	AT 206433	E 20011015	JP 1996-529594 A319960325 AT 1996-910566 19960325 US 1995-410518 A 19950324 US 1995-549006 A 19951027
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	US 6245764	B1 20010612	US 1996-624695 B119960325 US 1998-9665 19980120 US 1995-410518 B219950324 US 1995-549006 B219951027 US 1996-624690 B219960325 US 1996-725073 B119961002

	US 6586426	B1 20030701	US 1999-443055 19991118 US 1995-410518 B219950324 US 1995-549006 B219951027 US 1996-624695 B119960325 US 1998-9386 A319980120					
FAN	1998:112235 PATENT NO.	KIND DATE	APPLICATION NO. DATE					
PI	EE, ES, LK, LR, RO, RU, YU, AM, RW: GH, KE, GB, GR,	FI, GB, GE, GH, HU, LS, LT, LU, LV, MD, SD, SE, SG, SI, SK, AZ, BY, KG, KZ, MD, LS, MW, SD, SZ, UG,	WO 1997-US13622 19970805 BR, BY, CA, CH, CN, CU, CZ, DE, DK, IL, IS, JP, KE, KG, KP, KR, KZ, LC, MG, MK, MN, MW, MX, NO, NZ, PL, PT, TJ, TM, TR, TT, UA, UG, US, UZ, VN, RU, TJ, TM ZW, AT, BE, CH, DE, DK, ES, FI, FR, PT, SE, BF, BJ, CF, CG, CI, CM, GA,					
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	EP 915700 R: AT, BE, IE, FI	A1 19990519 CH, DE, DK, ES, FR,	US 1997-47067P P 19970519 WO 1997-US13622W 19970805 EP 1997-936371 19970805 GB, GR, IT, LI, LU, NL, SE, MC, PT, US 1996-692420 A 19960805 US 1996-725073 A 19961002					
		A 20001027	US 1997-797915 A 19970210 US 1997-47067P P 19970519 WO 1997-US13622W 19970805 NZ 1997-334227 19970805 US 1996-692420 A 19960805 US 1996-725073 A 19961002 US 1997-797915 A 19970210					
	JP 2001524931	T2 20011204	US 1997-47067P P 19970519 WO 1997-US13622W 19970805 JP 1998-508118 19970805 US 1996-692420 A 19960805 US 1996-725073 A 19961002 US 1997-797915 A 19970210					
	US 6245764	B1 20010612	US 1997-47067P P 19970519 WO 1997-US13622W 19970805 US 1998-9665 19980120 US 1995-410518 B219950324 US 1995-549006 B219951027 US 1996-624690 B219960325					
	US 6117896	A 20000912	US 1996-725073 B119961002 US 1998-22934 19980212 US 1997-797915 B219970210					
	NO 9900522	A 19990330	US 1997-47067P P 19970519 NO 1999-522 19990204 US 1996-692420 A 19960805					

				US	1996-725073 A 19961002
				US	1997-797915 A 19970210
				US	1997-47067P P 19970519
				WO	1997-US13622W 19970805
	KR 2000029838	A	20000525	KR	1999-700994 19990205
				US	1996-692420 A 19960805
				US	1996-725073 A 19961002
	•			US	1997-797915 A 19970210
				US	1997-47067P P 19970519
	US 6372744	B1	20020416	US	2000-501052 20000209
				US	1996-692420 B219960805
				US	1997-797915 B219970210
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				WO	1997-US13622A219970805
				US	1998-22934 A319980212
	US 2003027819	A1	20030206	US	2001-960864 20010921
				US	1996-692420 B319960805
				US	1997-797915 B319970210
				US	1997-47067P P 19970519
				WO	1997-US13622W 19970805
				US	1998-22934 A319980212
				UŞ	2000-501052 A120000209
S	MARPAT 126:8707				

OS MARPAT 126:8707 GI

$$R^{1}$$
 $R^{2}$ 
 $R^{2$ 

There are disclosed .beta.-sheet mimetics [I; R1 - R3 = amino acid side chain moiety or its deriv.; A = CO, (CH2)1-4, (CH2)1-2-O, (CH2)1-2-S; B = N, CH; C = CO, (CH2)1-3, O, S, O(CH2)1-2, S(CH2)1-2; Y, Z = the remainder of the mol.; or any 2 adjacent CH groups of the bicyclic ring may form a double bond] and methods relating to the same for imparting or stabilizing the .beta.-sheet structure of a peptide, protein or mol. In one aspect, the .beta.-sheet mimetics are covalently attached at the end or within the length of the peptide or protein. The .beta.-sheet mimetics have utility as inhibitors of one or more of proteases, kinases, CAAX motif (Ras prenylation of the Cys within its C-terminal CAAX sequence by farnesyl transferase, wherein "A" is defined as an amino acid with a hydrophobic

side chain and "X" is another amino acid), peptides binding to SH2 domains, and MHC-I and/or MHC-II (major histocompatibility complex class I and class II) presentation of peptides to T cell receptors in warm-blooded animals. Thus, azabicyclo[4.3.0] nonane deriv. (II; R = Boc, R4 = OH) (prepn. given) was condensed with benzothiazolylarginol deriv. (H-Q.CF3CO2H; R5 = Q1, Z = CHOH) using 1-ethyl-3-(3dimethylaminopropyl)carbodiimide hydrochloride, HOBt, and (Me2CH)2NEt in THF to give arginol deriv. II (R = Boc, R4 = Q, R5 = Q1  $\rm Z$  = CHOH), which was oxidized by Dess-Martin periodinane in CH2Cl2 to arginine deriv. II (R = Boc, R4 = Q, R5 = Q1 Z = CO) and deprotected 95% ag. CF3CO2H and thioanisole at room temp. for 20 h to give, after HPLC purifn., the .beta.-sheet mimetic II (R = H, R4 = Q, R5 = H, Z = CO). The latter compd. in vitro inhibited various serine proteases such as thrombin, factor VII, factor X, factor XI, urokinase, thrombin-thrombomodulin complex, activated protein C, plasmin, tissue plasminogen activator, trypsin, and tryptase, e.g. with Ki of 8.50 .times. 10-11 M for thrombin.

L5 ANSWER 83 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1996:716174 CAPLUS

DN 125:331558

TI Indoanilines and their metal complexes, their preparation, and recording mediums comprising them

IN Ohashi, Reiji; Ryu, Yukiko; Nagai, Tomoaki; Yoshioka, Hidetoshi

PA Nippon Paper Industries Co., Ltd., Japan

SO Eur. Pat. Appl., 102 pp. CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 737722 EP 737722 R: DE, FR,	A2 A3 GB	19961016 19961023	EP 1996-105788	19960412
				JP 1995-113580 A	19950414
	JP 08337586	A2	19961224	JP 1996-94672	19960326
	JP 3271893	B2	20020408		
				JP 1995-113580 A	19950414
	US 5792863	A	19980811	US 1996-631947	19960415
				JP 1995-113580 A	19950414
	US 5892042	A	19990406	US 1997-933609	19970918
				JP 1995-113580 A	19950414
				US 1996-631947 A3	19960415
	US 5919928	A	19990706	US 1997-933604	19970918
				JP 1995-113580 A	19950414
				US 1996-631947 A3	19960415

OS CASREACT 125:331558; MARPAT 125:331558

GΙ

AB Metal complexes of indoanilines I and II (R1, R2 = H, alkyl, aryl, or NR1R2 forms a heterocycle with the N in a 5- or 6-membered ring; the unfused benzene ring may bear 1-4 electron-donating substituents and the acridine or phenanthridine moiety may bear 1-7 electron-withdrawing substituents) have a large absorption in the near-IR range and a reduced absorption in the visible range, which makes them useful for forming an image in a transparent recording medium by use of a near-IR laser. Thus, 2-HOC6H4NH2 was condensed with 2-ClC6H4CHO and the product cyclized to give 4-hydroxyphenanthridine, which was oxidatively coupled with 4,3-H2NMeC6H3NEt2.HCl by use of AgNO3 and NH4OH to give I (R1 = R2 = Et; Me on benzene ring ortho to imine N). This was complexed with Cu(ClO4)2 to give black crystals with .lambda.max in acetone 795 nm (.epsilon. 163,000).

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L5 ANSWER 84 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1996:701501 CAPLUS

DN 125:328514

TI Preparation of benzamidine derivatives as anticoagulants

IN Buckman, Brad O.; Davey, David D.; Guilford, William J.; Morrissey, Michael M.; Ng, Howard P.; Phillips, Gary B.; Wu, Shung C.; Xu, Wei

PA Berlex Laboratories, Inc., USA

SO PCT Int. Appl., 123 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 2

FAN.	CNT 2							
	PA:	TENT NO.		KIND	DATE		APPLICATION NO. DATE	
PI	WO			A1 JP, US	19960919		WO 1996-US2641 19960308	
		RW: AT	, BE,	CH, DE	, DK, ES,	FI,	FR, GB, GR, IE, IT, LU, MC, NL, PT, S US 1995-401829 A 19950310 US 1995-473385 A219950607	Έ
	US	5691364		A	19971125		US 1995-473385 19950607 US 1995-401829 B219950310	
	ΑU	9652994		A1	19961002		AU 1996-52994 19960308	
	AU	707323		B2	19990708			
							US 1995-401829 A 19950310 US 1995-473385 A 19950607 WO 1996-US2641 W 19960308	
	EΡ	813525		A1	19971229		EP 1996-909536 19960308	
			, BE, , FI	CH, DE	, DK, ES,	FR,	GB, GR, IT, LI, LU, NL, SE, MC, PT,	
							US 1995-401829 A 19950310	

Patel

US 1995-473385 A 19950607 WO 1996-US2641 W 19960308

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	US 6306884	В1	20011023	WO 1996-US2641 W 19960308 US 1999-436399 19991108 US 1995-401829 B219950310 US 1995-473385 A219950607
	US 2002028820	Al	20020307	WO 1996-US2641 W 19960308 US 1997-913241 A319971208 US 2001-924893 20010807 WO 1996-US2641 W 19960308 US 1997-913241 A319971208 US 1999-436399 A319991108
	US 2002035109	A1	20020321	US 2001-924413 20010807
	US 6479485	B2	20021112	WO 1996-US2641 W 19960308
	US 2002032223	<b>A</b> 1	20020314	US 1997-913241 A319971208 US 1999-436399 A319991108
	US 6465459	B2	20021015	
D % (M) C1	NT FAMILY INFORM	A TO L		WO 1996-US2641 W 19960308 US 1997-913241 A319971208 US 1999-436399 A319991108
	1997:761738	_		
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡI				US 1995-473385 19950607
	CA 2214685	AA	19960919	US 1995-401829 B219950310 CA 1996-2214685 19960308 US 1995-401829 A 19950310
	WO 9628427	A1	19960919	US 1995-473385 A 19950607 WO 1996-US2641 19960308
	W: AU, CA, RW: AT, BE,		, DK, ES,	FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE US 1995-401829 A 19950310
	AU 9652994 AU 707323			
				US 1995-401829 A 19950310 US 1995-473385 A 19950607 WO 1996-US2641 W 19960308
	EP 813525 R: AT, BE, IE, FI			EP 1996-909536 19960308 FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
				US 1995-401829 A 19950310 US 1995-473385 A 19950607
		_		WO 1996-US2641 W 19960308
	US 5877181	A	19990302	US 1997-910774 19970813 US 1995-401829 B219950310
	US 5883100	A	19990316	US 1995-401829 B219950310
	US 5889005	A	19990330	US 1995-473385 A319950607 US 1997-910876 19970813 US 1995-401829 B219950310 US 1995-473385 A319950607

US 6034103	A	20000307	US	1997-910609	19970813
			US	1995-401829	B219950310
			US	1995-473385	A319950607
US 6306884	B1	20011023	US	1999-436399	19991108
			US	1995-401829	B219950310
			US	1995-473385	A219950607
			WO	1996-US2641	W 19960308
			US	1997-913241	A319971208
US 6350746	B1	20020226	US	1999-457457	19991208
			US	1995-401829	B219950310
			US	1995-473385	A319950607
			US	1997-910609	A319970813

OS MARPAT 125:328514 GI

$$R^{5}$$
 $R^{6}$ 
 $R^{7}$ 
 $Z^{1}$ 
 $Z^{2}$ 
 $Z^{2}$ 
 $R^{8}$ 
 $R^{7}$ 
 $R^{8}$ 
 $R^{8}$ 

AB Title compds., e.g., I [R1,R3 = H, halo, alkyl, alkoxy, etc.; R2 = H, halo, alkyl, OR8, etc.; R4,R7 = H, halo, alkyl, OR8, etc.; R5 = C(:NH)NH2, C(:NH)NHOR8, C(:NH)NHCOR8, etc.; R6 = halo, alkyl, haloalkoxy, etc.; R8 = H, (ar)alkyl, aryl; Z = CR11 or N; R11 = H, halo, alkyl; Z1,Z2 = O, NR8, S, OCH2] were prepd. as anticoagulants (no data). Thus, 2,6-difluoropyridine was bis-etherified bu 3-(NC)C6H4OH and the product treated successively with HCl and NH3 to give title compd. II.2HCl [R = C6H4[C(:NH)NH2]-3].

L5 ANSWER 85 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1996:672656 CAPLUS

DN 125:328144

TI Stereoselective ring opening reactions

IN Jacobsen, Eric N.; Leighton, James L.; Martinez, Luis E.

PA President and Fellows of Harvard College, USA

SO PCT Int. Appl., 100 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

KIND PATENT NO. DATE APPLICATION NO. DATE ---<del>----</del> -----PΙ WO 9628402 A1 19960919 WO 1996-US3493 W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI

		RW:	KE, IE,	LS, IT,	MW, LU,	SD, MC,	SZ, NL,	UG, PT,	AT, SE,	BE, BF,	ВJ,	CF,	CG,	CI,	FI, CM, 1995	GA,	GB, GN,	GR, ML
	US CA	5665 2213	890 007		A A					US	199	95-40	03374	1	1995	0314		
	AU AU	9653 7086	639 22		A: B:	1 2	1996: 1999								1996 1995			
	EP	8177 R:		BE,						WO	199	96-US 96-93	S3493 10448	3 W 3	1996 1996	0314 0314	MC,	PT,
	JР	1150	2198		T:	2	1999(	0223		WO JP US	199 199 199	96-US 96-52 95-40	53493 27817 03374	3 W 7 4 A	1995 1996 1996 1995 1996	0314 0314 0314		
	PL	1848	57		В	1	20030	0131		PL US	199 199	96-32 95-40	27632 03374	2 1 A	1996 1995	0314 0314		
	NO	9704	234		A		1997	1113		NO US	199	97-42 95-40	234 03374	l A	1996 1997 1995	0912 0314		
		FAMIL		FORM	OITA	N:				WO	195	76 - US	53493	5 W	1996	0314		
FAN	PA.	99:46 FENT 1	NO.				DATE						ON NO		DATE			
PI	US	5929	232		A		19990	0727		US	199	6-62	22549	)	1996			
	US CA	5665 2213	890 007		A A	A	19970 19960	0909 0919		US CA	199	)5-4( )6-22	03374 21300	l )7	1995 1995 1996 1995	0314 0314		
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FAN	200	00:13	3645												2001			
	PAT	CENT 1	NO.	- <b></b>	KI		DATE	- <b>-</b>		AP	PLIC	ATIC	ON NO	).	DATE			
PI		2000		53	A	L	20000			WO	199	9-US	51830	)5	1999	0813		
				BE,			DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,
	US	62622	278		В1	L	20010	717		US US	199 199	8-13 5-40	34393 3374	A2	1998 1998 1995	0814 0314		
	CA	23396	518		A	Ą	20000	224					22549 33961		1996 1999			

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			FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
FAN	JP 2002522515 2001:521942	T2 20020723	US 1998-134393 A 19980814 WO 1999-US18305W 19990813 JP 2000-564918 19990813 US 1998-134393 A 19980814 WO 1999-US18305W 19990813
PAIN	PATENT NO.	KIND DATE	APPLICATION NO. DATE
PI	US 6262278		US 1998-134393 19980814 US 1995-403374 A219950314 US 1996-622549 A219960325
	US 5665890	A 19970909	
	US 5929232	A 19990727	
	CA 2339618	AA 20000224	US 1995-403374 A219950314 CA 1999-2339618 19990813
	CA 2339616	AA 20000224	US 1998-134393 A 19980814
			WO 1999-US18305W 19990813
	WO 2000009463	A1 20000224	WO 1999-US18305 19990813
	W: AU, CA, RW: AT, BE, PT, SE		ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
			US 1998-134393 A 19980814
	AU 9956732	A1 20000306	
			US 1998-134393 A 19980814 WO 1999-US18305W 19990813
	EP 1104395	A1 20010606	EP 1999-943685 19990813
			FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
			US 1998-134393 A 19980814
	<b>TD</b> 000000000		WO 1999-US18305W 19990813
	JP 2002522515	T2 20020723	
		•	US 1998-134393 A 19980814 WO 1999-US18305W 19990813
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	US 6448414	B2 20020910	
			US 1995-403374 A219950314
			US 1996-622549 A219960325
	110 2002120614	71 20020724	US 1998-134393 A119980814
	US 2003139614	A1 20030724	US 2002-206143 20020726 US 1995-403374 A219950314
			US 1996-622549 A219960325
			US 1998-134393 A119980814
			US 2001-899516 A120010705
OS		8144; MARPAT 125	
AB	The title proce prochiral carbo	ss comprises rea cyclic or heterc	cting a nucleophile and a chiral or cyclic substrate having a center

prochiral carbocyclic or heterocyclic substrate having a center susceptible to nucleophilic attack in the presence of a chiral catalyst comprising an asym. tetradentate ligand complexed with a metal atom to produce a stereoisomerically or regioselectively enriched product. Thus,

3,4-epoxycyclopentanone (prepn. given) was treated with Me3SiN3 in Et2O

contg. a catalyst of the invention (prepn. given) and the product treated with Al2O3 to give (R)-4-trimethylsilyloxy-2-cyclopentenone of >94% e.e. in 77% overall yield.

- L5 ANSWER 86 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1996:580023 CAPLUS
- DN 125:208295
- TI Photographic bleaching compositions and processing method using ternary iron carboxylate complexes as bleaching agents
- IN Buchanan, John M.; Brown, Eric R.; Gordon, Stuart
- PA Eastman Kodak Company, USA
- SO Eur. Pat. Appl., 25 pp. CODEN: EPXXDW
- DT Patent
- LA English
- FAN CNT 1

PAN.	~IN T	Т												
	PAT	CENT	NO.		KII	ND	DATE			API	PLICATION N	٥.	DATE	
PI		7231			A.	_	1996			EP	1996-20002	 8	19960105	5
	EP	7231 R:		CH	DE B	_	2001 GB,		тт	NIT				
		κ.	ш,	CII,	DE,	rĸ,	GD,	тт,	ш,	ИГ				
										US	1995-37099	7 A	19950110	)
	US	5582	958		Α		1996	1210		US	1995-37099	7	19950110	)
	JΡ	0824	0893		A2	2	1996	0917		JP	1996-2344		19960110	)
	JP	2801	.575		B2	2	1998	0921						
										US	1995-37099	7 A	19950110	)

- OS MARPAT 125:208295
- AB A photog. bleaching or bleach/fixing compn. contains a water-sol. ternary complex of an iron ion, a polycarboxylate ligand, and a second ligand which has at least one carboxyl group on an arom. nitrogen heterocycle, such as a pyridinecarboxylic acid. Preferred materials are biodegradable, but all of he ternary complexes can be used in a variety of bleach or bleach/fix processes to good advantage as bleaching agents. They are particularly suitable for use in rehalogenating ferric chelate bleaches.
- L5 ANSWER 87 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1996:392057 CAPLUS
- DN 125:114628
- TI 2-Oxopyrrolo[1,2-a]benzimidazole-3-carboxyl derivatives useful in treating central nervous system disorders
- IN Ho, Winston; Maryanoff, Bruce E.; McComsey, David F.; Nortey, Samuel O.
- PA USA
- SO U.S., 9 pp., Cont. of U.S. Ser. No. 175, 705, abandoned. CODEN: USXXAM
- DT Patent
- LA English
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		<b>-</b> -			
ΡI	US 5521200	A	19960528	US 1994-332687	19941101
				US 1993-175705	19931230

OS MARPAT 125:114628

GI

AB I were prepd. (R = 4-pyridyl, 4-Me2NC6H4, 2,5-, 2,5- and 2,4-F2C6H4, 2,4,6-F3C6H2, R1 = R2 = H; R = 2,6-F2C6H4, R1 = R2 = Me or R1 = Et, R2 = H) and are useful in treating disorders of the central nervous system. Pharmaceutical compns. and methods of treatment are also disclosed.

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L5 ANSWER 88 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1996:388202 CAPLUS

DN 125:49344

TI Natriuretic cyclic compounds

IN Wechter, William J.; Murray, David E.; Kantoci, Darko; Levine, Barry H.;
Benaksas, Elaine J.

PA Loma Linda University Medical Center, USA

SO PCT Int. Appl., 74 pp.

MARPAT 125:49344

OS GI CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.	_	TENT :	NO.		KII	ND	DATE			A:	PPLI	CATI	ON N	0.	DATE				
ΡΙ	WO	9605 W:	AM, GB,	AT, GE, MK,	AU, HU,	BB, IS,	BG, JP,	BR, KE,	BY, KG,	CA, KP,	CH, KR,	CN, KZ,	CZ, LK,	DE, LR,	1995 DK, LT, SE,	EE, LU,	LV,	MD,	
		RW:	KE, LU,	MW,	NL,					CF,	CG,	CI,	CM,	GA,	GB, GN,	ML,			
	US	6150	402		Δ		2000	1121				-							
		9533												_	1995				
						_				U:	5 19	94-2	9043	0 A	1994 1995	0815			
	EΡ	7922	70		A:	1	1997	0903		E.	P 19	95-9	2955	9	1995	0815			
	ΕP	7922	70		B:	1	2003	0507											
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	U:	3 19	94-2	9043	0 A	LU, 1994 1995	0815	NL,	PT,	SE
	JP	1050	6383		T:	2	1998	0623		U	5 19	94-2	9043	0 A	1995 1994 1995	0815			
	AT	2394	65		Е		2003	0515		A' U	Г 19 5 19	95-9 94-2	2955 9043	9 0 A	1995 1994 1995	0815 0815			
	US	6083	982		A		2000	0704		U:	5 19	98-5	7731		1998	0409			

Ι

AB A natriuretic compds. (I; R ,= 0, S, SO, SO2, amino, phosphate, phosphoester, methylene; R1-R4 = H, OH, alkyl, aryl, alkenyl, alkynyl, arom., ether, ester, amine, amide, halogen, sulfonyl, etc.; R5 = H, OH, alkyl, aryl, alkenyl, alkynyl, arom., ester, amine; R6 = CO2H, CO2R7, CONH2, CONHR7, etc.; R7 = alkyl, aryl, alkaryl, alkenyl, etc.; n = 0-3; m = 0-5) are claimed. Methods for isolating and synthesizing the natriuretic compds. are also provided. The natriuretic compds. and their pharmaceutical compns. can be used for inducing sodium excretion without inducing corresponding prolonged potassium excretion and for treatment of hypertension, ischemia, angina pectoris, HIV infection or AIDS.

- L5 ANSWER 89 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1996:377534 CAPLUS
- DN 125:99954
- TI Photographic peracid bleaching composition and processing method using ternary iron carboxylate complex as catalyst in peracid bleaching solution
- IN Buchanan, John M.; Brown, Eric R.; Gordon, Stuart T.
- PA Eastman Kodak Company, USA
- SO U.S., 15 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 5521056	A	19960528	US 1995-370743	19950110
				US 1995-370743	19950110

- OS MARPAT 125:99954
- AB A photog. peracid bleaching compn. contains a peracid bleaching agent, and a water-sol. ternary complex of ferric ion, a polycarboxylate ligand, and a second ligand which has at least one carboxyl group on an arom. nitrogen heterocycle, such as a pyridinecarboxylic acid. The complex acts as a catalyst for the peracid bleaching agent. Preferred complex is biodegradable.
- L5 ANSWER 90 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1996:341819 CAPLUS
- DN 125:10614
- TI Preparation of benzannelated five-membered heterocyclecarboxamides as 5-HT receptor antagonists
- IN Forbes, Ian Thomson; Jones, Graham Elgin; King, Francis David; Ham, Peter; Davies, David Thomas; Moghe, Angela
- PA Smithkline Beecham Plc, UK
- SO PCT Int. Appl., 28 pp.

CODEN: PIXXD2

- DT Patent
- LA English

FAN.	CNT 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
					<del>-</del>
ΡI	WO 9602537	A1	19960201	WO 1995-EP2637	19950706
	W: JP, US				
	RW: AT, BE,	CH, DE	, DK, ES, FR,	GB, GR, IE, IT, LU	, MC, NL, PT, SE
				GB 1994-14139	19940713
	EP 770076	<b>A</b> 1	19970502	EP 1995-943540	19950706
	R: BE, CH,	DE, FR	, GB, IT, LI,	NL	
				GB 1994-14139	19940713
				WO 1995-EP2637	19950706
	JP 10502653	T2	19980310	JP 1995-504647	19950706
				GB 1994-14139	19940713
				WO 1995-EP2637	19950706
	US 5922733	A	19990713	US 1997-765933	19970630
				GB 1994-14139	19940713
				WO 1995-EP2637	19950706
OS GI	MARPAT 125:10614				

AB Title compds. [I; R3 = halo, NH2, OH, alkyl, etc.; Z1 = XYZCONR2Z2R1 or X:YZCONR2Z2R1 (Z = CH or N), XY:ZCONR2Z2R1 (Z = C); R1 = H, halo, alkyl, alkoxy, etc.; R2 = H or alkyl; X,Y = O, S, CO, CH, CH2, NH, etc; Z2 = phenylene, (iso)quinolinediyl, heterocyclylene; n = 0-3] were prepd. as 5-HT2B and 5-HT2C receptor antagonists. Thus, 4,3-Br(MeO)C6H3SH was etherified by BrCH2COCO2Et and the product cyclized to give, after sapon., 5-bromo-6-methoxybenzo[b]thiophene-3-carboxylic acid which was amidated by 3-aminopyridine to give title compd. II. Selected I had Ki.gtoreq.7.2 for binding to rat or human 5-HT2C clones expressed in 293 cell in vitro.

- L5 ANSWER 91 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1996:335954 CAPLUS
- DN 125:10631
- TI Preparation of 2,9-diamino- and 2-amino-8-carbamoyl-4-hydroxyalkanoic acid amides as renin inhibitors
- IN Rasetti, Vittorio; Rueeger, Heinrich; Maibaum, Juergen Klaus; Mah, Robert; Gruetter, Markus; Cohen, Nissim Claude
- PA Ciba-Geigy A.-G., Switz.
- SO Eur. Pat. Appl., 115 pp.

CODEN: EPXXDW

- DT Patent
- LA German
- FAN.CNT 1

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CH 1994-2816
                                                        19940915
AU 9530534
                  A1
                       19960328
                                       AU 1995-30534
                                                        19950908
                                       CH 1994-2816
                                                        19940915
US 5719141
                  Α
                       19980217
                                       US 1995-525254
                                                        19950908
                                       CH 1994-2816
                                                        19940915
FI 9504255
                  Α
                       19960316
                                       FI 1995-4255
                                                        19950911
                                       CH 1994-2816
                                                        19940915
CA 2158227
                  AA
                       19960316
                                       CA 1995-2158227 19950913
                                       CH 1994-2816
                                                        19940915
ZA 9507726
                       19960315
                  Α
                                       ZA 1995-7726
                                                        19950914
                                       CH 1994-2816
                                                        19940915
NO 9503629
                  Α
                       19960318
                                       NO 1995-3629
                                                        19950914
                                       CH 1994-2816
                                                        19940915
HU 74453
                  A2
                       19961230
                                       HU 1995-2684
                                                        19950914
                                       CH 1994-2816 ·
                                                        19940915
CN 1169986
                  Α
                       19980114
                                       CN 1995-118418
                                                        19950914
                                       CH 1994-2816
                                                        19940915
JP 08176087
                  A2
                       19960709
                                       JP 1995-238779
                                                        19950918
                                       CH 1994-2816
                                                        19940915
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OS MARPAT 125:10631

AB R1XCH2CR2R3CH2CH(NHR4)CHR5CH2CR6R7CONHR8 [I; R1 = arylamino, N-aryl-N-aralkylamino, N-attached heterocyclyl, etc.; R3,R3,R7 = H or alkyl; R2R3 = alkylene; R4 = H, alkyl, alkanoyl, alkoxycarbonyl; R5 = OH, alkanoyloxy, alkoxycarbonyloxy; R6 = H, (ar)alkyl, alkenyl, etc.; R6R7 = alkylene; R8 = (cyclo)aliph. group, heteroaliph. group; X = CO or CH2] were prepd. Thus, quinoline-3-carboxylic acid was converted in 21 steps to N-butyl-(2R,4S,5S)-5-amino-4-hydroxy-2,7,7-trimethyl-8-(3RS-methoxycarbonyl-1,2,3,4-tetrahydroquinolin-1-carbonyl)octanamide. I gave inhibition of human renin at .apprx.10-6 to .apprx.10-10M in vitro.

- L5 ANSWER 92 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1996:332386 CAPLUS
- DN 125:10625
- TI Preparation of subunit-selective NMDA receptor-antagonist haloperidol analogs
- IN Cai, Sui Xiong; Woodward, Richard M.; Lan, Nancy C.; Weber, Eckard
- PA Acea Pharmaceuticals Inc., USA; Cocensys, Inc.
- SO PCT Int. Appl., 107 pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

	PATENT	NO.		KIND DATE					APPLICATION NO. DATE								
ΡI	WO 9602	250	<b>-</b>	 A	 1	1996	0201		- W(	0 19	 95-U	5919	- <b>-</b> 1	1995	0720		
	<b>W</b> :	GB,	GE,	HU,	BB, IS,	BG, JP,	BR, KE,	BY, KG,	CA, KP,	CH, KR,	CN, KZ,	CZ, LK,	DE, LR,	DK, LT,	EE, LU,	ES, LV,	MD,
		MG, TM,		MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	TJ,
	RW:	KE,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, GN,	GR,	IE,	IT,
			TD,		ΕΙ,		Dr,	ъυ,	CF,	CG,	CI,	CM,	GA,	GN,	МЪ,	MR,	NE,
									U:	5 199	94-21	7787:	1	1994	0720		
									U	3 199	95-4	7599	0	1995	0607		
	AU 9531	.385		A.	1 :	1996	0216		ΑU	J 199	95-33	1385		1995	0720		
									U.	5 199	94-27	7787	1	1994	0720		
									US	5 199	95-47	75990	)	1995	0607		
									W	199	95 <i>-</i> US	3919	1	1995	0720		

OS MARPAT 125:10625

GΙ

The title compds. [I; R1-R10 = H, (un) substituted heteroaryl, halogen, OH, CN, NO2, (un) substituted aryl, azido, alkyl, alkenyl, alkynyl, etc.; Ra = H, alkyl, aryl, OH, CO2H; Z = N, CH, COH, CCHO, CCONH2, etc.; m = 0-3; n = 1-5], which are subunit-selective NMDA receptor antagonists useful for treating or preventing neuronal loss assocd. with stroke, ischemia, CNS trauma, hypoglycemia and surgery, as well as treating anxiety, convulsions, migraine headaches, glaucoma, chronic pain, and inducing anesthesia, as well as for enhancing cognition, are prepd. Thus, 4-benzyl-4-hydroxypiperidine was condensed with 4-chloro-4'fluorobutyrophenone, producing 4-(4-benzyl-4-hydroxypiperidinyl)-4'-fluorobutyrophenone which demonstrated an IC50 of 40 .mu.M in an NR1A/NR2A receptor assay, vs. >100 .mu.M for haloperidol.

L5 ANSWER 93 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1996:256100 CAPLUS

DN 124:316867

TI Carbapenem derivatives containing a bicyclic substituent

IN Arnould, Jean-Claude

PA Zeneca Limited, UK; Zeneca-Pharma

SO Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW

DT Patent LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	EP 695753	A1	19960207	EP 1995-305428	19950803
	R: AT, BE,	CH, DE,	DK, ES, FR,	GB, GR, IE, IT, LI	, LU, MC, NL, PT, SE
				EP 1994-401814	19940805
	US 5607928	Α	19970304	US 1995-508698	19950728
				EP 1994-401814	19940805
	JP 08059664	A2	19960305	JP 1995-201126	19950807
				EP 1994-401814	19940805
os	MARPAT 124:31686	67			

GI

$$R^{1}$$
 $R^{2}$ 
 $CH_{2}XR$ 
 $Me$ 
 $CH_{2}O$ 
 $CO_{2}H$   $I$ 
 $CO_{2}Na$ 
 $CO_{2}Na$ 

AB Bactericidal (no data) carbapenems I [R = aryl, heteroaryl; R1 = CH2OH, CHMeOH, CHMeF; R2 = H, Cl-4 alkyl; X = O, S] and pharmaceutically acceptable salts or in vivo hydrolyzable esters thereof, were prepd. Thus, (3S,4R,1'R,1''R)-1-(allyloxycarbonyltriphenylphosphoranylidenemethyl)-3-(1-hydroxyethyl)-4-[1-(hydroxymethylcarbonyl)ethyl]azetidin-2-one was treated with 5-hydroxy-1-tetralone, followed by ester hydrolysis to give the carbapenem II.

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L5 ANSWER 94 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1996:110357 CAPLUS

DN 124:135707

TI Pharmaceutical use of transition metal complexes as peroxynitrite decomposition catalysts

IN Stern, Michael Keith; Salvemini, Daniela

PA Monsanto Co., USA

SO PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

11111	PAT	rent :					DATE						ON N		DATE			
ΡI	WO	9531													1995	0509		
			AM,	AU,	BB,	BG,	BR,	BY,	CA,	CN,	CZ,	EE,	FI,	GE,	HU, PL,	IS,	JP,	
		DW.					TT,					DV	<b>D</b> C		a=	<b>a</b> n		
		KW:	LU,	MC,	NL,										GB, GN,			
			SN,	TD,	TG					11	C 10	01 _ 2	1219	ο 7.	1994	ΛE12		
	CA	2189	528		A	A	1995	1123		C	A 19	95-2	1895	28		0509		
		9525					1995	1205										
	AU	7095	53		B	2	1999	0902		IJ	S 19	94 - 2	4249	<b>Δ</b> Ω	1994	<b>0513</b>		
		7500	0.0		_					W	0 19	95-U	S588	6 W	1995	0509		
	EP	7588																
		к:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	U	S 19	94-2	4249	8 A	LU, 1994 1995	0513		SE
	CN	1152	871		A		1997	0625		C	N 19	95-1	9407	5	1995	0509		
	HU	7632	7		A	2	1997	0828		H	U 19	96-3	140		1994 1995 1994	0509		
	BR	9507	643		A		1997	0923		BI	R 19	95-7	543	o A	1995	0509		

Patel

US 1994-242498 A 19940513

JP 10500671	Т2	19980120	WO 1995-US5886 W 19950509 JP 1995-529755 19950509
			US 1994-242498 A 19940513 WO 1995-US5886 W 19950509
US 6245758	В1	20010612	US 1996-709788 19960909
			US 1994-242498 B219940513
			US 1995-431593 A119950501
NO 9604793	Α	19970106	NO 1996-4793 19961112
			US 1994-242498 A 19940513
			WO 1995-US5886 W 19950509
FI 9604537	A	19970110	FI 1996-4537 19961112
			US 1994-242498 A 19940513
			WO 1995-US5886 W 19950509

OS MARPAT 124:135707

Diseases assocd. with the decompn. of peroxynitrite (formed in the body by interaction of metabolically produced NO with superoxide) are ameliorated by treatment with transition metal complexes (e.g. with porphyrins or macrocyclic N compds.) which accelerate decompn. of peroxynitrite, preferably to benign products. Diseases which may thus be treated include ischemic reperfusion, inflammation, sepsis, stroke, multiple sclerosis, parkinsonism, and side effects from cancer chemotherapy. The complexes prevent tissue damage from decompn. of peroxynitrite to toxic HO.bul. and NO2, and also protect superoxide dismutase from inactivation. Thus, intestinal vascular leakage in rats during endotoxin shock, measured as leakage of 125I-labeled serum albumin, was lessened by i.v. injection of acetato[5,10,15,20-tetrakis(N-methyl-4-pyridyl)porphinato]iron(III) tetratosylate (30 mg/kg) 3 h after lipopolysaccharide injection.

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L5 ANSWER 95 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1996:87551 CAPLUS

DN 124:261017

TI 1,3-Benzodioxole-2,2-dicarboxylate derivatives and analogs as selective .beta.3-adrenergic agents

IN Epstein, Joseph W.; Birnberg, Gary H.; Qing, Feng L.

PA American Cyanamid Co., USA

SO U.S., 20 pp. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 5482971	A	19960109	US 1993-130601	19931001
				US 1993-130601	19931001

OS MARPAT 124:261017

GΙ

$$\begin{array}{c} \text{ArX} \\ \text{R2} \\ \text{(CH2)} \\ \text{q} \\ \text{V-(CH2)} \\ \text{m} \\ \text{V-(CH2)} \\ \text{n} \end{array}$$

AB This invention is concerned with novel compds. of formula I wherein: Ar is, e.g., naphth-(1 or 2)-yl which is substituted with hydrogen, straight or branched (C1-C6) alkyl, bromine, chlorine, fluorine, iodine, (C1-C6) alkoxy, difluoromethyl, trifluoromethyl, trifluoromethoxy, or difluoromethoxy, 1,2,3,4-tetrahydro-(5 or 6)-naphthyl which is substituted with hydrogen, straight or branched (C1-C6)alkyl, bromine, chlorine, fluorine, iodine, (C1-C6)alkoxy, difluoromethyl, or trifluoromethyl, indanyl; R2 and R3 are hydrogen or (C1-C4)alkyl; m and n are integers from 0-1; q is an integer of 0, 2 or 3; V is oxygen and each V is ortho to the other V; W and U are independently hydrogen, hydroxy, CO2R8 or OCH2CO2R8 wherein R8 is hydrogen or straight or branched (C1-C10)alkyl; CONR9R10 or OCH2CONR9R10 wherein R9 and R10 are, e.g., hydrogen, straight or branched (C1-C10)alkyl, substituted benzyl, substituted Ph, a heterocycle; X is a divalent radical CH(OT)CH(Ro)NT wherein Ro is (C1-C3)alkyl; T is hydrogen, (C1-C4)alkyl or (C1-C4)acyl; and the pharmaceutically acceptable salts and esters, the enantiomers, the racemic mixts. and diastereomeric mixts. thereof, which are selective .beta.3-adrenergic agents. Thus, e.g., treatment of 4-(3,4-dimethoxy-phenyl)-2-butanone with formamide afforded racemic 2-amino-4-(3,4-dimethoxyphenyl)butane; ring-opening reaction of the latter with (R)-m-chlorostyrene oxide followed by cyclization with carbonyldiimidazole afforded the (R,R) and (R,S) diastereomers of 5-(3-chlorophenyl)-3-[(3,4-dimethoxyphenyl)-butan-2-yl]oxazolidinone; the (R,S) isomer is demethylated and cyclized with di-Et dibromomalonate to afford the (R,S) oxazolidinone diester; sapon. of the latter afforded disodium (R,S)-5-[3-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]butyl]-1,3benzodioxole-2,2-dicarboxylate. In similar fashion, the intermediate (R,R) diastereomer is converted to disodium (R,R)-5-[3-[[2-(3chlorophenyl) -2-hydroxyethyl]amino]butyl] -1,3-benzodioxole-2,2dicarboxylate (II) which exhibited stimulation of adipocyte lipolysis with EC50 = 17 nM (.beta.3 selectivity) vs. IC50 = 19,000 nM (heart binding, .beta.1 effect) and IC50 = 20,000 nM (lung binding, .beta.2 effect).

- L5 ANSWER 96 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1995:878880 CAPLUS
- DN 123:285816
- TI Preparation of heteronaphthoquinones and glycosides thereof as antitumor drugs.
- IN Attardo, Giorgio; Wang, Wuyi; Breining, Tibor; Li, Tiechao; St.-Denis,
  Yves; Kraus, Jean-Louis

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Biochem Pharma Inc., Can.
PΑ
    PCT Int. Appl., 159 pp.
SO
    CODEN: PIXXD2
DT
    Patent
LΆ
    English
FAN.CNT 4
                KIND DATE
                                      APPLICATION NO. DATE
    PATENT NO.
                   A1 19950511 WO 1994-CA210 19940506
    _____
PΙ
    WO 9512588
        W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU,
            JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO,
            RU, SD, SE, SI, SK, TT, UA, US, UZ, VN
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            BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
                                        US 1993-148251 19931105
    AU 9466727
                     A1
                        19950523
                                        AU 1994-66727
                                                       19940506
                                        US 1993-148251 19931105
                                        WO 1994-CA210
                                                       19940506
PATENT FAMILY INFORMATION:
FAN 1995:761478
    PATENT NO. KIND DATE
                                APPLICATION NO. DATE
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    WO 9411382 A1 19940526 WO 1993-CA463 19931105
PΙ
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        SE, SK, UA, US, VN RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
            BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
                                        US 1992-973233 19921109
                   A1
    AU 9454140
                          19940608
                                        AU 1994-54140
                                                       19931105
                                        US 1992-973233 19921109
                                        WO 1993-CA463
                                                      19931105
    EP 659190
                          19950628
                     A1
                                        EP 1993-924460 19931105
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
                                        US 1992-973233 19921109
                                        WO 1993-CA463
                                                       19931105
    CN 1094402
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                          19941102
                                        CN 1993-112945
                                                       19931108
                                        US 1992-973233 19921109
                        19940621
    ZA 9308350
                    Α
                                        ZA 1993-8350
                                                        19931109
                                        US 1992-973233
                                                       19921109
FAN
   1997:169186
    PATENT NO. KIND DATE
                                        APPLICATION NO. DATE
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    US 5606037
PΙ
                   Α
                          19970225
                                        US 1995-401492
                                                        19950310
                                        US 1992-973233
                                                        19921109
                                        US 1993-148251
                                                        19931105
FAN
    1998:220857
    PATENT NO.
                    KIND DATE
                                        APPLICATION NO. DATE
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PΙ
    US 5736523
                   Α
                          19980407
                                        US 1995-401493 19950310
                                        US 1992-973233 19921109
                                        US 1993-148251 19931105
OS
    MARPAT 123:285816
GΙ
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$$R^{2}$$
 $X^{4}$ 
 $X^{2}$ 
 $X^{2}$ 
 $X^{3}$ 
 $X^{4}$ 
 $X^{4}$ 
 $X^{2}$ 
 $X^{3}$ 
 $X^{4}$ 
 $X^{4$ 

AB Title compds. [I; X1, X2 = 0, S, NR20; R20 = H, OH, alkyl, acyl, alkylamino; X3 = 0, S, S0, S02, NR21; R21 = OH, acyl, alkyl, aryl, haloacyl, H; X4 = CQ, N, NO; R1-R3, Q = H, OH, alkyl, alkoxy, cycloalkyl, tosyl, mesyl, triflate, thiol, (substituted) acetate, amino, etc.; Z = H, OH, halo, thiol, sulfide, alkoxy, hydroxime, hydrazone, cyano, arylsulfone, alkynyl, squarate, Ph, (substituted) amino, acylamino, heterocyclyl, carboxylate ester, etc.; R5, R8 = H, halo, OH, alkoxy, alkyl, acetylenyl, cycloalkyl, alkenyl, alkoxyalkylamino, cyano, aminoalkyl, acyl, carboxylate ester, acosamine, glucosamine, 2,6-dideoxyrhamnose, thioglucose, thiodaunosamine residue, (substituted) (arom.) ring, etc.], were prepd. Thus, naphthopyran deriv. (II) [prepn. from Me (5,8-dimethoxyisochroman-3-yl)carboxylate given] showed IC50 = 0.0073-0.029 .mu.M against SKOV3 ovarian carcinoma cells.

II

L5 ANSWER 97 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1995:797285 CAPLUS

DN 123:198824

TI Preparation of tricyclic sulfonamide inhibitors of farnesyl protein transferase for the treatment of cell proliferative diseases

IN Bishop, W. Robert; Doll, Ronald J.; Mallams, Alan K.; Njoroge, F. George;
Petrin, Joanne M.; Piwinski, John J.

PA Schering Corp., USA

SO PCT Int. Appl., 82 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

I. MIA.	CNII		
	PATENT NO.	KIND DATE	APPLICATION NO. DATE
	·		
ΡI	WO 9510514	A1 19950420	WO 1994-US11390 19941012
	W: AM, AU	, BB, BG, BR, BY,	CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR,
	KZ, LK	, LR, LT, LV, MD,	MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ,
	TT, UA	, UZ, VN	
	RW: KE, MW	, SD, SZ, AT, BE,	CH, DE, DK, ES, FR, GB, GR, IE, IT, LU,
	MC, NL	, PT, SE, BF, BJ,	CF, CG, CI, CM, GA, GN, ML, MR, NE, SN,
	TD, TG		
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	ΑU	698960	B2	19981112		
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	ΕP	723539	A1	19960731		EP 1994-930649 19941012
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					FR.	GB, GR, IE, IT, LI, LU, NL, PT, SE
		, 22, 6.	.,,	211, 25,	,	US 1993-137856 A 19931015
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	.TD	08510445	TЭ	19961105		JP 1994-518410 19941012
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	O.	2013372	DZ	1000001		US 1993-137856 A 19931015
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	шп	76057	A2	19970630		
	пυ	76057	AZ	199/0630		HU 1996-957 19941012
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	Al	210653	E	20011215		AT 1994-930649 19941012
						US 1993-137856 A 19931015
		0164515	~~			WO 1994-US11390W 19941012
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						US 1993-137856 A 19931015
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						US 1993-137856 B219931015
						US 1994-312350 B119940926
3	MAI	RPAT 123:198824				

OS MARPAT 123:198824

$$R^{2}$$
 $C^{1}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{7}$ 
 $R^{8}$ 
 $C^{1}$ 
 $C^{1}$ 
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 $C^{2}$ 
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 $C^{2}$ 
 $R^{5}$ 
 $R^{7}$ 
 $R^{8}$ 
 $C^{2}$ 
 $C^{2}$ 
 $R^{3}$ 
 $C^{2}$ 
 $C^{2}$ 

AB The title compds. [I; A, B = H, alkyl, aryl, OH, alkoxy, aryloxy, halogen, etc.; 1 of a, b, c, d = N, NR9 and the remainder are CR1, CR2; R9 = O-, Me, (CH2)nCO2H; n = 1-3; R1-R4 = H, benzotriazol-1-yloxy, halogen, CF3, etc.; R = alkyl, (un) substituted Ph, (un) substituted bridged polycyclic hydrocarbon, heteroaryl, alkenyl, etc.; R5-R8 = H, CF3, COR10, (un) substituted alkyl, (un) substituted aryl, etc.; X = N, C (with an optional double bond to carbon no. 11); the dotted lines represent optional double bonds; etc.], useful as inhibitors of farnesyl protein

transferase and geranylgeranyl protein transferase for the treatment of cell proliferative diseases, are prepd. and I-contg. formulations presented. Thus, 4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclopenta[1,2-b]pyridin-11-ylidene)piperidine (sic) was amidated with PhSO2Cl, producing 4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-1-(phenylmethylsulfonyl)-1-piperidine, II.

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L5 ANSWER 98 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1995:794872 CAPLUS

DN 123:286106

- TI Preparation of substituted cyclic carbonyl derivatives as retroviral rotease inhibitors
- IN Lam, Patrick Yuk-Sun; Jadhav, Prabhakar Kondaji; Eyermann, Charles Joseph; Hodge, Carl Nicholas; De, Lucca George Vincent; Rodgers, James David
- PA Du Pont Merck Pharmaceutical Co., USA
- SO PCT Int. Appl., 525 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 5

	PAT						APPLICATION NO.	DATE	
PI	WO	9419329 W: AU,	CA,	A1 CZ, FI	19940901 , HU, JP,	KR,	WO 1994-US1609 NO, NZ, PL, SK GB, GR, IE, IT, L		PT, SE
							US 1993-23439 US 1993-47330 US 1994-197630	A 19930415	
	US	5610294		А	19970311		US 1991-776491 US 1992-883944 US 1992-953272 US 1993-23439	B219911011 B219920515 B219920930 B219930226	
	AU	9465493		A1	19940914		US 1993-47330 AU 1994-65493 US 1993-23439 US 1993-47330 US 1994-197630 WO 1994-US1609	19940223 A 19930226 A 19930415 A 19940216	
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		R: AT,	BE,	CH, DE	, DK, ES,	FR,	GB, GR, IE, IT, L US 1993-23439 US 1993-47330 US 1994-197630 WO 1994-US1609	A 19930226 A 19930415 A 19940216	NL, PT, SE
	JР	08509700		Т2	19961015		JP 1994-519072 US 1993-23439 US 1993-47330 US 1994-197630 WO 1994-US1609	A 19930226 A 19930415 A 19940216	
	АТ	194333		Е	20000715		AT 1994-913262 US 1993-23439 US 1993-47330 US 1994-197630 WO 1994-US1609	19940223 A 19930226 A 19930415 A 19940216	
	ZA	9401325		A	19950825		ZA 1994-1325 US 1993-23439	19940225	

Patel

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PI	WO	9307 W:	AU,	BB,	A.	1 BR,				WO HU, J							MW,	NO,
		RW:	ΑT,	BE,	CH,	DE,				US	IR, 199 199	SN, 1-7 2-8	TD, 76491 83944	TG l A 4 A	1991 1992	1011 0515	SE,	BF,
							1993			AU US US US WO	199 199 199 199	2-28 1-7 2-88 2-99 2-US	8715 7649: 83944 53272 S8749	1 A 4 A 2 A 9 A	1992 1992 1991 1992 1992	1013 1011 0515 0929 1013		
		6073 6073					1994 1997			EP	199	2-9.	22262	2	1992	1013		
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	JP 3208140 EP 1153921 EP 1153921	A2		US 1991-776491 A 19911011 US 1992-883944 A 19920515 US 1992-953272 A 19920929 WO 1992-US8749 W 19921013 JP 1993-507244 19921013 US 1991-776491 A 19911011 US 1992-883944 A 19920515 US 1992-953272 A 19920929 WO 1992-US8749 W 19921013 EP 2001-119426 19921013
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FAN	1996:275102 PATENT NO.	KIND	DATE	APPLICATION NO. DATE
PI	US 5506355	Α	19960409	US 1994-269281 19940630 US 1993-23439 B219930226 US 1993-47330 B219930415 US 1994-197630 A219940216
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FAN	1996:637442 PATENT NO.	KIND	DATE	APPLICATION NO. DATE
PI	US 5559252	A	19960924	US 1994-268609 19940630
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                     A1 19940901
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	ΑT	194333	E	20000715	US 1993-47330 A 19930415 US 1994-197630 A 19940216 EP 1994-913262 A319940223 AT 1994-913262 19940223 US 1993-23439 A 19930226 US 1993-47330 A 19930415
	ES	2149267	Т3	20001101	US 1994-197630 A 19940216 WO 1994-US1609 W 19940223 ES 1994-913262 19940223 US 1993-23439 A 19930226 US 1993-47330 A 19930415
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OS GI	MAF	RPAT 123:28	36106		

AB Cyclic ketone derivs. [I; R1, R2 = H, alkyl, allyl, cyclopropylmethyl, etc.; R3, R4 = (un)substituted benzyl, thienylmethyl, naphthylmethyl, etc.; W = CO, CS, SO2, etc.], useful as human immunodeficiency virus (HIV) protease inhibitors, are prepd., tested, and formulated. Amination of dichloro compd. I [R1 = R2 = m-chlorobenzyl, R3 = R4 = PhCH2, W = CO] with MeNH2 in THF and subsequent acidification with 4M HCl gave I.2HCl [R1 = R2 = m-methylaminobenzyl, R3 = R4 = PhCH2, W = CO], which showed Ki = 10 nM-1 .mu.M and IC90 = <10 .mu.g/mL in a HIV protease inhibition assay.

L5 ANSWER 99 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1995:638596 CAPLUS

DN 123:286084

TI Dibenzocycloheptenylidenepiperidine, dibenzocycloheptenylpiperazine, and heterocyclic analogs as PAF antagonists and antihistaminics

IN Wong, Jesse K.; Piwinski, John J.; Green, Michael J.

PA USA

SO U.S., 29 pp. Cont.-in-part of U.S. Ser. No. 595,329,abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO		KIND	DATE		APPLI	CATION NO	O. DATE		
ΡI	US 541608	7	Α	19950516		US 19	93-39072	1993	0407	
						US 19	90-59532	9 1990	1010	
						WO 19	91-US717	0 1991	1008	
	WO 920697	)	A1 19920430			WO 19	0 1991	19911008		
	W: A	J, BB,	BG, BR,	CA, CS,	FI,	HU, JP,	KP, KR,	LK, MC,	MG, M	W, NO,
	P:	L, RO,	SD, SU,	US						
	RW: A	Г, ВЕ,	BF, BJ,	CF, CG,	CH,	CI, CM,	DE, DK,	ES, FR,	GA, G	B, GN,
	G	R, IT,	LU, ML,	MR, NL,	SE,	SN, TD,	TG			
						US 19	90-59532	9 1990	1010	

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FAN	1992:511647			
	PATENT NO.	KIND DATE	APPLICATION NO. DATE	
ΡI	WO 9206970	A1 19920430	WO 1991-US7170 19911008	
	W: AU,	BB, BG, BR, CA, CS, FI,	HU, JP, KP, KR, LK, MC, MG, MW, N	10,
	PL,	RO, SD, SU, US		
	RW: AT,	BE, BF, BJ, CF, CG, CH,	CI, CM, DE, DK, ES, FR, GA, GB, C	, AE
	GR,	IT, LU, ML, MR, NL, SE,	SN, TD, TG	
			US 1990-595329 19901010	
	CA 2093646	AA 19920411	CA 1991-2093646 19911008	
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	AU 9188540	A1 19920520	AU 1991-88540 19911008	

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    EP 552245
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                                          EP 1991-918529
                      A1
                                                           19911008
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE
                                          US 1990-595329
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                                          WO 1991-US7170
                                                           19911008
    JP 05506249
                      T2
                           19930916
                                          JP 1991-517936
                                                           19911008
                                          US 1990-595329
                                                           19901010
                                          WO 1991-US7170
                                                           19911008
    US 5416087
                      A
                           19950516
                                          US 1993-39072
                                                           19930407
                                          US 1990-595329
                                                           19901010
                                          WO 1991-US7170
                                                           19911008
OS
    MARPAT 123:286084
GT
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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Bis-benzo cyclohepta piperidine, piperidylidene and piperazine compds. I AΒ [L = N or N+O-, Z = O or S, Y = [C(Ra)2]mX[C(Ra)2]n or II, m and n areintegers 0, 1, 2, 3 such that m + n = 0 to 3; when m + n = 1, X = e.g., 0, S(0)e where e = 0, 1, or 2; when m + n = 2, X = e.g., 0, S(0)e, e = 0-2; when m + n = 3, X = a direct bond; when m + n = 0, X can be any substituent for m + n = 1 and also a direct bond, cyclopropylene, propenylene; each Ra may be the same or different and each independently represents, e.g., H, C1-6-alkyl; the dotted line between the indicated carbon atoms 5 and 6 represents an optional double bond, such that when a double bond is present, A and B each independently represent R11, OR13, halo or OC(O)R11, and when no double bond is present between carbon atoms 5 and 6, A and B each independently represent H2; (OR13)2; (alkyl and H); (alkyl)2; [H and OC(0)R11], (H and OR11); :0 or :NOR14; R1, R2, R3, R4 = e.g., H, halo, CF3; R5, R6 = e.g., H, alkyl, aryl; R7, R8, R9 = e.g., H, halo, CF3; R11 = H, alkyl, aryl; R13 = alkyl, aryl; R14 = H, alkyl; T = CH, C, or N with the dotted line attached to T representing a double bond when T is C and being absent when T is CH or N] and pharmaceutically acceptable salts thereof are disclosed, which possess anti-allergic and/or anti-inflammatory activity. Methods for prepg. and using the compds. are also described. Thus, e.g., coupling of 4-(10,11-dihydro-5Hdibenzo[a,d]cyclohepten-5-ylidene)piperidine (III, prepn. given) with isonicotinic acid N-oxide afforded the pyridinylcarbonyl N-oxide deriv. IV which demonstrated in vitro PAF antagonism IC50 = 1.2 .mu.M, and in vivo inhibition of PAF-induced bronchospasm in guinea pigs of 82% at 3 mg/kg. Pharmaceutical formulations were given.

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L5
    ANSWER 100 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
AN
    1995:508058 CAPLUS
DN
    122:265017
TI
    Bridged biphenyl carbapenem antibacterial compounds
IN
    Dininno, Frank P.
PΑ
    Merck and Co., Inc., USA
SO
    PCT Int. Appl., 113 pp.
    CODEN: PIXXD2
DT
    Patent
    English
LΑ
FAN.CNT 1
    PATENT NO. KIND DATE
                                    APPLICATION NO. DATE
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ΡI WO 9503700 19950209 WO 1994-US8632 A1 19940727 W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KE, KG, KR, KZ, LK, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG US 1993-101141 19930802 US 5401735 19950328 US 1993-101141 Α 19930802 AU 9474093 Α1 19950228 AU 1994-74093 19940727 US 1993-101141 19930802 WO 1994-US8632 19940727

OS MARPAT 122:265017 GI

R<sup>2</sup> N R<sup>4</sup> R<sup>5</sup> CO<sub>2</sub>R R<sup>6</sup> I

Me 
$$CO_2$$
  $CH_2$   $NMe$ 

AB Carbapenems I [R = H, neg. charge, ester group, cation; R1, R2 = H, (un)substituted alkyl; R3R4 = (un)substituted alkylene; R5 = H, substituent; R6 = (un)substituted Ph] were prepd. as bactericides. Thus, the condensed carbapenem II was obtained from the acetoxyazetidinone and protected hydroxymethylphenyltetralone in 6 steps. II had 20 times the bactericidal activity of imipenem.

L5 ANSWER 101 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1995:416192 CAPLUS

DN 122:187249

TI Preparation of 2-phenanthridinylcarbapenems as antibacterial agents

IN Dininno, Frank P.; Greenlee, Mark L.; Rano, Thomas A.; Lee, Wendy

PA Merck and Co., Inc., USA

SO PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

PATENT NO. KIND DATE

APPLICATION NO. DATE

Patel

ΡI	WO	9417066	A1	19940804		WO 1994-US85 19940103	
		W: AU,	BB, BG, BR	, BY, CA,	CN,	CZ, FI, HU, JP, KR, KZ, LK,	LV, MG,
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	AU	9459902	A1	19940815		AU 1994-59902 19940103	
						US 1993-9626 19930127	
						WO 1994-US85 19940103	
	ΕP	682666	A1	19951122		EP 1994-906014 19940103	
		R: AT,	BE, CH, DE	, DK, ES,	FR,	GB, GR, IE, IT, LI, LU, NL,	PT, SE
						US 1993-9626 19930127	
						WO 1994-US85 19940103	
	JP	08505874	T2	19960625		JP 1994-517039 19940103	
						US 1993-9626 19930127	
						WO 1994-US85 19940103	
೧೯	MΔI	⊋P∆T 122.	187249				

OS MARPAT 122:187249

GΙ

$$R^{2}$$
  $R^{2}$   $R^{2}$   $R^{2}$   $R^{2}$   $R^{3}$   $R^{4}$   $R^{4}$   $R^{2}$   $R^{3}$   $R^{4}$   $R^{4}$   $R^{2}$   $R^{3}$   $R^{4}$   $R^{4}$   $R^{2}$   $R^{3}$   $R^{4}$   $R^{4}$   $R^{5}$   $R^{5}$   $R^{5}$   $R^{5}$   $R^{5}$   $R^{5}$   $R^{5}$   $R^{5}$ 

AB Title compds. [I; M = H, alkali metal, neg. charge, etc.; .; R = H, Me; R1,R2 = H, Me, Et, CH2OH, MeCH(OH), etc.; .; Y = phenanthridinyl group Q; l of Ra = H and the others = H, CF3, halo, (un)substituted alkoxy; l of X,X1 = N+Rdm and the other = CRc; Rc = H, (un)substituted alkyl(oxy), NH2, etc.; .; Rd = H, NH2, O-, alkyl, etc.; .; m = 0 or l] were prepd. as antibacterial agents (no data). Thus, oxopenamcarboxylate II [M = CH2C6H4(NO2)-4, R3R4 = O, R5 = H] was condensed with Me3SnQ CF3SO3- (Ra = H, X = N+Me, X1 = CH) and the product hydrogenolized to give II (M = neg. charge, R3 = Q, R4R5 = bond, Ra = H, X = N+Me, X1 = CH).

L5 ANSWER 102 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN AN 1994:689312 CAPLUS

```
DN
    121:289312
ΤI
    Photochromic articles and method for their preparation
    Daniele, Girelli; Luciana, Crisci; Pietro, Allegrini
ΙN
    Enichem Synthesis S.p.A., Italy
PΑ
    Belg., 45 pp.
SO
    CODEN: BEXXAL
DT
    Patent
LΑ
    French
FAN.CNT 1
    PATENT NO. KIND DATE
                                       APPLICATION NO. DATE
    -----
                                        -----
    BE 1006104 A6 19940510
ΡI
                                       BE 1993-1095 19931015
                                        IT 1992-MI2379 19921016
OS
    MARPAT 121:289312
AB
    Org. glass articles having a high refractive index contain org.
    photochromic compds. obtained by crosslinking of lig. compns. which can be
    polymd. via completely radical compds.: (a) of .gtoreq.1 of a urethane
    resin dild. in .gtoreq.1 reactive compd. of the acrylate and/or
    methacrylate and/or styrene type, and (b) .gtoreq.1 photochromic substance
    chosen among spiroindolinooxazines, spiropyrans, and chromenes.
    ANSWER 103 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
L5
    1994:680369 CAPLUS
AN
DN
    121:280369
TI
    Bicyclooctane- and bicycloheptane-derivative gastrin and/or
    cholecystokinin receptor antagonists
    Kalindjian, Sarkis Barret; Low, Caroline Minli Rachel; Pether, Michael
IN
    John; Davies, Jonathan Michael Richar; Dunstone, David John; McDonald,
    Iain Mair
PA
    James Black Foundation Ltd., UK
SO
    PCT Int. Appl., 80 pp.
    CODEN: PIXXD2
DТ
    Patent
    English
LΑ
FAN.CNT 1
    PATENT NO. KIND DATE
                                       APPLICATION NO. DATE
    WO 9400421 A1 19940106 WO 1993-GB1301 19930618
        W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP,
            KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD,
            SE, SK, UA, US, VN
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
            BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
                                        GB 1992-13094
                                                      19920619
                                                       19921221
                                        GB 1992-26549
                                                       19920619
    GB 2268739
                     A1
                          19940119
                                        GB 1992-13094
                                                      19930618
    AU 9343489
                     A1
                          19940124
                                        AU 1993-43489
                                        GB 1992-13094
                                                      19920619
                                        GB 1992-26549
                                                        19921221
                                        WO 1993-GB1301 19930618
    EP 655053 A1 19950531
EP 655053 B1 19970903
                                        EP 1993-913402 19930618
        R: DE, ES, FR, GB, IT
                                        GB 1992-13094
                                                        19920619
                                        GB 1992-26549 19921221
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US 5674905 A 19971007

GB 1992-13094

WO 1993-GB1301 19930618

US 1994-351320 19941219

19920619

GB 1992-26549 19921221 WO 1993-GB1301 19930618

OS MARPAT 121:280369

GI

$$2W$$
 $R^{2}$ 
 $R^{4}$ 
 $R^{3}$ 
 $R^{3}$ 
 $R^{4}$ 

The title compds. [I; A = (un)substituted fused naphtho, etc.; B = fused benzo, etc.; R1 = H, Me, halogen; (un)substituted CO2H, tetrazolyl, etc.; R2 = R1, (un)substituted carbonyl deriv.; R3, R4 = H, halogen, NH2, NO2, CN, sulfamoyl, C1-3 alkyl, C1-3 alkoxy, (un)substituted CO2H, tetrazolyl; W = CO, sulfonyl, sulfinyl; X = W, COCH2; Y = R9O, R9NR10; R9 = H, C1-15 hydrocarbyl; R10 = H, C1-3 alkyl, CO2Me, etc.; Z = OR11, (un)substituted QNH, etc.; R11 = H, C1-5 alkyl, (un)substituted Ph or PhCH2; Q = H, C1-5 hydrocarbyl, etc.], which are gastrin and/or cholecystokinin receptor antagonists, are prepd. Thus, naphthalene was subjected to cycloaddn. with maleic anhydride, and the endo isomer intermediate amidated with 1-adamantylmethylamine, producing endo-(.+-.)-cis-8-(1-adamantylmethylaminecarbonyl)-5,6-benzobicyclo[2.2.2]oct-2-ene-7-carboxylic acid (II). II demonstrated gastrin receptor pKB 5.9 and the cholecystokinin receptor pKi 5.6.

L5 ANSWER 104 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1994:630759 CAPLUS

DN 121:230759

TI Thienopyridine derivatives and analogs useful as fibrinogen receptor antagonists

IN Hartman, George D.; Halczenko, Wasyl; Prugh, John D.

PA Merck and Co., Inc., USA

SO U.S., 21 pp.

CODEN: USXXAM

DT Patent

LA English

FAN CNT 1

PAN.	CTA T	1																
	PAT	CENT I	NO.		KIND DATE			APPLICATION NO.				).	DATE					
				- <b></b>									<b></b>	-				
ΡI	US	5334	596		Α		1994	0802		US	3 19:	93-6	2510		1993	0511		
	WO 9426745				A.	A1 19941124			WO 1994-US4757			19940502						
		W:	AU,	BB,	BG,	BR,	BY,	CA,	CN,	CZ,	FI,	HU,	JΡ,	KR,	KZ,	LK,	LV,	MG,
			MN,	MW,	NO,	NZ,	PL,	RO,	RU,	SD,	SI,	SK,	TT,	UA,	US,	UZ		
		RW:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,
			BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,	MR,	NE,	SN,	TD,	TG		
										US	3 19	93-6	2510	Α	1993	0511		
	AU	9468	221		A.	1	1994	1212		Αl	J 19:	94-6	8221		1994	0502		
	AU	6816	68		B:	2	1997	0904										
										US	3 19:	93-6	2510	Α	1993	0511		
										WC	19:	94-U	S4757	W	1994	0502		
	EΡ	6980	23		A.	1	1996	0228		E	19	94-9	16613		1994	0502		

Patel

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EP 698023
                       B1
                            20000823
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
                                           US 1993-62510 A 19930511
                                           WO 1994-US4757 W 19940502
     JP 08509982
                       T2
                            19961022
                                           JP 1994-525490
                                                             19940502
                                           US 1993-62510 A 19930511
                                           WO 1994-US4757 W 19940502
     AT 195737
                            20000915
                                           AT 1994-916613
                                                             19940502
                                           US 1993-62510 A 19930511
                                           WO 1994-US4757 W 19940502
     ES 2148329
                       T3
                            20001016
                                           ES 1994-916613
                                                             19940502
                                           US 1993-62510 A 19930511
OS
     MARPAT 121:230759
GΙ
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AB Title compds. are disclosed, namely I [X = various (un) substituted, acyclic and cyclic amino, amidino, and guanidino groups, or certain (un) substituted mono- or polycyclic arom. or nonarom. hetero- or carbocyclic groups; Y, A = (CH2) mCONR3 (CH2) n, (CH2) mNR3CO(CH2)n, (CH2) mNR3(CH2)n, (CH2) mCO(CH2)n, (CH2) mO(CH2)n, (CH2) mCR3 : CR4 (CH2) n, (CH2) m, etc. (m, n = 0-6); Z = (CH2) 1-5, (CH2)mCH:CH(CH2)n, (CH2)mCO(CH2)n, (CH2)mCH(OH)(CH2)n, (CH2)mSO2(CH2)n, CR3:N, (CH2)mO(CH2)n, etc. (m, n = 0-6); D, E = C, N, O, S; B = CR3:NCR5R6COR11, CR7R8CR9R10COR11; R3, R4 = H, (un)substituted alkyl, etc.; R5-R10 = H, F, OH, alkoxy, (un) substituted alkyl, etc.; R11 = OH, alkoxy, aralkoxy, etc., or L- or D-amino acid or their alkyl esters, joined via amide linkage]. I are useful for inhibiting fibrinogen binding and blood platelet aggregation, and for treating thrombus and embolus formation. For example, tetrahydrothienopyridine deriv. II underwent a sequence of N-alkylation with BOC-protected 2-(4-piperidinyl)ethyl iodide, oxidn. of the adjacent benzylic CH2 to carbonyl with KMnO4, lithiation of the available thiophene positions with BuLi, carboxylation with CO2, sepn. of the isomeric acids, amidation of one isomer with (S)-H2NCH2CH(NHSO2Bu)CO2Me.HCl, and basic and acidic deprotections. resultant title compd. III had IC50 of 0.008 .mu.M for inhibition of ADP-induced platelet aggregation in vitro. Seven other compds. I were prepd. and tested.

L5 ANSWER 105 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN AN 1994:591489 CAPLUS

DN 121:191489

TI Thin-film organic electroluminescent element for flat display, etc.

IN Nishizaki, Koji; Takeuchi, Shigeki; Kinoshita, Akira; Shibata, Toyoko; Tamaki, Kyoshi

PA Konishiroku Photo Ind, Japan

SO Jpn. Kokai Tokkyo Koho, 143 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI JP 05214334 A2 19930824 JP 1992-20031 19920205

JP 1992-20031 19920205

OS MARPAT 121:191489

GΙ

- AB The title element is made by forming .gtoreq.1 layer(s) contg. a compd. in which 1 or 2 condensed rings are formed in an org. compd. I and/or a compd. having .gtoreq.1 substituent(s) in the compd. in which 1 or 2 condensed rings are formed in an org. compd. I, an org. compd. II [R12, R13 = H, halo(sub)alkyl, (sub)heterocyclyl, etc.; Y = anhyd. ring residue -C(:0)-O-(0:)C-, etc.], an org. compd. III and/or a compd. in which the org. compd. III has .gtoreq.1 substituent(s), etc. The element shows strong light-emitting intensity and durability for practical use.
- L5 ANSWER 106 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1994:545201 CAPLUS
- DN 121:145201
- TI Photographic processing composition and processing method
- IN Inaba, Tadashi; Okada, Hisashi; Suzuki, Ryo Hisashi; Katsuoka, Yasuhiro; Seki, Hiroyuki
- PA Fuji Photo Film Co., Ltd., Japan
- SO Eur. Pat. Appl., 57 pp.

CODEN: EPXXDW

DTPatent English LΑ FAN.CNT 1

	PATENT NO.				KIND	DATE	AP	PLICATION	DATE		
				- <b></b>							
ΡI	ΕP	5882	89		A2	19940323	EP	1993-114	1696	19930913	
	ΕP	5882	89		A3	19940727					
	ΕP	5882	89		В1	19990804					
		R:	DE,	FR,	GB, NL						
							JP	1992-247	7814	19920917	
	JP	0609	5319		A2	19940408	JP	1992-247	7814	19920917	
	JP	2886	748		B2	19990426					
	US	5338	649		A	19940816	US	1993-120	)461	19930914	
							JP	1992-247	7814	19920917	

OS MARPAT 121:145201

AΒ A novel compn. for processing a silver halide photog. material is provided, which comprises at least one metal chelate compd. composed of a chelate-forming compd. or salt thereof and a metal ion selected from the group consisting of Fe(III), Mn(III), Co(III), Rh(II), Rh(III), Au(II), Au(III), and Ce(IV), the chelate-forming compd. is represented by formula G1(L1)mCX(CO2M)(L2)nNHL3G2 wherein G1 and G2 each represents a carboxyl group, a phosphono group, a sulfo group, a hydroxyl group, a mercapto group, an aryl group, a heterocyclic group, an alkylthio group, an amidino group, a guanidino group, or a carbamoyl group; L1, L2, and L3 each represents a divalent aliph. group, a divalent arom. group, or a divalent connecting group formed by a combination of a divalent aliph. group and a divalent arom. group; m and n each represents an integer 0 or 1; X represents a hydrogen atom, an aliph. group or an arom. group; and M represents a hydrogen atom or a cation. A process for processing an imagewise exposed silver halide photog. material is provided, which comprises developing in a developing soln. and processing in the above described processing compn. contq. a metal chelate compd. Moreover, a processing compn. having a bleaching capacity for bleaching a silver halide color photog. material is provided, contg. the above described metal chelate compd. as a bleaching agent. A process for processing an imagewise exposed silver halide color photog. material is also provided which comprises developing in a color developing soln. and processing in the above described processing compn. having a bleaching capacity and contg. the above described metal chelate compd. as a bleaching agent.

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L5
    ANSWER 107 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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AN1994:323604 CAPLUS

DN 120:323604

TIpreparation of condensed heterocyclic derivatives as weedkillers

IN Yokota, Sumio; Matsuzawa, Masafumi; Ohba, Nobuyuki; Nagata, Toshihiro; Tachikawa, Shigehiko

PΑ Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.

SO PCT Int. Appl., 134 pp.

CODEN: PIXXD2

DT Patent

Japanese LΑ

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_\_\_ WO 9401415 A1 19940120 WO 1993-JP909 19930702 PΙ

W: AU, BR, CA, RU, UA, US

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

Patel

					1992-199054		
7.0	07005057	7.0	10050107		1993-136808	A	
JP	07025857	A2	19950127		1993-187364	7.	19930630
•					1992-199054		
7.11	0245121	7.1	10040121		1993-136808	Α	
	9345131	A1	19940131	AU	1993-45131		19930702
ΑU	662997	B2	19950921	70	1000 100054		10000703
					1992-199054		
					1993-136808		19930514
	606400	7.1	10040700		1993-JP909	Α	19930702
EP	606489 R: BE. DE. DI	A1	19940720		1993-914944		19930702
	R: BE, DE, D	K, FR,	GB, 11,		1992-199054	7\	19920703
							19920703
					1993-136606 1993-JP909		19930514
מם	9305569	A	19951226		1993-5569	W	19930702
ЬK	3303363	A	19931226		1992-199054	7\	19920703
					1993-136808		
				·-	1993-JP909		19930702
BII	2105005	C1	19980220		1994-19415	**	19930702
110	2103003	C±	19900220		1992-199054	Δ	19920703
	*				1993-136808		19930514
					1993-JP909		19930702
CN	1095379	A	19941123	CN	1993-117053		19930831
				JР	1993-136808	Α	19930514
US	5616537	A	19970401	US	1994-204199		19940301
				JP	1992-199054	Α	19920703
				JP	1993-136808	Α	19930514
				WO	1993-JP909	W	19930702
US	5770544	A	19980623	US	1996-728531		19961009
				JP	1992-199054	Α	19920703
				JP	1993-136808	Α	19930514
				US	1994-204199	A3	319940301

OS MARPAT 120:323604

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	WO 9312135	A1	19930624	WO 1992-US10793	19921211
	W: AU, CA,	JP. KR			

GI For diagram(s), see printed CA Issue.

AB Condensed heterocyclic derivs. [I; R = OH, ester residue; R3, R4 = alkoxy; W = O, NH; ring A = 5- or 6-membered heterocycle residue], effective weedkillers against gramineous and nongramineous weeds but safe to crops, are prepd. Oxidn. of aldehyde deriv. II (R1 = CHO) with KMnO4 in acetone at room temp. gave 76% acid II (R1 = CO2H), which killed >90% barntard grass, Monochoria vaginalis, and Scirpus juncoides at 100 g/10 are.

L5 ANSWER 108 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1994:271074 CAPLUS

DN 120:271074

TI Nuclease-stable and binding-competent oligomers and methods for their use

IN Swaminathan, Sundaramoorthi; Jones, Robert J.; Matteucci, Mark; Munger, John; Pudlo, Jeff

PA Gilead Sciences, Inc., USA

SO PCT Int. Appl., 138 pp.

CODEN: PIXXD2

DT Patent

LA English

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE US 1991-806710 19911212 AU 9332500 AU 1993-32500 Α1 19930719 19921211 US 1991-806710 19911212 WO 1992-US10793 19921211 EP 616612 19940928 A1 EP 1993-900169 19921211 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE US 1991-806710 19911212 WO 1992-US10793 19921211 US 5792608 Α 19980811 US 1995-417632 19950406 US 1991-806710 19911212 US 1992-990848 19921211 OS MARPAT 120:271074

GI

Oligonucleotide analogs coupled through a substitute linkage contg. a 6-or 7-membered ring or a C1-C3 chain were prepd. for use in diagnosis and therapy of diseases assocd. with gene expression (no data). Thus, the dimers I (B = thymidine, N-benzoyl-5-methylcytidine) were prepd. from the protected nucleosides via oxidn. to the aldehydes, Wittig reaction with HCOCH:PPh3, redn. of the double bond, and reaction of the satd. aldehyde with 5'-phenoxyacetylthymidine.

- L5 ANSWER 109 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1994:217719 CAPLUS
- DN 120:217719
- TI Preparation of nitrogen-containing heterocyclic compounds
- IN Watabe, Yoshihisa; Kondo, Teruyuki; Akazome, Motohiro
- PA Nissan Chemical Ind Ltd, Japan
- SO Jpn. Kokai Tokkyo Koho, 12 pp.

Patel

CODEN: JKXXAF

DT Patent LA Japanese

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

1 JP 05239036 A2 19930917 JP 1992-41028 19920227

1 JP 1992-41028 19920227

Ç

OS CASREACT 120:217719; MARPAT 120:217719

GI

$$X^2$$
 $Y^1$ 
 $Y^2$ 
 $X^3$ 
 $X^4$ 
 $X^3$ 
 $X^4$ 
 $X^3$ 
 $X^4$ 
 $X^3$ 
 $X^4$ 
 $X^4$ 
 $X^3$ 
 $X^4$ 
 $X^4$ 

The title derivs. I [X1 - X4 = H, OH, CHO, COOH, halo, C2-8 acyl, (un) substituted Ph, carbonyl, amino, alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylsulfonyloxy, alkenyl, alkynyl, phenoxy, phenylthio, phenylsulfonyl; .gtoreq.2 of neighboring X1 to X4 may be combined with C, O, or N to form 5- or 6-membered cyclyl; Y1, Y2 = O, S, CO, NR1, CR2R3; Z = H, (un) substituted Ph, amino, alkyl, alkoxy, alkylthio, alkenyl, alkynyl, phenoxy, phenylthio, phenylsulfonyl; R1 - R3 = H, (un) substituted amino, alkyl, alkoxy; R1 and R2 or R3 and Z may be combined with C, O, or N to form 5-8 membered cyclyl; n = 0, 1] are prepd. by cyclization of nitrobenzenes II with CO in presence of groups VIIB and/or VIII catalysts. Autoclaving a mixt. of N-(2-nitrobenzoyl)-2-azacycloheptanone, Ru3(CO)12, and 1,4-dioxane at 140.degree. and 40 atm CO for 16 h gave 82% azacycloheptano[2,1-b]-4(3H)-quinazolinone.

L5 ANSWER 110 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1994:134530 CAPLUS

DN 120:134530

TI Preparation of (imidazolyl- and imidazolylalkyl)indole derivatives as inhibitors of thromboxane A2 synthesis and histamine

IN Matsui, Hiroshi; Kamiya, Shoji; Shirahase, Hiroaki; Nakamura, Shohei

PA Kyoto Pharmaceutical Industries, Ltd., Japan

SO PCT Int. Appl., 73 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
ΡI	WO 9320065	Al 19931014	WO 1993-JP378	19930326
	W: AU, CA,	JP, KR, US		
	RW: AT, BE,	CH, DE, DK, ES, I	FR, GB, GR, IE, IT, LU,	MC, NL, PT, SE
			JP 1992-102071	19920327
	CA 2109931	AA 19931014	CA 1993-2109931	19930326
			JP 1992-102071	19920327
	AU 9337680	A1 19931108	AU 1993-37680	19930326
	AU 658729	B2 19950427		

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JP 1992-102071
                                                        19920327
                                       WO 1993-JP378
                                                        19930326
EP 597112
                  A1
                       19940518
                                       EP 1993-906837
                                                        19930326
    R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
                                       JP 1992-102071
                                                        19920327
                                       WO 1993-JP378
                                                        19930326
US 5538973
                       19960723
                                       US 1995-393042
                                                        19950223
                                       JP 1992-102071
                                                        19920327
                                       US 1993-142443
                                                        19931126
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OS MARPAT 120:134530

GI

AB The title compds. (I; R1 = H, aryl; R2 = H, halo, lower alkyl or alkoxy; R3 = H, lower alkyl; A = bond, CO, CH2CO, CONH, COCH2O, alkyleneoxy; B = bond, O, alkylene, alkyleneoxy; X = Y = N or one of X and Y = N and the other = CH; Z = H, CO2H or its ester; m, n = 0-4), also having vasodilating and blood platelet aggregation-inhibiting activity and inhibiting histamine- and leukotriene-induced contraction of a respiratory tract and useful for prevention and/or treatment of diseases induced by thromboxane A2 or histamine, e.g. asthma and allergy, are prepd. Thus, alkylation of 2-ethoxycarbonyl-5-(1H-imidazol-ylmethyl)-1H-indole by Br(CH2)3Cl in the presence of NaH in DMF and condensation of the resulting 1-(3-chloropropyl) indole deriv. with 1-diphenylmethylpiperazine in the presence of K2CO3 and NaI in DMF at 80.degree. gave, after sapon. with NaOH in 95% aq. EtOH and acidification with 3 N aq. HCl, an (imidazolylpropyl)indoline deriv. (II). II at 10-5 M in vitro inhibited 100% the histamine-induced contraction of guinea pig's lungs and at 30 mg/kg p.o. in vivo inhibited the histamine- and leukotriene D4-induced contraction of respiratory tract by 100 and 75%, resp.

- L5 ANSWER 111 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1994:107001 CAPLUS
- DN 120:107001
- TI Heterocyclic and aromatic amidine derivatives and salts thereof
- IN Nagahara, Takayasu; Kanaya, Naoaki; Inamura, Kazue; Yokoyama, Yukio
- PA Daiichi Pharmaceutical Co., Ltd., Japan
- SO Eur. Pat. Appl., 94 pp.

DT LA FAN.	CODEN: EPXXDW Patent English CNT 1 PATENT NO.	KIND	DATE	API	PLICATION NO	•	DATE		
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ΡI	EP 540051 EP 540051	A1 B1	19930505	EP	1992-118705		19921030		
				GB, G	R, IE, IT, I	Ί,	LU, NL,	PT,	SE
					1991-286088	Α			
	ZA 9208276	A	19930506		1992-8276	70	19921026		
	IL 103564	A1	19981206		1991-286088 1992-103564				
				JP	1991-286088	Α	19911031		
	NO 9204164	Α	19930503		1992-4164				
	DE 4236574	A1	19930506		1991-286088 1992-4236574				
	DE 42303/4	AI	19930306		1991-285919				
	CA 2081836	AA	19930501		1992-2081836				
					1991-286088				
	AU 9227470	A1	19930506		1992-27470				
	AU 666137	B2	19960201						
				JP	1991-286088	Α	19911031		
	JP 05208946	A2	19930820	JΡ	1992-292892		19921030		
	JP 2879718	B2	19990405						
		_			1991-286088				
	US 5300851	A	19940405		1992-969369				
	HU 65890	A2	19940728		1991-285919	A			
	nu 63690	A2	19940726		1992-3433 1991-286088	7\	19921030		
	AT 136293	E	19960415		1992-118705				
		_			1991-286088				
	ES 2088073	Т3	19960801		1992-118705				
					1991-286088				
	PL 170312	B1	19961129	$\mathtt{PL}$	1992-296439		19921030		
					1991-286088				
	JP 10291931	A2	19981104		1998-85454		19921030		
					1991-286088				
	CF 004301	DC	10001111		1992-292892	A3			
	CZ 284381	В6	19981111		1992-3276		19921030		
	SK 279807	В6	19990413		1991-286088 1992-3276	А	19911031		
	BR 275007	ь	10000410		1991-286088	Δ			
	RU 2139851	C1	19991020		1992-4542		19921030		
					1991-286088	Α			
	SG 78251	A1	20010220		1996-6031		19921030		
				JP	1991-286088	Α	19911031		
	CN 1072677	Α	19930602	CN	1992-114304		19921031		
	CN 1049434	В	20000216						
	DG 60000				1991-286088				
	BG 63237	B2	20010629		1994-98594		19940225		
	US 5576343	А	19961119		1991-286088 1995-468304				
	UB 55/0343	А	12301119	-	1995-468304		19950606		
					1991-266086				
					1994-282571				
	US 5620991	A	19970415		1995-471173		19950606		
		-			1991-286088				

				US	1992-969396	B119921030
				US	1994-282571	B119940729
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CN	1097052	В	20021225			
				JP	1991-286088	A 19911031
CN	1168886	A	19971231	CN	1997-110748	19970416
CN	1062865	В	20010307			
				JР	1991-286088	A 19911031
US	5866577	Α	19990202	US	1997-924504	19970905
				JΡ	1991-286088	A 19911031
				US	1992-969369	B119921030
				US	1994-282571	B319940729
				US	1995-469593	A119950606
US	5962695	A	19991005	US	1998-131235	19980807
				JP	1991-286088	A 19911031
				US	1992-969396	B119921030
				US	1994-282571	B319940729
				US	1995-469593	B119950606
				US	1997-924504	A319970905

OS MARPAT 120:107001

AB The title compds. I (where the benzeno-Z ring is indolyl, benzimidazolyl, naphthyl, etc.; R = HN:CNH2; R1 = H, alkoxy; R2 = H, alkyl, alkoxy, etc.; R3 = H, carboxyl, etc.; R4 = H, OH, alkyl, alkoxy; A = C1-4 alkylene; X = single bond, O, S, CO; n = 0-4; Y = heterocyclic or cyclic hydrocarbon moiety) useful as anticoagulant agents were prepd. by treating I (R = CN) with R5OH (R5 = alkyl) to give I (R = R5OC:NH) followed by treatment with NH3. Some of the prepd. compds. showed strong anticoagulant activity through their specific anti-FXa activity in comparison with DABE.

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L5 ANSWER 112 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN
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- TI Continuous reaction of halopyrimidines with amines
- IN Arnold, Siegbert; Frosch, Hans Georg; Hoppe, Manfred; Muellers, Wolfgang; Sommer, Richard
- PA Bayer A.-G., Germany
- SO Eur. Pat. Appl., 26 pp. CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
			<b></b>		
ΡI	EP 542079	A2	19930519	EP 1992-118736	19921102
	EP 542079	<b>A</b> 3	19940817		
	EP 542079	B1	19970723		
	R: CH, DE,	FR, GB	, LI		
				DE 1991-4137291	19911113
	DE 4137291	A1	19930519	DE 1991-4137291	19911113
	JP 05222306	<b>A</b> 2	19930831	JP 1992-321425	19921106
				DE 1991-4137291	19911113
	US 5420255	Α	19950530	US 1994-200865	19940222
				DE 1991-4137291	19911113
				US 1992-970897	19921103

OS MARPAT 119:273400

GI For diagram(s), see printed CA Issue.

AN 1993:673400 CAPLUS

DN 119:273400

AB Reactive dyes are obtained by continuous condensation of halopyrimidines with aq. amine solns. or dispersions using sep. feeding of the reactants,

and removal of the product; the reactants are simultaneously added to the reactor with intensive stirring, e.g., at Reynolds no. .gtoreq.2500. Thus, 9 kg/h 5-chloro-2,4,6-trifluoropyrimidine (I) at 20.degree. and 171 L/h aq. soln. at 40.degree. contg. 12.9 kg Na 7-amino-4-hydroxy-2-naphthalenesulfonate and 2.1 kg NaF were introduced (with I pressure drop 35 bars) to a jet nozzle reactor and the product at 0.degree. was coupled with diazotized 2-amino-5-methoxybenzenesulfonic acid to give an azo dye. The dye provided clear scarlet shades on cotton.

L5 ANSWER 113 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1993:552116 CAPLUS

DN 119:152116

TI Use of renin inhibitors for the treatment of glaucoma

IN Tanaka, Yoko; Kagayama, Akira; Hata, Takehisa

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

GI

	PATENT NO.			APPLICATION NO.	DATE
PI				WO 1992-JP1656	19921218
	RW: AT, BE,	CH, DE, DK,	ES, FR,	GB, GR, IE, IT, LU, GB 1991-27041	
	ZA 9209738	A 19930	0617		19921215
	AU 9331712	A1 1993	0728	AU 1993-31712	
	AU 661748	B2 1995			
				GB 1991-27041	
				WO 1992-JP1656	
				EP 1993-900396	
	R: AT, BE,	CH, DE, DK,	ES, FR,	GB, GR, IE, IT, LI,	LU, NL, PT, SE
				GB 1991-27041	19911220
				WO 1992-JP1656	19921218
	JP 07506807	T2 19950	0727	JP 1992-511545	19921218
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	CN 1088934	A 1994	0706	CN 1993-101190	19930102
				GB 1991-27041	19911220
OS	MARPAT 119:15211	16			

AB The renin-inhibiting histidine derivs. I [R1 = (un)substituted alkyl or amino; R2, R3 = H, alkyl; NR1R2 = heterocyclyl; R4 = alkyl] or I salts are

Ι

## Page 156

drugs for the treatment of glaucoma. Eye application of 0.2% 2(S) - [N.alpha. - [2(S) - [N-methyl-N-[2-[N-(morpholinocarbonyl)-N-methylamino]ethyl]aminocarbonyloxy]-3-phenylpropionyl]-N.alpha.-methyl-L-histidyl]amino-1-cyclohexyl-3(S)-hydroxy-6-methylheptane-HCl lower intraocular pressure in the rabbit.

L5 ANSWER 114 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1993:482775 CAPLUS

DN 119:82775

TI Color photographic material for color proofing

IN Inoe, Akyuki; Hirano, Shigeo; Hanaki, Koichi

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 40 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
			<del></del>		
ΡI	JP 04299339	A2	19921022	JP 1991-87399	19910328
				JP 1991-87399	19910328

Ι

II

OS MARPAT 119:82775

GI

$$R_{m}^{4}$$
 $R_{m}^{5}$ 
 $R_{m}^{5}$ 

$$R^{23}$$
 NHCOCF<sub>2</sub>- $R^{21}$ 

The title photog. material contains I [R1,2 = H, group which will release OH during development; R3 = alkyl, aryl, alkenyl, alkynyl, heterocyclyl, amino; R4,5 = benzene ring substituent group; m = 0-4; n = 0-3; L = bivalent linking group; p = 0-3] and II [R21 = H, halo, alkyl; R22 = alkyl, aryl, heterocyclyl; R23 = H, halo, alkyl, alkoxy, aryloxy, carbo; X = H, coupling-releasable group]. Halftone reprodn. is improved.

L5 ANSWER 115 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1992:128686 CAPLUS

DN 116:128686

TI Benzoheterocyclic compounds

IN Ogawa, Hidenori; Miyamoto, Hisashi; Kondo, Kazumi; Yamashita, Hiroshi;
Nakaya, Kenji; Komatsu, Hajime; Tanaka, Michinori

PA Otsuka Pharmaceutical Co., Ltd., Japan

10009276.4 Page 157

LΑ	PCT Int. Appl., CODEN: PIXXD2 Patent English	909 pp.	
FAN.	CNT 2 PATENT NO.		APPLICATION NO. DATE
PI		A1 19910502	
		CH, DE, DK, ES,	FR, GB, GR, IT, LU, NL, SE  JP 1989-274338 A 19891020  JP 1990-66063 A 19900315  JP 1990-105580 A 19900420  JP 1990-181858 A 19900709  JP 1991-87994 19910419
	EP 450097 EP 450097	A1 19911009	EP 1990-915185 19901018
		B1 19960424 DK, ES, FR, GB,	
	ES 2089033	T3 19961001	ES 1990-915185 19901018  JP 1989-274338 A 19891020  JP 1990-66063 A 19900315  JP 1990-105580 A 19900420  JP 1990-181858 A 19900709
	CN 1051038 CN 1027505	A 19910501 B 19950125	CN 1990-108449 19901019 JP 1989-274338 A 19891020
		A2 19920527	JP 1990-181858 A 19900709 JP 1990-282568 19901019
	JP 07076214	B4 19950816	JP 1989-274338 A119891020 JP 1990-66063 A119900315 JP 1990-105580 A119900420 JP 1990-181858 A119900709
	AU 9172917 AU 630284	A1 19911219 B2 19921022	AU 1991-72917 19910314
			JP 1989-274338 A 19891020 JP 1990-66063 A 19900315 JP 1990-105580 A 19900420 JP 1990-181858 A 19900709 WO 1990-JP1340 W 19901018
	CA 2066104 CA 2066104	AA 19921020 C 20030527	,
	AU 9214984 AU 646334	A1 19921022 B2 19940217	,
	EP 514667 EP 514667	A1 19921125 B1 19950809	1
		DK, ES, FR, GB,	JP 1991-87994 A 19910419
	CN 1066653 CN 1035670	A 19921202 B 19970820	
			JP 1991-87994 A 19910419

	ES 2078576	Т3	19951216	ES 1992-106606 19920416
				JP 1991-87994 A 19910419
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				JP 1991-87994 A119910419
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	CN 1048484	В	20000119	
				JP 1989-274338 A 19891020
				JP 1990-181858 A 19900709
	US 5753677	A	19980519	US 1995-474544 19950607
	05 3733077	-	1000011	US 1991-762015 B219910619
				US 1992-851541 A319920313
D3 (11)	NITE TINNETT SE TANDONA	mr ON		US 1993-76804 A319930610
FAN	NT FAMILY INFORMA 1993:649979	TION:		
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
				THE CALL OF NO. DATE
ΡI	JP 04321669	A2	19921111	JP 1991-182066 19910419
	JP 2905909	B2	19990614	01 1991 102000 19910119
	US 5258510	A	19931102	US 1992-851541 19920313
	05 3230310	A	19931102	JP 1989-274338 A 19891020
				JP 1990-66063 A 19900315
	1			JP 1990-105580 A 19900420
				JP 1990-181858 A 19900709
				JP 1991-182066 A 19910419
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	US 5559230	Α	19960924	US 1993-76804 19930610
				JP 1990-66063 A 19900315
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				JP 1990-181858 A 19900709
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				US 1992-851541 A319920313
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				US 1991-762015 B219910619
				US 1992-851541 A319920313
				US 1993-76804 A319930610
	US 5985869	A	19991116	US 1997-893925 19970715
	02 3303003	11	17771110	JP 1989-274338 A 19891020
				JP 1990-105580 A 19900420
				JP 1990-181858 A 19900709
				JP 1991-182066 A 19910419
				US 1992-851541 A319920313
				US 1993-76804 A319930610
		_		US 1995-474544 A319950607
OS	MARPAT 116:12868	6		

OS MARPAT 116:128686

GI For diagram(s), see printed CA Issue.

Title compds. I [X = atoms required to complete a 6-8-membered ring optionally contg. other heteroatoms; R = substituted Ph; R1 = H, halogen, alkyl, NH2, substituted NH2, aminoalkoxy, (un) substituted BzO] (.apprx.1000 compds.) were prepd. by various methods. Benzazepines II (R2 = NMe2, R3 = 2-MeC6H4; R2 = OH, R3 = 3,5-Cl2C6H3; R2 = H, R3 = 2,3-Me2C6H3) tripled urine excretion in rats at 0.4-4.2 mg/kg i.v.

L5 ANSWER 116 OF 116 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1988:565722 CAPLUS DN 109:165722 TI Preparation of triazolinone herbicides IN Theodoridis, George PA FMC Corp., USA SO PCT Int. Appl., 37 pp. CODEN: PIXXD2 DT Patent LA English FAN.CNT 2					
	PATENT NO. KIN			PPLICATION NO.	DATE
PI	WO 8801133 A1 W: BR, HU, JP, RW: BE, CH, DE,	l 198802 KR	225 W	O 1987-US1928	19870805
	1 22, 311, 22,	110, 02, 1		S 1986-898453	19860820
	EP 322413 A1	19890	_	P 1987-905518	
	R: BE, CH, DE,			>0. >000-0	
	,,,	,,		S 1986-898453	19860820
	HU 48799 A2	2 198907	728 H	U 1987-4354	19870805
			11	C 1006_000/52	10060020
			W	O 1987-US1928	19870805
	BR 8707779 A	198908	315 B	R 1987-7779	19870805
			U	S 1986-898453	
				O 1987-US1928	
	JP 02500271 T2	2 199002	201 J	P 1987-505029	19870805
			TI	P 1987-505029 S 1986-898453	19860820
			W	O 1987-US1928	19870805
	ZA 8706179 A	198804	127 Z	A 1987-6179	19870820
			U	S 1986-898453	19860820
	CN 1032005 A	198903	329 C	S 1986-898453 N 1987-105742	19870820
			U	S 1986-898453	19860820
PATE	NT FAMILY INFORMATION	<b>J</b> :	_		
	1992:255620				
	PATENT NO. KIN		A	PPLICATION NO.	DATE
ΡI	US 5084085 A	199201	L28 U	S 1990-562544 S 1986-898453 S 1988-161348	19900803
			U	S 1986-898453	19860820
			Ŭ	S 1988-161348	19880219
			บ	S 1989-449091	19891208
OS GI	MARPAT 109:165722				

QArN NR8 
$$R^2$$
  $Z$   $Z$   $Z$   $Z$   $Z$   $Z$   $Z$   $Z$   $Z$ 

AB Herbicidal compds. are described, characterized by the formula I [R1 = H, alkyl, halo, haloalkyl, NO2, alkoxy, alkylthio, cyano; R2 = H, halo, alkyl, haloalkyl, alkoxy, haloalkoxy, NO2, NH2, alkylthio, CO2H, CONHSO2R5, CONH2, CONHR5, CONHOR7, CO2CHR4CO2R3, NHSO2R7, N(SO2R7)2, SCHR6COR3, R3(COCHR4O)n, etc.; M = CH, N; Z = O, S, NH, alkylamino; R3 =

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10009276.4 Page 160

OH, alkoxy, NH2, NHSO2R5, N(SO2R5)SO2R6, etc.; R4 = H, Me; R5, R6 = alkyl, haloalkyl, aryl; R7 = alkyl; Ar = substituted benzene ring; n = 1, 2]. Ar, R8, and R9 are so chosen that when Q is MeO or propargyloxy instead of the formula given above, I is an herbicide. 1-[4-Chloro-2-fluoro-5-(4-hydroxyphenoxy)phenyl]-4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-one (prepn. given in 3 steps) was refluxed for 6 days with Et 2-bromopropionate in K2CO3-contg. acetone to give Et <math>2-[4-[2-chloro-4-fluoro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)phenoxy]phenoxy]propionate (II). II (8 kg/ha postemergence) gave total control of velvetleaf (Abutilon theophrasti) and almost total control of green foxtail (Setaria viridis).

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
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FULL ESTIMATED COST	395.87	658.82
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
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NEWS
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         Mar 04
                 SDI PACKAGE for monthly delivery of multifile SDI results
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         Mar 24 Additional information for trade-named substances without
                 structures available in REGISTRY
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         Apr 11
                 Display formats in DGENE enhanced
NEWS 11
         Apr 14
                 MEDLINE Reload
NEWS 12
         Apr 17
                 Polymer searching in REGISTRY enhanced
         AUG 22
NEWS 13
                 Indexing from 1927 to 1936 added to records in CA/CAPLUS
NEWS 14
         Apr 21
                 New current-awareness alert (SDI) frequency in
                 WPIDS/WPINDEX/WPIX
NEWS 15
         Apr 28
                 RDISCLOSURE now available on STN
NEWS 16
         May 05
                 Pharmacokinetic information and systematic chemical names
                 added to PHAR
NEWS 17
         May 15
                 MEDLINE file segment of TOXCENTER reloaded
NEWS 18
         May 15
                 Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 19
         May 19
                 Simultaneous left and right truncation added to WSCA
NEWS 20
         May 19
                 RAPRA enhanced with new search field, simultaneous left and
                 right truncation
NEWS 21
         Jun 06
                 Simultaneous left and right truncation added to CBNB
NEWS 22
         Jun 06
                 PASCAL enhanced with additional data
                 2003 edition of the FSTA Thesaurus is now available
NEWS 23
         Jun 20
NEWS 24
         Jun 25
                 HSDB has been reloaded
NEWS 25
         Jul 16
                 Data from 1960-1976 added to RDISCLOSURE
NEWS 26
         Jul 21
                 Identification of STN records implemented
         Jul 21
NEWS 27
                 Polymer class term count added to REGISTRY
NEWS 28
         Jul 22
                 INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
                 Right Truncation available
NEWS 29
         AUG 05
                 New pricing for EUROPATFULL and PCTFULL effective
                 August 1, 2003
NEWS 30
                 Field Availability (/FA) field enhanced in BEILSTEIN
         AUG 13
NEWS 31
         AUG 15
                 PATDPAFULL: one FREE connect hour, per account, in
                 September 2003
        AUG 15
NEWS 32
                 PCTGEN: one FREE connect hour, per account, in
                 September 2003
NEWS 33
        AUG 15
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                 September 2003
NEWS 34
         AUG 15
                 TEMA: one FREE connect hour, per account, in
                 September 2003
        AUG 18 Data available for download as a PDF in RDISCLOSURE
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NEWS 36 AUG 18 Simultaneous left and right truncation added to PASCAL NEWS 37 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right

Truncation

NEWS 38 AUG 18 Simultaneous left and right truncation added to ANABSTR

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Patel

Page 3

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G1 N, CH

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FULL SCREEN SEARCH COMPLETED - 2339 TO ITERATE

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104 ANSWERS

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L2 104 SEA SSS FUL L1

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FILE COVERS 1907 - 29 Aug 2003 VOL 139 ISS 10 FILE LAST UPDATED: 28 Aug 2003 (20030828/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

Patel

8/29/2003>

=> s 12

L3 21 L2

=> d 13 fbib hitstr abs total

L3 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:354275 CAPLUS

DN 137:201277

TI (Arylsulfonyl)guanidines in synthesis of (arylsulfonyl)pyrimidines. II

AU Farzaliyev, V. M.; Shahgeldiyeva, L. M.; Mamedov, S. A.; Ladohina, N. P.

CS Inst. Khim. Prisadok im. A. M. Kulieva, Nats. AN Azerb., Azerbaijan

SO Azerbaidzhanskii Khimicheskii Zhurnal (2001), (2), 20-22 CODEN: AZKZAU; ISSN: 0005-2531

PB Natsional'naya Akademiya Nauk Azerbaidzhana

DT Journal

LA Russian

OS CASREACT 137:201277

IT 454226-06-3P 454226-08-5P

RL: SPN (Synthetic preparation); PREP (Preparation) ((arylsulfonyl)guanidines in prepn. of (arylsulfonyl)pyrimidines)

RN 454226-06-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-amino-2-[[(4-methylphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

Me 
$$NH_2$$
  $C-NH_2$ 

RN 454226-08-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-amino-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH_2 \\ H_2N-C & NH_2 \\ \hline N & NH-S \\ \hline O & i-Pr \\ \hline O & i-Pr \\ \end{array}$$

GI

$$R^2$$
  $SO_2NH$   $N$   $R^3$ 

$$R^2$$
  $SO_2NH$   $N$   $Z$   $R^2$   $II$ 

AB Reactions of (arylsulfonyl)guanidines with arylidenemalononitriles and with (ethoxymethylene)malononitriles gave dihydropyrimidines [I; R1 = Me, R2 = H; R3 = 2-furanyl, (un)substituted phenyl; R1 = R2 = R3 = Me2CH; R3 = C6H5F-4, C6H4OMe-4] and pyrimidines (II; same R1, R2; Z = CN, CONH2).

L3 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

Ι

- AN 2000:900621 CAPLUS
- DN 134:56683
- TI Preparation of nitrogen-containing heterocyclic derivatives as remedies for complications of diabetes based on protein kinase C inhibition
- IN Suzuki, Takayuki; Onda, Kenichi; Murakami, Takeshi; Negoro, Kenji; Yahiro, Kiyoshi; Maruyama, Tatsuya; Shimaya, Akiyoshi; Ohta, Mitsuaki
- PA Yamanouchi Pharmaceutical Co., Ltd., Japan
- SO PCT Int. Appl., 62 pp. CODEN: PIXXD2
- DT Patent
- LA Japanese

FAN.CNT 1

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PATENT NO.
                          KIND
                                 DATE
                                                    APPLICATION NO.
                                                                        DATE
                          _ _ _ _
                                 -----
                                                    -----
ΡI
      WO 2000076980
                           A1
                                 20001221
                                                    WO 2000-JP3768
                                                                        20000609
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
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               SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
               ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
               DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
               CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                    JP 1999-163344 A 19990610
                                                    JP 1999-165217 A 19990611
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OS MARPAT 134:56683

IT 313338-65-7P 313338-66-8P 313338-67-9P 313338-68-0P 313338-69-1P 313338-70-4P 313338-71-5P 313338-72-6P 313338-73-7P 313338-74-8P 313338-75-9P 313338-76-0P

## 313339-07-0P 313339-08-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation): USES (Uses)

BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of nitrogen-contg. heterocyclic derivs. as remedies for complications of diabetes)

RN 313338-65-7 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-3-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

RN 313338-66-8 CAPLUS

CN Pyrazinecarboxamide, 3-[(3-chlorophenyl)amino]-5-[[2-(dimethylamino)ethyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_2\text{N}-\text{CH}_2-\text{CH}_2-\text{NH} \\ \hline & \text{N} \\ & \text{N} \\ & \text{N} \\ & \text{N} \\ & \text{C}-\text{NH}_2 \\ \hline & \text{C} \end{array}$$

RN 313338-67-9 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-3-[(3-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

RN 313338-68-0 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-3-[(3-nitrophenyl)amino]- (9CI) (CA INDEX NAME)

RN 313338-69-1 CAPLUS

CN Pyrazinecarboxamide, 3-[(3-acetylphenyl)amino]-5-[(2-(dimethylamino)ethyl]amino]- (9CI) (CA INDEX NAME)

RN 313338-70-4 CAPLUS

CN Benzoic acid, 3-[[3-(aminocarbonyl)-6-[[2-(dimethylamino)ethyl]amino]pyraz inyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 313338-71-5 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-3-[(9-oxo-9H-fluoren-2-yl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ \hline \\ & & \\ &$$

RN 313338-72-6 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-3-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \operatorname{Me_2N-CH_2-CH_2-NH} & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 313338-73-7 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)

RN 313338-74-8 CAPLUS

CN Pyrazinecarboxamide, 3-(cyclohexylamino)-5-[[2-(dimethylamino)ethyl]amino]-(9CI) (CA INDEX NAME)

RN 313338-75-9 CAPLUS

CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)propyl]amino]-3-[(3-nitrophenyl)amino]- (9CI) (CA INDEX NAME)

RN 313338-76-0 CAPLUS

CN Pyrazinecarboxamide, 5-[[(1R,2R)-2-(dimethylamino)cyclopentyl]amino]-3-[(3-nitrophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 313339-07-0 CAPLUS

CN 3-Pyridinecarboxamide, 6-[[2-(dimethylamino)ethyl]amino]-2-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

RN 313339-08-1 CAPLUS

CN 1,2,4-Triazine-6-carboxamide, 3-[[2-(dimethylamino)ethyl]amino]-5-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Page 10

GI

$$\begin{array}{c} D-A1 \\ N-R^2 \\ CO-NH_2 \\ N \\ R^3 \end{array}$$

AB The title compds. I [Y and X together are N:N, C(R4):N, etc.; D = (un)substituted aryl, etc.; R1 = (un)substituted heteroaryl, etc.; A1, A2 = (un)substituted alkylene, etc.; R2, R3, R4 = H, OH, etc.; or R1A2NR3 = (un)substituted heteroaryl] are prepd. The title compd. II in vitro showed IC50 of 0.0049 .mu.mol against protein kinase C.

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

Ι

- AN 2000:881124 CAPLUS
- DN 134:42141
- TI Preparation of novel heterocyclic carboxamide derivatives as spleen tyrosine kinase inhibitors
- IN Hisamichi, Hiroyuki; Kawazoe, Souichirou; Tanabe, Kazuhito; Ichikawa, Atsushi; Orita, Akiko; Suzuki, Takayuki; Onda, Kenichi; Takeuchi, Makoto
- PA Yamanouchi Pharmaceutical Co., Ltd., Japan
- SO PCT Int. Appl., 36 pp.

Patel

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CODEN: PIXXD2
DT
     Patent
LΑ
    Japanese
FAN.CNT 1
    PATENT NO.
                    KIND DATE
                                         APPLICATION NO. DATE
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                                         -----
                                        WO 2000-JP3767 20000609
PΙ
    WO 2000075113
                     A1 20001214
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
            CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
            ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
            LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
            SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
            ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
            CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          JP 1999-162692 A 19990609
    JP 2001055378
                      A2
                           20010227
                                          JP 2000-171185 20000607
                                          JP 1999-162692 A 19990609
    EP 1184376
                      A1
                           20020306
                                          EP 2000-935619 20000609
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            IE, SI, LT, LV, FI, RO
                                          JP 1999-162692 A 19990609
                                          WO 2000-JP3767 W 20000609
OS
    MARPAT 134:42141
TΤ
    312736-59-7P 312736-60-0P 312736-79-1P
    312736-81-5P 312736-82-6P 312736-83-7P
    312736-84-8P 312736-85-9P 312736-86-0P
    312736-87-1P 312736-88-2P 312736-89-3P
    312736-90-6P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
    study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of novel heterocyclic carboxamide derivs. as spleen tyrosine
       kinase inhibitors as preventives or remedies for diseases)
    312736-59-7 CAPLUS
RN
    3-Pyridinecarboxamide, 6-[[(1R,2S)-2-aminocyclohexyl]amino]-2-[(3-
CN
    methylphenyl)amino]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)
```

Relative stereochemistry.

Patel

$$NH_2$$
 $NH_2$ 
 $NH_2$ 

● HCl

RN 312736-60-0 CAPLUS
CN Pyrazinecarboxamide, 3-[[(1R,2S)-2-aminocyclohexyl]amino]-5-[(3-methylphenyl)amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 312736-79-1 CAPLUS
CN Pyrazinecarboxamide, 5-[[(1R,2S)-2-aminocyclohexyl]amino]-3-[(3-methylphenyl)amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 312736-81-5 CAPLUS

CN 3-Pyridinecarboxamide, 6-[(2-aminoethyl)amino]-2-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)

RN 312736-83-7 CAPLUS

CN 3-Pyridinecarboxamide, 6-[[(1R,2S)-2-aminocyclohexyl]amino]-2-[(3,5-dimethylphenyl)amino]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Page 14

$$H_2N$$
 $Me$ 
 $H_2N$ 
 $Me$ 
 $Me$ 

● HCl

RN 312736-84-8 CAPLUS

CN Pyrazinecarboxamide, 5-[[(1R,2S)-2-aminocyclohexyl]amino]-3-[(3-methoxyphenyl)amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$NH_2$$
 $NH_2$ 
 $NH_2$ 

RN 312736-85-9 CAPLUS

CN Pyrazinecarboxamide, 5-[[(1R,2S)-2-aminocyclohexyl]amino]-3-[(3-phenoxyphenyl)amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 312736-86-0 CAPLUS

CN Pyrazinecarboxamide, 5-[[(1R,2S)-2-aminocyclohexyl]amino]-3-[(3,5-dimethoxyphenyl)amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 312736-87-1 CAPLUS

CN Pyrazinecarboxamide, 5-[[(1R,2S)-2-aminocyclohexyl]amino]-3-[[3-(methylthio)phenyl]amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Patel

RN 312736-88-2 CAPLUS

CN Pyrazinecarboxamide, 5-[[(1R,2S)-2-aminocyclohexyl]amino]-3-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

$$NH_2$$
 $NH_2$ 
 $NH_2$ 

RN 312736-89-3 CAPLUS

CN Pyrazinecarboxamide, 5-[[(1R,2S)-2-aminocyclohexyl]amino]-3-[(3-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 312736-90-6 CAPLUS

CN Pyrazinecarboxamide, 5-[[(1R,2S)-2-aminocyclohexyl]amino]-3-[(3,5-dimethoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

IT 312736-74-6P 312736-75-7P 312736-76-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of novel heterocyclic carboxamide derivs. as spleen tyrosine kinase inhibitors as preventives or remedies for diseases)

RN 312736-74-6 CAPLUS

CN Pyrazinecarboxamide, 3-[(3-methylphenyl)amino]-5-[[(1R,2S)-2-[[(1S)-1-phenylethyl]amino]cyclohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 312736-75-7 CAPLUS

CN Pyrazinecarboxamide, 3-[(3-methoxyphenyl)amino]-5-[[(1R,2S)-2-[[(1S)-1-phenylethyl]amino]cyclohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 312736-76-8 CAPLUS

CN Pyrazinecarboxamide, 3-[(3,5-dimethoxyphenyl)amino]-5-[[(1R,2S)-2-[[(1S)-1-phenylethyl]amino]cyclohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GΙ

$$R^3-A-X$$
 $N$ 
 $N$ 
 $CONH_2$ 
 $Y=Z$ 

AB Nitrogenous six-membered heterocycle compds. bearing as the substituents -X-A-R3, -N-(R1)-(R2-substituted Ph) and -CONH2 [I; wherein A = (substituted) lower alkylene, (substituted) (hetero)arylene, cycloalkylene; X = NR4, CONR4, NR4CO, O, S; the dotted line between Y and Z represents the presence of a bond (Y:Z) or the absence of a bond (Y-Z); Y-Z = NR5-CO, CO-NR5, NR5-NR5, CO-CO; Y:Z = N:CR1, CR7:N, N:N, CR7:CR7; R4 = each H, lower alkyl, -CO-lower alkyl, or -SO2-lower alkyl; R2 = H, (halo-substituted) lower alkyl, -O-lower alkyl, -S-lower alkyl, -O-aryl, nitro, cyano, or the like; R3 = -CO2H, -CO2-lower alkyl, -lower alkylene-CO2H, -NH2, -alkylene-NH2, or the like; R5 = H, lower alkyl; R6 = lower alkyl, OH, -O-lower alkyl, -O-(substituted) aryl, -O-lower alkylene-(substituted) aryl, -NR1-(substituted) aryl, -CO-lower alkyl-(substituted) aryl; R7 = H, R6] salts or prodrugs thereof are prepd. Also claimed are spleen tyrosine kinase (Syk) inhibitors contg. the compds. I or the salts or the prodrugs thereof as the active ingredient. The compds. I are useful for the prevention or treatment of allergies, inflammations, autoimmune diseases, cancers, transplant rejection, graft-vs.-host diseases, and thrombosis. Thus, 2.76 mL cis-1,2-cyclohexanediamine was added to a mixt. of 605 mg 6-chloro-2-(3-methylanilino)pyridine-3-carboxamide and 10 mL MeCN and refluxed for 5 days to give 230 mg 6-(cis-2-aminohexylamino)-2-(3methylanilino)pyrazine-3-carboxamide (II). II showed IC50 of .ltoreg.0.05 .mu.M against Syk, good inhibition against passive cutaneous anaphylaxis (PCA) in mice sensitized by anti-dinitrophenyl-IgE (DNP-IgE), and IC50 of .ltoreq.0.1 .mu.M against serotonin release according to the assay described by Collado-Escobar (J. Immunol. 144, 1990).

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD

Ι

## ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 4 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
L3
ΑN
     1999:404941 CAPLUS
DN
     131:44844
ΤI
     preparation of novel pyrimidine-5-carboxamide derivatives as tyrosinase
     inhibitors
IN
     Hisamichi, Hiroyuki; Naito, Ryo; Kawazoe, Souichirou; Toyoshima, Akira;
     Tanabe, Kazuhito; Nakai, Eiichi; Ichikawa, Atsushi; Orita, Akiko;
     Takeuchi, Makoto
PA
     Yamanouchi Pharmaceutical Co., Ltd., Japan
SO
     PCT Int. Appl., 43 pp.
     CODEN: PIXXD2
DT
     Patent
     Japanese
LΑ
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                            APPLICATION NO. DATE
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                                           WO 1998-JP5643 19981214
     WO 9931073
                      A1
                             19990624
         W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
             LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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     AU 9915071
                        Α1
                             19990705
                                             AU 1999-15071 19981214
                                             JP 1997-344588 A 19971215
                                             WO 1998-JP5643 W 19981214
     EP 1054004
                        Α1
                             20001122
                                             EP 1998-959197
                                                              19981214
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                                             WO 1998-JP5643 W 19981214
     US 6432963
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                             20020813
                                             US 2000-581595
                                                               20000615
                                             JP 1997-344588 A 19971215
                                             WO 1998-JP5643 W 19981214
OS
     MARPAT 131:44844
ΙT
     227449-68-5P 227449-69-6P 227449-70-9P
     227449-71-0P 227449-72-1P 227449-73-2P
     227449-74-3P 227449-75-4P 227449-76-5P
     227449-78-7P 227449-79-8P 227449-80-1P
     227449-81-2P 227449-82-3P 227449-83-4P
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     227450-22-8P 227450-23-9P 227450-24-0P
     227450-25-1P 227450-26-2P 227450-27-3P
     227450-28-4P 227450-29-5P 227450-30-8P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
```

BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of novel pyrimidine-5-carboxamide derivs. as tyrosinase inhibitors)

RN 227449-68-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(2-aminoethyl)amino]-4-[(4-methylphenyl)amino]-(9CI) (CA INDEX NAME)

$$H_2N-CH_2-CH_2-NH$$
 $N$ 
 $N$ 
 $N$ 
 $C-NH_2$ 

RN 227449-69-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(2-aminoethyl)amino]-4-[(3-hydroxyphenyl)amino]- (9CI) (CA INDEX NAME)

$$H_2N-CH_2-CH_2-NH$$
 $N$ 
 $N$ 
 $N$ 
 $C-NH_2$ 
 $O$ 

RN 227449-70-9 CAPLUS

CN Benzoic acid, 3-[[5-(aminocarbonyl)-2-[(2-aminoethyl)amino]-4-pyrimidinyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)

$$H_2N-CH_2-CH_2-NH$$
 $N$ 
 $N$ 
 $N$ 
 $CO_2H$ 
 $CO_2H$ 

2 HCl

RN 227449-71-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(2-aminoethyl)amino]-4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

$$H_2N-CH_2-CH_2-NH$$
 $N$ 
 $N$ 
 $N$ 
 $C-NH_2$ 
 $O$ 

RN 227449-72-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(2-aminoethyl)amino]-4-[(2-methylphenyl)amino]- (9CI) (CA INDEX NAME)

RN 227449-73-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(2-aminoethyl)amino]-4-[[3-(trifluoromethyl)phenyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)

•2 HCl

RN 227449-74-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(2-aminoethyl)amino]-4-[(3-cyanophenyl)amino]- (9CI) (CA INDEX NAME)

RN 227449-75-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(2-aminoethyl)amino]-4-[(3-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

RN 227449-76-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(2-aminoethyl)amino]-4-[[[3-(trifluoromethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 227449-78-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(2-aminoethyl)amino]-4-[(3-bromophenyl)amino]- (9CI) (CA INDEX NAME)

RN 227449-79-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(2-aminoethyl)amino]-4-[(5,6,7,8-tetrahydro-1-naphthalenyl)amino]- (9CI) (CA INDEX NAME)

RN 227449-80-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(2-aminoethyl)amino]-4-[(2,3-dihydro-1H-inden-5-yl)amino]- (9CI) (CA INDEX NAME)

$$H_2N-CH_2-CH_2-NH$$
 $N$ 
 $H_2N-C$ 
 $H_2N-C$ 

RN 227449-81-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(2-aminoethyl)amino]-4-[(3,5-dimethylphenyl)amino]- (9CI) (CA INDEX NAME)

$$H_2N-CH_2-CH_2-NH$$
 Me  $NH-NH$  Me  $C-NH_2$ 

RN 227449-82-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(2-aminoethyl)amino]-4-(1-naphthalenylamino)-(9CI) (CA INDEX NAME)

RN 227449-83-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(2-aminoethyl)amino]-4-[[3-(phenylmethoxy)phenyl]amino]- (9CI) (CA INDEX NAME)

$$H_2N-CH_2-CH_2-NH$$
 $N$ 
 $N$ 
 $N$ 
 $C-NH_2$ 
 $O$ 

RN 227449-84-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(2-aminoethyl)amino]-4-[(3-nitrophenyl)amino]- (9CI) (CA INDEX NAME)

RN 227449-88-9 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[[(1R,2S)-2-aminocyclohexyl]amino]-4-[(3,5-dichlorophenyl)amino]-, dihydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

## •2 HCl

RN 227449-92-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[[4-(aminomethyl)phenyl]amino]-4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

RN 227449-93-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[[2-(acetylamino)ethyl]amino]-4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

RN 227449-94-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(aminoacetyl)amino]-4-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)

RN 227449-95-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-[(3-methylphenyl)amino]-2-[[2-[(methylsulfonyl)amino]ethyl]amino]- (9CI) (CA INDEX NAME)

$$Me - S - NH - CH_2 - CH_2 - NH$$

$$0$$

$$NH - NH - CH_2 - CH_2 - NH$$

$$NH - NH$$

$$C - NH_2$$

$$0$$

RN 227449-97-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[[2-[(aminoiminomethyl)amino]ethyl]amino]-4-[(3-methylphenyl)amino]-, mononitrate (9CI) (CA INDEX NAME)

CM 1

CRN 227449-96-9 CMF C15 H20 N8 O

$$\begin{array}{c} \text{NH} \\ \text{H}_2\text{N-C-NH-CH}_2\text{-CH}_2\text{-NH} \\ \\ \text{N} \\ \text{N} \\ \\ \text{N} \\ \text{NH-} \\ \\ \text{Me} \\ \\ \text{Me} \\ \end{array}$$

CM 2

CRN 7697-37-2 CMF H N O3

RN 227449-98-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[[(1R,2S)-2-[[(2Z)-3-[2-(acetyloxy)phenyl]-1-oxo-2-propenyl]amino]cyclohexyl]amino]-4-[(3-methylphenyl)amino]-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.

$$H_2N$$
 $N$ 
 $R$ 
 $R$ 
 $HN$ 
 $N$ 
 $H$ 
 $N$ 
 $H$ 
 $Z$ 
 $OAC$ 
 $Me$ 

RN 227449-99-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[[2-[(aminocarbonyl)amino]ethyl]amino]-4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

RN 227450-00-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[[2-(dimethylamino)ethyl]amino]-4-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)

RN 227450-04-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[[2-(4-morpholinyl)ethyl]amino]-4-[[3-(trifluoromethyl)phenyl]amino]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 227450-03-5

CMF C18 H21 F3 N6 O2

CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

RN 227450-05-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(4-aminobutyl)amino]-4-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)

RN 227450-06-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[[(4-aminophenyl)methyl]amino]-4-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)

RN 227450-07-9 CAPLUS
CN 5-Pyrimidinecarboxamide, 2-[[2-(methylamino)ethyl]amino]-4-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)

Page 31

RN 227450-08-0 CAPLUS
CN 5-Pyrimidinecarboxamide, 2-[[(1R,2S)-2-aminocyclohexyl]amino]-4-[(3-bromophenyl)amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 227450-09-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[[(1R,2S)-2-aminocyclohexyl]amino]-4-[(3-methylphenyl)amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 227450-10-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-[(3-bromophenyl)amino]-2-(2-propynylamino)-(9CI) (CA INDEX NAME)

RN 227450-11-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[[(1R,2R)-2-aminocyclohexyl]amino]-4-[(3-methylphenyl)amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 227450-12-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[[(1R,2R)-2-amino-1,2-diphenylethyl]amino]-4-[(3,5-dimethylphenyl)amino]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$H_2N$$
  $S$   $S$   $NH$   $Me$   $Me$   $H_2N$   $O$ 

● HCl

RN 227450-13-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(2-aminophenyl)amino]-4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

RN 227450-14-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(2-hydroxyphenyl)amino]-4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

RN 227450-15-9 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[[(2S)-2-amino-3-(ethylamino)-3-oxopropyl]amino]-4-[(3-methylphenyl)amino]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Patel

10009276.2

Page 35

Etnh 
$$H_2N$$
  $NH$   $H_2N$   $Me$ 

●2 HCl

RN 227450-16-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(2-hydroxyethyl)amino]-4-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)

RN 227450-17-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(3-aminopropyl)amino]-4-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)

RN 227450-19-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-amino-4-[[3-(trifluoromethyl)phenyl]amino]-(9CI) (CA INDEX NAME)

RN 227450-20-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[[(1S)-1-(aminomethyl)-2-(ethylamino)-2-oxoethyl]amino]-4-[(3-methylphenyl)amino]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## •2 HCl

RN 227450-21-7 CAPLUS CN 5-Pyrimidinecarboxa

5-Pyrimidinecarboxamide, 2-[(2-aminopropyl)amino]-4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

RN 227450-22-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[[2-(4-hydroxyphenyl)ethyl]amino]-4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

RN 227450-23-9 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[[3-amino-2-[[(4-methoxyphenyl)methyl]thio]propyl]amino]-4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ \text{Me} & & \\ &$$

RN 227450-24-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[[[3-(aminomethyl)phenyl]methyl]amino]-4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{CH}_2\\ \text{NH}\\ \text{N}\\ \text$$

RN 227450-25-1 CAPLUS

CN L-Alanine, 3-amino-N-[5-(aminocarbonyl)-4-[(3-methylphenyl)amino]-2-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} \text{MeO} \\ \text{S} \\ \text{NH} \\ \text{O} \\ \text{N} \\ \text{H} \\ \text{Me} \\ \text{Me} \\ \end{array}$$

RN 227450-26-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(2,3-dihydro-1H-inden-1-yl)amino]-4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

RN 227450-27-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-[(3-methylphenyl)amino]-2-[(2-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 227450-28-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-[(3-methylphenyl)amino]-2-[[(tetrahydro-2-furanyl)methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C & \text{CH}_2 - \text{NH} & \text{NH} \\ \hline \\ C & \text{NH}_2 \\ \hline \\ C & \text{NH}_2 \\ \end{array}$$

RN 227450-29-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(2-furanylmethyl)amino]-4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \xrightarrow{\text{C}} & \text{CH}_2 - \text{NH} & \text{NH} \\ & & \text{C} - \text{NH}_2 \\ & & \text{O} \end{array}$$

RN 227450-30-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-[(3-methylphenyl)amino]-2-[(2-thienylmethyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} S & CH_2-NH & NH & Me \\ \hline \\ & C-NH_2 & \\ & O & \\ \end{array}$$

IT 227449-04-9

RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. of novel pyrimidine-5-carboxamide derivs. as tyrosinase
 inhibitors)

RN 227449-04-9 CAPLUS

CN Benzoic acid, 3-[[5-(aminocarbonyl)-2-[(2-aminoethyl)amino]-4-pyrimidinyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

IT 227449-47-0P 227449-67-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of novel pyrimidine-5-carboxamide derivs. as tyrosinase inhibitors)

RN 227449-47-0 CAPLUS

CN Carbamic acid, [[4-[[5-(aminocarbonyl)-4-[(3-methylphenyl)amino]-2-pyrimidinyl]amino]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 227449-67-4 CAPLUS

CN Carbamic acid, [(2S)-2-[[5-(aminocarbonyl)-4-[(3-methylphenyl)amino]-2-pyrimidinyl]amino]-3-(ethylamino)-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GI

AB Pyrimidine-5-carboxyamide derivs. or salts [I; X = O, S, NR1, CO, NR1CO, CONR1, C=NOR1, a bond; Y = lower alkylene optionally substituted by OR1 or NHR1, a bond; Z = O, NR2, a bond; A = H, optionally substituted lower alkyl, lower alkyl optionally having CO, optionally substituted aryl or heteroaryl, optionally substituted cycloalkyl, optionally substituted and satd. N heterocycle; B = optionally substituted aryl or heteroaryl; R1, R2 = H or lower alkyl optionally contg. CO], effective tyrosinase inhibitors useful as 5-HT antagonists, antiallergics, were prepd. I showed IC50 < 0.1 .mu.M in scintillation proximity assay. I were effective at 0.1-10 mg/kg-day p.o.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1991:228957 CAPLUS

DN 114:228957

TI Preparation and formulation of 4(3H)-pteridinones as allergy inhibitors

IN Ferrand, Gerard; Dumas, Herve; Depin, Jean Claude; Quentin, Yvette

PA LIPHA, Lyonnaise Industrielle Pharmaceutique, Fr.

SO Fr. Demande, 35 pp.

CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 1

PATENT NO. KIND DATE

APPLICATION NO. DATE

Patel

8/29/2003>

						. <b></b>	
ΡI	ED	2645152	λ 1	19901005	ים	R 1989-4193	19890330
		2645152	A1 B1	19910531		( 1303-4133	13030330
			A1			. 1000 50010	1000000
		9052218	AI	19901004	A	J 1990-52218	19900326
	ΑU	630178	B2	19921022			
						R 1989-4193	
	EP			19901128	El	9 1990-400827	19900327
	EΡ	399856	В1	19950809			
		R: AT, BE,	CH, DE	, DK, ES,	FR, GB,	GR, IT, LI, I	LU, NL, SE
						R 1989-4193	
	ES	2078324	Т3	19951216		5 1990-400827	
							A 19890330
	$C\Delta$	2013324	AA	19900930		A 1990-2013324	
		2013324	C	20010821	C.	1 1990-2013324	19900326
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	77	0000000	-	10010100		1989-4193	A 19890330
	ZΑ	9002397	A	19910130		1990-2397	19900328
			_			R 1989-4193	A 19890330
	CZ	284679	В6	19990217		Z 1990-1520	19900328
					FI	1989-4193	A 19890330
		9001430	A	19901001	NO	1990-1430	19900329
	NO	175100	В	19940524			
	NO	175100	С	19940831			
					FI	1989-4193	A 19890330
	HU	53646	A2	19901128		J 1990-1898	19900329
			В	19940128			23300323
			_		ia.	R 1989-4193	A 19890330
	ממ	296927	A5	19911219		1990-339197	
	-	250527	113	10011210			A 19890330
	TIC	5167949	A	19921201		5 1990-501104	
	0.5	3107343	A	19921201			19900329
	CI I	1026244	* ~	1000000			A 19890330
	50	1836344	A3	19930823		J 1990-4743545	
			_				A 19890330
		02304089	A2	19901217	JI	9 1990-81429	19900330
	JР	07025761	B4	19950322			
					FI	1989-4193	A 19890330
	US	5270465	A	19931214	US	1992-970839	19921103
					FI	1989-4193	A 19890330
						3 1990-501104	
OS	CAS	SREACT 114 - 22	8957 M	ΔΡΡΆΤ 11 <i>ι</i>			

OS CASREACT 114:228957; MARPAT 114:228957

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, in prepn. of pteridine derivs. as allergy inhibitors)

CN Pyrazinecarboxamide, 3,5-diamino- (9CI) (CA INDEX NAME)

GI

IT 39870-67-2

RN 39870-67-2 CAPLUS

$$\begin{array}{c|c} & & & \\ Y & & & \\ N & & & \\ N & & & \\ N & & & \\ \end{array}$$

AB Title compds. [I; X = O, S; Y = H, alkyl, OH; R1 = H, alkyl, etc.; R2 = H, alkyl] and their pharmaceutically acceptable salts, were prepd., e.g., via cyclocondensation of 3-amino-2-pyrazinecarboxamides with Et orthoethoxyacetates. A mixt. of 3-amino-2-pyrazinecarboxamide, EtOCH2C(OEt)3, and Ac2O was refluxed for 3 h to give 44% I [X = O, Y = R2 = H, R1 = Et], which effected 50% desensitization of ovalbumin antiserum homolog-sensitized rat skin at 7 mg/kg i.p. Capsules, aerosols, tablets, injections, etc., contg. I were formulated.

L3 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

Ι

AN 1985:506291 CAPLUS

DN 103:106291

TI Reactive monoazo dyes from 2,6-diaminopyridine coupling components

IN Anderson, Brian

PA Imperial Chemical Industries PLC, UK

SO Brit. UK Pat. Appl., 9 pp. CODEN: BAXXDU

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI GB 2142926	A1	19850130	GB 1984-15204 GB 1983-18554	19840614 19830708

IT 69925-29-7

RL: RCT (Reactant); RACT (Reactant or reagent)
 (coupling of, with diazotized (dichlorotriazinylamino)anilinedisulfonic
 acid)

RN 69925-29-7 CAPLUS

CN 3-Pyridinecarboxamide, 2,6-diamino- (9CI) (CA INDEX NAME)

GΙ

QNR<sup>2</sup> (CH<sub>2</sub>) 
$$n$$
HO<sub>3</sub>S
 $N=N$ 
 $R$ 
 $R$ 
 $N=N$ 
 $N=N$ 

Reactive dyes of general structure I are prepd., where R = H or C1-4 alkyl; R1 = H, CN, CONH2, or CO2H; R2 = H or C1-4 alkyl optionally substituted by OH, CN, SO3H, or OSO3H; Z = (un)substituted phenylene or naphthylene; Q = cyclic cellulose-reactive group; and m = 0, 1, or 2. Thus, reaction of 3-cyano-4-methyl-2,6-diamino-5-[5-(methylaminomethyl)-2,4-disulfophenylazo]pyridine [97903-65-6] with 3-chloro-5-cyano-2,4,6-trifluoropyridine [24488-20-8] at 25-30.degree./pH 7-8 gave II (R = R2 = Me, R1 = CN, n = 1, Q = 3-chloro-5-cyano-2,6-difluoropyridin-4-yl) [97903-66-7], a bright yellow dye for cotton when applied from alk. medium at 40.degree.. II (R = R1 = H, R1 = CONH2, n = 0, Q = 4,6-dichloro-s-triazin-2-yl) [97903-67-8] was prepd. by diazotizing 5-(4,6-dichloro-s-triazin-2-ylamino)aniline-2,4-disulfonic acid [54050-03-2] and coupling with 3-carbamoyl-2,6-diaminopyridine [69925-29-7].

- L3 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1983:53811 CAPLUS
- DN 98:53811
- TI Synthesis of pyrimido[4,5-d]pyrimidines. An unusual rearrangement of 2-aminopyrimido[4,5-d]pyrimidin-5(6H)-one into 2-amino-4,6-dichloro-1,3,5-triazine
- AU Stanovnik, B.; Koren, B.; Steblaj, M.; Tisler, M.; Zmitek, J.
- CS Dep. Chem., E. Kardelj Univ. Ljubljana, Ljubljana, 61000, Yugoslavia
- SO Vestnik Slovenskega Kemijskega Drustva (1982), 29(2), 129-35 CODEN: VSKDAA; ISSN: 0560-3110
- DT Journal
- LA English
- OS CASREACT 98:53811
- IT 66131-74-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, with orthoalkanoates)

- RN 66131-74-6 CAPLUS
- CN 5-Pyrimidinecarboxamide, 2,4-diamino- (6CI, 9CI) (CA INDEX NAME)

10009276.2

GI

AB Pyrimidopyrimidine I (R = NH2, R1 = H) was obtained by treating 5-carbamoyl-2,4-pyrimidinediamine (II) with HC(OEt)3 or CH(NHCHO)3. I (R = N:CMeOEt, R1 = Me) was obtained from II and MeC(OEt)3. Treatment of I (R = NH2, R1 = H) with POCl3 gave the triazine III.

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L3 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1979:198197 CAPLUS

DN 90:198197

TI About the relationship between antivitamins and the pathogenous protozoon Trichomonas vaginalis

AU Khristov, P.

CS Berlin, Ger. Dem. Rep.

SO Indian Journal of Microbiology (1978), 18(1), 54-7 CODEN: IJMBAC; ISSN: 0046-8991

DT Journal

LA English

IT 69925-29-7

RL: PRP (Properties)
 (Trichimonas vaginalis sensitivity to, vitamin antagonism in relation
 to)

RN 69925-29-7 CAPLUS

CN 3-Pyridinecarboxamide, 2,6-diamino- (9CI) (CA INDEX NAME)

GΙ

AB 2-Trifluoromethylthiamin (I) [69925-28-6], a vitamin B1 antagonist, had a protozoacidal effect on cultures of T. vaginalis. However, the vitamin B1 antagonist 2-methylthiamin [54379-29-2] and the nicotinic acid antagonist 2,6-aminonicotinamide [69925-29-7] did not affect trichomonad growth.

L3 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1978:529473 CAPLUS

DN 89:129473

TI Acetals of lactams and acid amides. XXVI. Syntheses of heterocycles based on enamino ketones and enaminoamides

AU Belyaeva, O. Ya.; Granik, V. G.; Glushkov, R. G.; Vlasova, T. F.; Anisimova, O. S.

CS Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow, USSR

SO Khimiya Geterotsiklicheskikh Soedinenii (1978), (6), 798-801 CODEN: KGSSAQ; ISSN: 0453-8234

DT Journal

LA Russian

IT 66131-74-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and cyclization by formamide and DMF di-Et acetal)

RN 66131-74-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 2,4-diamino- (6CI, 9CI) (CA INDEX NAME)

GΙ

- Cyclizing Me2NCH:C(CN)CONH2 with (NH2)2C:NH.HCl gave 88% I (R = CONH2) whose cyclocondensation with HCONH2 gave 82% II (R = NH2). A similar reaction with HC(OEt)2NMe2 gave 94% II (R = Me2NHC:N) which when treated with base gave 94% II (R = NH2). This series of reactions also gave 74% I (R = CN). Cyclocondensation of Me2NCMe:CHCOPh with CH2:CHCOCl gave 42% III which was treated with Et3OBF4 and o-H2NC6H4CO2Et to give 10.7% IV.
- L3 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1978:512422 CAPLUS
- DN 89:112422
- TI Substituted nicotinamides
- IN Lamm, Gunther
- PA BASF A.-G., Fed. Rep. Ger.
- SO Ger. Offen., 19 pp. CODEN: GWXXBX
- DT Patent
- LA German
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		<del>-</del>			
ΡI	DE 2655144	A1	19780608	DE 1976-2655144	19761206
				DE 1976-2655144	19761206

IT 67268-30-8P 67268-31-9P

- RN 67268-30-8 CAPLUS
- CN 3-Pyridinecarboxamide, 6-amino-2-(octylamino)-, monohydrochloride (9CI) (CA INDEX NAME)

$$H_2N$$
  $NH$   $(CH_2)_7$   $Me$   $C$   $NH_2$   $O$ 

**HCl** 

RN 67268-31-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-amino-6-(octylamino)-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

GI

AB Nicotinamides (I; R, R1, R2, R3, R4 = H or aliph., cycloaliph., araliph., or aryl residue; R1 and R2 or R3 and R4 can form a ring contg. N) were prepd. and used as coupling components in azo dye manuf. Thus, 2,6-dichloronicotinonitrile [40381-90-6] was heated with n-butylamine [109-73-9] to give I (R = R1 = R3 = Bu, R2 = R4 = H) [67268-32-0]. The other I were similarly prepd.

L3 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1978:135982 CAPLUS

DN 88:135982

TI Reexamination of the application of linear free energy relationships to the azaheterocyclic systems. I. Substituent effects on the basicity of monocyclic azines

AU Tomasik, P.; Zalewski, R.

CS Dep. Org. Chem., Pedagog. Univ., Czestochowa, Pol.

SO Chemicke Zvesti (1977), 31(2), 246-53 CODEN: CHZVAN; ISSN: 0366-6352

DT Journal

LA English

IT 66131-74-6

RL: PRP (Properties)

(basicity of, substituent effect on)

RN 66131-74-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 2,4-diamino- (6CI, 9CI) (CA INDEX NAME)

AΒ The basicities of pyridines, pyrimidines, pyridazines, and pyrazines (180 compds.) were correlated with substituent effects by Hammett and Taft equations. Limitations of the LFER are discussed.

L3 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

1976:107087 CAPLUS AN

DN 84:107087

Coupling components for azo dyes ΤI

BASF A.-G., Fed. Rep. Ger. PΑ

SO Jpn. Kokai Tokkyo Koho, 16 pp.

CODEN: JKXXAF

DTPatent

T.A Japanese

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## IT 58445-82-2P

RN 58445-82-2 CAPLUS

CN 3-Pyridinecarboxamide, 6-[(3-hydroxypropyl)amino]-2-(phenylamino)- (9CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

Coupling components I (R, R3 = alkyl, cycloalkyl, aryl, or O-contg. aliph. groups; R1 = H, alkyl; R2 = CN, CONH2) for azo dyes are prepd. by reaction of chloropyridine derivs. II (R4 = Cl, RNH) with R3NH2. Thus, 187 parts II (R1 = Me, R2 = CN, R4 = Cl) [875-35-4] in 500 parts MeOH was heated 5-6 hr at 40-5.degree. with 80 parts HOCH2CH2CH2NH2 [141-43-5] in the presence of 100 parts Et3N, dild. with 1000 parts H2O and acidified with 50 parts concd. HCl to give 210 parts II (R1 = Me, R2 = CN on left, R4 = NHCH2CH2OH) [52982-62-4] contg. traces of its isomer, as a colorless powder. This powder (125 parts) was stirred 6 hr with 300 parts MeOCH2CH2NH2 [109-85-3] to give I (R = CH2CH2OMe, R1 = Me, R2 = CN, R3 = CH2CH2OH) [38841-87-1] contg. traces of its isomer. By similar means an addnl. 42 II (R2 = Cn), 14 II (R = CONH2), 272 I (R2 = CN), and 67 I (R2 = CONH2) were prepd. I (R = MeOCH2CH2, R1 = Me, R2 = CN, R3 = CH2CH2Ph) [58445-83-3] was hydrolyzed with 90% H2SO4 at 80-100.degree. for 6-8 hr to

give I (R, R1, R3 unchanged, R2 = CONH2) [52981-95-0], which coupled with diazotized p-O2NC6H4NH2 to give a red dye.

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TI
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                                      US 1971-133724
                                                    19710413
                                      US 1972-232207
                                                    19720306
                   A 19740604
    US 3814757
                                      US 1972-232207
                                                    19720306
                                      US 1971-133724 19710413
    FR 2132870
                  A5 19721124
                                      FR 1972-12786
                                                     19720412
                                      US 1971-133724 19710413
ΙT
    39870-67-2P
    RL: PREP (Preparation)
       (prepn. of)
RN
    39870-67-2 CAPLUS
CN
    Pyrazinecarboxamide, 3,5-diamino- (9CI) (CA INDEX NAME)
```

$$\begin{array}{c|c}
0 \\
C-NH_2 \\
NH_2
\end{array}$$

Twenty-three title compds. [I, R = CN, NH2, NMe2, OMe, NHMe, NHCH2CH:CH2, NMePh, or 1-piperazinyl; R1 = R, NHCH2Ph, NHPh, OCHETMe, or CH2NO2.NEt3; R2 = R3 or H; R3 = CN, CONH2, or CO2H] were prepd., used as fluorescent brighteners, hardeners for epoxy resins, or intermediates for polymers, and useful as intermediates for diuretics. Thus, HN:C(CN)C(CN):NH reacted successively with p-MeC6H4SO3H.H2O and H2NC(CN):C(CN)NH2 to give 25.4% tetracyanopyrazine (II) [33420-37-0]. II reacted with Me2NH in THF at 0.deg. to give 92.7% 2-(dimethylamino)-3,5,6-tricyanopyrazine [38050-94-1]. This gave on treatment with NH3 in THF 89% 2-(dimethylamino)-3,5-dicyano-6-aminopyrazine [38050-95-2]. I (R = R1 = 1-piperazinyl, R2 = R3 = CN) was copolymerized with, e.g., 2,4-(OCN)2C6H3Me to give 2,6-dipiperazinyl-3,5-dicyanopyrazine-2,4-diisocyanatotoluene copolymer [37953-12-1].

L3 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1971:87927 CAPLUS

DN 74:87927

TI Synthesis of as-triazines and pyrimido[4,5-e]-as-triazines (6-azapteridines)

AU Taylor, Edward Curtis; Martin, Stephen F.

CS Dep. Chem., Princeton Univ., Princeton, NJ, USA

SO Journal of Organic Chemistry (1970), 35(11), 3792-5 CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA English

IT 1501-48-0P

RN 1501-48-0 CAPLUS

CN as-Triazine-6-carboxamide, 3,5-diamino- (7CI, 8CI) (CA INDEX NAME)

AB Synthesis of as-triazines was developed which involves Michael addn. of diethyl azodicarboxylate with acyclic enamines, followed by base-catalyzed ring closure. By an appropriate choice of the enamine, as-triazines suitably substituted for subsequent annelation of a fused pyrimidine ring may be prepd. Synthesis is described of a no. of pyrimido[4,5-e]-as-triazines (6-azapteridines). including 2-methylisofervenulone and 3,6,8-triaminopyrimido[4,5-e]-as-triazine, by this route.

L3 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

```
AN
     1970:477192 CAPLUS
DN
     73:77192
ΤI
     Synthesis of s-triazolo[a]pyrimidopyrimidines
     Muehlstaedt, Manfred; Krausmann, H.; Fischer, Gerhard
ΑU
CS
     Sekt. Chem., Karl-Marx-Univ., Leipzig, Fed. Rep. Ger.
SO
     Journal fuer Praktische Chemie (Leipzig) (1970), 312(2), 254-62
     CODEN: JPCEAO; ISSN: 0021-8383
DT
     Journal
LΑ
     German
IT
     28524-51-8P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of)
RN
     28524-51-8 CAPLUS
     5-Pyrimidinecarboxamide, 4-amino-2-hydrazino- (8CI) (CA INDEX NAME)
CN
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GI For diagram(s), see printed CA Issue.

2-Hydrazino-5-hydroxypyrimido[4,5-d]pyrimidine (I), from

2-ethylthio-5-hydroxypyrimido[4,5-d]pyrimidine and N2H4, cyclized with AcOCH(OEt)2 to an inseparable mixt. of 6-hydroxy-s-triazolo[4,3-a]pyrimido[4,5-e]pyrimidine (II) and 6-hydroxy-s-triazolo[4,3-a]pyrimido[4,5-d]pyrimidine (III). Similarly, treatment of I with HCO2H gave 6-hydroxy-s-triazolo[1,5-a]pyrimido[4,5-d]pyrimidine (IV) and 6-hydroxy-s-triazolo[1,5-a]pyrimido[4,5-e]pyrimidine (V). II and III were the 1st products of this reaction also and underwent Dimroth rearrangement to IV and V. IV was also prepd. by condensing 3-amino-1,2,4-triazole with EtOCH:C(CN)2 followed by hydrolysis and treatment with HCONH2. Concd. H2SO4 hydrolysis of 7-amino-6-cyano-s-triazolo[1,5-a]pyrimidine gave 6-carboxamido-7-amino-s-triazolo[4,3-a]pyrimidine.

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L3
    ANSWER 16 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     1965:403332 CAPLUS
DN
     63:3332
OREF 63:604c-e
TI
    A synthesis of pyrimido[4,5-e]-as-triazines (6-azapteridines)
ΑU
     Taylor, Edward C.; Morrison, Robert W., Jr.
CS
     Princeton Univ., Princeton, NJ
SO
     Journal of the American Chemical Society (1965), 87(9), 1976-9
     CODEN: JACSAT; ISSN: 0002-7863
DT
     Journal
LΑ
     English
IT
     1501-48-0, as-Triazine-6-carboxamide, 3,5-diamino-
        (prepn. of)
RN
     1501-48-0 CAPLUS
CN
    as-Triazine-6-carboxamide, 3,5-diamino- (7CI, 8CI) (CA INDEX NAME)
```

Patel

cf. CA 61, 1866b. Condensation of dibromomalononitrile (as its potassium bromide complex) with aminoguanidine bicarbonate has been shown to give 3,5-diamino-6-aminocarbonyl-as-triazine, which has been cyclized to derivatives of pyrimido[4,5-e]-as-triazine by the use of appropriate one-carbon reagents. The structure of the cyclization product with diethyl carbonate, 3-amino-6,8-dioxo-5,6,7,8-tetrahydropyrimido[4,5-e]-as-triazine, was confirmed by an independent synthesis from alloxan and aminoguanidine to give alloxan .beta.-guanyl hydrazone, followed by careful cyclization with dilute ammonium hydroxide. Attempts to prepare a derivative of the pyrimido[4,5-e]-as-triazine system by hydrogen sulfide reduction of 2-phenyl-4,6-diamino-5-phenylazopyrimidine (I) to the hydrazo stage, followed by cyclization with triethyl orthoformate, or by hydrogen sulfide reduction of the formyl derivative of I, led only to 2-phenyladenine.

L3 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1965:51646 CAPLUS

DN 62:51646

OREF 62:9131f-q

TI Pteridine studies. XXIX. The methylation of 7-amino- and 4,7-diamino-pteridine

AU Brown, D. J.; Jacobsen, N. W.

CS Australian Natl. Univ., Canberra

SO Journal of the Chemical Society, Abstracts (1965), (Feb.), 1175-82 CODEN: JCSAAZ; ISSN: 0590-9791

DT Journal

LA English

RN 704-46-1 CAPLUS

CN Pyrazinecarboxamide, 5-amino-3-(methylamino)- (7CI, 8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{H}_2\text{N} & \text{NHMe} \\ \hline \\ \text{N} & \text{C-NH}_2 \\ \hline \\ \text{O} \end{array}$$

GI For diagram(s), see printed CA Issue.

AB cf. CA 62, 6487e. Methylation of 7-aminopteridine gave a mixt. of 1- and 3-Me derivs. (I and II), which were degraded for structural purposes to appropriate pyrazinecarboxaldehydes. 4,7-Diaminopteridine gave only 4(7)-amino-1,7(1,4)-dihydro-7(4)-imino-1-methylpteridine, the first iminopteridine to be isolated as a stable solid (free base). Remethylation of this (free) imine gave a 4-methylimino derivative which

was a unique example of direct extranuclear N-methylation in this series. The degradation of the imines and the unambiguous syntheses of the products via 5-cyanomethylaminopyrimidines were described. Ionization consts. and uv spectra of the pteridines and other relevant compds. were recorded and discussed.

ANSWER 18 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN L3 ΑN 1964:411357 CAPLUS DN 61:11357 OREF 61:1866b-d TТ Synthesis of pyrimido[4,5-e]-as-triazines (6-azapteridines) ΑU Taylor, E. C.; Morrison, Robert W. CS Princeton Univ., Princeton, NJ SO Angew. Chem. (1964), 76(8), 342-3 DT Journal Unavailable LΑ IT 1501-48-0, as-Triazine-6-carboxamide, 3,5-diamino-(prepn. of)

1501-48-0 CAPLUS

RN

CN

L3

GI For diagram(s), see printed CA Issue.

AB The pyrimido[4,5-e]-as-triazine (6-azapteridine) ring system was synthesized by 2 independent methods. [Br2C(CN2)]4-KBr with H2NNHC(:NH)NH2.H2CO3 (I) in EtOH yielded H2NCOC(CN): NNHC(:NH)NH2 which heated in H2O, HCONMe2, or EtoCH2CH2OH gave 3,5-diamino-6-aminocarbonyl-1,2,4-triazine (II). II with OC(OEt)2 in the presence of NaOEt-EtOH yielded III, m. 360.degree.. II with HCONH2, AcNH2, or AcOEt gave similarly IV (R = H and Me, resp.). Alloxan with I gave V which was cyclized to III in dil. NH4OH.

as-Triazine-6-carboxamide, 3,5-diamino- (7CI, 8CI) (CA INDEX NAME)

AN 1961:33107 CAPLUS
DN 55:33107
OREF 55:6489c-i,6490a-i,6491a-c
TI Pyrimido[4,5-d]pyrimidines. I
AU Taylor, Edward C., Jr.; Knopf, R. J.; Meyer, R. F.; Holmes, Ann; Hoefle,
M. L.
CS Parke Davis and Co., Detroit, MI

SO Journal of the American Chemical Society (1960), 82, 5711-18 CODEN: JACSAT; ISSN: 0002-7863

ANSWER 19 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

DT Journal LA Unavailable

LA Unavailable

IT 98197-53-6, 5-Pyrimidinecarboxamide, 4-amino-2-methylamino98335-79-6, 5-Pyrimidinecarboxamide, 4-amino-2-(2hydroxyethylamino) - 99844-93-6, 5-Pyrimidinecarboxamide,
4-amino-2-anilino- 104621-86-5, 5-Pyrimidinecarboxamide,
4-amino-2-benzylamino- 104912-31-4, 5-Pyrimidinecarboxamide,

RN 98197-53-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-amino-2-methylamino- (6CI) (CA INDEX NAME)

MeNH N C-NH2

RN 98335-79-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-amino-2-(2-hydroxyethylamino)- (6CI) (CA INDEX NAME)

HO-  $CH_2$ -  $CH_2$ -  $NH_2$ NH2

O

RN 99844-93-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-amino-2-anilino- (6CI) (CA INDEX NAME)

PhNH N C NH<sub>2</sub>

RN 104621-86-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-amino-2-benzylamino- (6CI) (CA INDEX NAME)

Ph-CH<sub>2</sub>-NH N NH<sub>2</sub> C-NH<sub>2</sub>

RN 104912-31-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-amino-2-(o-chlorobenzylamino)- (6CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ H_2N - C & & \\ & & & \\ O & & NH_2 & \\ \end{array}$$

RN 105695-84-9 CAPLUS CN 5-Pyrimidinecarboxamide, 4-amino-2-hexylamino- (6CI) (CA INDEX NAME)

AΒ A no. of pyrimido[4,5-d]pyrimidines were prepd. as potential diuretic agents. NaOMe (10.8 g.) in 200 cc. abs. EtOH and 50 g. O-methylisourea p-toluenesulfonate stirred 15 min., treated with 24.4 g. EtOCH:C(CN)2 in 2-g. portions, the mixt. stirred 1 hr. at room temp., refluxed 1 hr., cooled, filtered, and the residue repptd. from 100 cc. cold 2N HCl with concd. NH4OH gave 2-methoxy-4-amino-5-cyanopyrimidine, m. 221-2.degree. (EtOH). Formamidine-HCl (8.05 g.) and 2.5 g. Na in 100 cc. abs. EtOH stirred 15 min. at room temp., filtered, treated with 13.6 g. methylethoxymethylenemalononitrile (I), the mixt. heated 10 min. on the steam bath, and cooled gave 8.4 g. 4-amino-5-cyano-6-methylpyrimidine (II), m. 217-19.degree. (EtOH). (H2N)2CS (7.6 g.) added to 2.5 q. Na in 100 cc. abs. EtOH, the mixt. warmed to soln., cooled to 40.degree., treated with stirring with 13.6 g. I in small portions, heated 1 hr. on the steam bath, cooled, the pptd. Na salt dissolved in 200 cc. H2O, treated with stirring with 10 g. MeI, and filtered gave 9.4 g. 2-MeS deriv. of II, pale yellow needles, m. 238-40.degree. (EtOH). 2-Ethylthio-4-amino-5-cyanopyrimidine (III) (54.0 g.) in 177 g. PrNH2 refluxed 18 hrs. and evapd. gave 40.2 g. 2-propylamino-4-amino-5cyanopyrimidine, m. 167-9.degree. (EtOH). III (10.0 g.), 25 cc. 25% aq. MeNH2, and 40 cc. EtOH heated 3 hrs. in an autoclave at 130.degree. and cooled yielded 5.7 g. 2-methylamino-4-amino-5-cyanopyrimidine (IV). III (20.0 g.), 50 cc. PhNH2, and 2 drops concd. HCl heated 3 hrs. at 150.degree. and 1 hr. at 175.degree., cooled, suspended in 150 cc. EtOH, and filtered gave 17.3 g. 2-PhNH analog of IV, yellow solid, m. 234-5.degree.. Similarly were prepd. the following 2-substituted-4-amino-4-cyanopyrimidines (2-substituent, % yield, and m.p. given): piperidino, 25, 212-13.degree.; 4-methylpiperazino, 49, 179.degree.; CH2:CHCH2NH, 43, 171-2.degree.; Me2NCH2CH2NH, 55, 179-80.degree.; C6H13NH, 44, 134-5.degree.; cyclohexylamino, 53, 182-3.degree.; PhCH2NH, 57, 177-9.degree.; o-ClC6H4CH2NH, 40, 185-7.degree.. All the compds. were recrystd. from EtOH. IV (12.5 g.) added in portions below 30.degree. to 40 cc. concd. H2SO4, the mixt kept 2 hrs. at room temp., poured into 150 g. crushed ice, filtered, the residue dissolved in 150 cc. boiling H2O, and neutralized with concd. NH4OH gave 9.6 g. 2-methylamino-4aminopyrimidine-5-carboxamide (V), m. 268-70.degree.. Similarly were prepd. the following 2-substituted-4-aminopyrimidine-5-carboxamides (2-substituent, % yield, and m.p. given): C6H13NH, 88.degree., 155.degree. (EtOH); PhCH2NH, 62, 180-1.degree. (EtOH); o-ClC6H4CH2NH, 71,

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8/29/2003>

196-8.degree. (EtOH); Me2N, 76, 289-90.degree. (H2O); PhNH, 89, 246-7.degree. (H2O); 4-methylpiperazino, 65, 222-3.degree. (H2O). 2,4-Diamino-6-methylpyrimidine-5-carboxamide, 74%, m. 240-1.degree. (H2O). 2-Ethylthio-4-aminopyrimidine-5-carboxamide (20 g.) and 35 cc. H2NCH2CH2OH heated 4 hrs. at 110-15.degree., dild. with 100 cc. H2O, cooled, and filtered gave 12.2 g. 2-(2-hydroxyethylamino)-4-aminopyrimidine-5carboxamide, m. 230.degree. (H2O). 2,4-Diamino-5-cyanopyrimidine (VI) (27 g.) and 100 g. HCONH2 refluxed 0.5 hr., cooled, dild. with 100 cc. EtOH, filtered, the residue dissolved in 400 cc. hot N HCl, and repptd. with concd. NH4OH gave 15.1 g. 2,5-diaminopyrimido[4,5-d]pyrimidine-H2O, light tan, m. above 300.degree.. Similarly were prepd. 5-aminopyrimido[4,5-d]pyrimidine (VII), 16%, m. above 360.degree. (sublimed), and the following 2-substituted derivs. of VII (2-substituent, % yield, and m.p. given): Ph, 25, above 300.degree. (EtOH); 4-methylpiperazino, 70, above 300.degree. (EtOH); piperidino, 29, above 300.degree. (EtOH); Me2NHCl.H2O, 58, above 300.degree. (H2O); PhCH2NH, 7, 285-7.degree. (EtOH); C6H13NH, 23, 276-8.degree. (EtOH); MeS, 19, above 300.degree. (sublimed). H2NC(:NH)NH2.HCl (VIII) (9.6 g.), 13.5 g. VI, and 5.4 g. NaOMe in 200 cc. Ethyl Cellosolve refluxed 20 hrs. with stirring, filtered, the crude residue (16.5 q.) washed with 100 cc. warm H2O, and recrystd. from 600 cc. H2O contg. 20 cc. concd. HCl gave 9.1 g. 2,4,7-triaminopyrimido[4,5d]pyrimidine, m. above 300.degree.. Similarly were prepd. the following 7-substituted derivs. of 2,4-diaminopyrimido[4,5-d]pyrimidine (7-substituent, % yield, and m.p. given): H, 78, above 300.degree. (H2O); MeNH-HCl.0.5H2O, 35, above 300.degree. (H2O); PrNH, 92, 309-10.degree. (EtOH); C6H13NH, 72, 272-3.degree. (EtOH); HOCH2CH2NH, 33, above 300.degree. (H2O); Me2N, 61, above 300.degree. (EtOH); piperidino, 50, above 300.degree. (Ethyl Cellosolve); (HOCH2CH2)2N, 74, 285.degree. (EtOH); PhCH2NH, 73, 294-5.degree. (EtOH); PhNH, 78, above 300.degree.; H2NNH, 88, above 300.degree. (H2O); EtS, 40, above 300.degree. (Ethyl Cellosolve); Ph, 94, above 300.degree. (Ethyl Cellosolve); Me, 74, above 300.degree. (H2O). PhC(:NH)NH2.HCl (15.5 g.) and 18.0 g. III refluxed 24 hrs. with stirring with 5.4 g. NaOMe in 200 cc. abs. EtOH, refluxed 24 hrs. with stirring, filtered hot, evapd., and the residual gum treated with 100 cc. H2O gave 4.6 g. 2-phenyl-4-amino-7-ethylthiopyrimido[4,5d]pyrimidine (IX), m. 226-8.degree. (EtOH). MeC(:NH)NH2.HCl (9.5 g.) and 18.0 g. III refluxed 24 hrs. with 5.4 g. NaOMe in 200 cc. abs. EtOH gave similarly 5.5 g. 2-Me analog of IX, m. 279-80.degree. (Ethyl Cellosolve). 2-Methylamino-4-aminopyrimidine-5-carboxamide (9.6 q.) and 25 cc. HCONH2 refluxed 0.5 hr., cooled, dild. with 75 cc. EtOH, and filtered gave 5.7 g. 2-methylamino-5-hydroxypyrimido[4,5-d]pyrimidine, m. above 300.degree. (glacial AcOH). Similarly were prepd. the following 2-substituted-5hydroxypyrimido[4,5-d]pyrimidines (2-substituent, % yield, and m.p. given): PrNH, 72, 295-6.degree. (AcOH); CH2:CHCH2NH, 58, 278-80.degree. (H2O); Me2N, 28, above 300.degree. (AcOH); o-ClC6H4CH2NH, 71, above 300.degree. (AcOH); PhNH, 23, above 300.degree. (AcOH). V (100 q.) in 500 cc. HC(OEt)3 refluxed 3 hrs., concd., and cooled gave 81 g. 2-ethylthio-5-hydroxypyrimido[4,5-d]-pyrimidine, m. 244-6.degree. (glacial AcOH). 2,4-Diaminopyrimidine-5-carboxamide (14.0 g.) and 100 cc. (EtCO)20 refluxed 1 hr., cooled, and filtered gave 18 g. 2-ethyl-4-hydroxy-7propionylaminopyrimido[4,5-d]pyrimidine (X). The X refluxed 1 hr. with 3.5 g. NaOH in 200 cc. H2O, cooled, and filtered gave 9.5 g. 7-NH2 analog (XI) of X, m. above 330.degree. (glacial AcOH). Similarly was prepd. with (PrCO)20 the Pr analog of XI, 52%, m. above 300.degree. (EtOH). In the same manner were prepd. with Ac2O the 2-Me analog (XII) of XI, 78%, m. above 300.degree. (AcOH), the Am analog, 35%, m. 295-300.degree. (50% ag. EtOH), with (AmCO)20, and the 5-Me deriv. of XII, 74%, m. above 300.degree. (AcOH), with Ac2O. In the same manner were prepd. with Ac2O

the following 7-substituted 2-methyl-4-hydroxypyrimido[4,5-d]pyrimidines (7-substituent, % yield, and m.p. given): HOCH2CH2NH, 44, above 300.degree. (H2O); PrNH, 18, 294-5.degree. (EtOH); C6H13NH, 23, 267-8.degree. (EtOH); Me2N, 26, 275-6.degree. (EtOH); PhCH2NH 62, above 300.degree. (AcOH); PhNH, 62, above 300.degree. (EtOH); EtS, 75, 247-8.degree. (EtOH); Me, 72, 310-15.degree. (MeOH); MeS, 57, 288-90.degree. (MeOH). Powd. VIII (30 g.) and 16.8 g. NaOMe in 200 cc. abs. EtOH stirred 0.5 hr. at room temp., filtered, cooled to 5-10.degree., treated dropwise with 2-ethylthio-4-chloro-5-carbethoxypyrimidine, the mixt. stirred 2 hrs. at room temp., concd. in vacuo, added to 150 cc. warm H2O, filtered, and neutralized with glacial AcOH gave 18.2 g. 2-amino-4-hydroxy-7-ethylthiopyrimido[4,5-d]pyrimidine (XIII), m. above 300.degree. (glacial AcOH). XIII (5 g.) in 20 cc. 25% aq. MeNH2 and 30 cc. H2O heated 4 hrs. in an autoclave at 140.degree. and cooled gave 3.5 g. 7-MeNH analog of XIII, m. above 300.degree.. 2-Benzylamino-5hydroxypyrimido[4,5-d]pyrimidine (14.2 g.) and 13.6 g. P2S5 in 130 cc. C5H5N refluxed 4 hrs., cooled, and filtered gave 12.0 g. 2-benzylamino-5-mercaptopyrimido[4,5-d]pyrimidine (XIV), bright yellow, m. 290-1.degree. (EtOH); the filtrate dild. with 200 cc. H2O gave an addnl. 3.2 g. XIV. XIV (5 g.), 10 cc. PrNH2, and 50 cc. EtOH heated 4 hrs. at 140.degree. in an autoclave gave 1.7 q. 5-PrNH analog of XIV, m. 290-1.degree. (EtOH). 2-Ethylthio-5-hydroxypyrimido[4,5-d]pyrimidine (XV) (100 g.), 110 g. P2S5, and 500 cc. C5H5N refluxed 3 hrs. with stirring, evapd. in vacuo, the residue dissolved in 1 l. 5% aq. NaOH, and pptd. with AcOH gave 112 g. golden yellow 5-MeNH analog (XVI) of XV, m. 280-3.degree. (EtOH). Similarly were prepd. 2-methylthio-5-mercaptopyrimido[4,5d]pyrimidine (XVII), 75%, m. 290-7.degree. (C5H5N), and the 7-Me deriv. (XVIII) of XVII, 28%, darkened at 260.degree. (MeOH). XVI (12.5 g.) and 14 g. 25% aq. MeNH2 in 300 cc. H2O refluxed 0.5 hr. and cooled gave 2.65 g. 2-ethylthio-5-methylaminopyrimido[4,5-d]pyrimidine (XIX), m. 275-80.degree. (iso-PrOH). XIX (1 g.) and 30 cc. EtOH (satd. with NH3) heated 5 hrs. at 135.degree. in an autoclave gave 0.5 g. 2-amino-5-methylaminopyrimido[4,5-d]pyrimidine, m. above 330.degree. (aq. EtOH). XVIII (0.4 g.) and 15 cc. 7.5% aq. Na2CO3 heated to 35-40.degree., treated with 0.5 cc. Me2SO4, stirred until homogeneous, and refrigerated overnight gave 0.32 g. 2,5-bis(methylthio)pyrimido[4,5-d]pyrimidine (XX), m. 183-5.degree. (sublimed). XX (0.07 g.) in 8 cc. abs. EtOH stirred 15 hrs. at room temp. gave 0.075 g. 5-PhCH2NH analog of XX, m. 278-80.degree. (50% EtOH). By the same method as XIX were prepd. the following 2,5-disubstituted-pyrimido[4,5-d]pyrimidines (2- and 5-substituents, % yield, and m.p. given): PhCH2NH, CH2:CHCH2NH, 41, 274-5.degree. (EtOH); EtS, PhCH2NH, 35, 231-2.degree. (iso-PrOH); EtSH, furfurylamino, 52, 219-20.degree. (iso-PrOH); EtS, PhNH, 32, 250-5.degree. (EtOH). With HC(OEt)3 and Ac20 was prepd. 2-methylthio-5-hydroxypyrimido[4,5d]pyrimidine, 72%, m. 225-9.degree. (H2O).

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L3
     ANSWER 20 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     1961:2816 CAPLUS
DN
     55:2816
OREF 55:589e-i,590a-b
ΤI
     Pyrimido [4,5-d] pyrimidines
IN
     Hoefle, Milton L.; Meyer, Robert F.
PA
     Parke, Davis & Co.
DT
     Patent
LΑ
     Unavailable
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FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

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PI US 2949466 19600816 US

DE 1085884 DE

GB 854959 GB

IT 108372-87-8, 5-Pyrimidinecarboxamide, 2-(allylamino)-4-amino-

(prepn. of)

RN 108372-87-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(allylamino)-4-amino- (6CI) (CA INDEX NAME)
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2,4-Diamino-5-cyanopyrimidine 27 and formamide 100 was refluxed 0.5 hr., AB cooled, EtOH 100 parts added, the ppt. filtered off, and purified (HCl, NH3, and then H2O) to produce 2,5-diaminopyrimido[4,5]pyrimidine, m. above 300 degree. Similarly prepd. were the following R-substituted pyrimido [4,5-d] pyrimidines (R and m.p. given): 2-amino-5-hydroxy, above 300.degree.; 2-amino-5,7-dihydroxy, above 300.degree.; 2-amino-5-hydroxy-7-methyl, above 310.degree.; 5-amino-2-(methylamino), above 300.degree. (HCl salt); 2-methylamino-5-hydroxy, above 300.degree.; 2-allylamino-5-hydroxy, 278-80.degree. (from 4-amino-2-allylamino-5pyrimidine carboxamide, m. 222-3.degree.); 2-anilino-5-hydroxy, -; 5-amino-2-(dimethylamino), above 300.degree. (HCl salt monohydrate); 2-dimethylamino-5-hydroxy, above 300.degree.; 5-amino-2-piperidino, above 300.degree.; 5-amino, above 310.degree.; 7-amino-5-hydroxy-2-(ethylthio), above 300.degree.; 7-amino-2-methylamino-5-hydroxy, above 300.degree.; 2,7-bis(ethylamino)5-hydroxy, above 300.degree.; 5-amino-2-(benzylamino), 285-7.degree.; 2-(benzylamino)-5-hydroxy, 294-5.degree.; 2-(o-chlorobenzylamino)-5-hydroxy, 290.degree.; 5-amino-2-(benzylamino), -; 2-amino-5-(methylamino), above 300.degree.; 2-amino-5-(benzylamino), 320.degree.; 2-(ethylthio)-5-(furfurylamino), 219-20.degree.; 5,7-diamino-2-(methylamino), above 300.degree.; 2,5,7-triamino, above 300 degree. (HCl salt monohydrate); 5,7-diamino-2-anilino, above 300.degree.; 5,7-diamino-2-piperidino, above 300.degree.; 5,7-diamino-2-(benzylamino), 294-5.degree.; 5,7-diamino-2-(dimethylamino), above 300.degree.; 5-amino-7-methyl-2-(ethylthio), 279-80.degree.; 2-dimethylamino-5-hydroxy-7-methyl, 275-6.degree.; 2-anilino-5-hydroxy-7methyl, above 300.degree.; 2-amino-5-hydroxy-7-ethyl, above 330.degree.; 2-amino-5-hydroxy-7-propyl, 315.degree.; 2-amino-5-(butylamino), 295-300.degree.; 2-amino-5-(propylamino), 305-7.degree.; 2,5-bis(benzylamino), 295.degree.; 2-amino-5-(morpholinoethylamino), 305.degree.. The following resulted from treating amino-5cyanopyrimidines with formic acid or trialkylorthoformate: 2-amino-5-(methyl-amino), above 330.degree.; 2,5-bis(dimethylamino), above 330.degree.; 2-amino-5-(ethylamino), 320.degree.; 2-amino-5-(allylamino), 305.degree.; 2-amino-5-(hexylamino), above 300.degree.; 2-amino-5-(cyclohexylmethylamino), above 300.degree.; 2-amino-5-(decylamino), 270-80.degree.; 2-amino-5-[3-(2-methoxyethoxy)propylamino], 237-40.degree.; 2-amino-5-(2-hydroxyethylamino), 305-7.degree.; 2-amino-5-(3-morpholinopropylamino), 277-8.degree.; 2-amino-5-(3phenylpropylamino), 281-3.degree.; 2-amino-5-(3-anilinopropylamino), 248-50.degree.; 2-amino-5-(phenethylamino), about 320.degree.; 2-amino-5-(o-methoxyphenethylamino), 295.degree.; 2-pyrrolidino-5-

(benzylamino), 315-20.degree.; 2-amino-5-(3-pyridylmethylamino), above 330.degree.; 2-amino-5-(p-chlorobenzylamino), 315-20.degree.; 2-amino-5-(p-diethylaminobenzylamino), 258-88.degree.; 2-amino-5-(p-methoxybenzylamino), about 315.degree.; 2-amino-5-(o-methoxybenzylamino), 300-5.degree.; 2-amino-5-(3,4-dimethoxybenzylamino), about 300.degree.; and 2-amino-5-(2,4-dimethoxybenzylamino), about 300.degree.

L3 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1958:113770 CAPLUS

DN 52:113770

OREF 52:20188e-i,20189a-b

TI Synthesis of some 4-hydroxy- and 2,4-dihydroxypyrimido[4,5-d]pyrimidines

AU Chatterjee, S. K.; Anand, Nitya

CS Central Drug Research Inst., Lucknow

SO Journal of Scientific & Industrial Research (1958), 17B, 63-70 CODEN: JSIRAC; ISSN: 0022-4456

DT Journal

LA Unavailable

RN 66131-74-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 2,4-diamino- (6CI, 9CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

Acetamidine-HCl in EtOH and NaOEt with ethoxymethylenemalononitrile (I) AΒ gave RC:N.CH:CX.C(NH2):N (II) (R = Me, X = CN), m. 249.degree.. S-Ethylisothiourea-HBr with NaOEt and I gave II (R = SEt, X = CN), m. 144-5.degree.. Guanidine nitrate and NaOEt with I gave II (R = NH2, X =CN), m. above 320.degree.. II (R = SEt, X = CN) hydrolyzed with HCl gave II (R = OH, X = CN), m. above 320.degree. Thiourea with NaOEt and I gave II (R = SH, X = CN), m. above 300.degree. II (R = H, X = CN) (Baddiley, et al., C.A. 37, 66673), II (R = Me, X = CN), and II (R = SEt, X = CN)with alk. H2O2 gave the carboxamides (II, X = CONH2), m. 254-6.degree., 263.degree., and 220.degree., resp. II (R = SEt, X = CN), II (R = NH2, X)= CN), II (R = OH, X = CN), and II (R = SH, X = CN) with cold concd. H2SO4 gave the corresponding II (X = CONH2), m. 220.degree., above 320.degree., above 320.degree., and above 320.degree., resp. II (R = H, X = CONH2)heated 2 hrs. at 140-50.degree. with Ac20 and HC(OEt)3 gave CH:N.CR1:N.C:C.N:CR2.N:COH (III) (R1 = H, R2 = H), m. 252.degree. (MeOH), .lambda. (H2O) 289, 246 m.mu., .epsilon. 6305, 1998. II (R = H, X =CONH2) refluxed 4 hrs. with NaOEt and HCO2Et gave III (R1 = H, R2 = H). II (R = Me, X = CONH2) heated with Ac2O and HC(OEt)3 gave III (R1 = Me, R2= H), m. 293.degree. (EtOH), .lambda. (H2O) 290, 250 m.mu., .epsilon. 6885, 2106. II (R = SEt, X = CONH2) heated with Ac2O and HC(OEt)3 gave III (R1 = SEt, R2 = H), m. 238.degree. (EtOH), .lambda. (H2O) 268, 230 m.mu., .epsilon. 30160, 2288. II (R = SH, X = CONH2) heated with Ac2O and HC(OEt)3, and the product triturated with EtOH gave III (R1 = SEt, R2 = H). III (R1 =SEt, R2 = H) heated 24 hrs. at 160.degree. with EtOH satd.

with dry NH3 gave II (R = SEt, X = CONH2) and III (R1 = NH2, R2 = H), .lambda. (H2O) 315, 245 m.mu., .epsilon. 4319, 33904. III (R1 = SEt, R2 = H) heated with concd. HCl gave I (R = OH, X = CO2H), m. 263.degree. (decompn.). 5-Carbethoxycytosine heated 8 hrs. at 190.degree. with HCONH2 under N gave III (R1 = OH, R2 = H), m. above 320.degree., .lambda. (H2O) 280 m.mu. .epsilon. 2080. II (R = OH, X = CONH2) heated 6 hrs. at 170.degree. with HCONH2 gave III (R1 = OH, R2 = H). II (X = CONH2) refluxed with CO(OEt)2 and NaOEt in EtOH gave III (R2 = OH) (R1, m.p., solvent of crystn., .lambda. (m.mu.), and .epsilon. given, resp.): H, above 310.degree., H2O, 245, 320, 20910, 5592 (shoulder at 270 m.mu.); Me, above 320.degree., repptd. from NH3 soln. by AcOH, 245, 320, 13038, 4539 (shoulder at 270 m.mu.); SEt, 241-2.degree., EtOH, plateau from 242-254 m.mu. (0.1N NaOH); NH2, above 320.degree., repptd., 234, 310, 37142, 12082 (0.005N NaOH); OH, above 320.degree., repptd., 230, 43200 (0.01N NaOH); SH, above 320.degree., repptd., 305, 22246 (H2O). III (R1 = SEt, R2 = OH) heated 20 hrs. at 160.degree. with MeOH satd. with dry NH3 gave III (R1 = NH2, R2 = OH). III (R1 = SEt, R2 = OH) heated with HCl gave III (R1 = OH, R2 = OH). III (R1 = SH, R2 = OH) with EtBr and NaOEt gave III (R1 = SEt, R2 = OH).

=> log y COST IN U.S. DOLLARS	SINCE FILE	TOTAL
FULL ESTIMATED COST	ENTRY 108.17	SESSION 256.93
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY -13.67	SESSION -13.67

STN INTERNATIONAL LOGOFF AT 10:14:28 ON 29 AUG 2003